

chain nodes :

7 8 9

ring nodes :

1 2 3 4 5 6 10 11 12 13 14 15

chain bonds :

3-7 4-8 8-9 9-10

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15

exact/norm bonds :

1-2 1-6 2-3 3-4 3-7 4-5 4-8 5-6 8-9 9-10 10-11 10-15 11-12
12-13 13-14 14-15

G1:C,O,S,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom

Generic attributes :

7:
Saturation : Unsaturated

09/855,597

=> d his

(FILE 'HOME' ENTERED AT 15:57:18 ON 08 AUG 2002)

FILE 'REGISTRY' ENTERED AT 15:57:28 ON 08 AUG 2002

L1 STRUCTURE UPLOADED

L2 QUE L1

L3 0 S L2

L4 5929087 S NRS>2

L5 0 S L2 SUB=L4 SAM

L6 547 S L2 SUB=L4 FUL

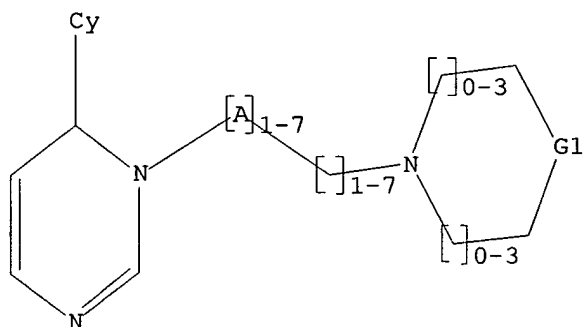
FILE 'CAPLUS' ENTERED AT 15:59:05 ON 08 AUG 2002

L7 45 S L6

=> d 12

L2 HAS NO ANSWERS

L1 STR



G1 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

L2 QUE ABB=ON PLU=ON L1

=> d bib abs hitstr 1-45

~~LA~~ ANSWER 1 OF 45 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2002:72060 CAPLUS

~~DN~~ 136:134773

TI Preparation and use of arylpyrimidines as selective melanin concentrating hormone-1 (mch-1) receptor antagonists

IN Lagu, Bharat; Wetzell, John; Marzabadi, Mohammad R.; Deleon, John E.; Gluchowski, Charles; Noble, Stewart; Nagarathnam, Dhanapalan; Chiu, George

PA Synaptic Pharmaceutical Corporation, USA

SO PCT Int. Appl., 310 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002006245	A1	20020124	WO 2001-US21286	20010705
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 2000-610213	A	20000705		
OS	MARPAT 136:134773				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I-IV [A = (un)substituted Ph, pyridyl, benzothiazolyl, benzoxazolyl, etc.; R1 = H, NO2, CN, (fluoro)alkyl, (cyclo)alkenyl, alkynyl, (fluoro)cycloalkyl, amino, alkoxy, acyl, carboxy, carboxamido; R2 = H, (hydroxy)alkyl, alkoxyalkyl, fluoroalkyl, cycloalkenyl, etc.; R3 = H, (fluoro)alkyl, (cyclo)alkenyl, alkynyl, (fluoro)cycloalkyl; R4 = alkyl-piperidinyl, alkyl-tetrahydropyridinyl, etc. in which the heterocycle is substituted with (hetero)aryl, thioacyl, amido, etc.; X = O, S, NR3; n = 0 - 5] were prepd. For instance, (+)-V was prepd. by reaction of 5-methoxycarbonyl-4-methoxymethyl-1,2,3,6-tetrahydro-2-oxo-6-(3,4-difluorophenyl)-1-[(4-nitrophenyloxy)carbonyl]pyrimidine (prepn. given) and the corresponding propylamine sidechain with base (e.g., iPr2NEt) in CH2Cl2. (+)-V had antagonist potency (Kb) = 0.3 nM and Ki = 0.08 nM for the melanin-concg. hormone receptor (mch) and Ki > 50,000 nM for two neuropeptide Y receptors and Ki > 50,000 nM three galanin receptors. I-IV are useful in the treatment of, e.g., bulimia nervosa and obesity.

IT 387825-97-0P 387827-14-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

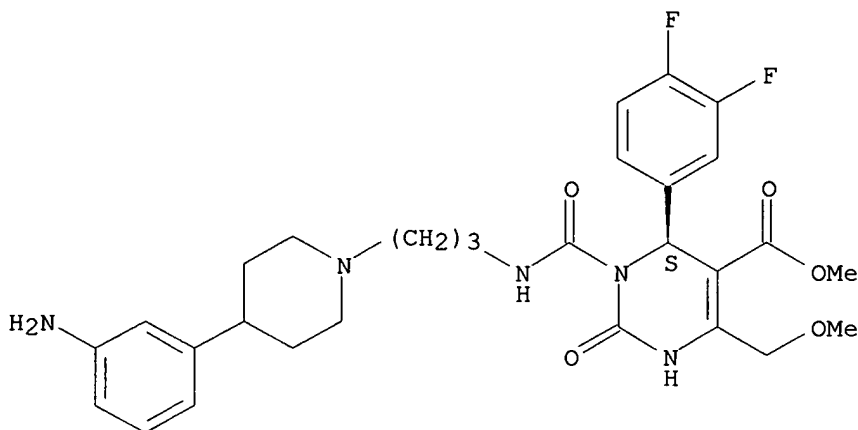
(drug; prepn. and use of arylpyrimidines as selective melanin concg. hormone-1 (mch-1) receptor antagonists)

RN 387825-97-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(3-aminophenyl)-1-

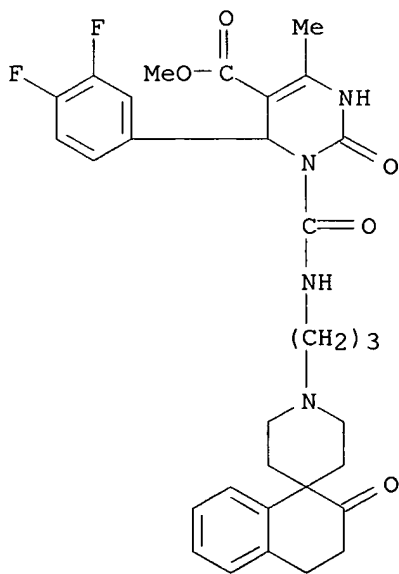
piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 387827-14-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(3,4-dihydro-2-oxospiro[naphthalene-1(2H),4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



IT 200050-59-5P 200051-19-0P 216310-53-1P
 216310-54-2P 216310-62-2P 216310-72-4P
 252002-24-7P 252002-25-8P 252002-86-1P
 253799-54-1P 387825-69-6P 387825-71-0P
 387825-72-1P 387825-75-4P 387825-77-6P
 387825-78-7P 387825-82-3P 387825-83-4P
 387825-84-5P 387825-87-8P 387825-89-0P

387825-90-3P 387825-91-4P 387825-92-5P
 387825-93-6P 387825-94-7P 387825-96-9P
 387825-98-1P 387825-99-2P 387826-00-8P
 387826-01-9P 387826-02-0P 387826-03-1P
 387826-11-1P 387826-12-2P 387826-13-3P
 387826-14-4P 387826-15-5P 387827-07-8P
 387827-10-3P 387827-13-6P 391610-29-0P
 391610-30-3P 391610-31-4P 391610-33-6P
 391610-34-7P 391610-35-8P 391610-36-9P
 391610-37-0P 391610-62-1P 391610-63-2P
 391610-64-3P 391610-65-4P

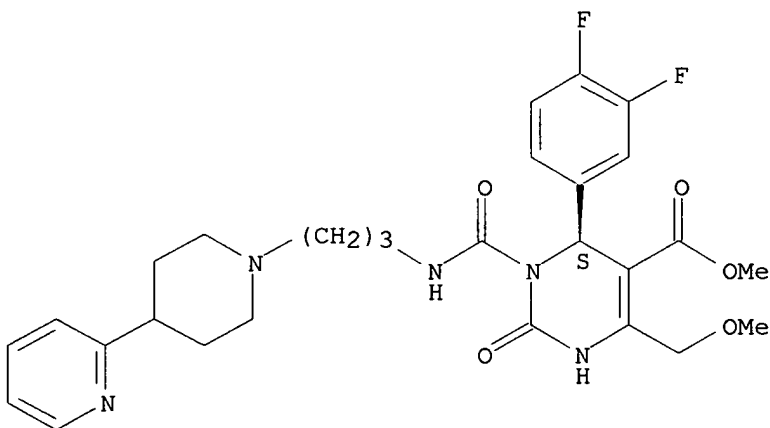
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug; prepn. and use of arylpyrimidines as selective melanin concg.
 hormone-1 (mch-1) receptor antagonists)

RN 200050-59-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-
 (methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-
 piperidinyl]propyl]amino]carbonyl]-, methyl ester, (6S)- (9CI) (CA INDEX
 NAME)

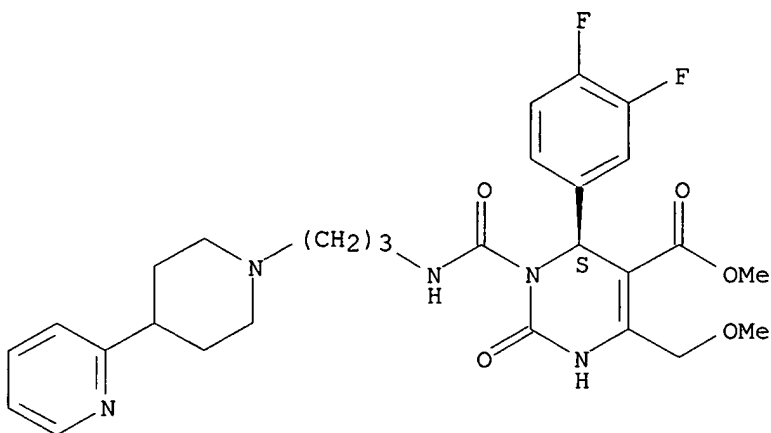
Absolute stereochemistry. Rotation (+).



RN 200051-19-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-
 (methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-
 piperidinyl]propyl]amino]carbonyl]-, methyl ester, dihydrochloride, (6S)-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

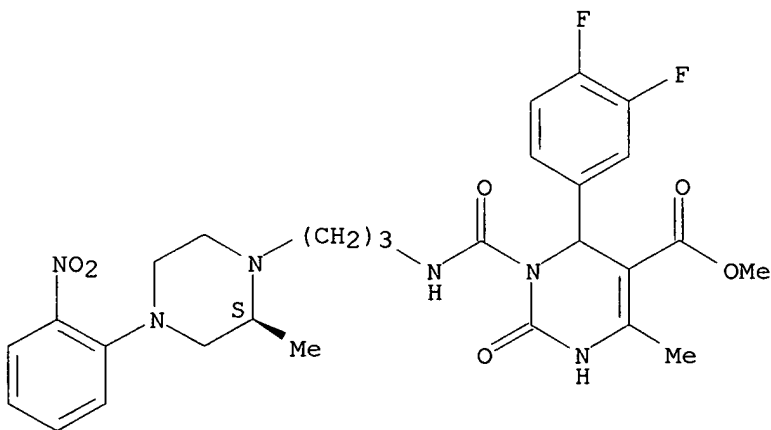


● 2 HCl

RN 216310-53-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[(2S)-2-methyl-4-(2-nitrophenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

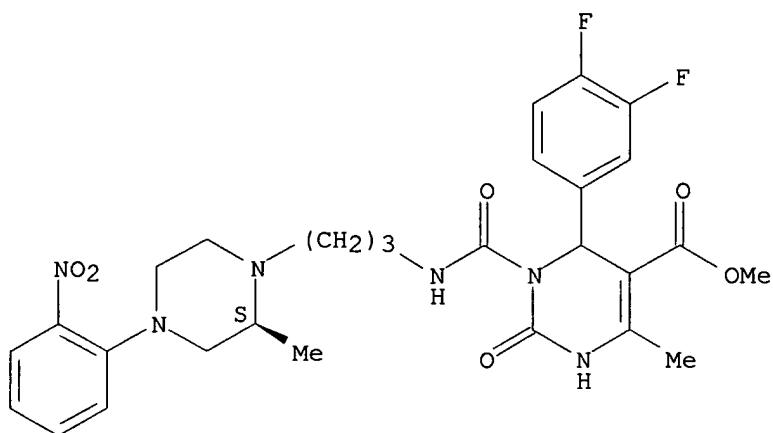
Absolute stereochemistry.



RN 216310-54-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[(2S)-2-methyl-4-(2-nitrophenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

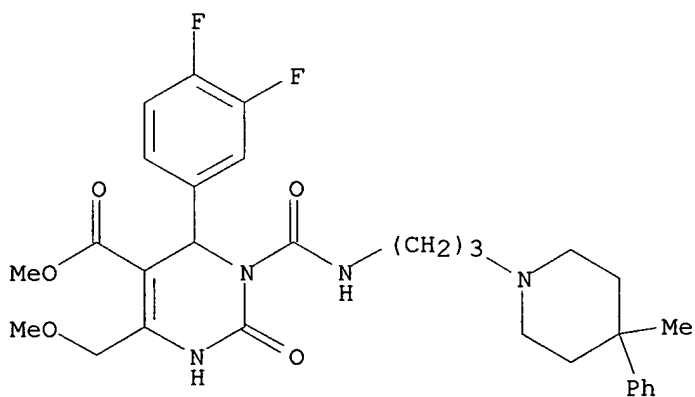


● HCl

RN 216310-62-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-(4-methyl-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

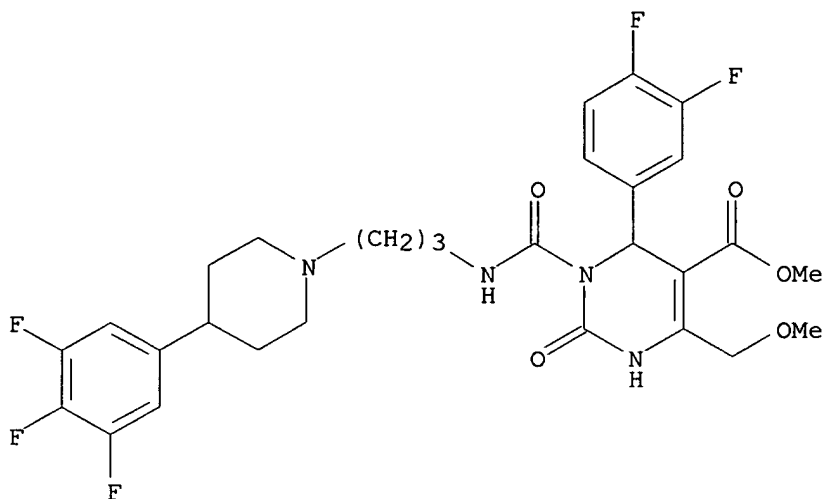


● HCl

RN 216310-72-4 CAPLUS

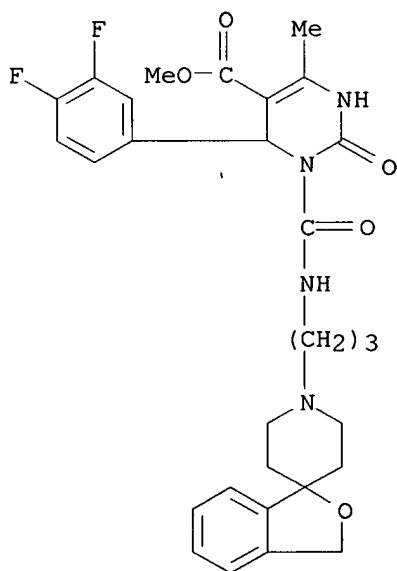
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(3,4,5-trifluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



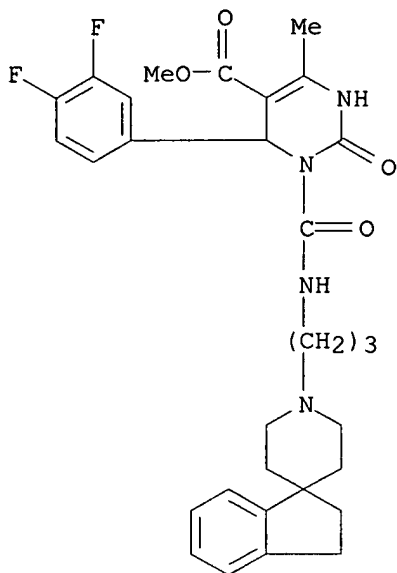
RN 252002-24-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[3-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1H-pyrimidin-5-yl]propyl]amino]carbonyl-, methyl ester (9CI) (CA INDEX NAME)



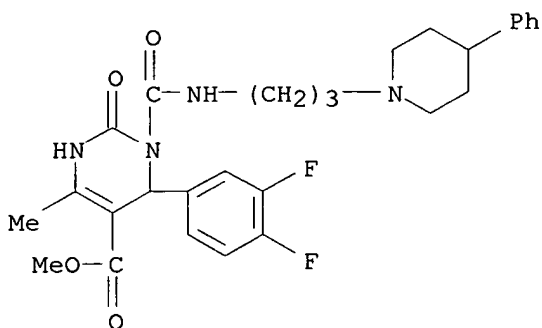
RN 252002-25-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 252002-86-1 CAPLUS

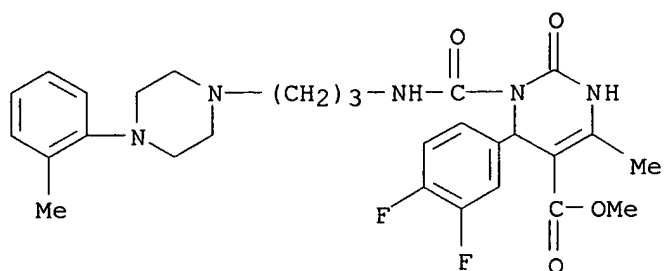
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-(4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 253799-54-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(2-methylphenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

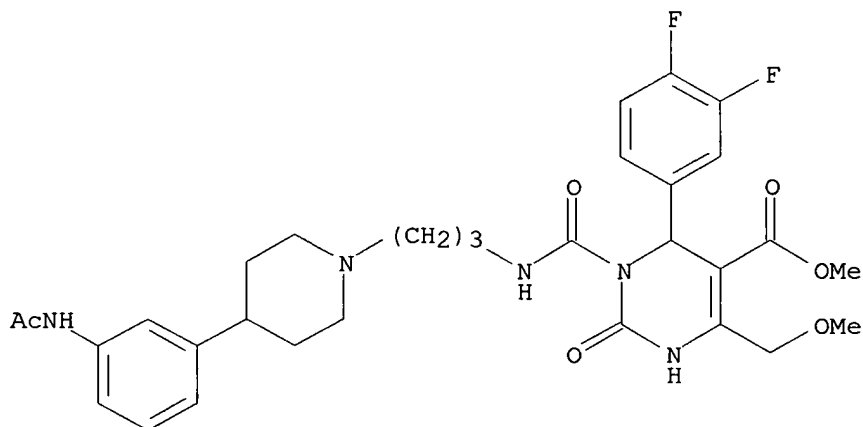


●2 HCl

RN 387825-69-6 CAPLUS

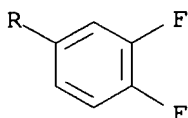
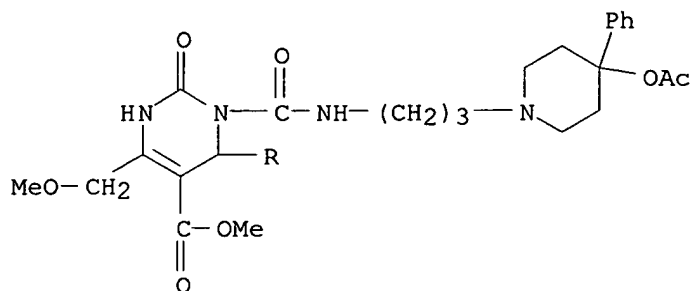
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[3-(acetylamino)phenyl]-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



RN 387825-71-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(acetyloxy)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

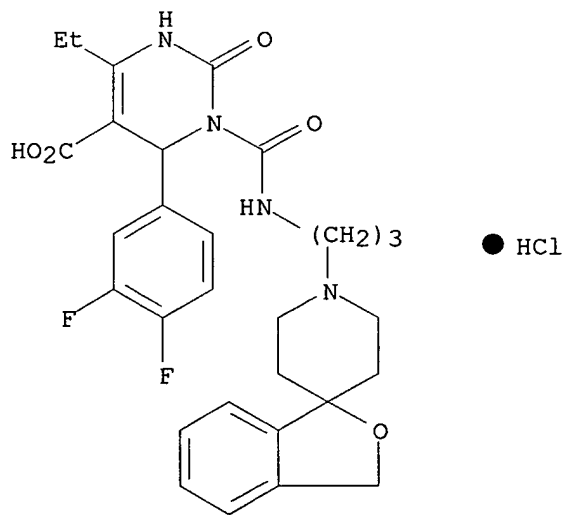


● HCl

RN 387825-72-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-2-oxo-1-[[(3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-yl)propyl]amino]carbonyl]-, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



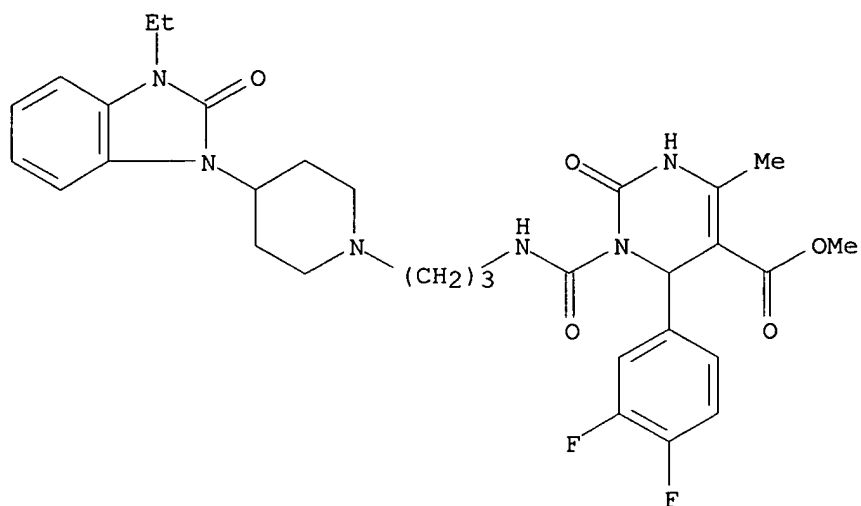
● HCl

RN 387825-75-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(3-ethyl-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

PAGE 1-A



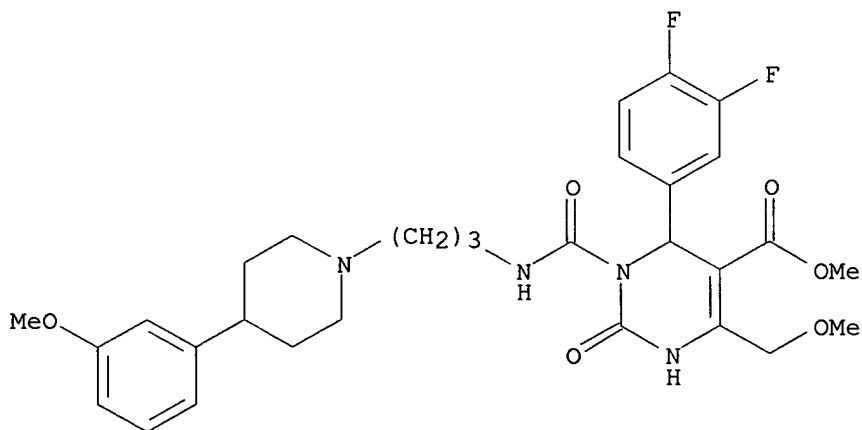
PAGE 2-A

● HCl

RN 387825-77-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(3-methoxyphenyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



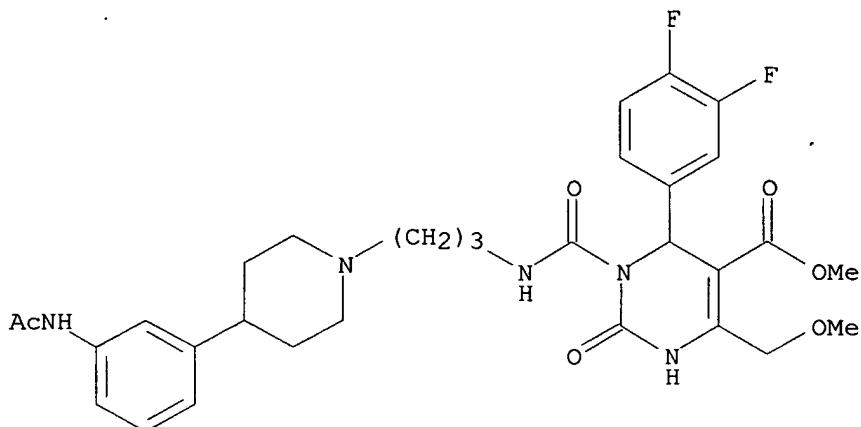
HCl

09/855,597

RN 387825-78-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[3-(acetylamino)phenyl]-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

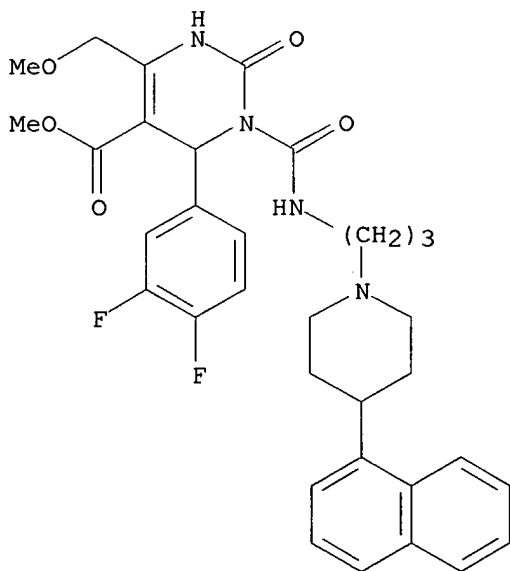
Rotation (+).



RN 387825-82-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(1-naphthalenyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

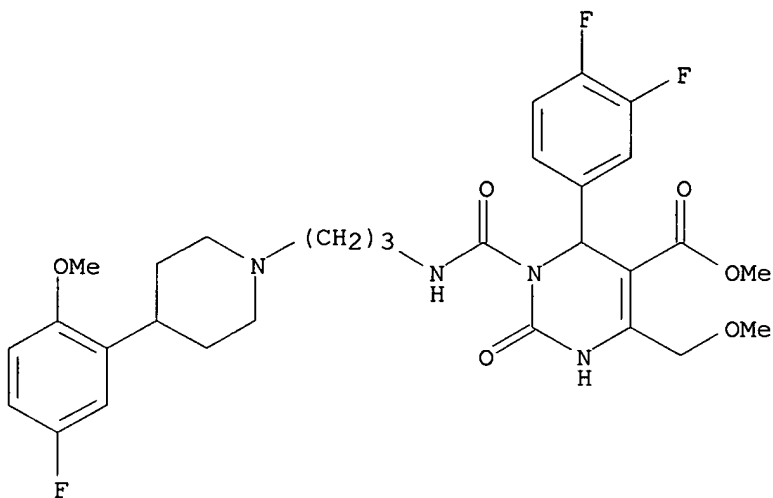
Rotation (+).



RN 387825-83-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(5-fluoro-2-methoxyphenyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

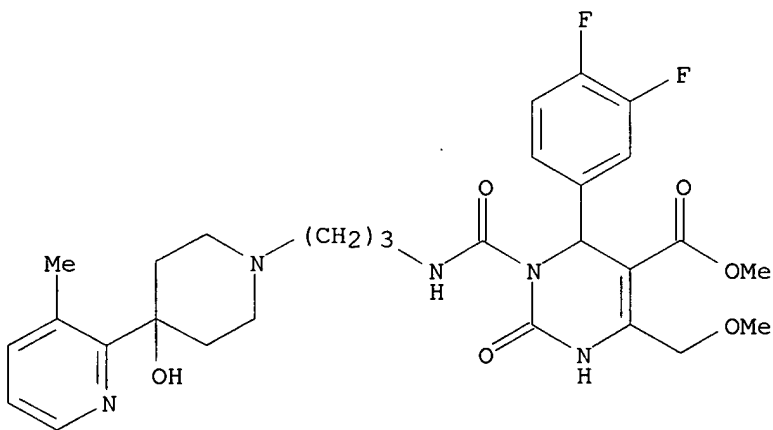
Rotation (+).



RN 387825-84-5 CAPLUS

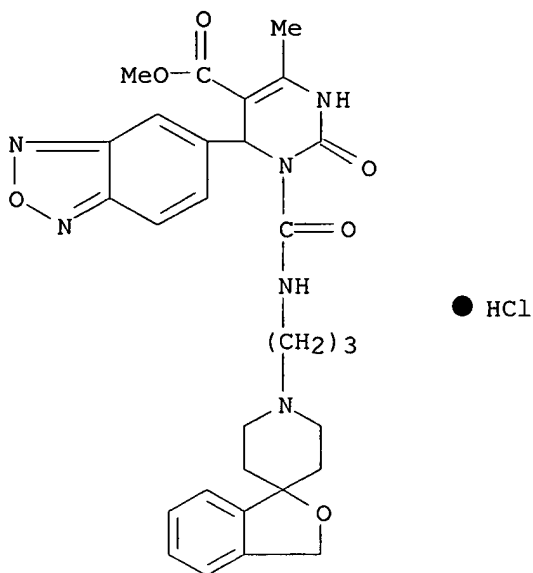
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
 [[[3-[4-hydroxy-4-(3-methyl-2-pyridinyl)-1-piperidinyl]propyl]amino]carbon
 yl]-4-(methoxymethyl)-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



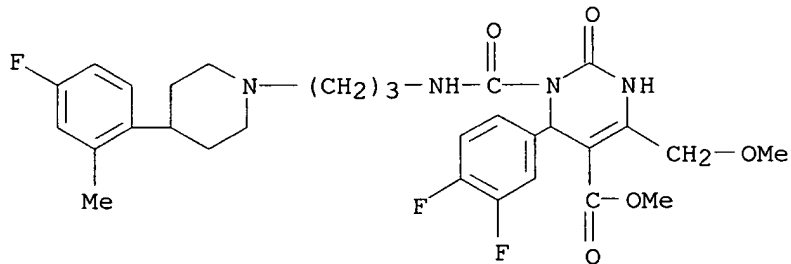
RN 387825-87-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-1,2,3,6-
 tetrahydro-4-methyl-2-oxo-1-[[3-spiro[isobenzofuran-1(3H),4'-piperidin]-
 1'-yl]propyl]amino]carbonyl]-, methyl ester, monohydrochloride (9CI) (CA
 INDEX NAME)



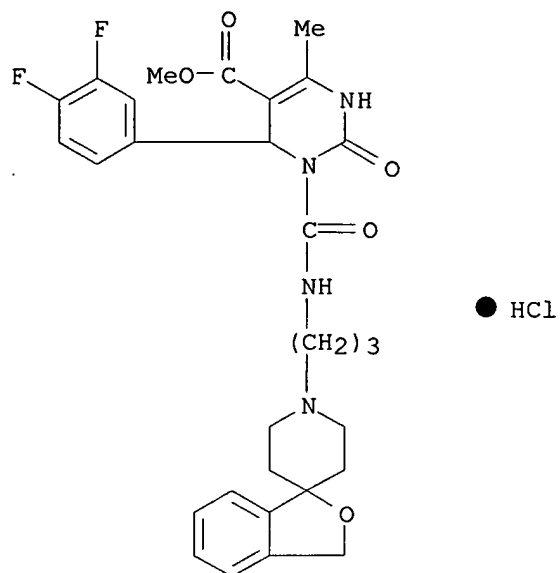
RN 387825-89-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(4-fluoro-2-methylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



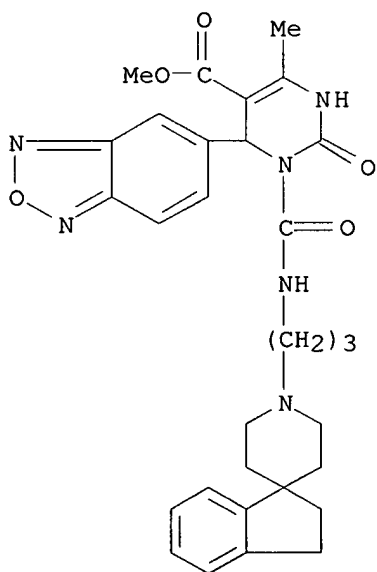
RN 387825-90-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-yl]propyl]amino]carbonyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



RN 387825-91-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-1-[[[3-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



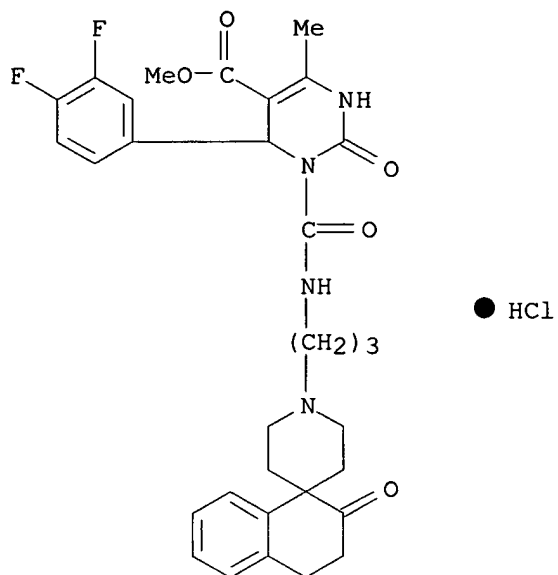
RN 387825-92-5 CAPLUS

CN 1,5(6H)-Pyrimidinedicarboxamide, 6-(3,4-difluorophenyl)-4-ethyl-2,3-dihydro-2-oxo-N-(3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-ylpropyl)-, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

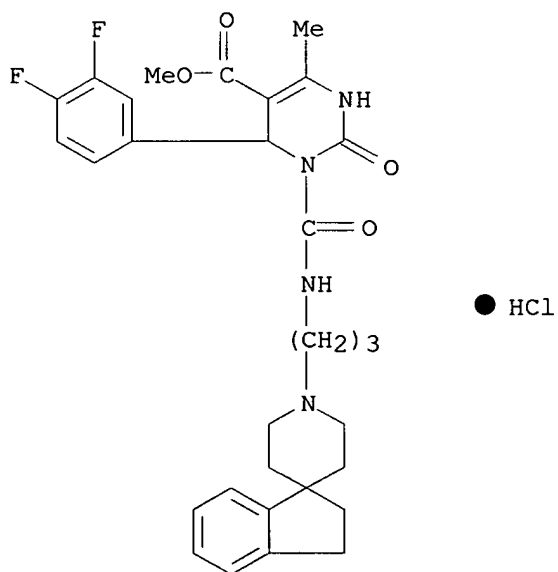
CC1=NC(=O)N(C(=O)NCC2CCN(CC2)C3Cc4ccccc4O3)c(C(=O)N)C1=C(C2=CC=CC=C2C(F)=CC(F)=C2)C(=O)N • HClCN1C(=O)N(C(=O)NCC2CCCC2C3C(=O)C4C(=O)C(=O)C4C3)c5c(C(=O)OC)c6cc(ccc6n7cc8ccccc8n75)c7ccccc71.Cl

Page 16



RN 387825-96-9 CAPLUS

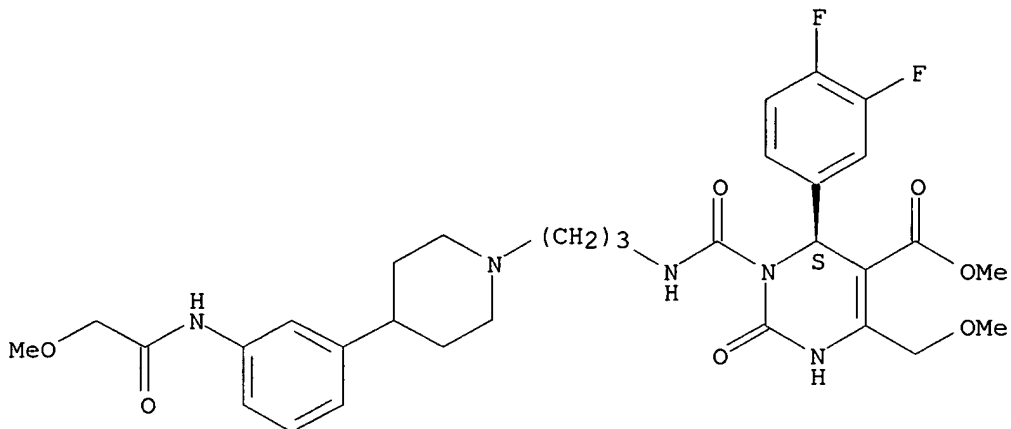
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI)
(CA INDEX NAME)



RN 387825-98-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-[3-[(methoxyacetyl)amino]phenyl]-1-piperidinyl]propyl]amino]carbonyl]-4-(methoxymethyl)-2-oxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

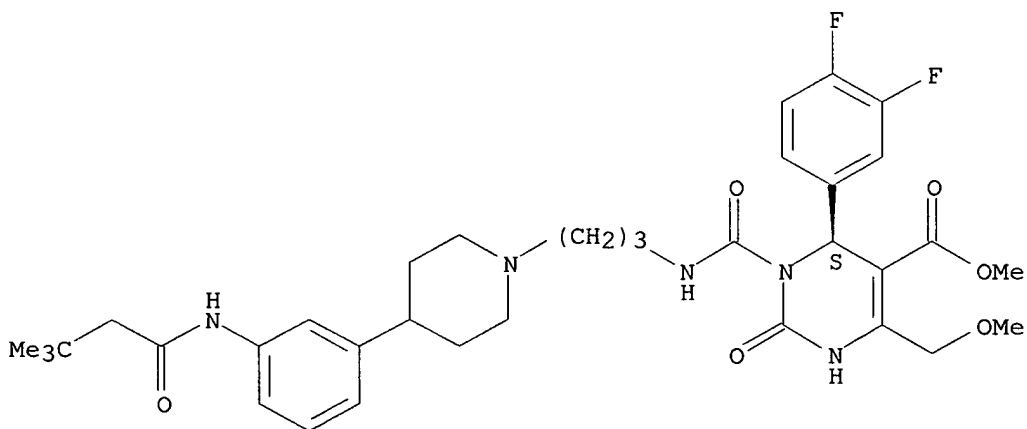
Absolute stereochemistry.



RN 387825-99-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-[3-[(3,3-dimethyl-1-oxobutyl)amino]phenyl]-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (6S)-(9CI) (CA INDEX NAME)

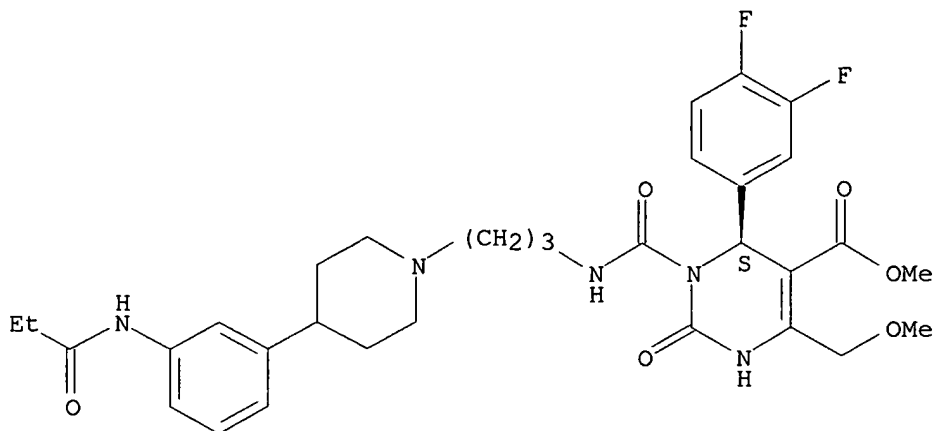
Absolute stereochemistry.



RN 387826-00-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-[3-[(1-oxopropyl)amino]phenyl]-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (6S)-(9CI) (CA INDEX NAME)

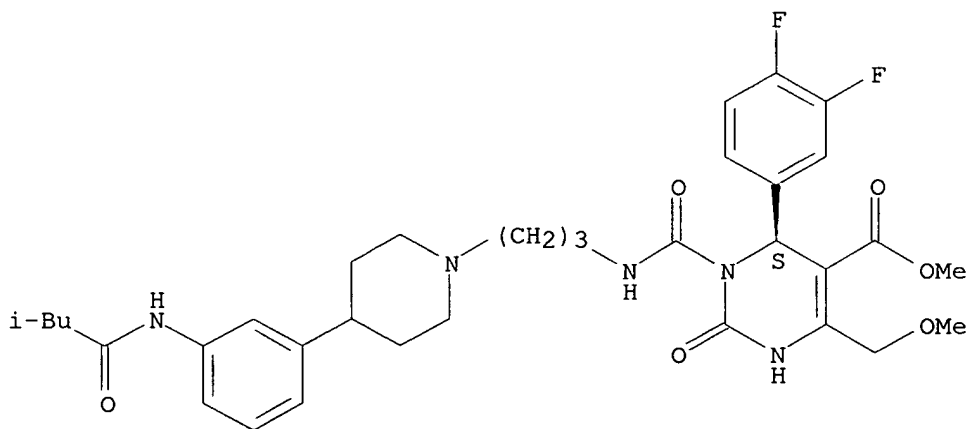
Absolute stereochemistry.



RN 387826-01-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-[3-[(3-methyl-1-oxobutyl)amino]phenyl]-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

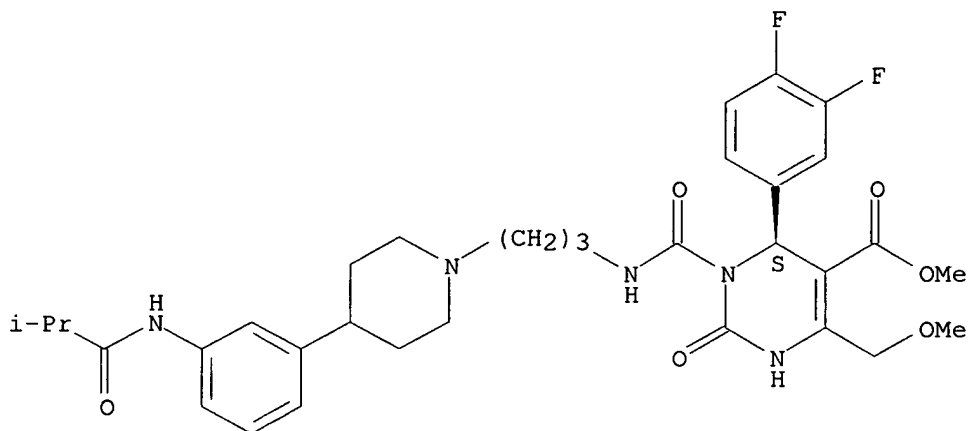
Absolute stereochemistry.



RN 387826-02-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-[3-[(2-methyl-1-oxopropyl)amino]phenyl]-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

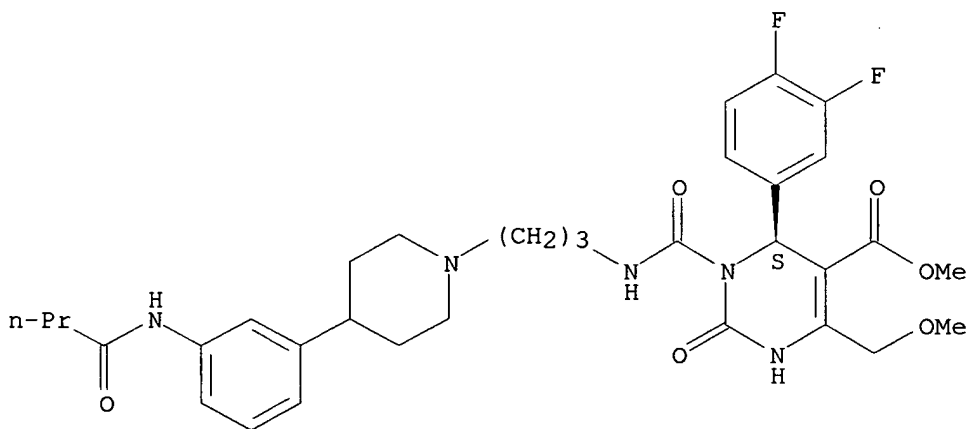
Absolute stereochemistry.



RN 387826-03-1 CAPLUS

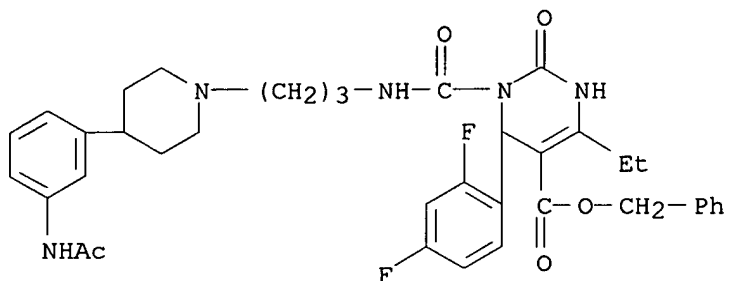
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-[3-[(1-oxobutyl)amino]phenyl]-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



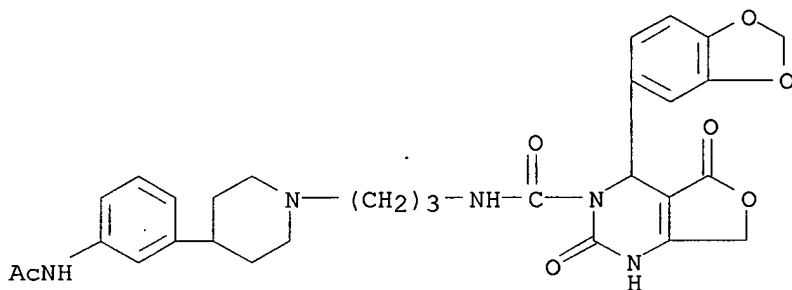
RN 387826-11-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[3-(acetylamino)phenyl]-1-piperidinyl]propyl]amino]carbonyl]-6-(2,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-2-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



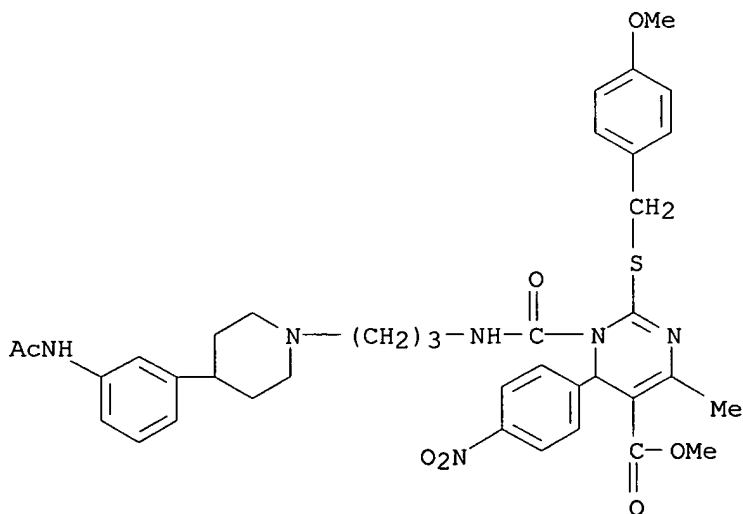
RN 387826-12-2 CAPLUS

CN Furo[3,4-d]pyrimidine-3(4H)-carboxamide, N-[3-[4-[3-(acetamino)phenyl]-1-piperidinyl]propyl]-4-(1,3-benzodioxol-5-yl)-1,2,5,7-tetrahydro-2,5-dioxo-(9CI) (CA INDEX NAME)



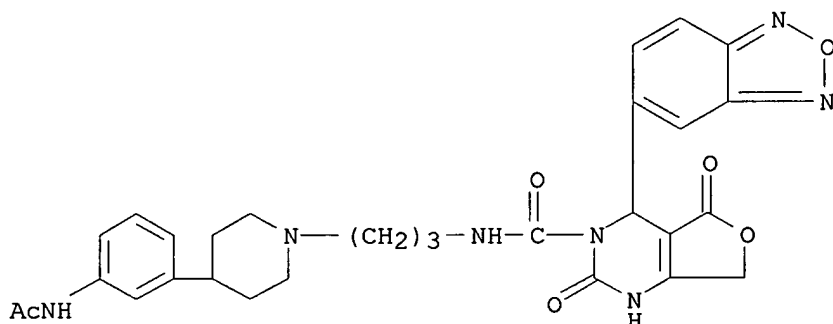
RN 387826-13-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[3-(acetamino)phenyl]-1-piperidinyl]propyl]amino]carbonyl]-1,6-dihydro-2-[[4-methoxyphenyl)methyl]thio]-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 387826-14-4 CAPLUS

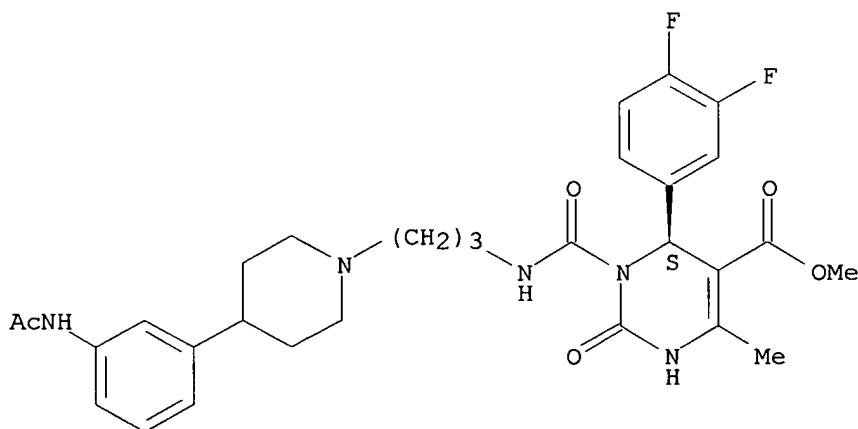
CN Furo[3,4-d]pyrimidine-3(4H)-carboxamide, N-[3-[4-[3-(acetylamino)phenyl]-1-piperidinyl]propyl]-4-(2,1,3-benzoxadiazol-5-yl)-1,2,5,7-tetrahydro-2,5-dioxo- (9CI) (CA INDEX NAME)



RN 387826-15-5 CAPLUS

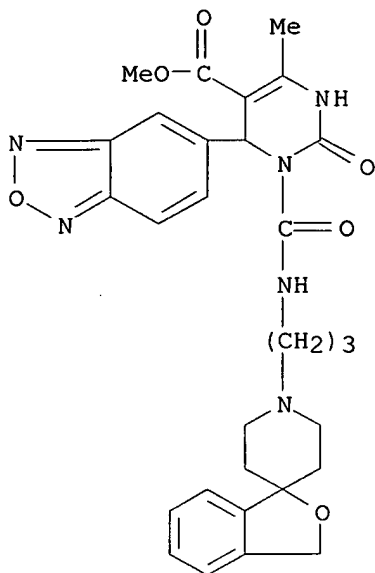
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[3-(acetylamino)phenyl]-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



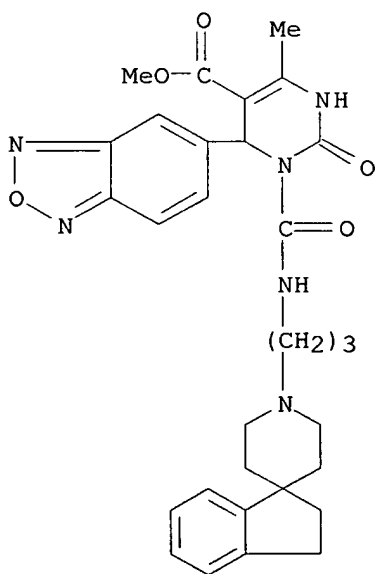
RN 387827-07-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-yl]propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 387827-10-3 CAPLUS

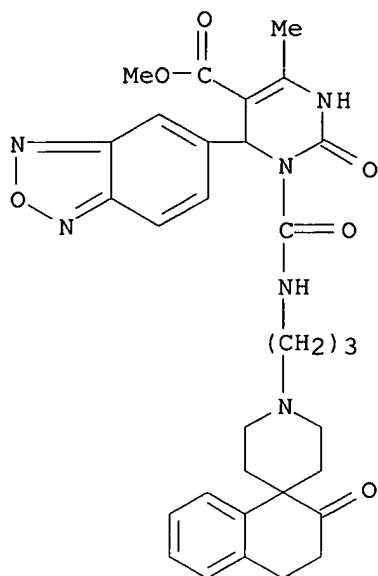
CN 5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-1-[[[3-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

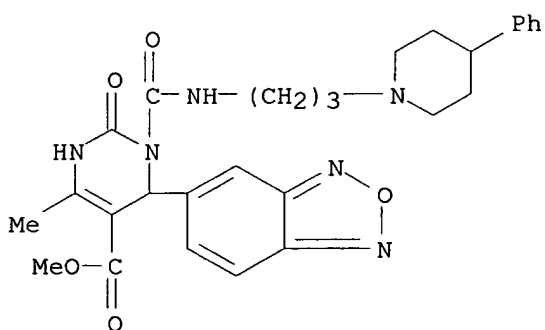
RN 387827-13-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-1-[[[3-(3,4-dihydro-2-oxospiro[naphthalene-1(2H),4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester
(9CI) (CA INDEX NAME)



RN 391610-29-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-(4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

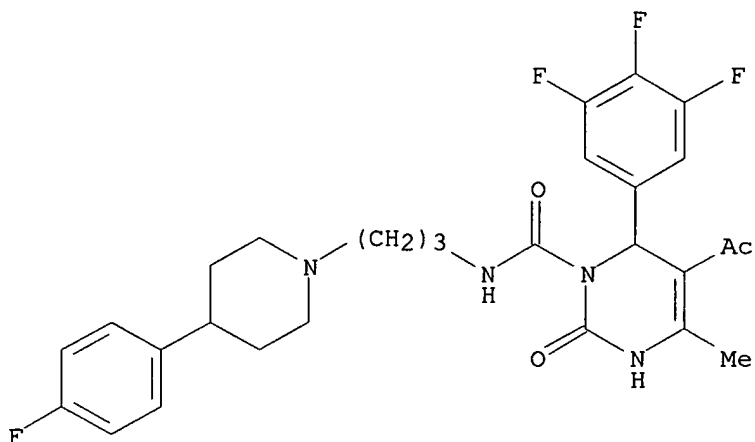


● HCl

RN 391610-30-3 CAPLUS

CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-N-[3-[4-(4-fluorophenyl)-1-piperidinyl]propyl]-3,6-dihydro-4-methyl-2-oxo-6-(3,4,5-trifluorophenyl)-, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

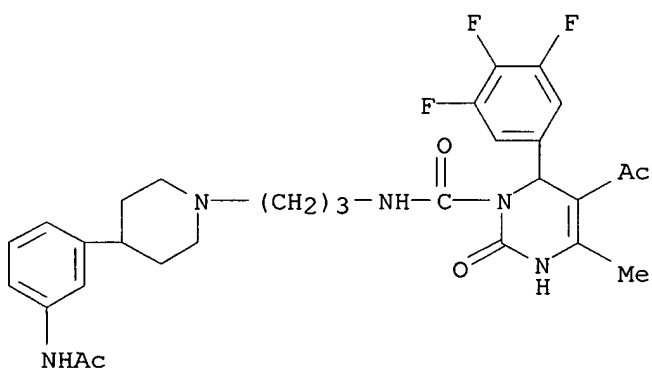
Rotation (+).



● HCl

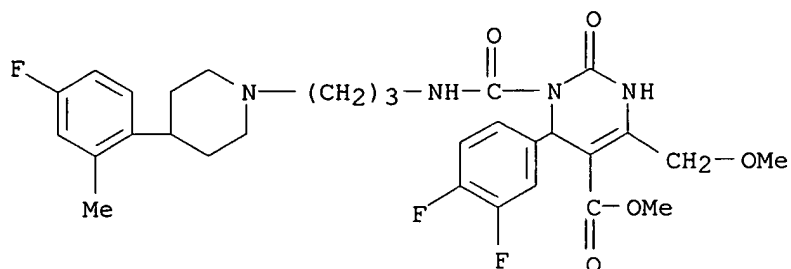
RN 391610-31-4 CAPLUS

CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-N-[3-[4-[3-(acetylamino)phenyl]-1-piperidinyl]propyl]-3,6-dihydro-4-methyl-2-oxo-6-(3,4,5-trifluorophenyl)- (9CI) (CA INDEX NAME)



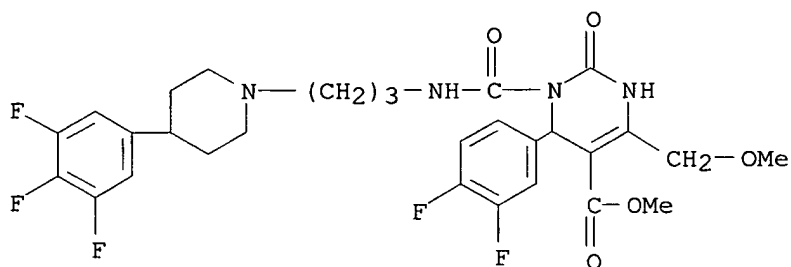
RN 391610-33-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(4-fluoro-2-methylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



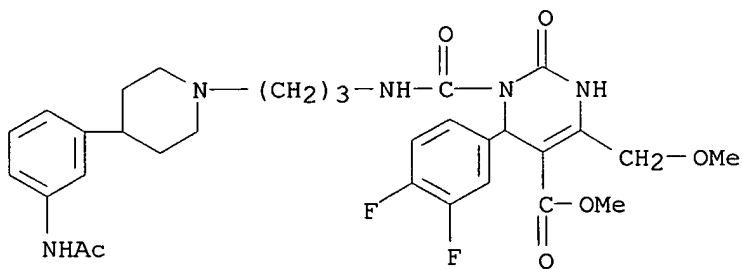
RN 391610-34-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(3,4,5-trifluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 391610-35-8 CAPLUS

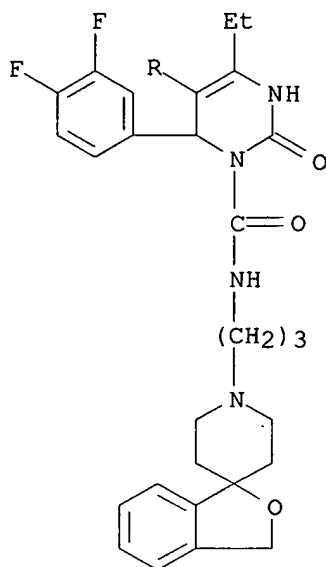
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[3-(acetylamino)phenyl]-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



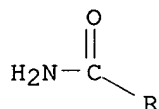
RN 391610-36-9 CAPLUS

CN 1,5(2H)-Pyrimidinedicarboxamide, 6-(3,4-difluorophenyl)-4-ethyl-3,6-dihydro-2-oxo-N-(3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-ylpropyl)-(9CI) (CA INDEX NAME)

PAGE 1-A

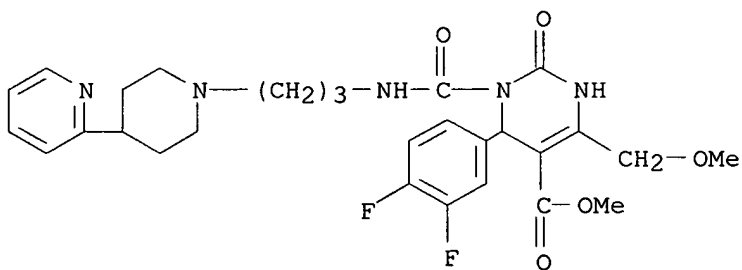


PAGE 2-A



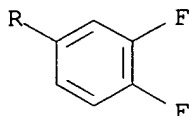
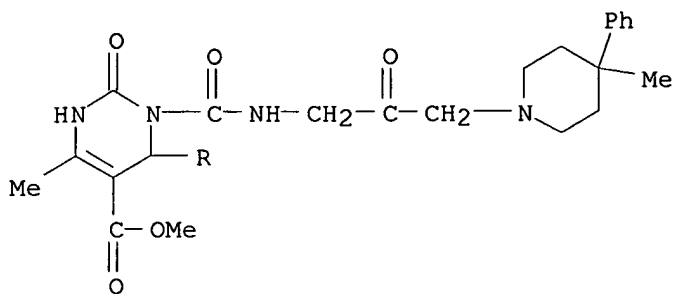
RN 391610-37-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



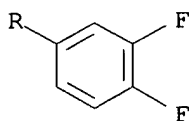
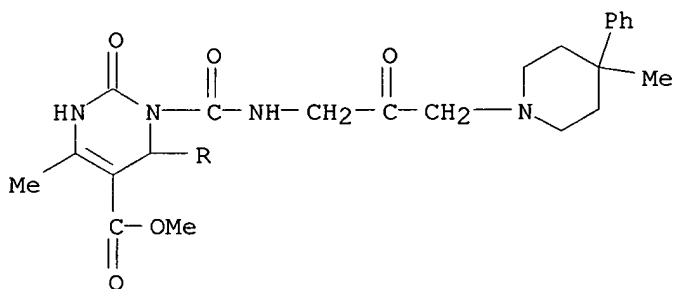
RN 391610-62-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-(4-methyl-4-phenyl-1-piperidinyl)-2-oxopropyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 391610-63-2 CAPLUS

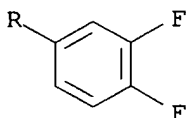
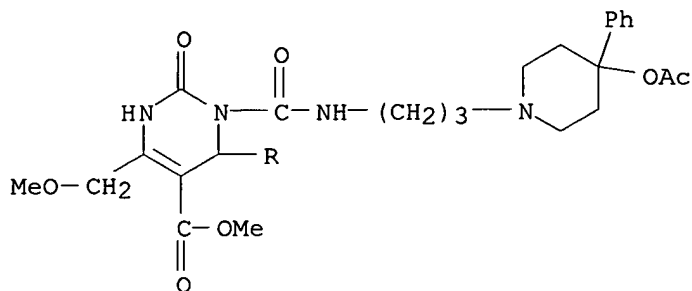
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-(4-methyl-4-phenyl-1-piperidinyl)-2-oxopropyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 391610-64-3 CAPLUS

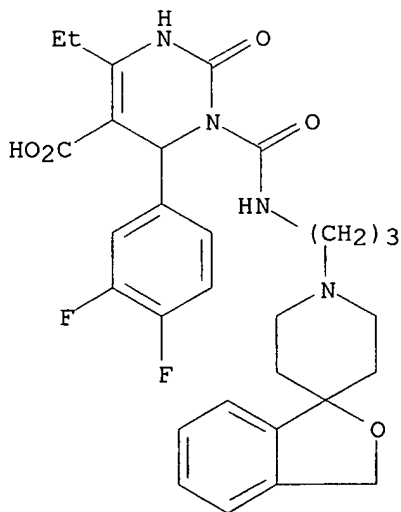
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(acetyloxy)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 391610-65-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-2-oxo-1-[[[3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-ylpropyl)amino]carbonyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



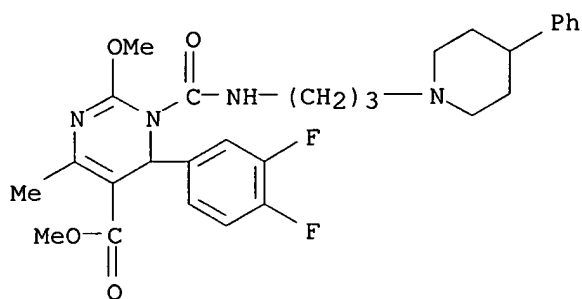
IT **391610-60-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. and use of arylpyrimidines as selective melanin concg. hormone-1 (mch-1) receptor antagonists)

RN 391610-60-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2-methoxy-4-methyl-1-[[[3-(4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 216310-60-0P 252002-40-7P 391610-66-5P
 391610-67-6P 391610-68-7P 391610-69-8P
 391610-70-1P 391610-71-2P

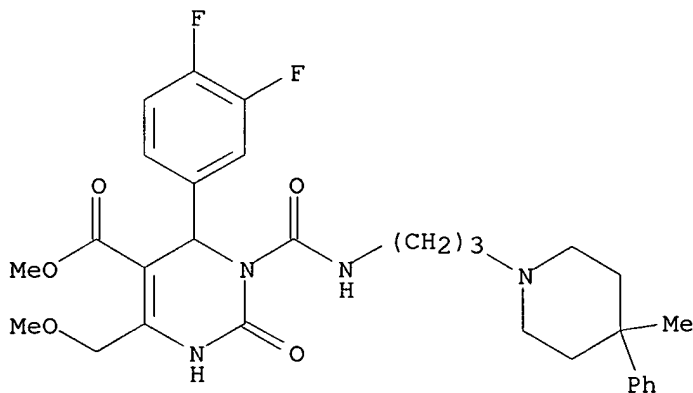
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(prepn. and use of arylpyrimidines as selective melanin concg.
 hormone-1 (mch-1) receptor antagonists)

RN 216310-60-0 CAPLUS

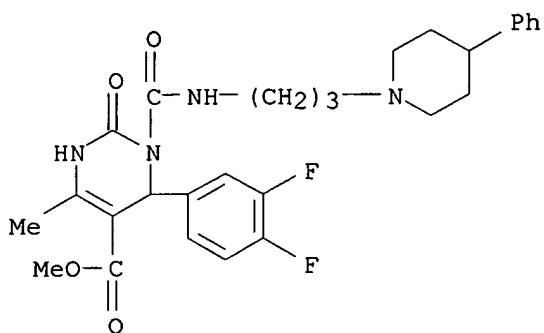
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-
 (methoxymethyl)-1-[[[3-(4-methyl-4-phenyl-1-piperidinyl)propyl]amino]carbo-
 nyl]-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 252002-40-7 CAPLUS

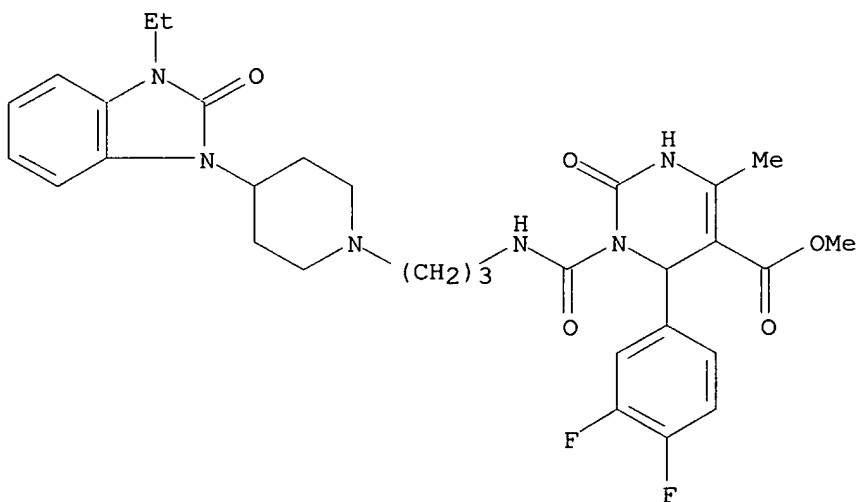
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-
 methyl-2-oxo-1-[[[3-(4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-,
 methyl ester (9CI) (CA INDEX NAME)



RN 391610-66-5 CAPLUS

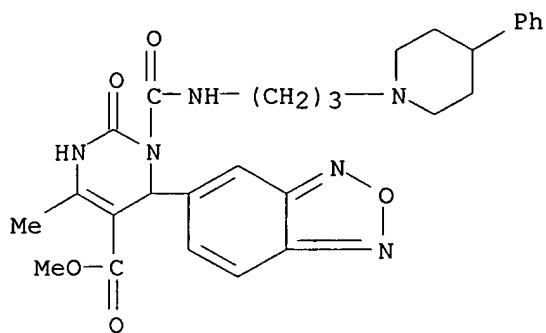
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(3-ethyl-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 391610-67-6 CAPLUS

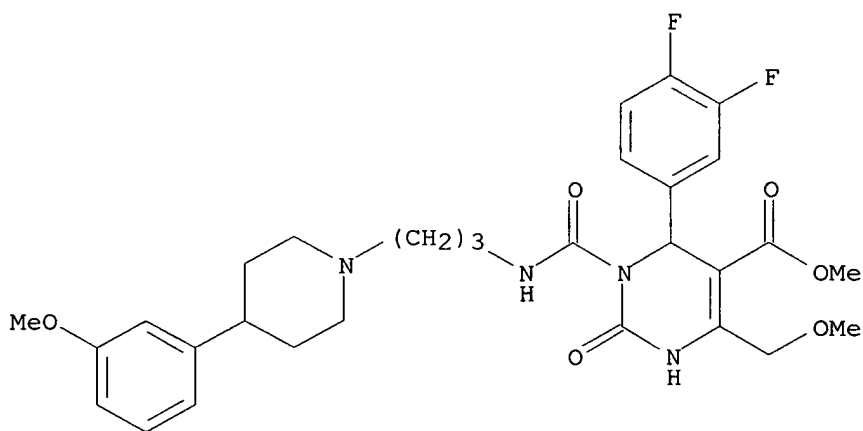
CN 5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-(4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 391610-68-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(3-methoxyphenyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

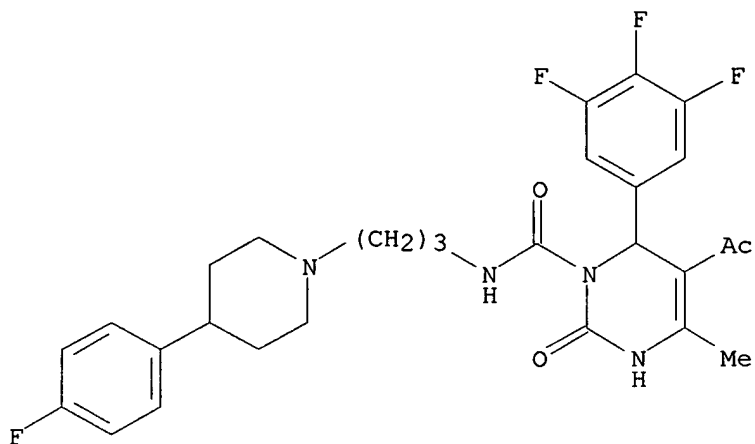
Rotation (+).



RN 391610-69-8 CAPLUS

CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-N-[3-[4-(4-fluorophenyl)-1-piperidinyl]propyl]-3,6-dihydro-4-methyl-2-oxo-6-(3,4,5-trifluorophenyl)-, (+)-(9CI) (CA INDEX NAME)

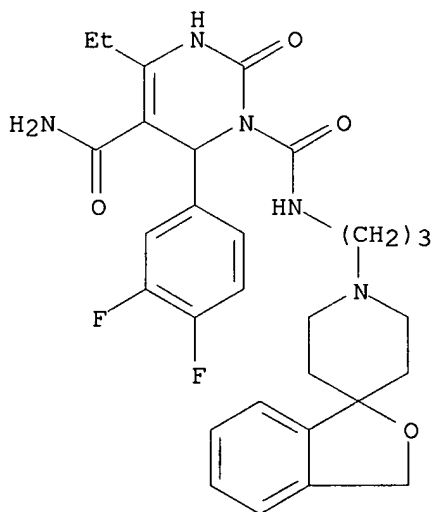
Rotation (+).



RN 391610-70-1 CAPLUS

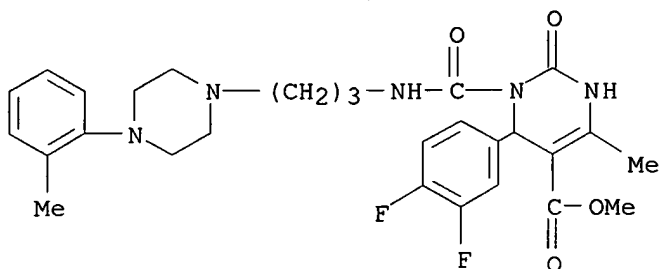
CN 1,5(6H)-Pyrimidinedicarboxamide, 6-(3,4-difluorophenyl)-4-ethyl-2,3-dihydro-2-oxo-N-(3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-ylpropyl)-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



RN 391610-71-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(2-methylphenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



IT 387827-00-1 391610-61-0

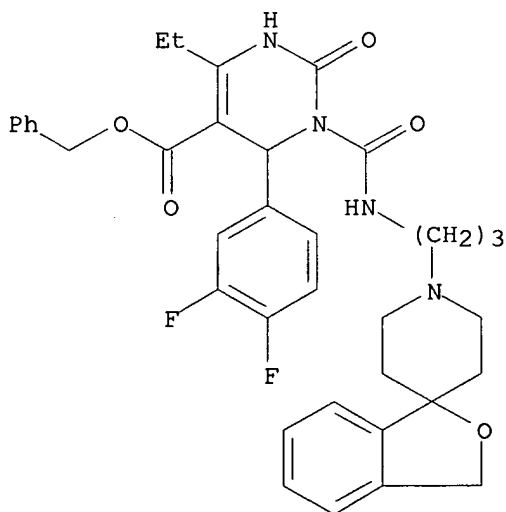
RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; prepn. and use of arylpyrimidines as selective melanin
concg. hormone-1 (mch-1) receptor antagonists)

RN 387827-00-1 CAPLUS

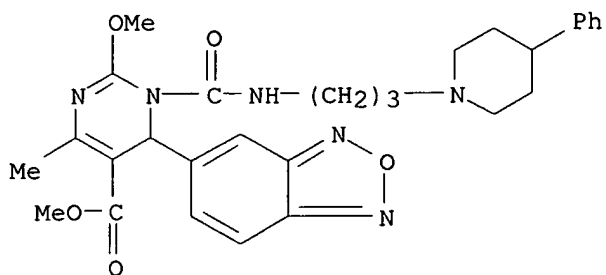
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-
tetrahydro-2-oxo-1-[[[3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-
ylpropyl]amino]carbonyl]-, phenylmethyl ester, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



RN 391610-61-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-1,6-dihydro-2-
methoxy-4-methyl-1-[[[3-(4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-,
methyl ester (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~IN~~ ANSWER 2 OF 45 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2002:31619 CAPLUS

~~DN~~ 136:96697

TI Human melanin concentrating hormone receptor MCH1, its DNA, its synthetic ligands and diagnostic and therapeutic uses thereof

IN Salon, John A.; Laz, Thomas M.; Nagorny, Raisa; Wilson, Amy E.

PA Synaptic Pharmaceutical Corporation, USA

SO PCT Int. Appl., 524 pp.

CODEN: PIXXD2

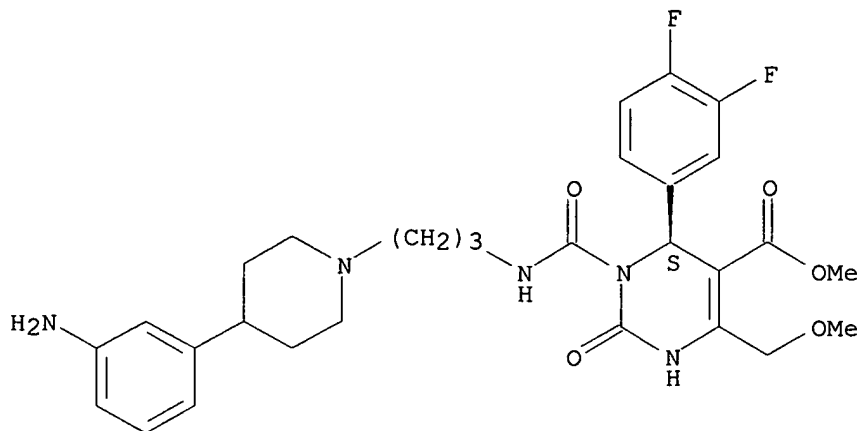
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002002744	A2	20020110	WO 2001-US21350	20010705
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 2001073207	A5	20020114	AU 2001-73207	20010705
PRAI	US 2000-610635	A	20000705		
	WO 2001-US21350	W	20010705		
AB	This invention provides an isolated nucleic acid encoding a human MCH1 receptor, a purified human MCH1 receptor, vectors comprising isolated nucleic acid encoding a human MCH1 receptor, cells comprising such vectors, antibodies directed to a human MCH1 receptor, nucleic acid probes useful for detecting nucleic acid encoding human MCH1 receptors, antisense oligonucleotides complementary to unique sequence of nucleic acid encoding human MCH1 receptors, transgenic, nonhuman animals which express DNA encoding a normal or mutant human MCH1 receptor, methods of isolating a human MCH1 receptor, methods of treating an abnormality that is linked to the activity of a human MCH1 receptor, as well as methods of detg. binding of compds. to mammalian MCH1 receptors. This invention provides a method of modifying the feeding behavior of a subject which comprises administering to the subject an amt. of an MCH1 antagonist effective to decrease the body mass of the subject and/or decrease the consumption of food by the subject. This invention further provides a method of treating a subject suffering from depression and/or anxiety which comprises administering to the subject an amt. of an MCH1 antagonist effective to treat the subject's depression and/or anxiety.				
IT	387825-97-0P				
	RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)				
	(human melanin concg. hormone receptor MCH1, its DNA, its synthetic ligands and diagnostic and therapeutic uses thereof)				
RN	387825-97-0 CAPLUS				
CN	5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(3-aminophenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



IT 200051-19-0P 216310-53-1P 216310-54-2P
 216310-62-2P 216310-72-4P 252002-24-7P
 252002-25-8P 253799-54-1P 387825-69-6P
 387825-71-0P 387825-72-1P 387825-75-4P
 387825-77-6P 387825-78-7P 387825-82-3P
 387825-83-4P 387825-84-5P 387825-87-8P
 387825-89-0P 387825-90-3P 387825-91-4P
 387825-92-5P 387825-93-6P 387825-94-7P
 387825-96-9P 387825-98-1P 387825-99-2P
 387826-00-8P 387826-01-9P 387826-02-0P
 387826-03-1P 387826-10-0P 387826-11-1P
 387826-12-2P 387826-13-3P 387826-14-4P
 387826-15-5P

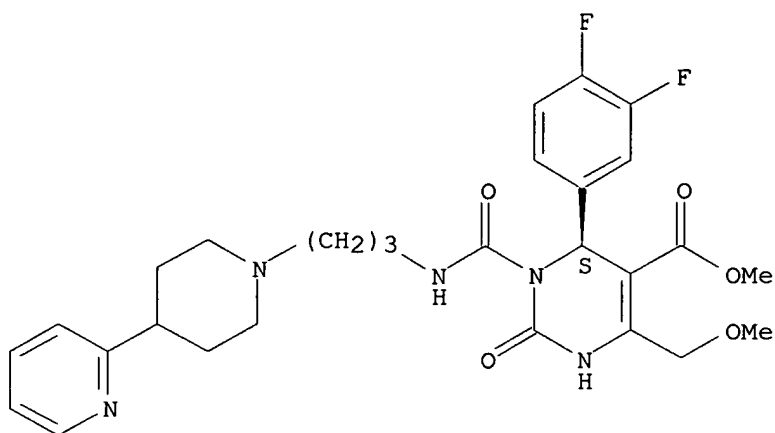
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(human melanin concg. hormone receptor MCH1, its DNA, its synthetic
 ligands and diagnostic and therapeutic uses thereof)

RN 200051-19-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-
 (methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-
 piperidinyl]propyl]amino]carbonyl]-, methyl ester, dihydrochloride, (6S)-
 (9CI) (CA INDEX NAME)

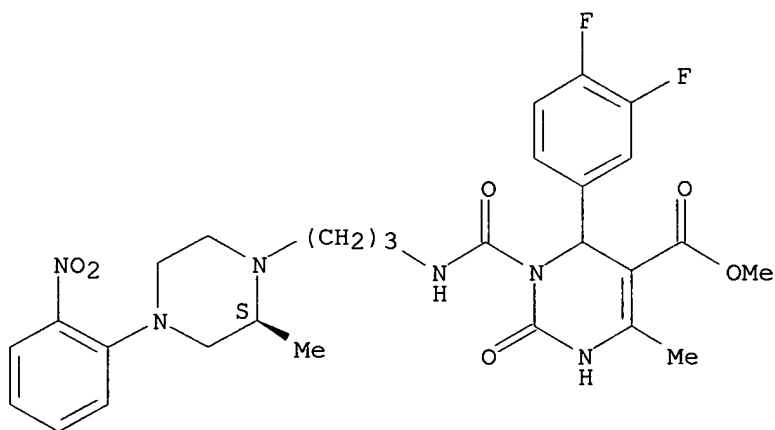
Absolute stereochemistry. Rotation (+).



● 2 HCl

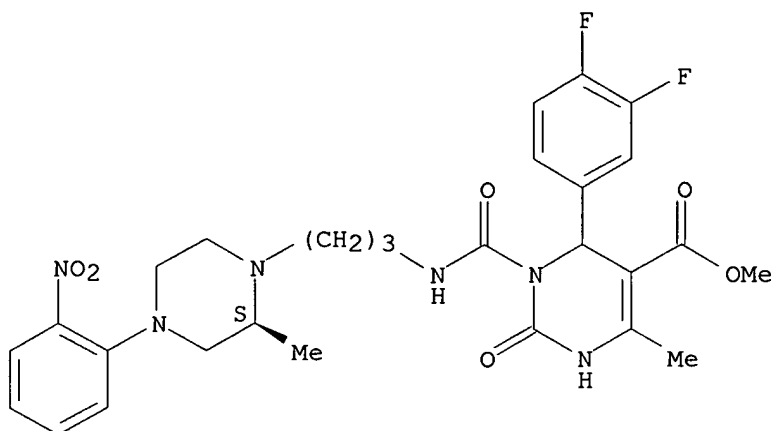
RN 216310-53-1 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[(2S)-2-methyl-4-(2-nitrophenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 216310-54-2 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[(2S)-2-methyl-4-(2-nitrophenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

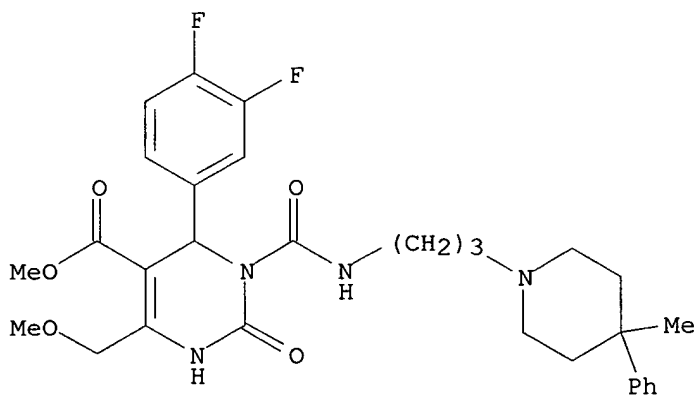


● HCl

RN 216310-62-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-(4-methyl-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

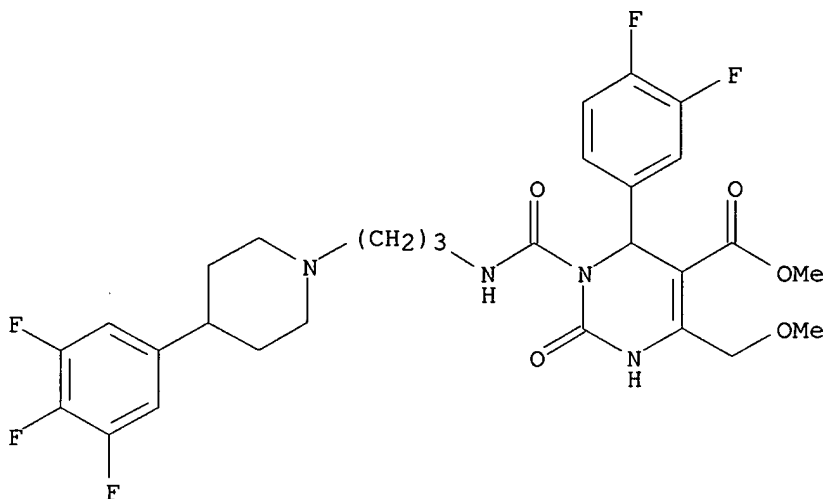


● HCl

RN 216310-72-4 CAPLUS

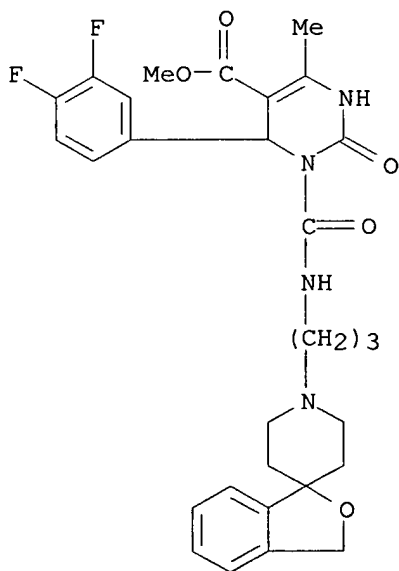
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-(4-(3,4,5-trifluorophenyl)-1-piperidinyl)propyl]amino]carbonyl]-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



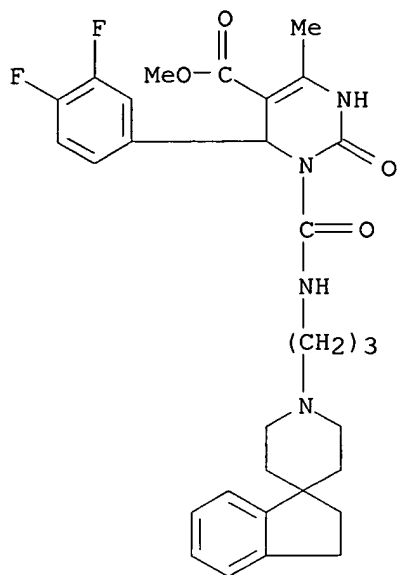
RN 252002-24-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-ylpropyl]amino]carbonyl-, methyl ester (9CI) (CA INDEX NAME)



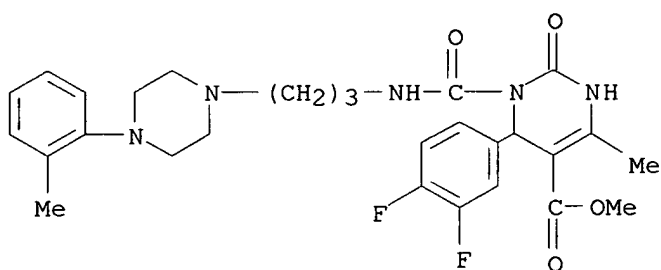
RN 252002-25-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 253799-54-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(2-methylphenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

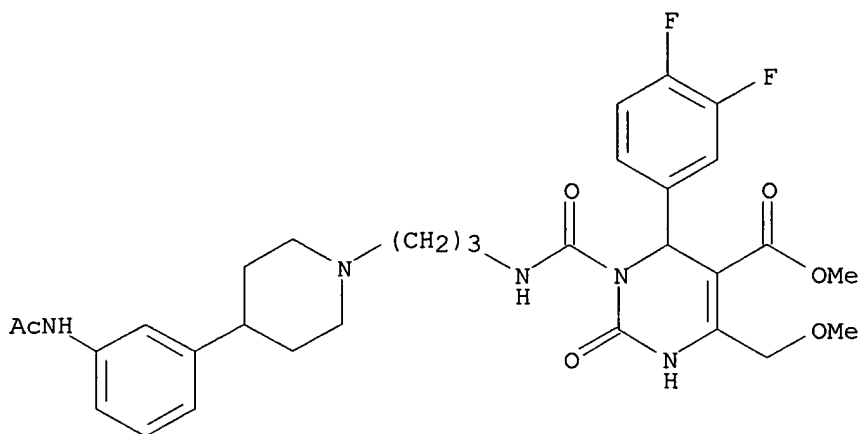


●2 HCl

RN 387825-69-6' CAPLUS

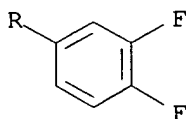
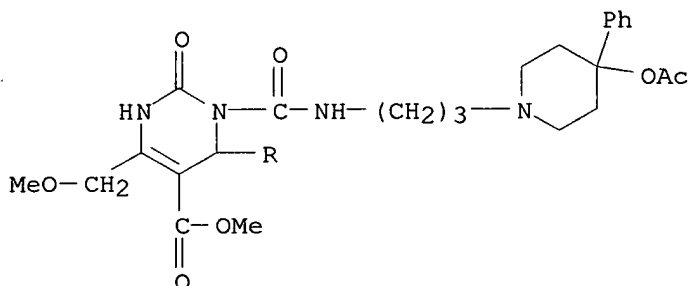
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[3-(acetamino)phenyl]-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (-)-(9CI) (CA INDEX NAME)

Rotation (-).



RN 387825-71-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(acetyloxy)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride (9CI)
(CA INDEX NAME)

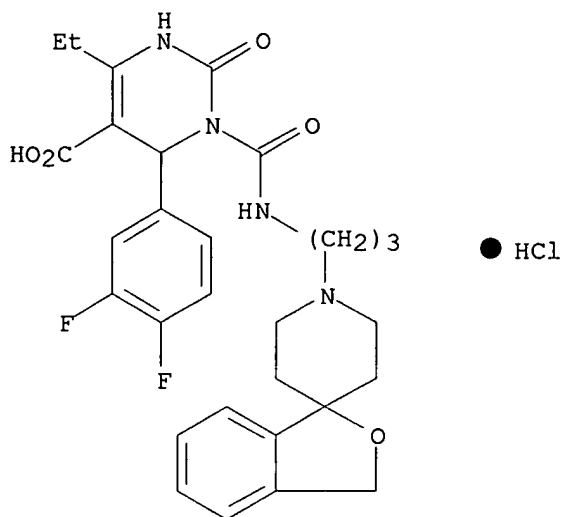


● HCl

RN 387825-72-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-2-oxo-1-[(3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-yl)propyl]amino]carbonyl-, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

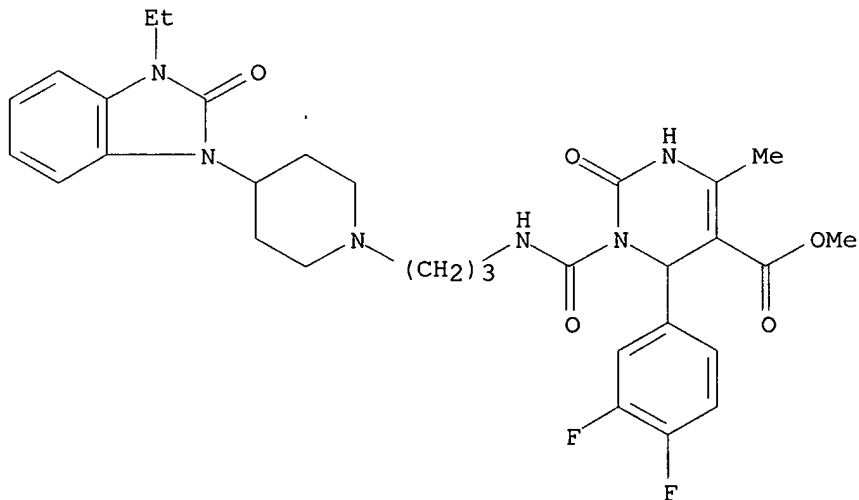


RN 387825-75-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(3-ethyl-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

PAGE 1-A



PAGE 2-A

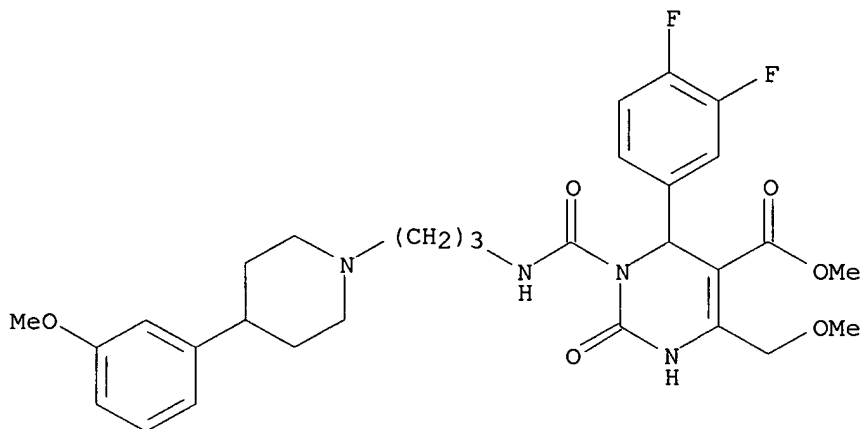
RN 387825-77-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-

09/855,597

(methoxymethyl)-1-[[[3-[4-(3-methoxyphenyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

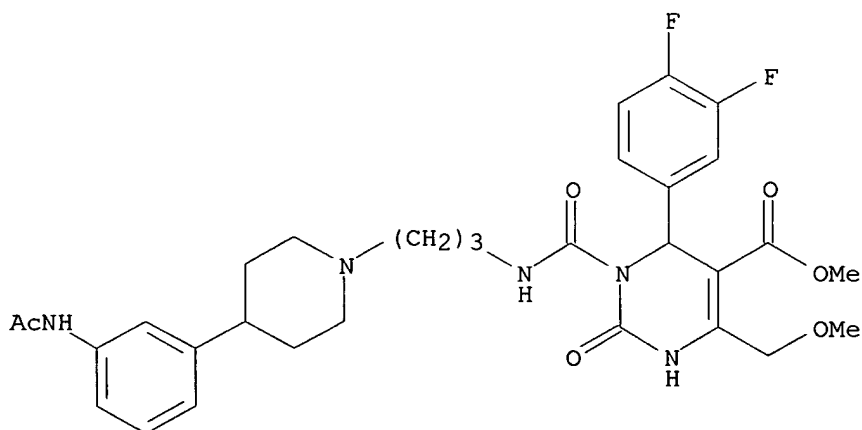


● HCl

RN 387825-78-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[3-(acetylamino)phenyl]-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

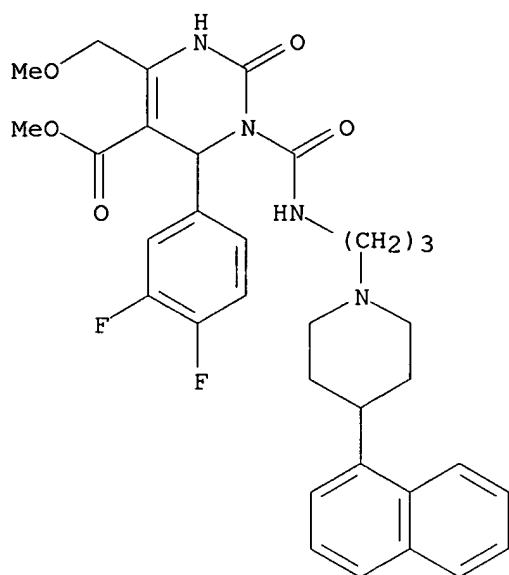


RN 387825-82-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(1-naphthalenyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

09/855,597

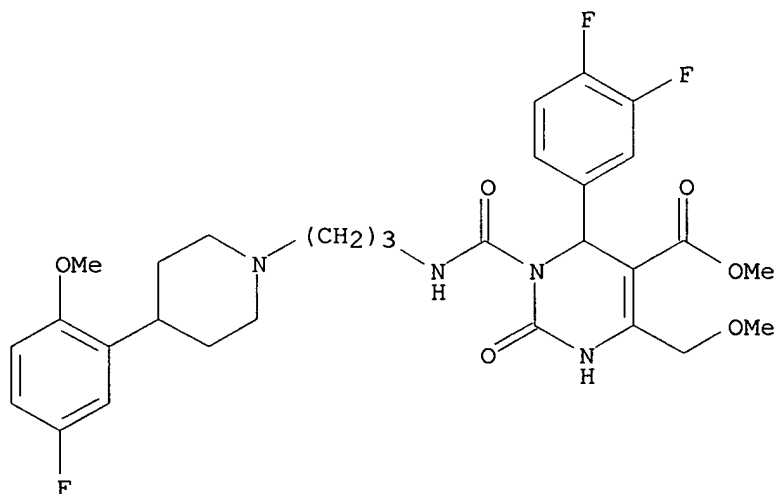
Rotation (+).



RN 387825-83-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(5-fluoro-2-methoxyphenyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

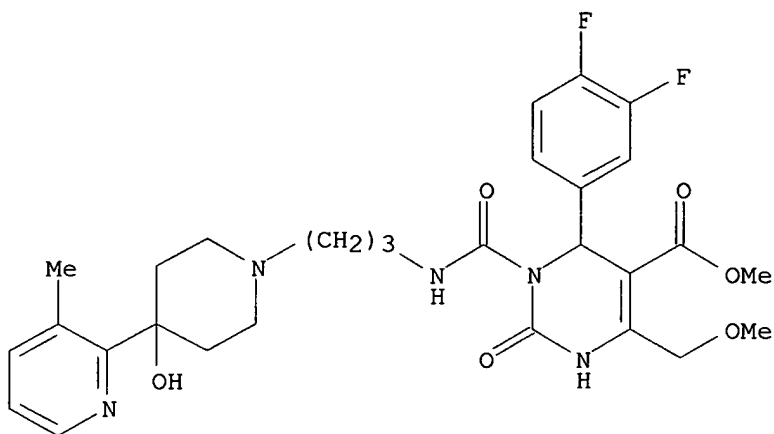
Rotation (+).



RN 387825-84-5 CAPLUS

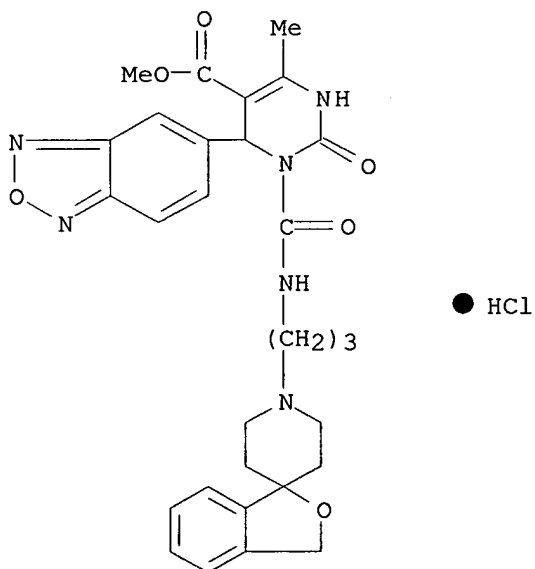
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-(5-fluoro-2-methoxyphenyl)-1-piperidinyl]propyl]amino]carbonyl]-4-(methoxymethyl)-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



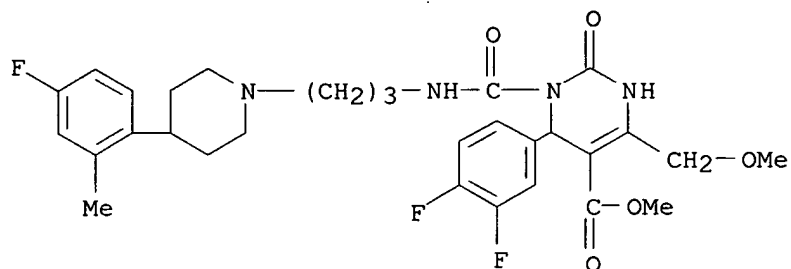
RN 387825-87-8 CAPLUS

5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-ylpropyl)amino]carbonyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



RN 387825-89-0 CAPLUS

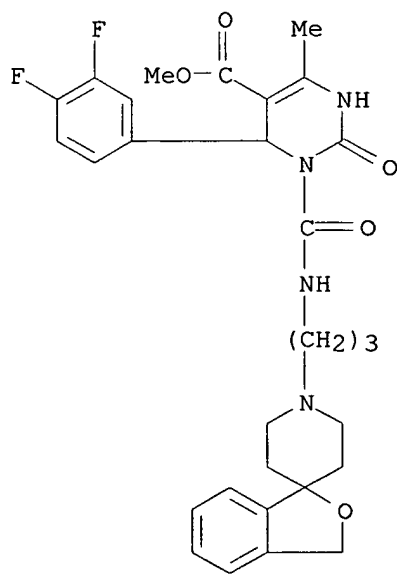
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(4-fluoro-2-methylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 387825-90-3 CAPLUS

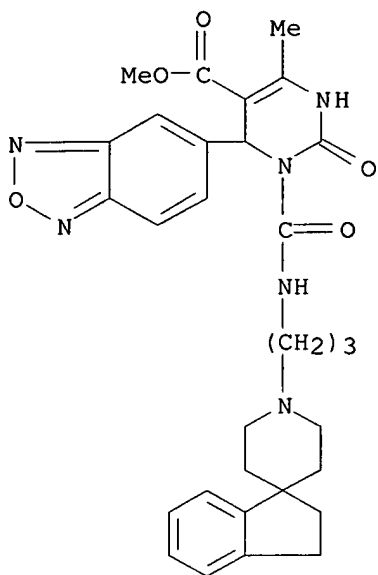
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-yl]propyl]amino]carbonyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 387825-91-4 CAPLUS

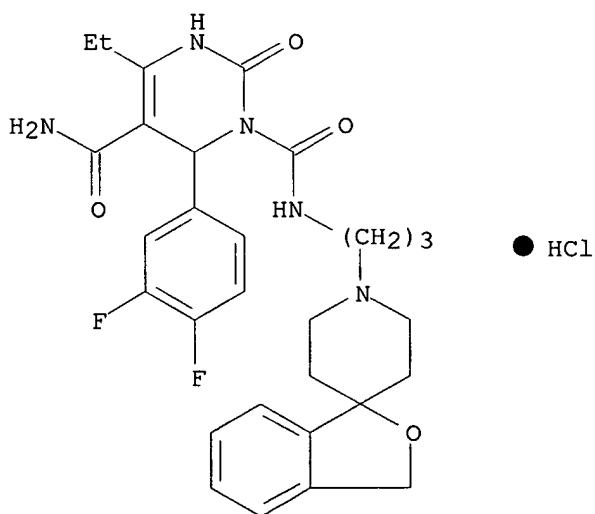
CN 5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-1-[[[3-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 387825-92-5 CAPLUS

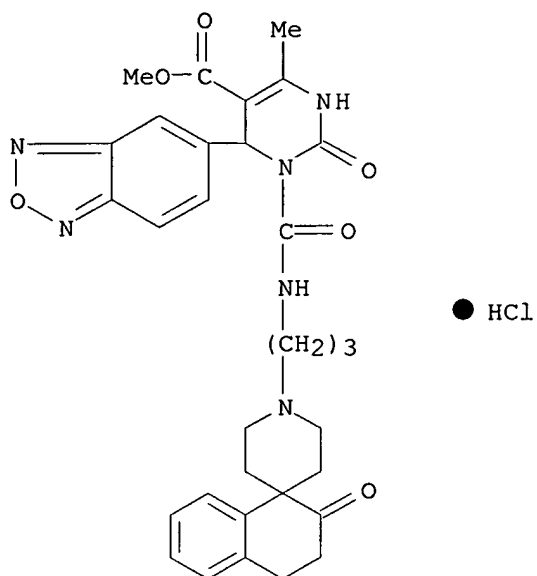
CN 1,5(6H)-Pyrimidinedicarboxamide, 6-(3,4-difluorophenyl)-4-ethyl-2,3-dihydro-2-oxo-N-(3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-ylpropyl)-, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



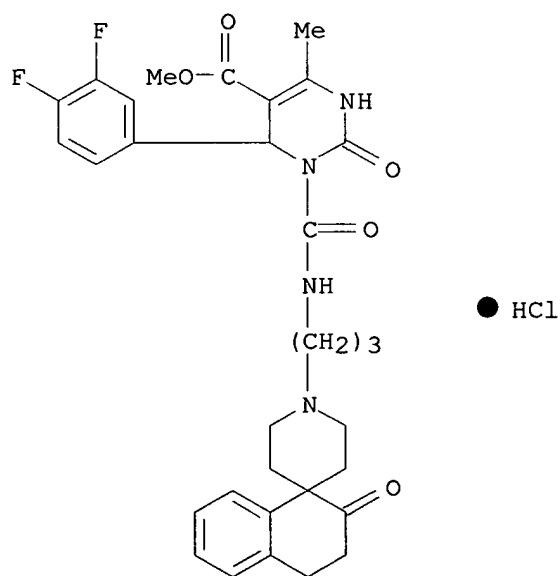
RN 387825-93-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-1-[[[3-(3,4-dihydro-2-oxospiro[naphthalene-1(2H),4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



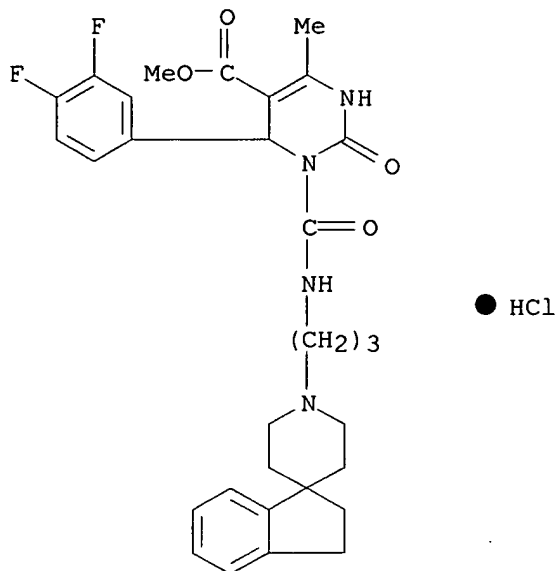
RN 387825-94-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(3,4-dihydro-2-oxospiro[naphthalene-1(2H),4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI)
(CA INDEX NAME)



RN 387825-96-9 CAPLUS

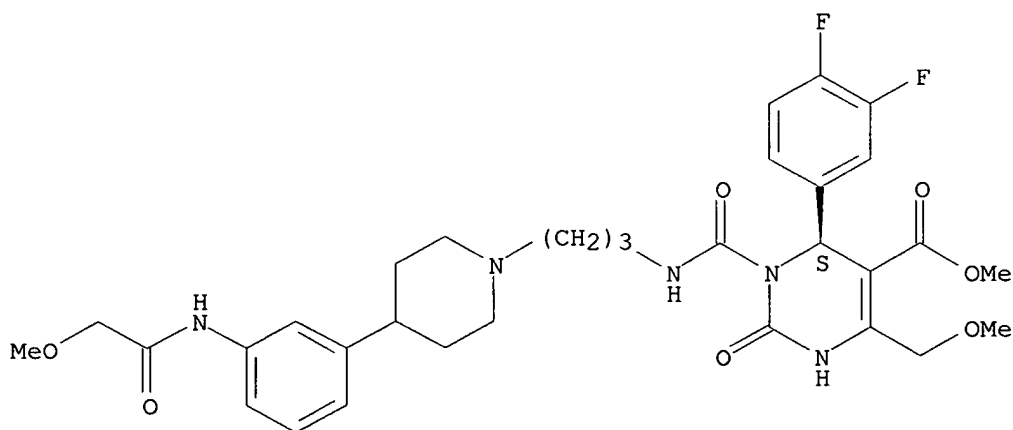
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI)
(CA INDEX NAME)



RN 387825-98-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
 [[3-[4-[3-[(methoxyacetyl)amino]phenyl]-1-piperidinyl]propyl]amino]carbonyl]-4-(methoxymethyl)-2-oxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

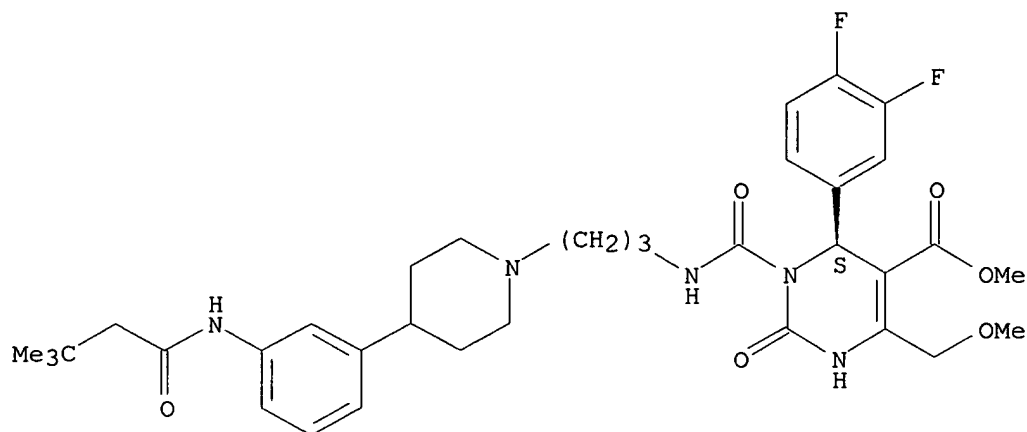
Absolute stereochemistry.



RN 387825-99-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-[3-[(3,3-dimethyl-1-oxobutyl)amino]phenyl]-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

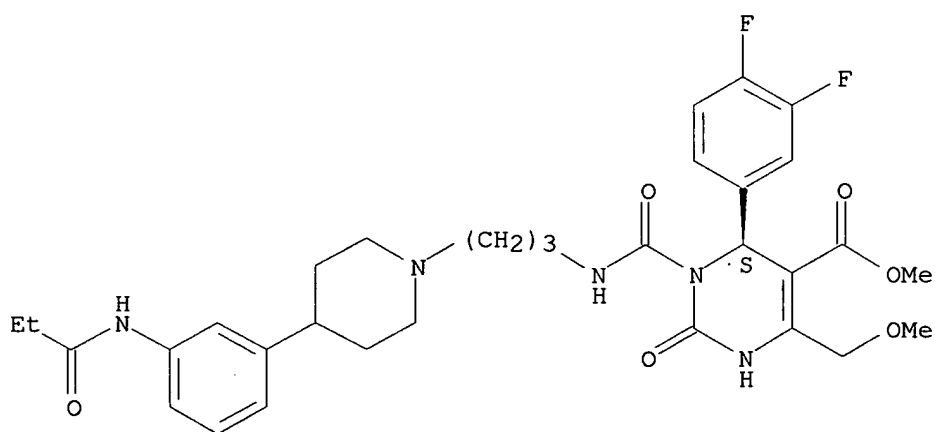
Absolute stereochemistry.



RN 387826-00-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-[3-[(1-oxopropyl)amino]phenyl]-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

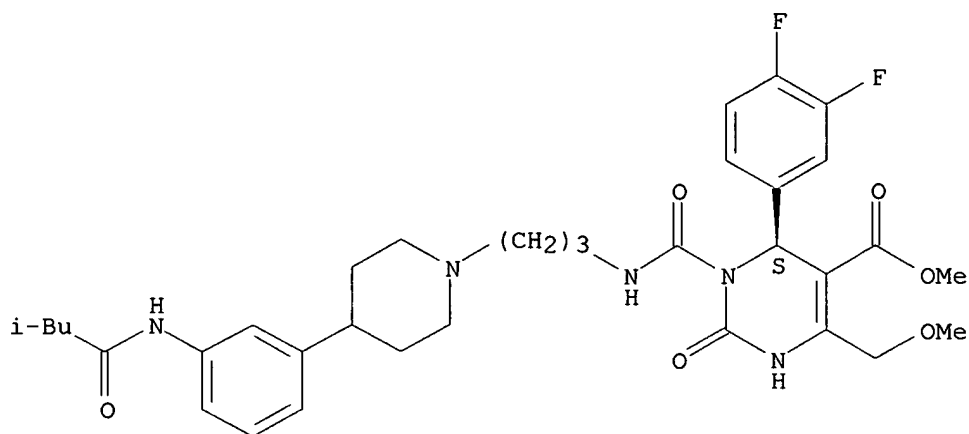
Absolute stereochemistry.



RN 387826-01-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-[3-[(3-methyl-1-oxobutyl)amino]phenyl]-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

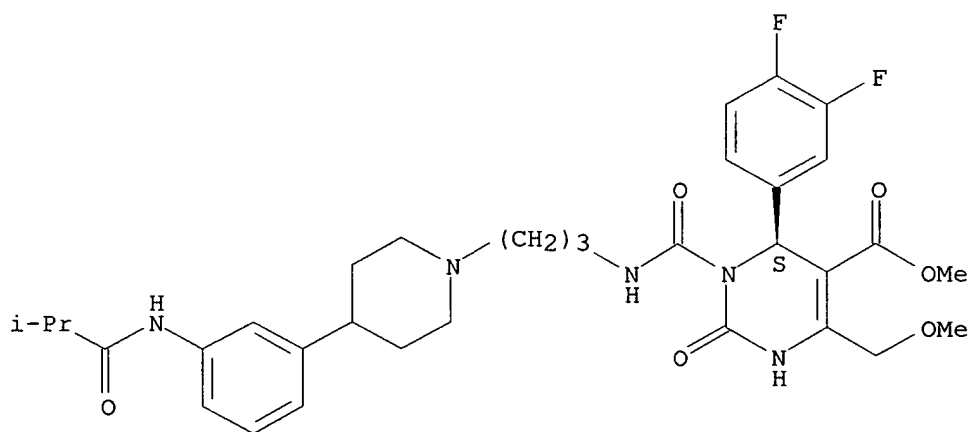
Absolute stereochemistry.



RN 387826-02-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-[3-[(2-methyl-1-oxopropyl)amino]phenyl]-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

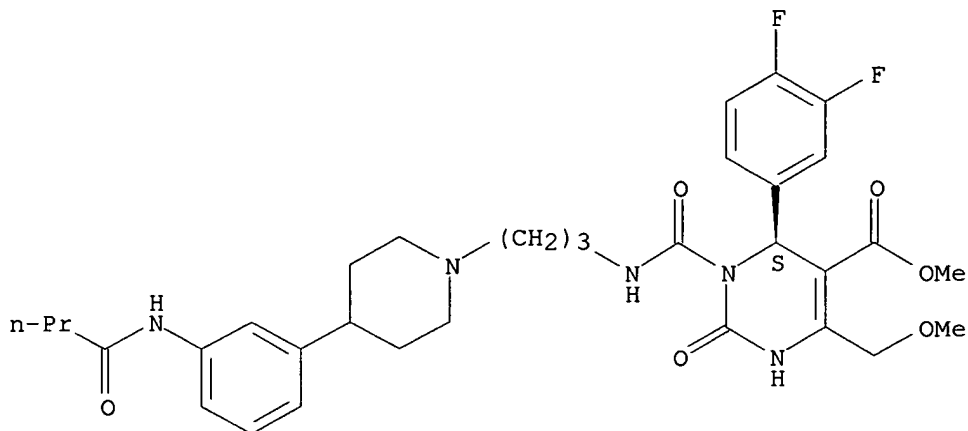
Absolute stereochemistry.



RN 387826-03-1 CAPLUS

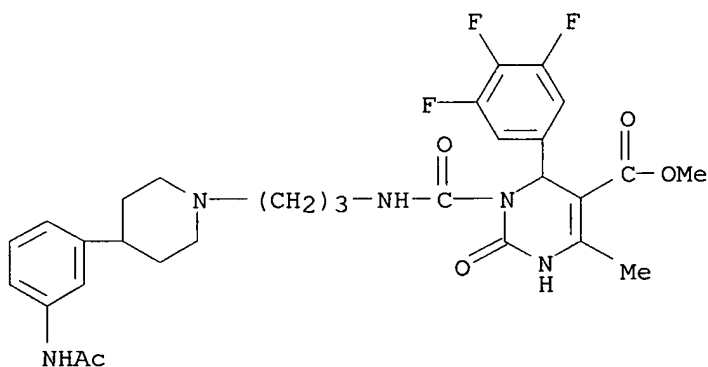
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-[3-[(1-oxobutyl)amino]phenyl]-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



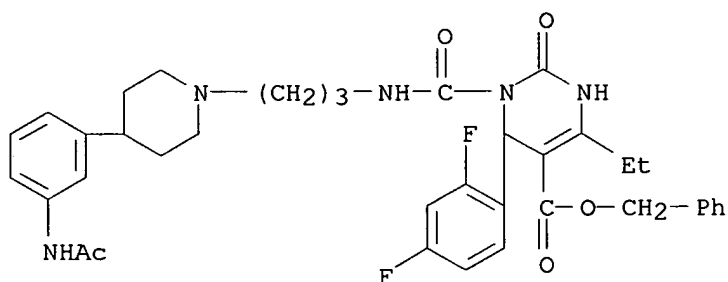
RN 387826-10-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[3-(acetylamino)phenyl]-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-6-(3,4,5-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



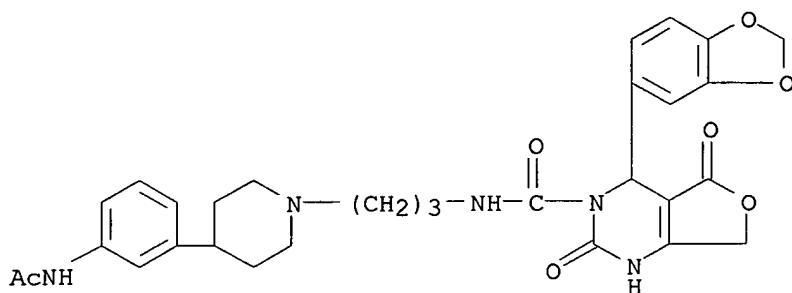
RN 387826-11-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[3-(acetylamino)phenyl]-1-piperidinyl]propyl]amino]carbonyl]-6-(2,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-2-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



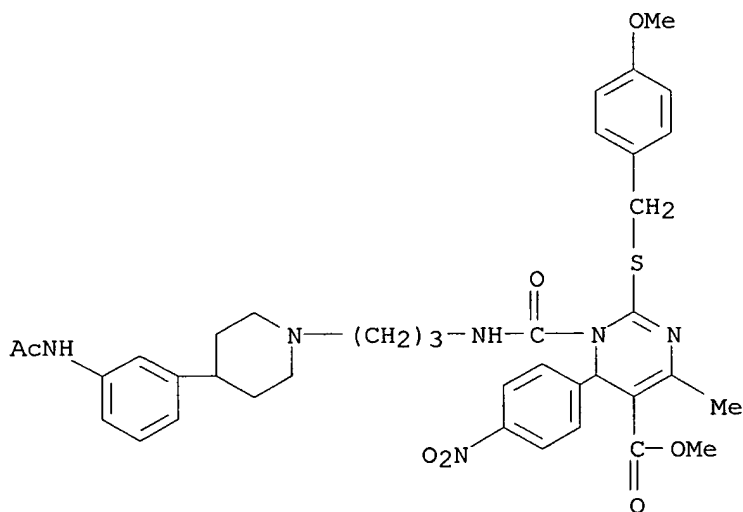
RN 387826-12-2 CAPLUS

CN Furo[3,4-d]pyrimidine-3(4H)-carboxamide, N-[3-[4-[3-(acetylamino)phenyl]-1-piperidinyl]propyl]-4-(1,3-benzodioxol-5-yl)-1,2,5,7-tetrahydro-2,5-dioxo- (9CI) (CA INDEX NAME)



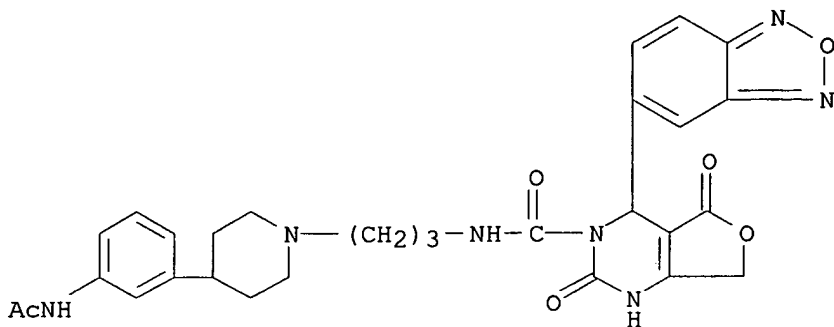
RN 387826-13-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[3-(acetylamino)phenyl]-1-piperidinyl]propyl]amino]carbonyl]-1,6-dihydro-2-[[4-methoxyphenyl)methyl]thio]-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 387826-14-4 CAPLUS

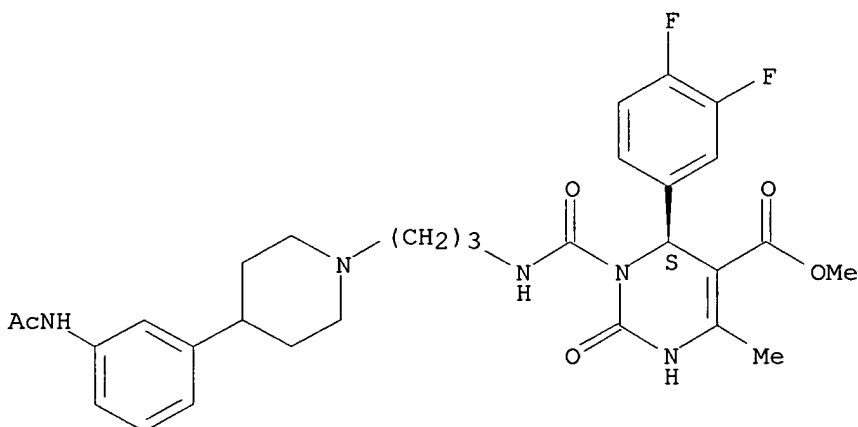
CN Furo[3,4-d]pyrimidine-3(4H)-carboxamide, N-[3-[4-[3-(acetylamino)phenyl]-1-piperidinyl]propyl]-4-(2,1,3-benzoxadiazol-5-yl)-1,2,5,7-tetrahydro-2,5-dioxo- (9CI) (CA INDEX NAME)



RN 387826-15-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[3-(acetylamino)phenyl]-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 387827-00-1P 387827-07-8P 387827-10-3P

387827-13-6P 387827-14-7P

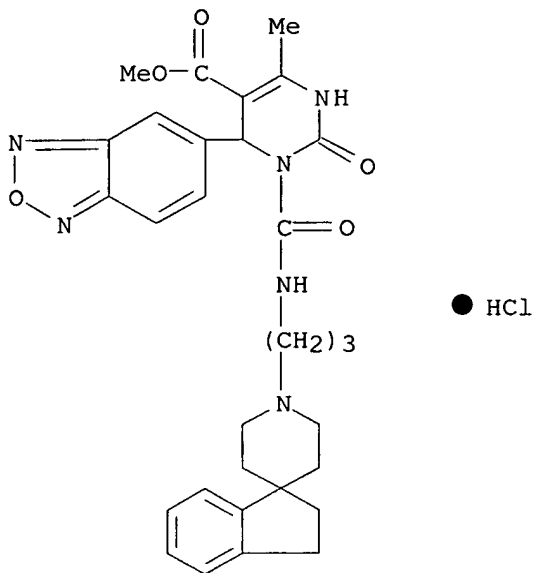
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(human melanin concg. hormone receptor MCH1, its DNA, its synthetic ligands and diagnostic and therapeutic uses thereof)

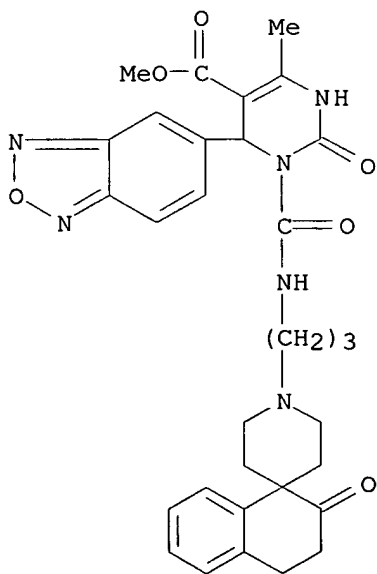
RN 387827-00-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-2-oxo-1-[[[3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-yl]propyl]amino]carbonyl-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

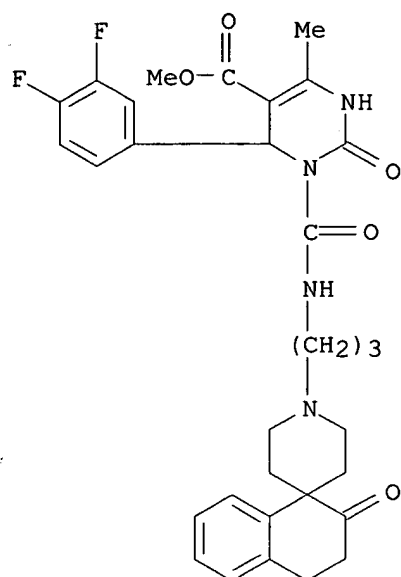
Rotation (+).



RN	387827-13-6	CAPLUS
CN	5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-1-[[[3-(3,4-dihydro-2-oxospiro[naphthalene-1(2H),4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)	



RN 387827-14-7 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(3,4-dihydro-2-oxospiro[naphthalene-1(2H),4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



~~LT~~ ANSWER 3 OF 45 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2001:888233 CAPLUS

~~DN~~ 136:123766

TI Chiral separation of pharmacologically active dihydropyrimidinones with carboxymethyl-.beta.-cyclodextrin

AU Lecnik, Oliver; Schmid, Martin G.; Kappe, C. Oliver; Gubitz, Gerald

CS Institute of Pharmaceutical Chemistry, Karl-Franzens University, Graz, A-8010, Austria

SO Electrophoresis (2001), 22(15), 3198-3202

CODEN: ELCTDN; ISSN: 0173-0835

PB Wiley-VCH Verlag GmbH

DT Journal

LA English

AB We report on the chiral sepn. of pharmacol. active dihydropyrimidinones by capillary electrophoresis (CE) using carboxymethyl-.beta.-cyclodextrin as chiral selector. The influence of selector concn., pH, and the addn. of varying amts. of methanol is investigated. Out of 21 compds. investigated, 19 were resolved, 13 with baseline sepn.

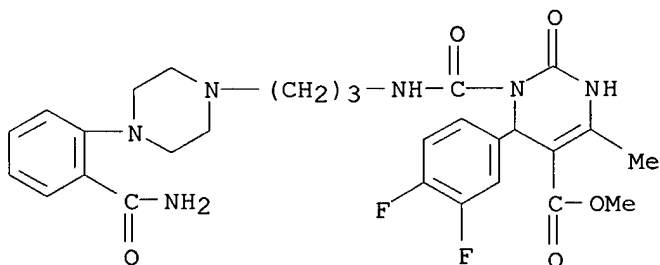
IT **390763-24-3**

RL: ANT (Analyte); ANST (Analytical study)

(chiral sepn. of pharmacol. active dihydropyrimidinones by capillary electrophoresis using carboxymethyl-.beta.-cyclodextrin)

RN 390763-24-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

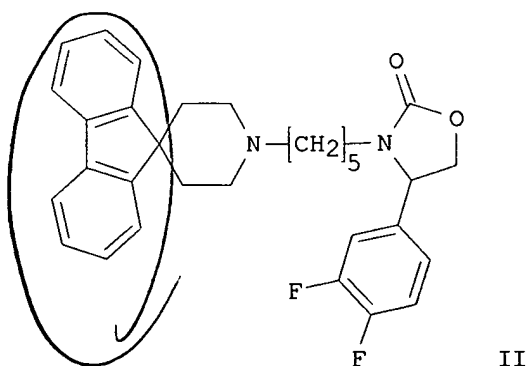
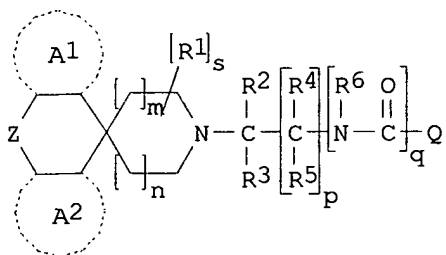


RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/855,597

IN ANSWER 4 OF 45 CAPLUS COPYRIGHT 2002 ACS
AN 2001:713891 CAPLUS
DN 135:242222
TI Preparation of novel spirotricyclic substituted azacycloalkanes as
.alpha.la adrenoceptor antagonists
IN Evans, Ben E.; Gilbert, Kevin F.; Hoffman, Jacob M.; Rittle, Kenneth E.
PA Merck & Co., Inc., USA
SO Brit. UK Pat. Appl., 160 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2355457	A1	20010425	GB 2000-23334	20000922
	US 6387893	B1	20020514	US 2000-671520	20000927
PRAI	US 1999-156890P	P	19990930		
OS	MARPAT 135:242222				
GI					



AB The title compds. [I; Q = substituted 4-phenyl-2-oxooxazolidin-3-yl, 2-phenyl-4-oxothiazolidin-3-yl, 5,5-disubstituted-2,4-dioxoimidazolidin-3-yl, etc.; A1, A2 = (un)substituted benzen, heterocyclic ring; Z = absent, O, S, etc.; R1 = H, alkyl; R2-R5 = H, alkyl, cycloalkyl, etc.; R6 = H, alkyl, fluorinated alkyl; m, n = 0-3; p = 1-5; q = 0-1; s = 0-4] and their pharmaceutically acceptable salts which have been found to exhibit activity against benign prostatic hyperplasia (BPH), were prepd. and

formulated. E.g., a 3-step synthesis of (4S)-II was given. The exemplified compds. I were found to have .alpha.1a Ki values of < 150 nM. The compds. I are selective in their ability to relax smooth muscle tissue enriched in the .alpha.1a receptor subtype without simultaneously inducing hypotension.

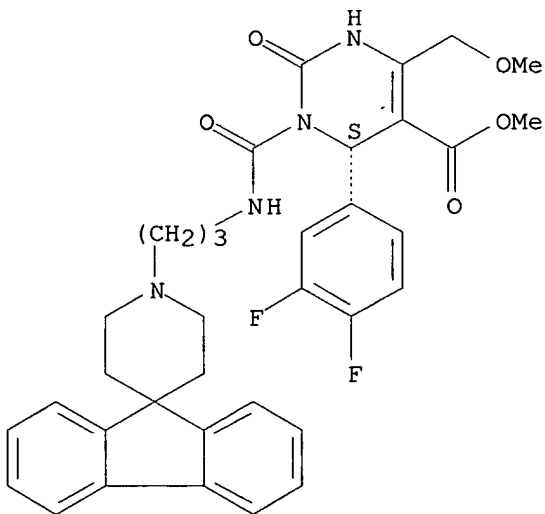
IT 360051-66-7P 360051-68-9P 360051-70-3P
 360051-80-5P 360051-84-9P 360051-89-4P
 360051-93-0P 360051-94-1P 360052-02-4P
 360052-13-7P 360052-16-0P 360052-19-3P
 360052-32-0P 360052-38-6P 360052-41-1P
 360052-42-2P 360564-37-0P 360564-39-2P
 360564-40-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of novel spirotricyclic substituted azacycloalkanes as .alpha.1a adrenoceptor antagonists)

RN 360051-66-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[(3-spiro[9H-fluorene-9,4'-piperidin]-1'-yl)propyl]amino]carbonyl-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

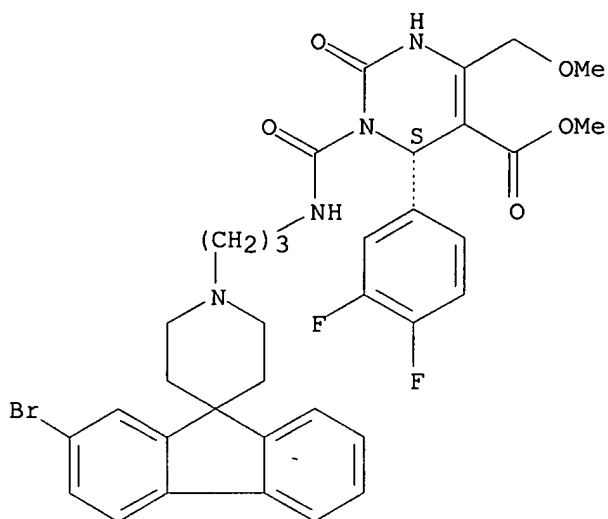
Absolute stereochemistry.



RN 360051-68-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(2-bromospiro[9H-fluorene-9,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

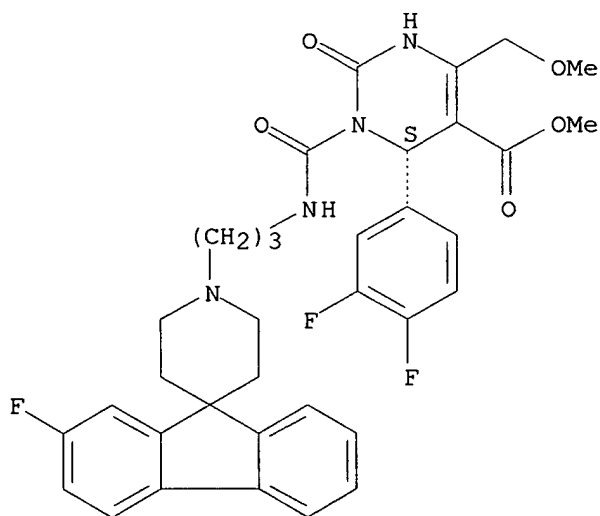
Absolute stereochemistry.



RN 360051-70-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(2-fluorospiro[9H-fluorene-9,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (6S)- (9CI)
(CA INDEX NAME)

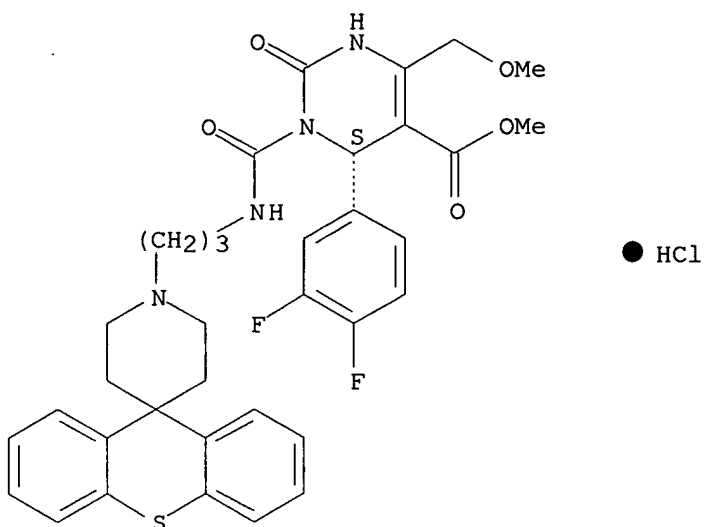
Absolute stereochemistry.



RN 360051-80-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-spiro[piperidine-4,9'-[9H]thioxanthen]-1-ylpropyl]amino]carbonyl]-, methyl ester, monohydrochloride, (6S)- (9CI)
(CA INDEX NAME)

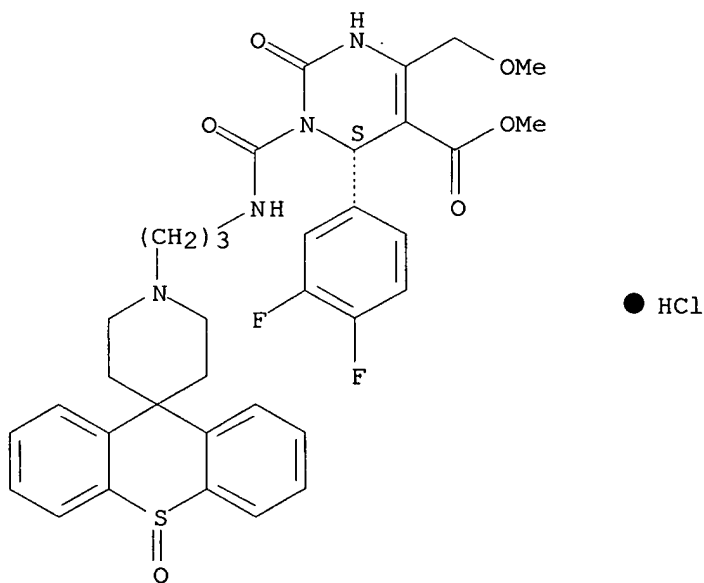
Absolute stereochemistry. Rotation (+).



RN 360051-84-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-(10'-oxidospiro[piperidine-4,9'-[9H]thioxanthen]-1-yl)propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride, (6S)-(9CI) (CA INDEX NAME)

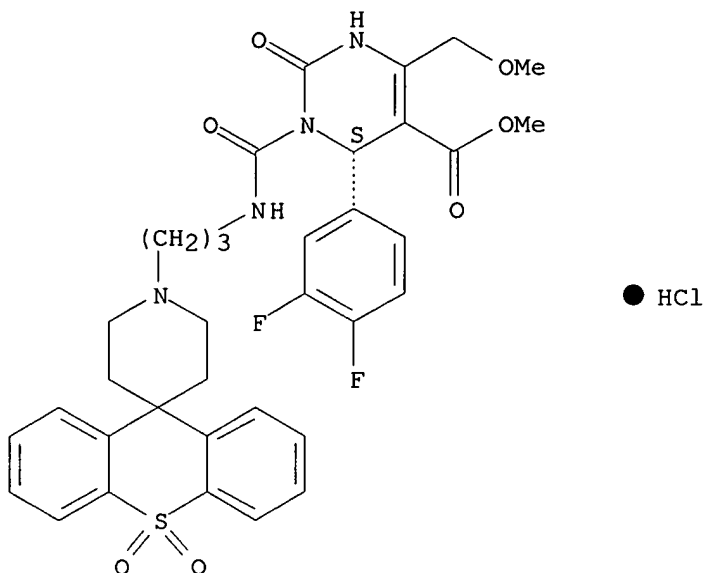
Absolute stereochemistry. Rotation (+).



RN 360051-89-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(10',10'-dioxidospiro[piperidine-4,9'-[9H]thioxanthen]-1-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride, (6S)-(9CI) (CA INDEX NAME)

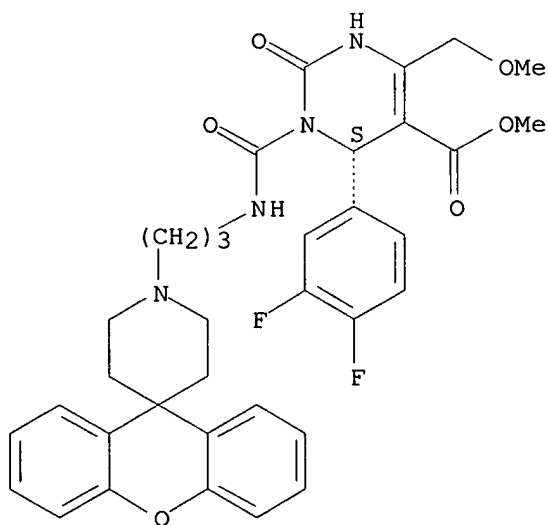
Absolute stereochemistry. Rotation (+).



RN 360051-93-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[(3-spiro[piperidine-4,9'-[9H]xanthen]-1-ylpropyl)amino]carbonyl]-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 360051-94-1 CAPLUS

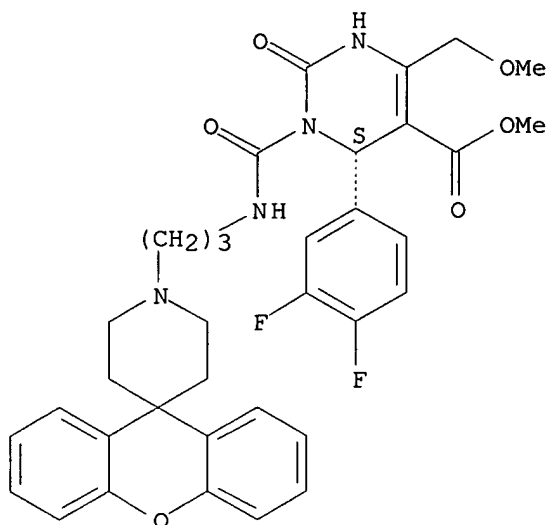
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[(3-spiro[piperidine-4,9'-[9H]xanthen]-1-ylpropyl)amino]carbonyl]-, methyl ester, (6S)-, trifluoroacetate (20:23) (9CI) (CA INDEX NAME)

CM 1

09/855,597

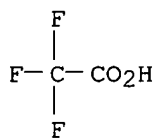
CRN 360051-93-0
CMF C35 H36 F2 N4 O6

Absolute stereochemistry.



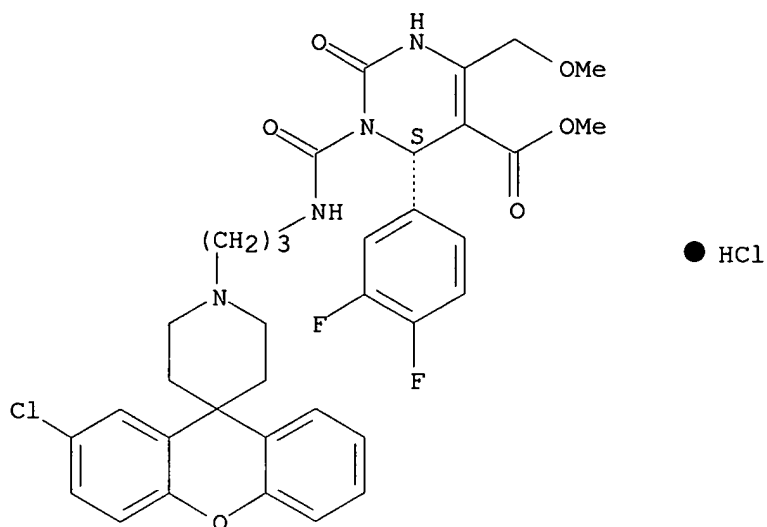
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 360052-02-4 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(2'-chlorospiro[piperidine-4,9'-[9H]xanthen]-1-yl)propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride, (6S)- (9CI) (CA INDEX NAME)

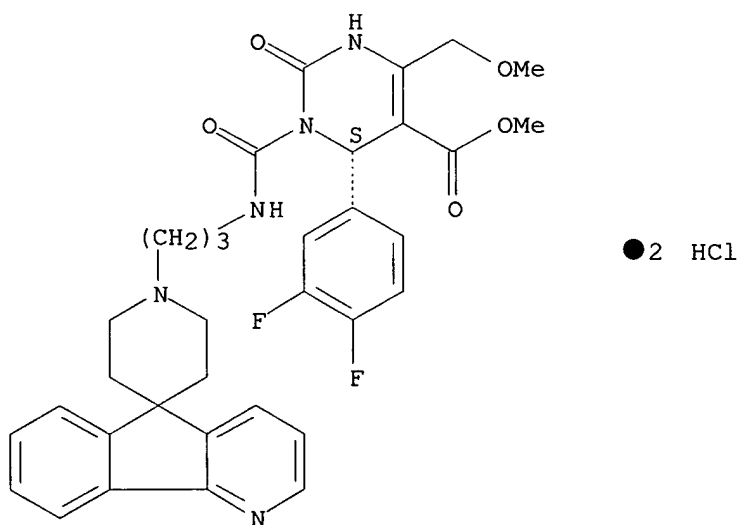
Absolute stereochemistry.



RN 360052-13-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[(3-spiro[5H-indeno[1,2-b]pyridine-5,4'-piperidin]-1'-ylpropyl)amino]carbonyl]-, methyl ester, dihydrochloride, (6S)- (9CI) (CA INDEX NAME)

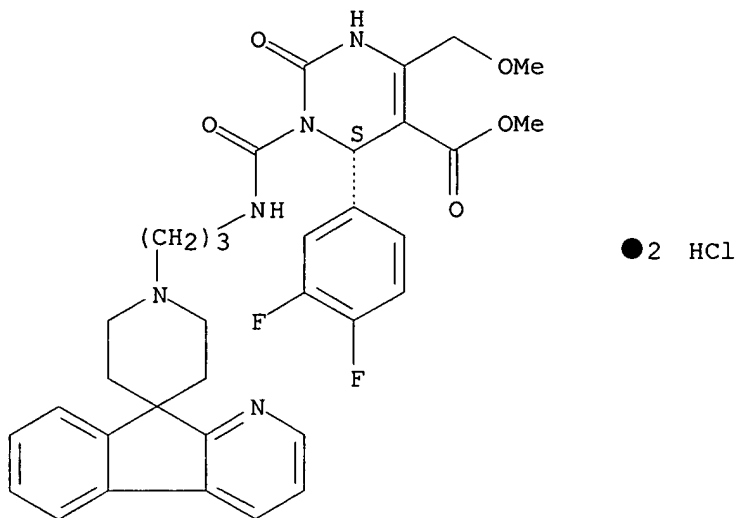
Absolute stereochemistry.



RN 360052-16-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[(3-spiro[9H-indeno[2,1-b]pyridine-9,4'-piperidin]-1'-ylpropyl)amino]carbonyl]-, methyl ester, dihydrochloride, (6S)- (9CI) (CA INDEX NAME)

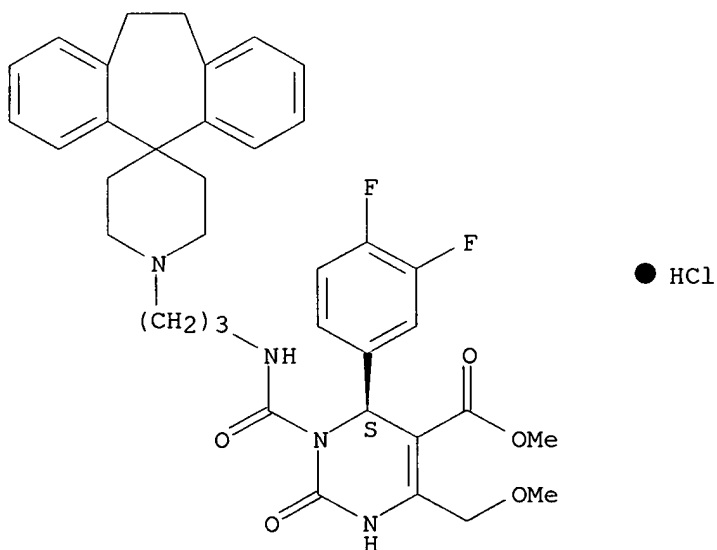
Absolute stereochemistry.



RN 360052-19-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(10,11-dihydrospiro[5H-dibenzo[a,d]cycloheptene-5,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride, (6S)-(9CI) (CA INDEX NAME)

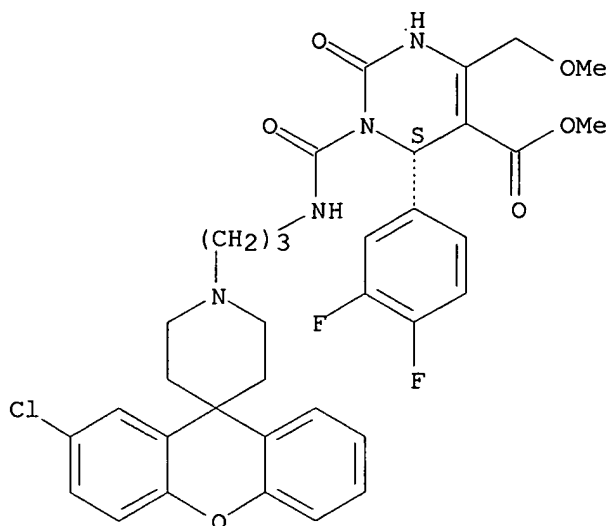
Absolute stereochemistry.



RN 360052-32-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(2'-chlorospiro[piperidine-4,9'-[9H]xanthen]-1-yl)propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (6S)-(9CI) (CA INDEX NAME)

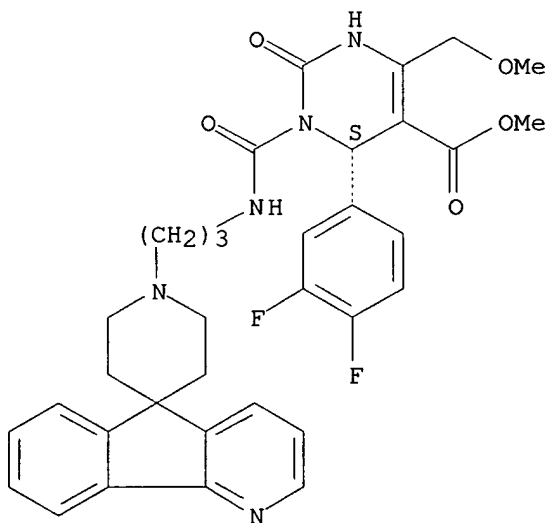
Absolute stereochemistry.



RN 360052-38-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[3-(3-spiro[5H-indeno[1,2-b]pyridine-5,4'-piperidin]-1'-ylpropyl)amino]carbonyl]-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

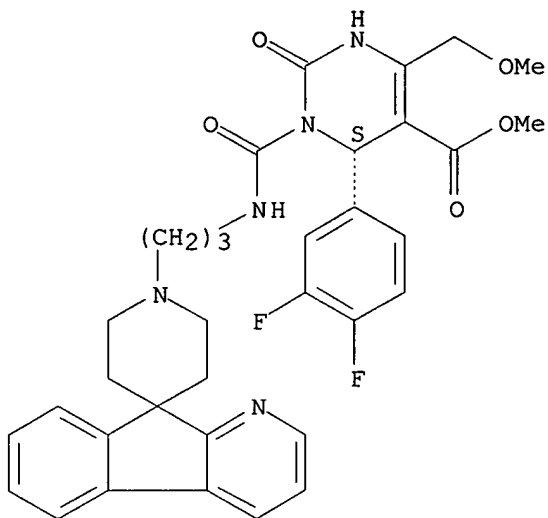
Absolute stereochemistry.



RN 360052-41-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[3-(3-spiro[9H-indeno[2,1-b]pyridine-9,4'-piperidin]-1'-ylpropyl)amino]carbonyl]-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

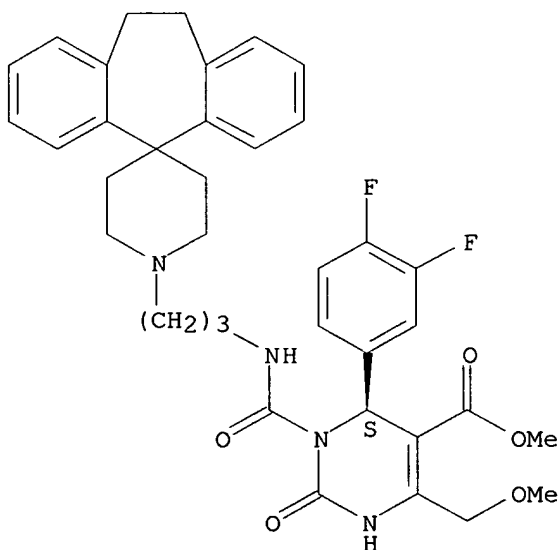
Absolute stereochemistry.



RN 360052-42-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(10,11-dihydrospiro[5H-dibenzo[a,d]cycloheptene-5,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (6S)-(9CI) (CA INDEX NAME)

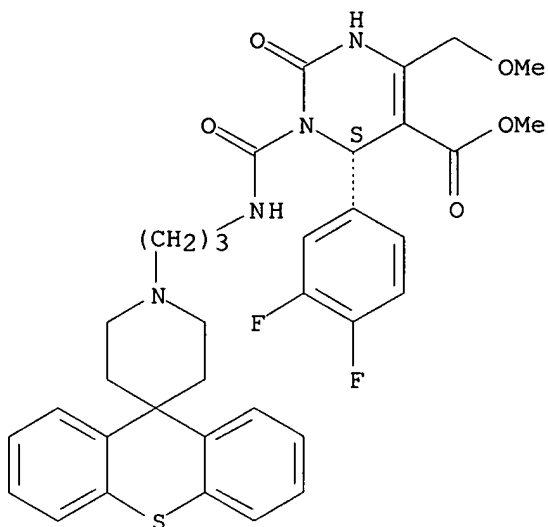
Absolute stereochemistry.



RN 360564-37-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-spiro[piperidine-4,9'-[9H]thioxanthen]-1'-yl]propyl]amino]carbonyl]-, methyl ester, (6S)-(9CI) (CA INDEX NAME)

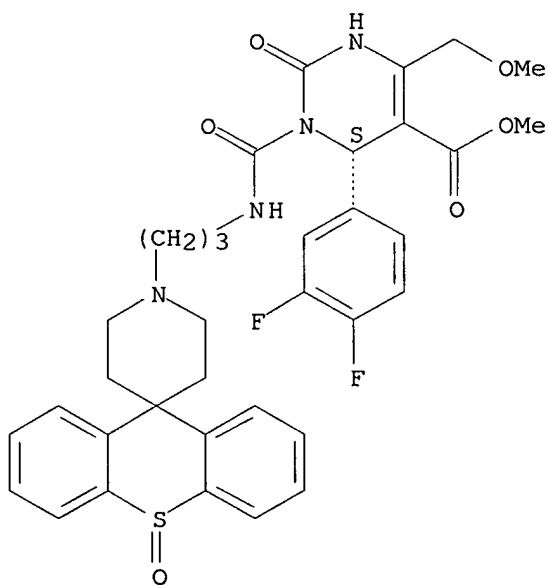
Absolute stereochemistry. Rotation (+).



RN 360564-39-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-(10'-oxidospiro[piperidine-4,9'-[9H]thioxanthen]-1-yl)propyl]amino]carbonyl]-2-oxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

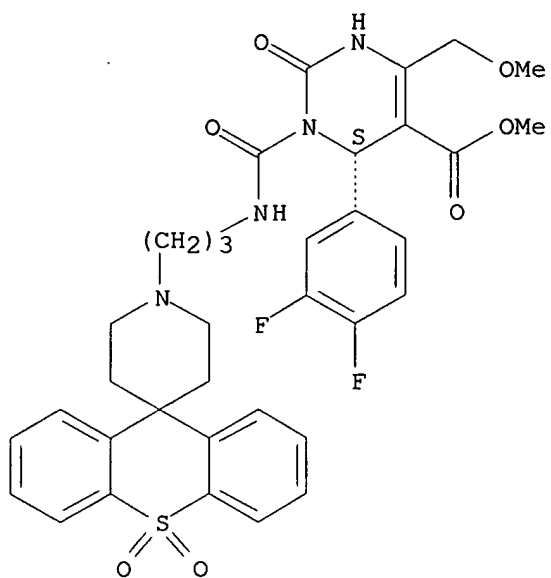
Absolute stereochemistry. Rotation (+).



RN 360564-40-5 CAPLUS

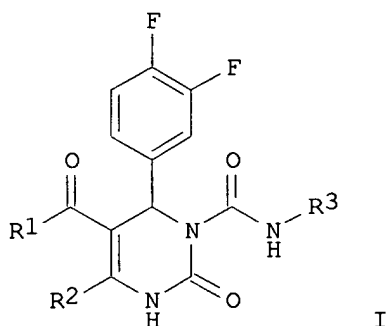
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(10',10'-dioxidospiro[piperidine-4,9'-[9H]thioxanthen]-1-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L7 ANSWER 5 OF 45 CAPLUS COPYRIGHT 2002 ACS
 AN 2001:593279 CAPLUS
 DN 135:166839
 TI Preparation of difluorophenyldihydropyrimidinecarboxamides as .alpha.1a
 receptor antagonists
 IN Cui, Donghui; Davis, Margaret R.; Dunn, Michael; Evans, Ben E.; Kari,
 Hanumath P.; Lagu, Bharat; Nagarathnam, Dhanapalan; Vyas, Kamlesh P.;
 Zhang, Kanyin
 PA Synaptic Pharmaceutical Corporation, USA
 SO U.S., 27 pp.
 CODEN: USXXAM
 DT Patent
 LA English

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6274585 B1		20010814	US 1999-470691	19991223
PRAI	US 1998-PV133612		19981223		
OS	MARPAT 135:166839				
GI					



AB Title compds. I [R1 = OMe, OH; R2 = CH2OH CH2OMe, CO2H; R1R2 = OCH2; R3 =
 (CH2)3OH, (N-oxo)-4-(2-pyridyl)piperidinopropyl] were prepd. as selective
 antagonists for human .alpha.1a receptors. These compds. are useful for
 relaxing lower urinary tract tissue, treating benign prostatic hyperplasia
 and for the treatment of any disease where the antagonism of the .alpha.1a
 receptor may be useful. Thus, I [R1 = OMe, R2 = CH2OMe, R3 =
 4-(1-oxido-2-pyridyl)piperidinopropyl] was prepd. from MeOCH2COCH2CO2Me,
 3,4-F2C6H3CHO, and 4-(2-pyridyl)piperidine and bound to cloned human
 .alpha.1a receptors at 0.3 .mu.M.

IT 279250-24-7P 279250-25-8P 279250-26-9P
 279250-27-0P 279250-28-1P 279250-29-2P
 279250-30-5P 279250-48-5P 279250-51-0P
 279250-56-5P 353452-45-6P

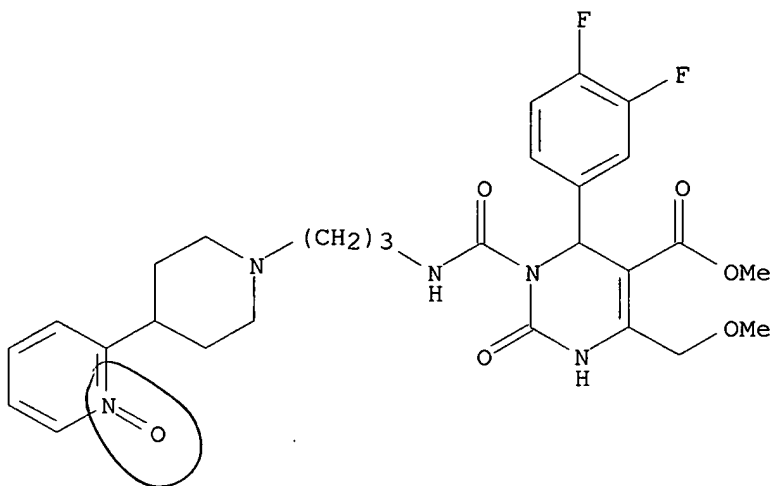
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of difluorophenyldihydropyrimidinecarboxamides as .alpha.1a
 receptor antagonists)

RN 279250-24-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-

(methoxymethyl)-1-[[[3-[4-(1-oxido-2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



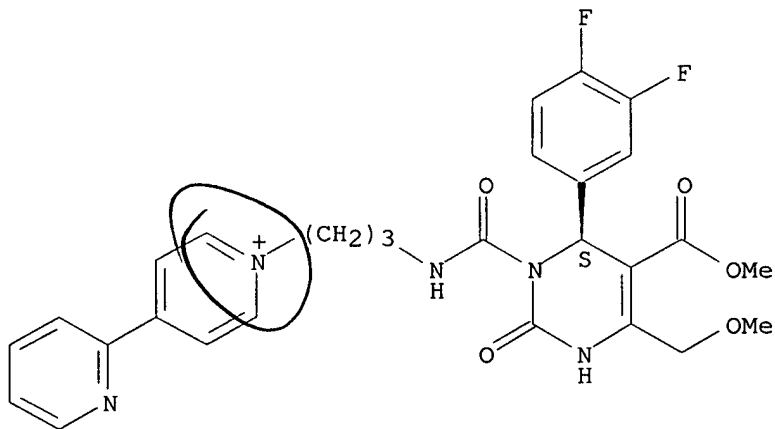
● HCl

RN 279250-25-8 CAPLUS

CN 2,4'-Bipyridinium, 1'-[3-[[[(6S)-6-(3,4-difluorophenyl)-3,6-dihydro-5-(methoxycarbonyl)-4-(methoxymethyl)-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]-, chloride, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

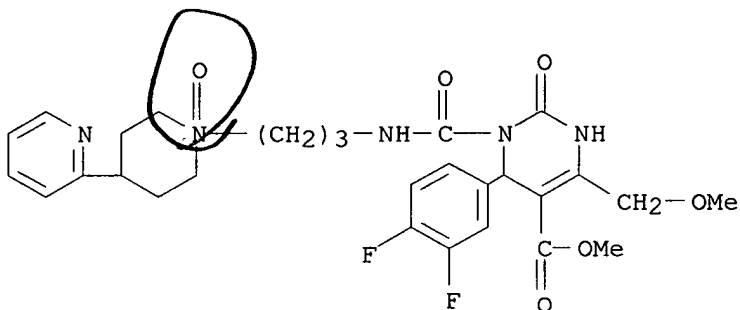


Cl⁻

HCl

RN 279250-26-9 CAPLUS

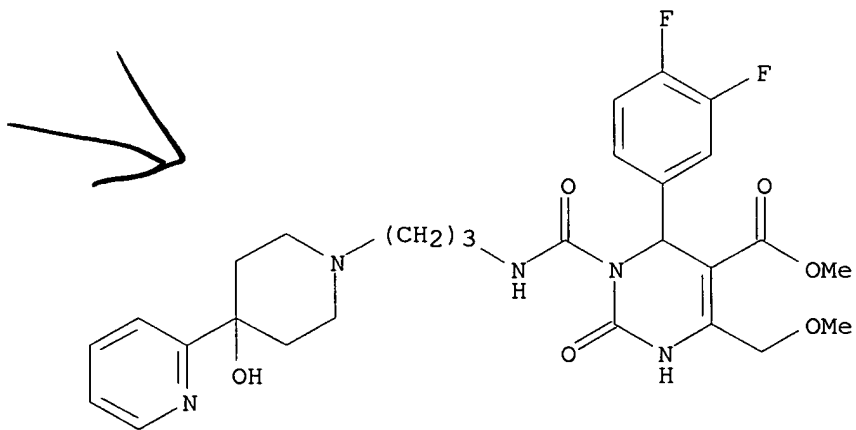
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[1-oxido-4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 279250-27-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-hydroxy-4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-(methoxymethyl)-2-oxo-, methyl ester, dihydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



● 2 HCl

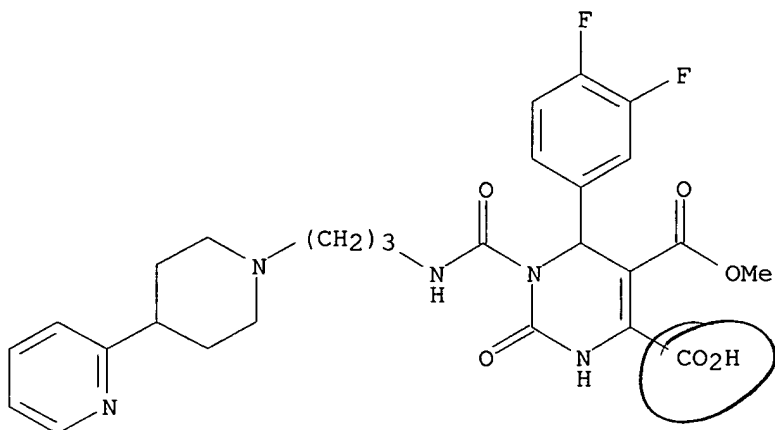
RN 279250-28-1 CAPLUS

CN 4,5-Pyrimidinedicarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-

09/855,597

2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-,
5-methyl ester, (+)- (9CI) (CA INDEX NAME)

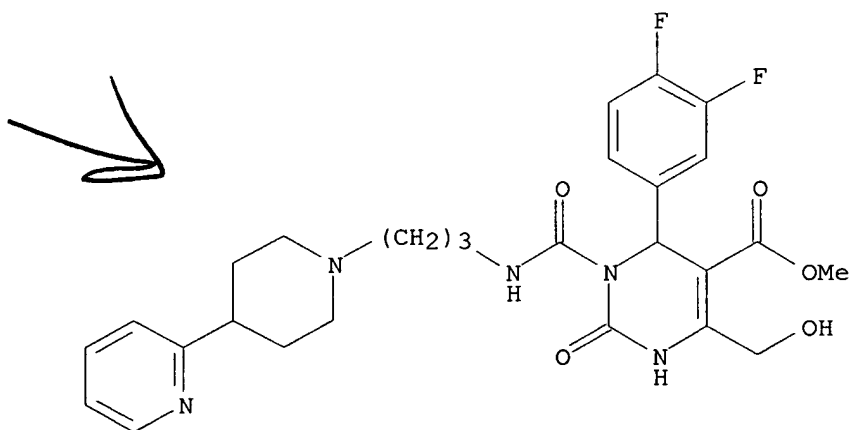
Rotation (+).



RN 279250-29-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(hydroxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (+)- (9CI) (CA INDEX NAME)

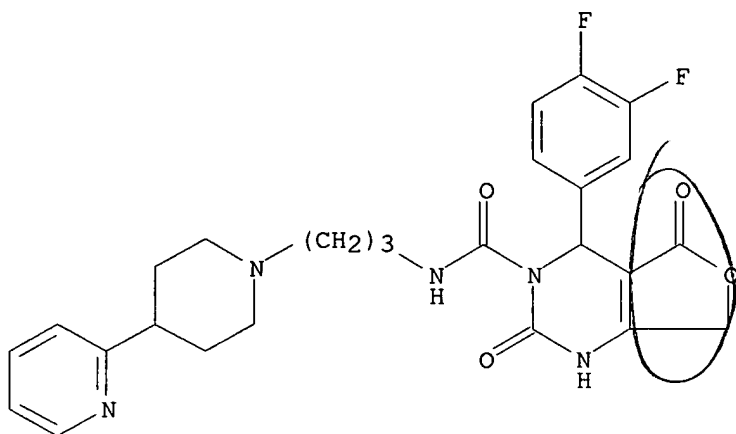
Rotation (+).



RN 279250-30-5 CAPLUS

CN Furo[3,4-d]pyrimidine-3(4H)-carboxamide, 4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxo-N-[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]-, (+)- (9CI) (CA INDEX NAME)

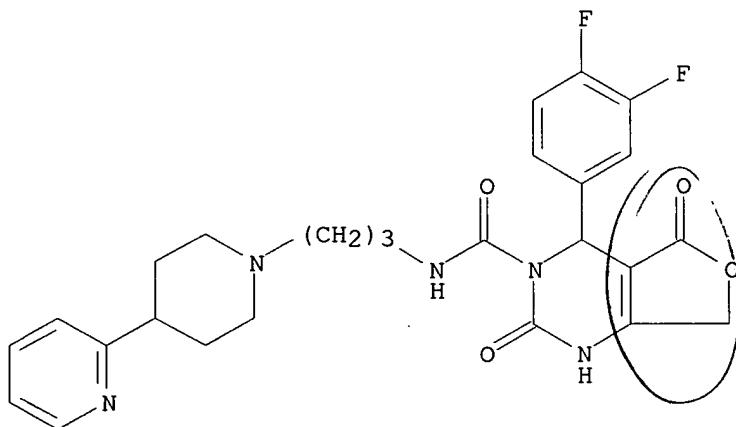
Rotation (+).



RN 279250-48-5 CAPLUS

CN Furo[3,4-d]pyrimidine-3(4H)-carboxamide, 4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxo-N-[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]-, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

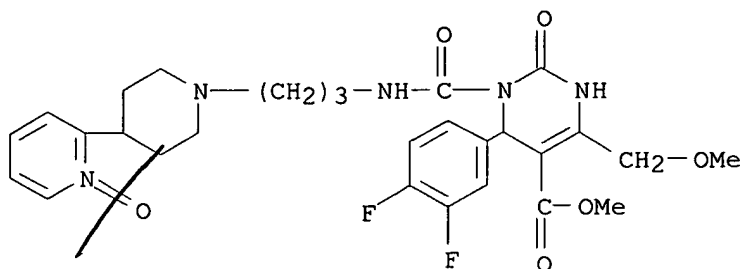
Rotation (+).



● 2 HCl

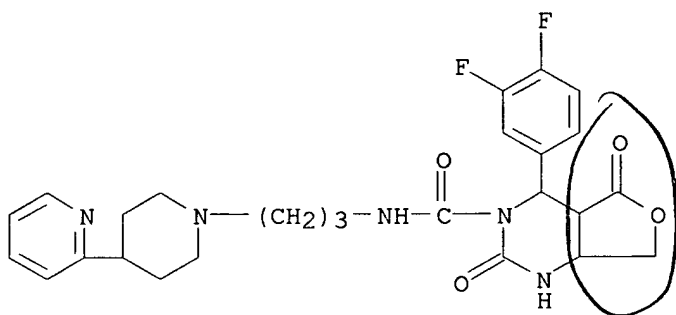
RN 279250-51-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(1-oxido-2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



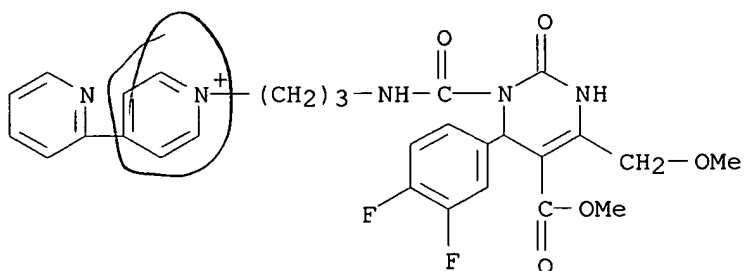
RN 279250-56-5 CAPLUS

CN Furo[3,4-d]pyrimidine-3(4H)-carboxamide, 4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxo-N-[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



RN 353452-45-6 CAPLUS

CN 2,4'-Bipyridinium, 1'-[3-[[[6-(3,4-difluorophenyl)-3,6-dihydro-5-(methoxycarbonyl)-4-(methoxymethyl)-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]-, chloride (9CI) (CA INDEX NAME)

● Cl⁻

IT 200050-59-5P 279250-44-1P 279250-45-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of difluorophenyldihydropyrimidinecarboxamides as .alpha.1a

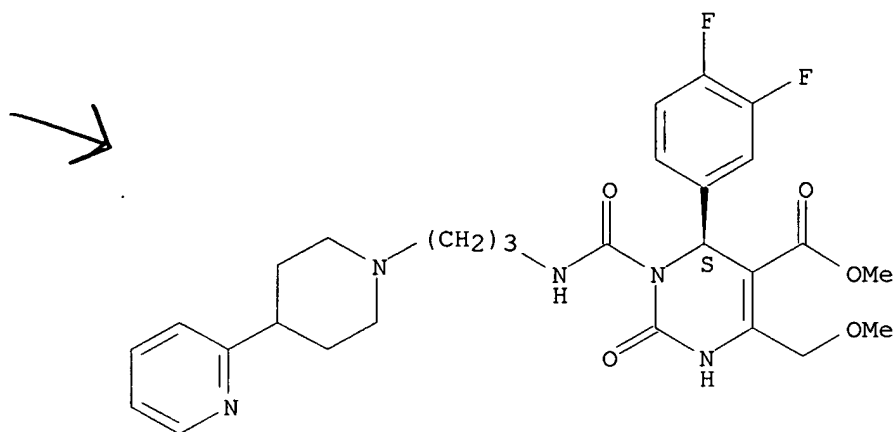
09/855,597

receptor antagonists)

RN 200050-59-5 CAPLUS

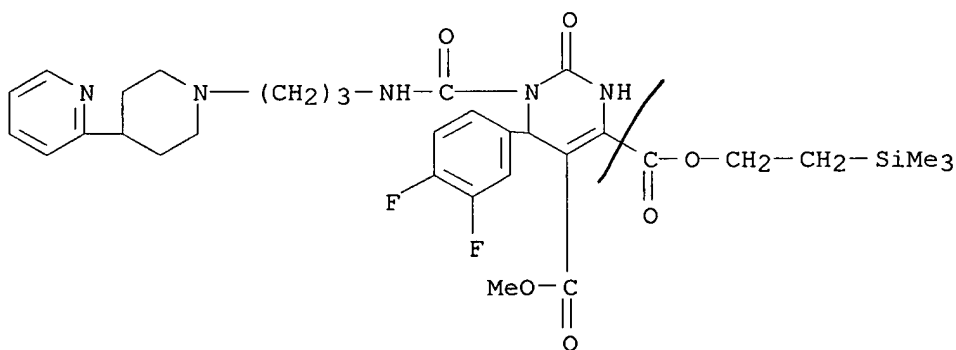
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 279250-44-1 CAPLUS

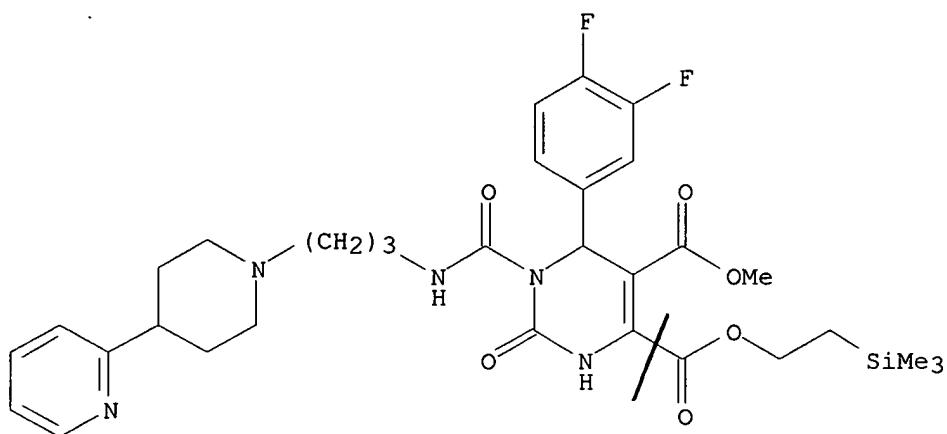
CN 4,5-Pyrimidinedicarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, 5-methyl 4-[2-(trimethylsilyl)ethyl] ester (9CI) (CA INDEX NAME)



RN 279250-45-2 CAPLUS

CN 4,5-Pyrimidinedicarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, 5-methyl 4-[2-(trimethylsilyl)ethyl] ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RE.CNT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 2001:560064 CAPLUS

DN 135:137519

TI Preparation of 1-(4-arylpiperidinopropyl)carbamoyl-2-piperidone-5-carboxylates and analogs as .alpha.1c antagonists

IN Nagarathnam, Dhanapalan; Chiu, George; Dhar, T. G. Murali; Wong, Wai C.; Marzabadi, Mohammad R.; Gluchowski, Charles; Lagu, Bharat; Miao, Shou Wu

PA Synaptic Pharmaceutical Corp., USA

SO U.S., 67 pp., Cont.-in-part of U. S. Ser. No. 340,611, abandoned.

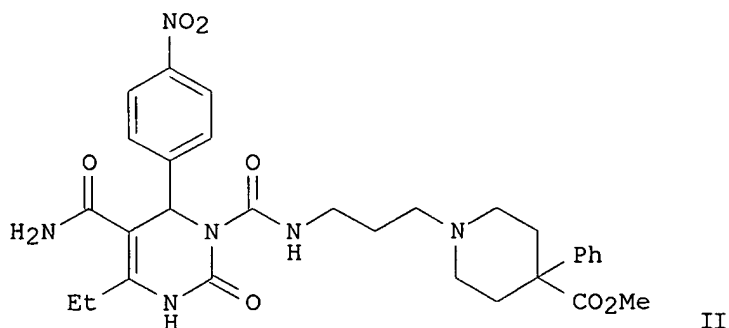
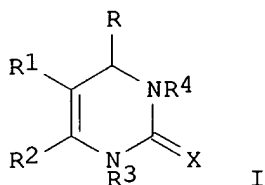
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6268369	B1	20010731	US 1997-836628	19970516
	WO 9614846	A1	19960523	WO 1995-US15025	19951116
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 6248747	B1	20010619	US 1999-291553	19990414
PRAI	US 1994-340611	B2	19941116		
	WO 1995-US15025	W	19951116		
	US 1997-978682	A3	19971126		
OS	MARPAT 135:137519				
GI					



AB Title compds. [e.g., I; R = (un)substituted (hetero)aryl; R1 = H, (fluoro)alkyl, cyano, CO2R3, etc.; R2 = H, alkyl, OR3, etc.; R3 = H,

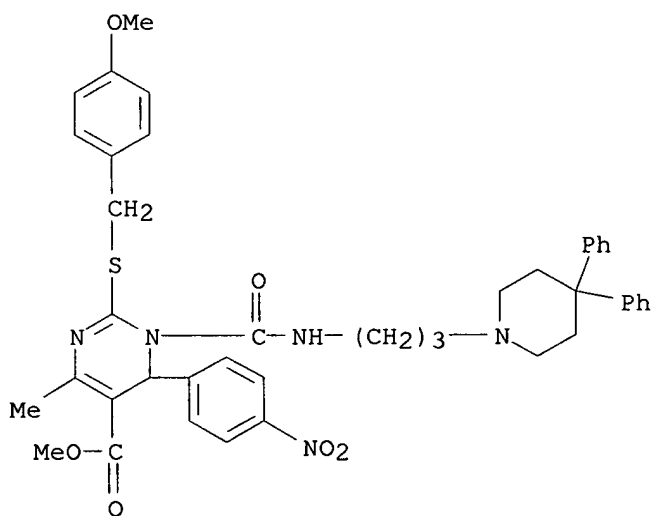
(fluoro)alkyl, etc.; R4 = e.g., (4-arylpiperidinopropyl)carbamoyl; X = O, S, (alkyl)imino] and analogs thereof were prepd. Over 60 synthetic examples were provided. Thus 1,6-dihydro-5-(cyanoethoxycarbonyl)-4-ethyl-6-(4-nitrophenyl)-2-methoxypyrimidine (prepd. in 3 steps) was treated with 4-nitrophenylchloroformate (acylation at N1) followed by the corresponding substituted piperidine to give the N1 carboxamide intermediate. The cyanoethoxycarbonyl function was sapond. and converted to the 5-carboxamido deriv. II. Thus, title compd. II had pKi of 9.74 for binding at human .alpha.1c receptors in vitro. Treatment of benign prostatic hyperplasia is a claimed use of the invention.

IT 179480-83-2P 179480-84-3P 179480-85-4P
179480-86-5P 179480-93-4P 179481-02-8P
179481-10-8P 179481-11-9P 179481-41-5P
179481-42-6P 179481-43-7P 179481-56-2P
179481-57-3P 179481-58-4P 179481-59-5P
179481-60-8P 179481-61-9P 179481-62-0P
179481-63-1P 179481-64-2P 179481-65-3P
179481-70-0P 179481-71-1P 179481-72-2P
179481-73-3P 179481-74-4P 179481-79-9P
179481-80-2P 179481-81-3P 179481-82-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-(4-arylpiperidinopropyl)carbamoyl-2-piperidone-5-carboxylates and analogs as .alpha.1c antagonists)

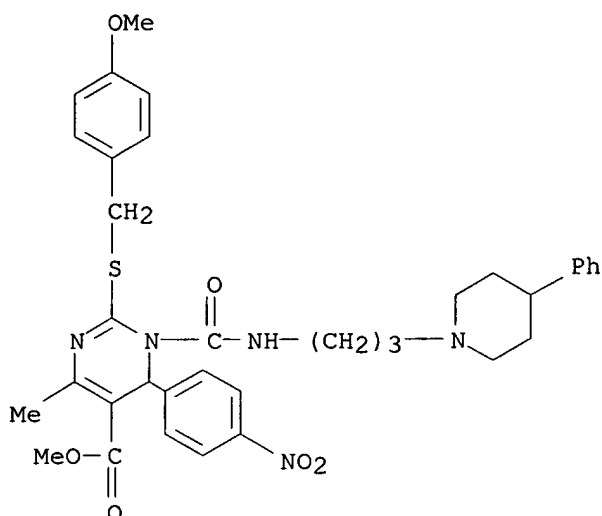
RN 179480-83-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4,4-diphenyl-1-piperidinyl)propyl]amino]carbonyl]-1,6-dihydro-2-[[4-methoxyphenyl)methyl]thio]-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI)
(CA INDEX NAME)



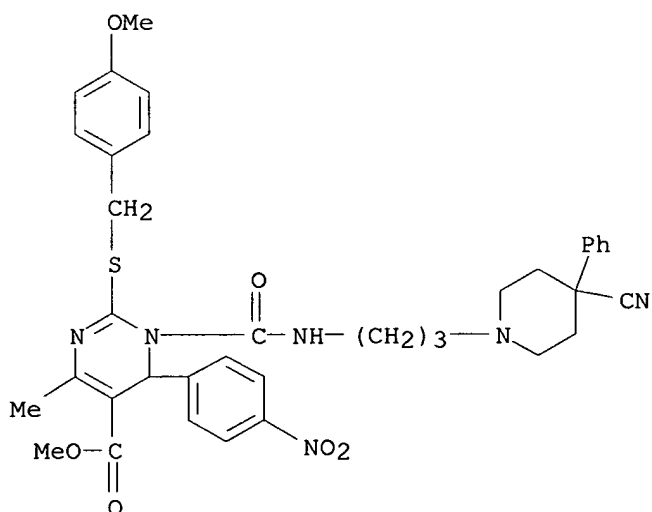
RN 179480-84-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-[[4-methoxyphenyl)methyl]thio]-4-methyl-6-(4-nitrophenyl)-1-[[[3-(4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



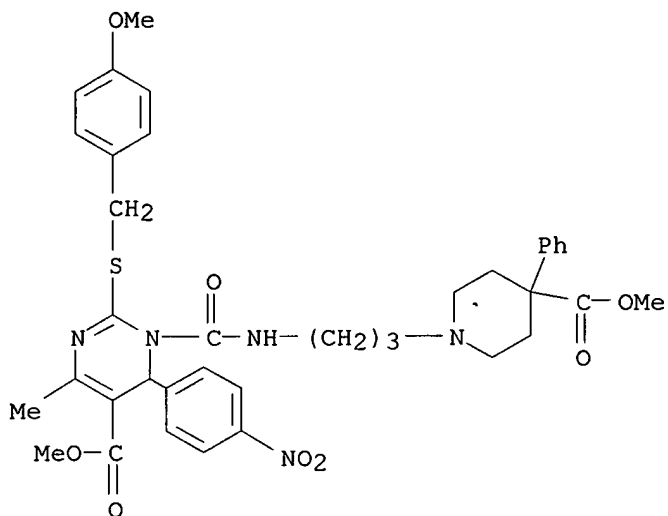
RN 179480-85-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-1,6-dihydro-2-[[4-methoxyphenyl)methyl]thio]-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI)
(CA INDEX NAME)



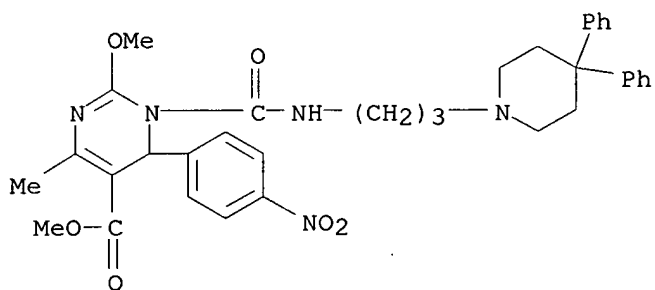
RN 179480-86-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-[[4-methoxyphenyl)methyl]thio]-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI)
(CA INDEX NAME)



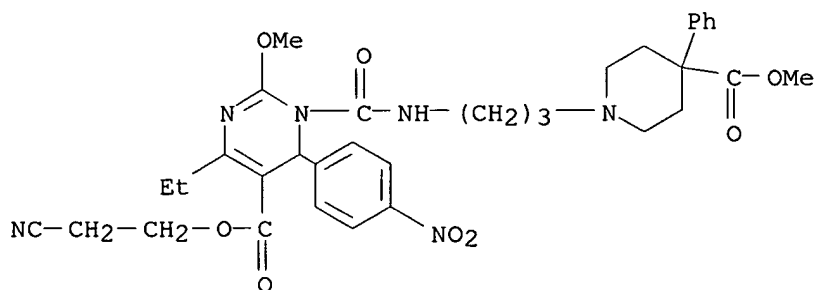
RN 179480-93-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4,4-diphenyl-1-piperidinyl)propyl]amino]carbonyl]-1,6-dihydro-2-methoxy-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 179481-02-8 CAPLUS

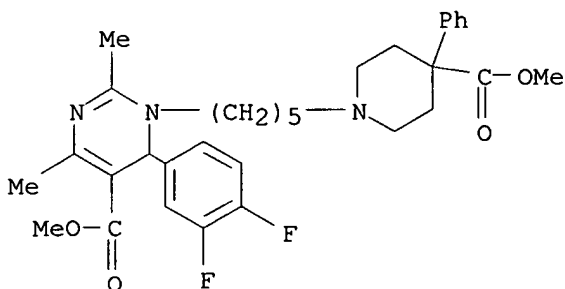
CN 5-Pyrimidinecarboxylic acid, 4-ethyl-1,6-dihydro-2-methoxy-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-6-(4-nitrophenyl)-, 2-cyanoethyl ester (9CI) (CA INDEX NAME)



RN 179481-10-8 CAPLUS

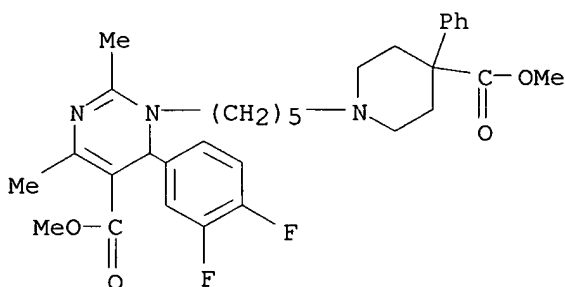
09/855,597

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



RN 179481-11-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

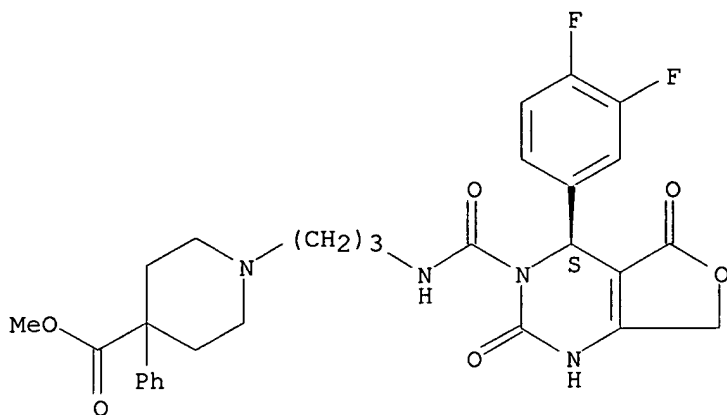


●2 HCl

RN 179481-41-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[(4S)-4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]propyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)

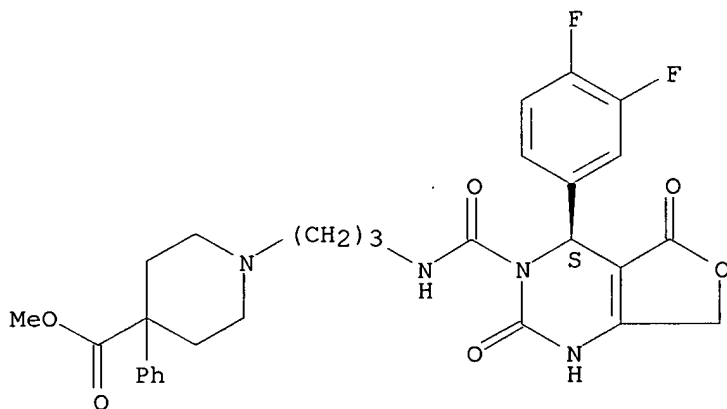
Absolute stereochemistry. Rotation (+).



RN 179481-42-6 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[(4S)-4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]propyl]-4-phenyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

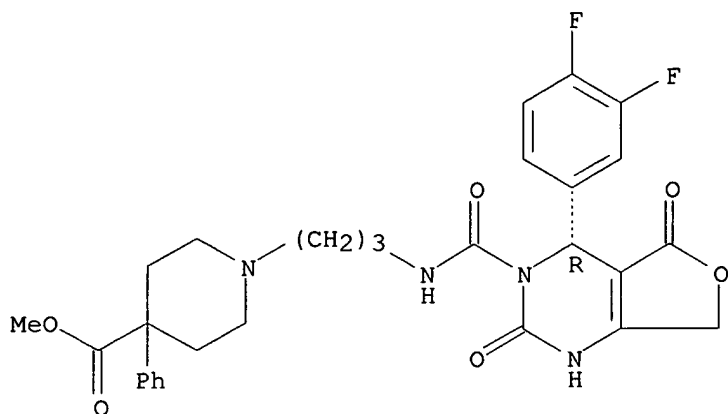


● HCl

RN 179481-43-7 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[(4R)-4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]propyl]-4-phenyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

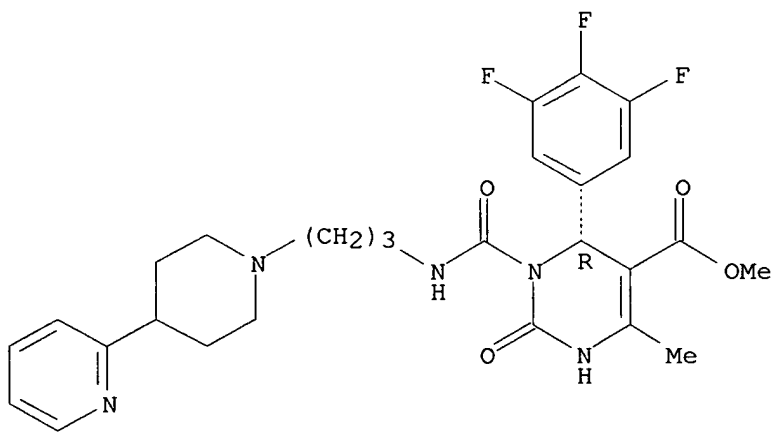


● HCl

RN 179481-56-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (6R)- (9CI) (CA INDEX NAME)

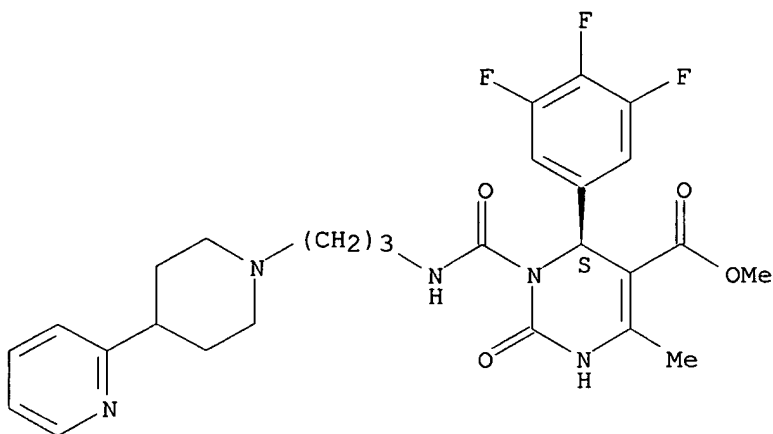
Absolute stereochemistry. Rotation (-).



RN 179481-57-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

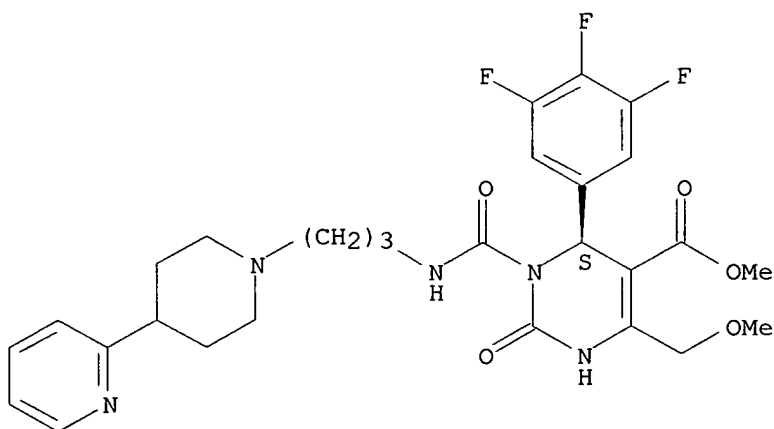
Absolute stereochemistry. Rotation (+).



RN 179481-58-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, monohydrochloride, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

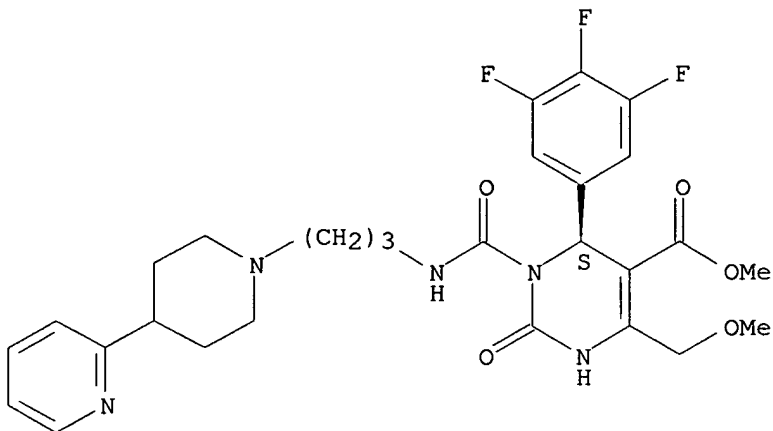


● HCl

RN 179481-59-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

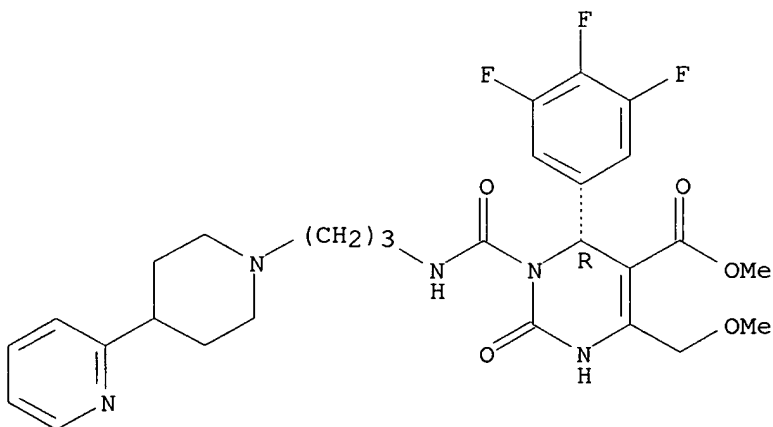
Absolute stereochemistry. Rotation (+).



RN 179481-60-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, dihydrochloride, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

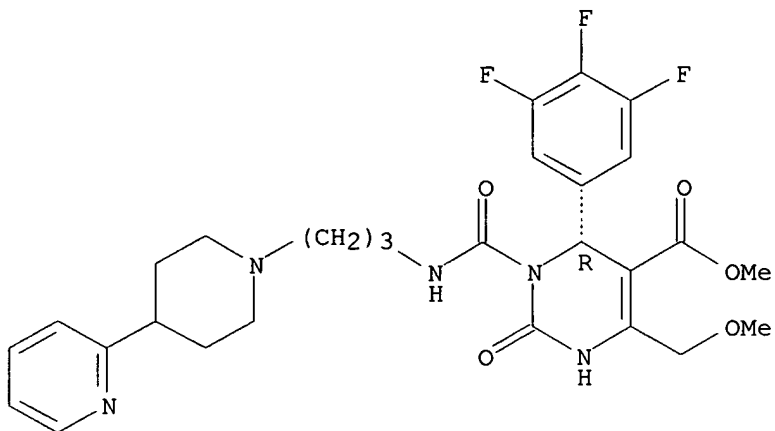


● 2 HCl

RN 179481-61-9 CAPLUS

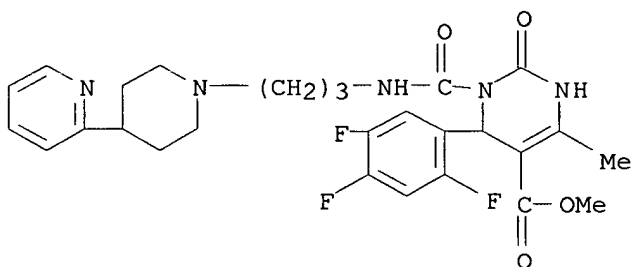
CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



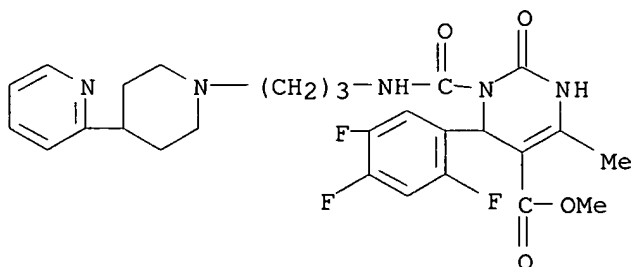
RN 179481-62-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(2,4,5-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 179481-63-1 CAPLUS

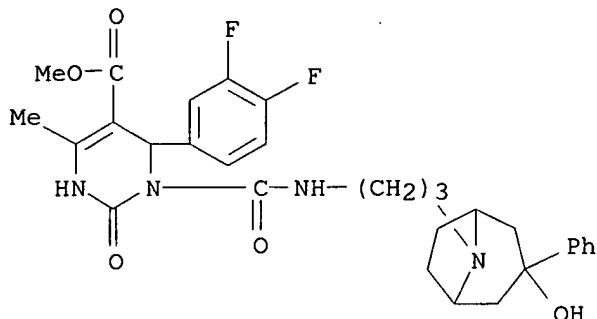
CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(2,4,5-trifluorophenyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

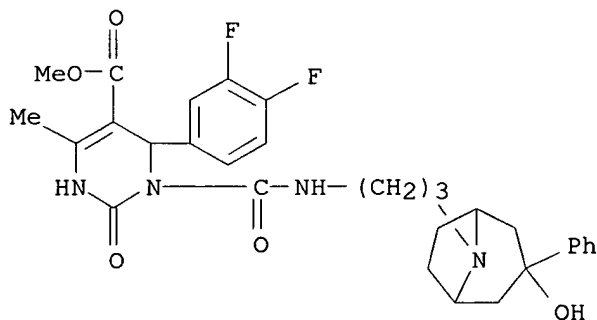
RN 179481-64-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
 [[[3-(3-hydroxy-3-phenyl-8-azabicyclo[3.2.1]oct-8-yl)propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 179481-65-3 CAPLUS

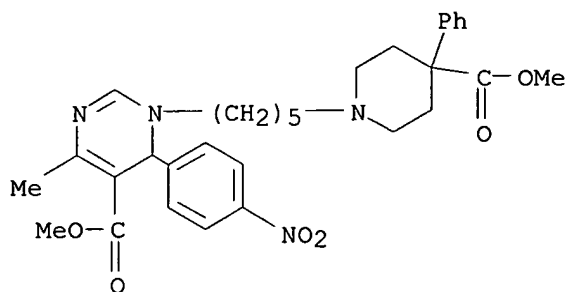
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
 [[[3-(3-hydroxy-3-phenyl-8-azabicyclo[3.2.1]oct-8-yl)propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

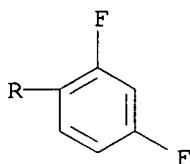
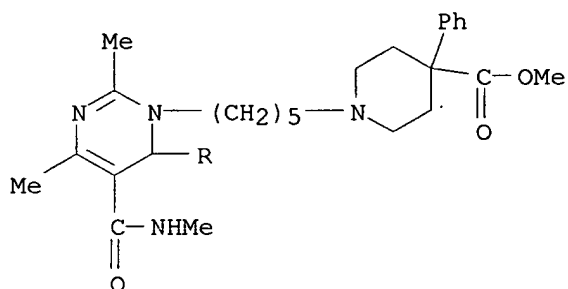
RN 179481-70-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI) (CA INDEX NAME)



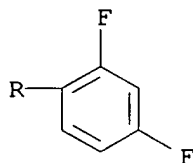
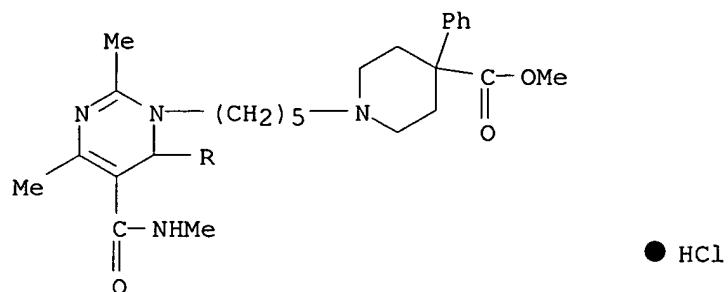
RN 179481-71-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[5-[6-(2,4-difluorophenyl)-2,4-dimethyl-5-[(methylamino)carbonyl]-1(6H)-pyrimidinyl]pentyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)



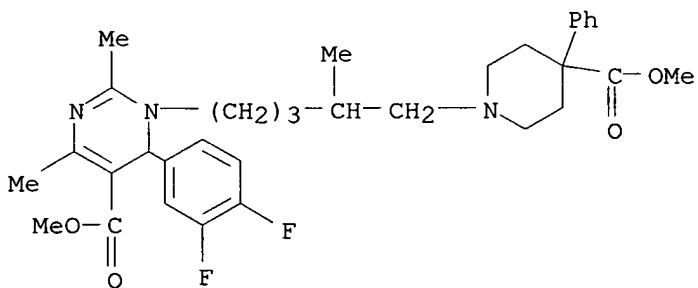
RN 179481-72-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[5-[6-(2,4-difluorophenyl)-2,4-dimethyl-5-[(methylamino)carbonyl]-1(6H)-pyrimidinyl]pentyl]-4-phenyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



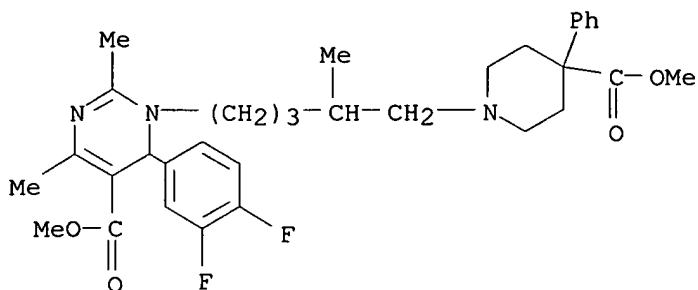
RN 179481-73-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]-4-methylpentyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



RN 179481-74-4 CAPLUS

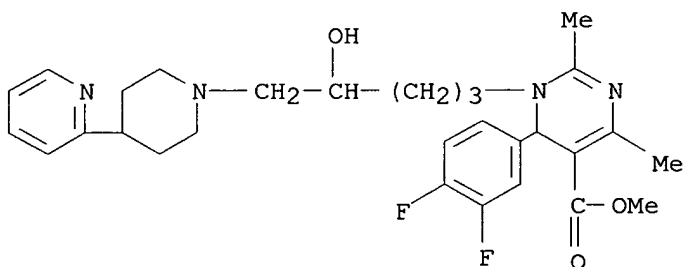
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]-4-methylpentyl]-2,4-dimethyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

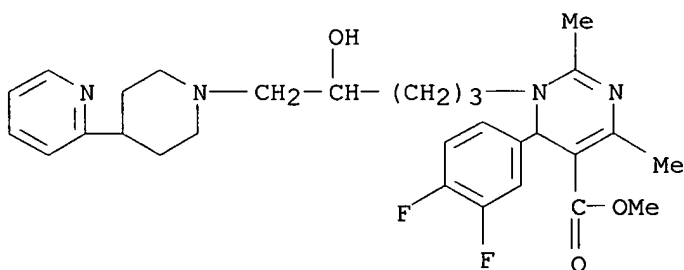
RN 179481-79-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[4-hydroxy-5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



RN 179481-80-2 CAPLUS

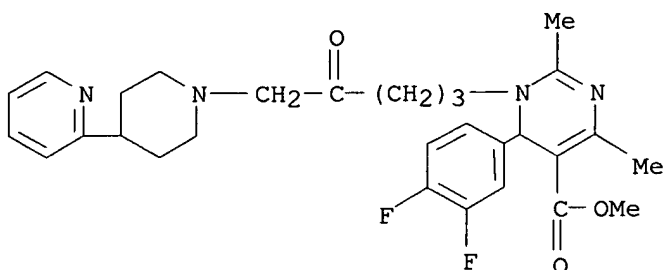
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[4-hydroxy-5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

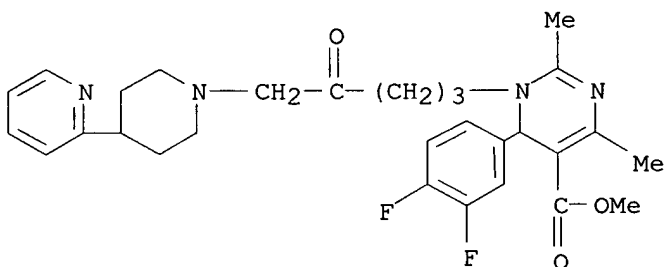
RN 179481-81-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-1-[4-oxo-5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 179481-82-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-1-[4-oxo-5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-, methyl ester, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

IT 179482-10-1P 179482-19-0P 179482-20-3P
179482-35-0P 179482-36-1P 179482-43-0P
179482-44-1P 179482-51-0P 179482-73-6P
179482-74-7P 179482-82-7P 179482-85-0P
179482-89-4P 179483-02-4P 179483-03-5P

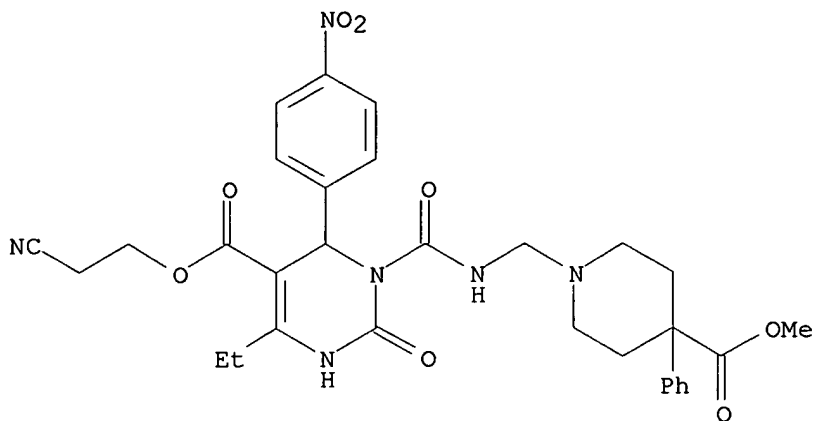
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 1-(4-arylpiperidinopropyl)carbamoyl-2-piperidone-5-carboxylates and analogs as α .1c antagonists)

RN 179482-10-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-ethyl-1,2,3,6-tetrahydro-1-[[[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]methyl]amino]carbonyl]-6-(4-nitrophenyl)-2-oxo-, 2-cyanoethyl ester, (+)- (9CI) (CA INDEX NAME)

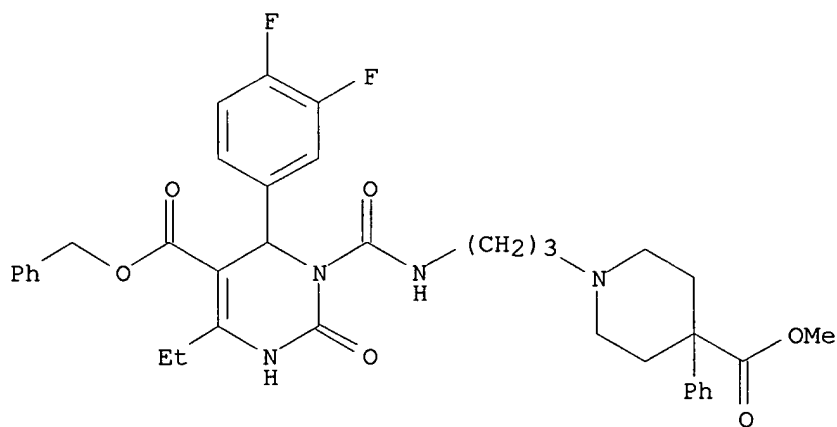
Rotation (+).



RN 179482-19-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, phenylmethyl ester, (+)-(9CI)
(CA INDEX NAME)

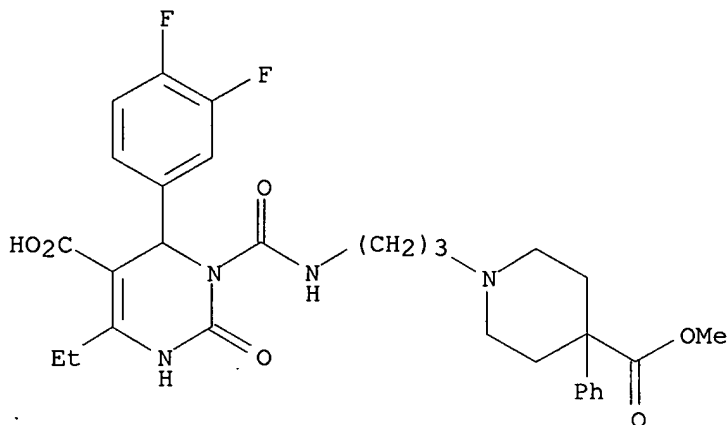
Rotation (+).



RN 179482-20-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, (+)-(9CI) (CA INDEX NAME)

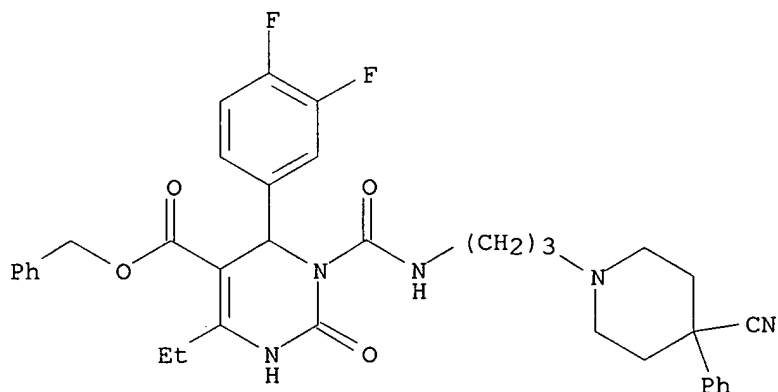
Rotation (+).



RN 179482-35-0 CAPLUS

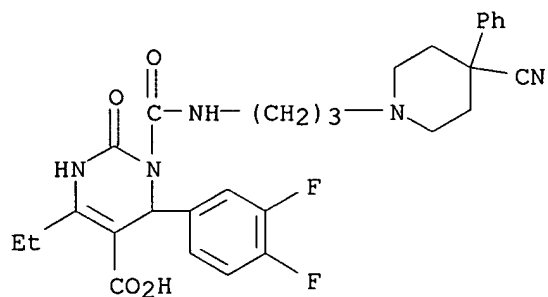
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-2-oxo-, phenylmethyl ester, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



RN 179482-36-1 CAPLUS

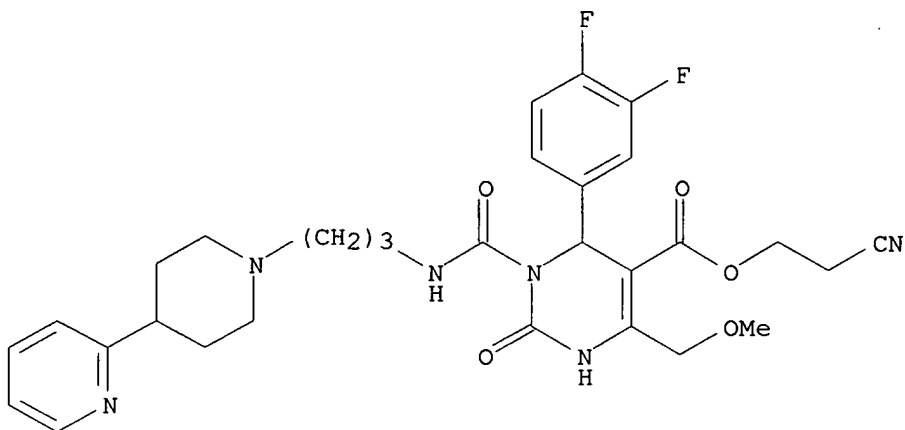
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 179482-43-0 CAPLUS

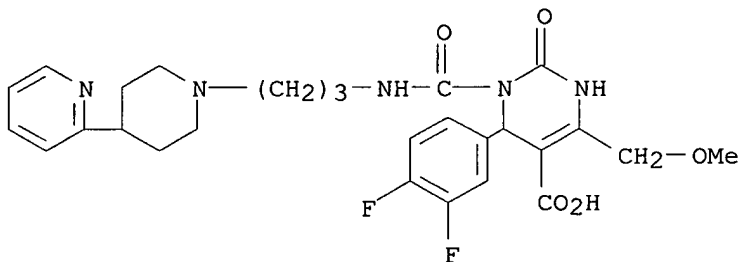
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, 2-cyanoethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



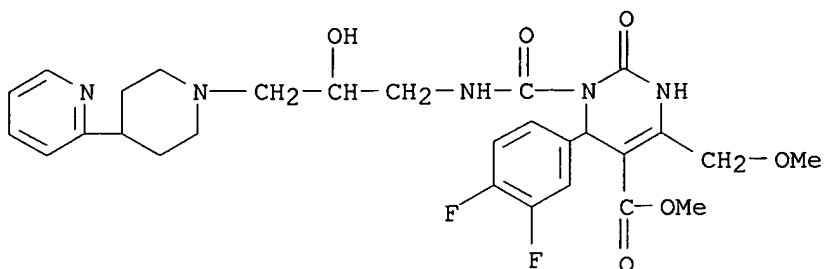
RN 179482-44-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



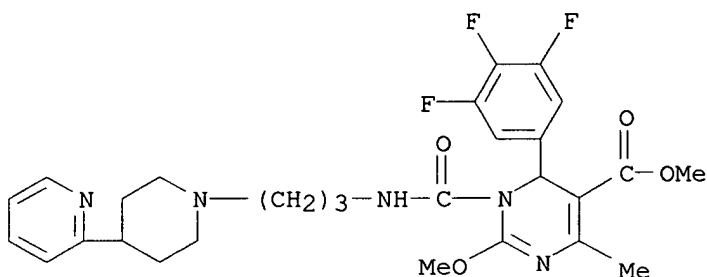
RN 179482-51-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[2-hydroxy-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-(methoxymethyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



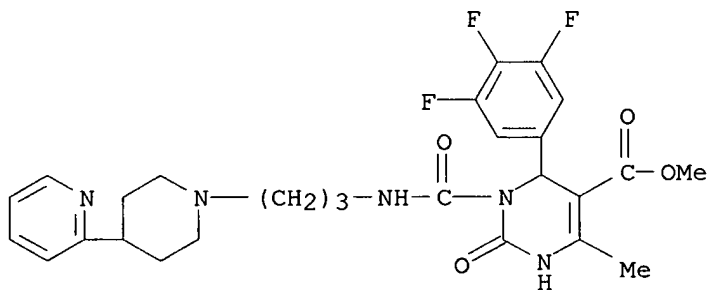
RN 179482-73-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-4-methyl-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 179482-74-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



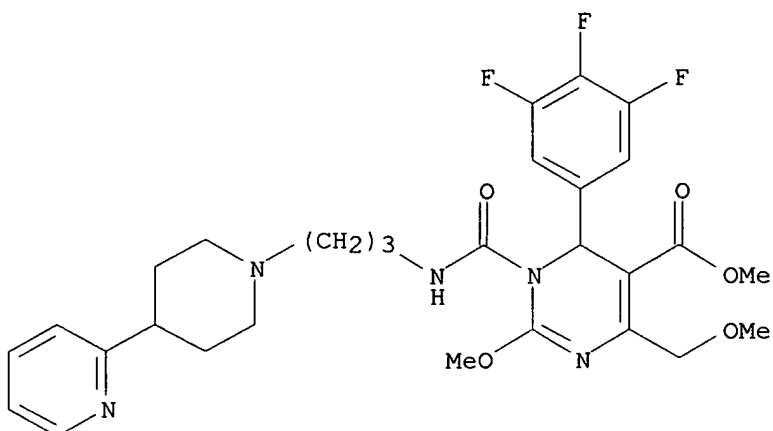
● 2 HCl

RN 179482-82-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-4-(methoxymethyl)-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (+)- (9CI) (CA INDEX NAME)

09/855,597

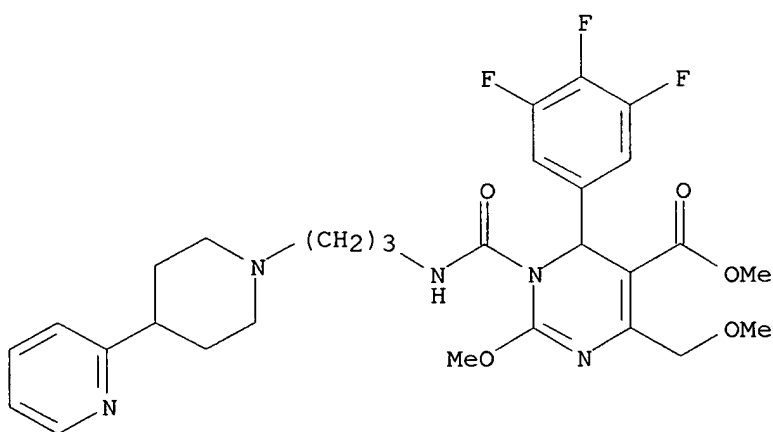
Rotation (+).



RN 179482-85-0 CAPLUS

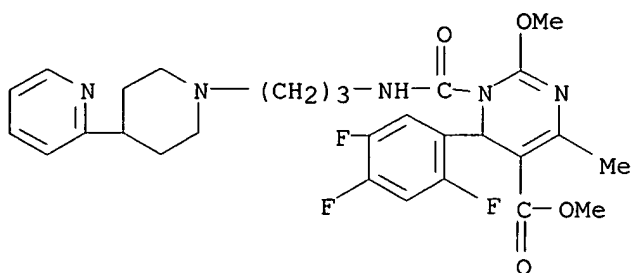
CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-4-(methoxymethyl)-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (-)-(9CI) (CA INDEX NAME)

Rotation (-).



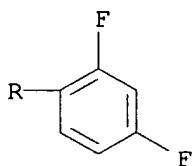
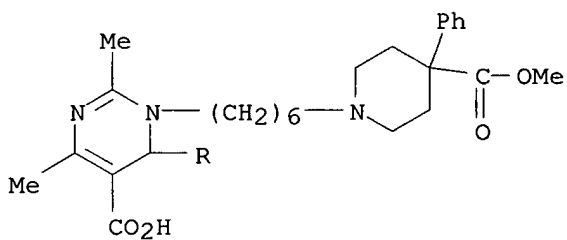
RN 179482-89-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-4-methyl-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(2,4,5-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



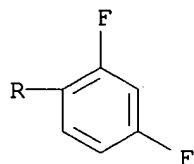
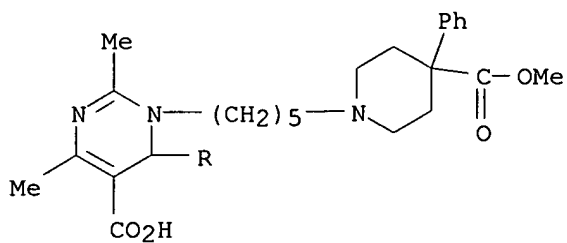
RN 179483-02-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,4-difluorophenyl)-1,6-dihydro-1-[6-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]hexyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)



RN 179483-03-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)



RE.CNT 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/855,597

~~L7~~ ANSWER 7 OF 45 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2001:247141 CAPLUS

~~DN~~ 134:266327

~~TI~~ Preparation of spiro[bicyclic-azacycloalkyl and -cycloalkyl] derivatives and uses as alpha 1a adrenergic receptor antagonists

~~IN~~ Hoffman, Jacob M.

~~PA~~ Merck & Co., Inc., USA

~~SO~~ PCT Int. Appl., 140 pp.

CODEN: PIXXD2

~~DT~~ Patent

~~LA~~ English

~~FAN.CNT~~ 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001022919	A2	20010405	WO 2000-US26387	20000926
	WO 2001022919	A3	20020711		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

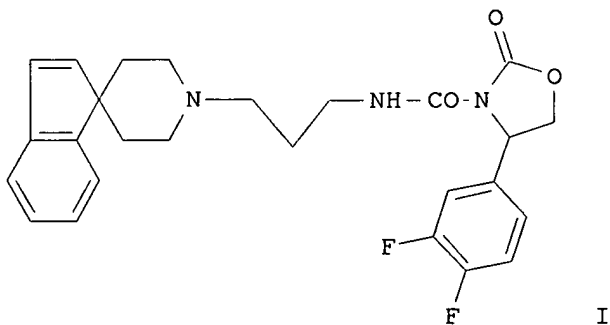
	AU 2000077166	A5	20010430	AU 2000-77166	20000926
--	---------------	----	----------	---------------	----------

PRAI	US 1999-157207P	P	19990930		
------	-----------------	---	----------	--	--

	WO 2000-US26387	W	20000926		
--	-----------------	---	----------	--	--

OS MARPAT 134:266327

GI



AB Prepn. of Spiro[bicyclic -azacycloalkyl and -cycloalkyl] derivs. and their pharmaceutically acceptable salts are disclosed. The synthesis of these compds. and their use as alpha 1a adrenergic receptor antagonists is also described. Thus, the title compd. I was prepd. and tested. One application of these compds. is in the treatment of benign prostatic hyperplasia. These compds. are typically selective in their ability to relax smooth muscle tissue enriched in the alpha 1a receptor subtype without at the same time inducing hypotension. One such tissue is found surrounding the urethral lining. Therefore, one utility of the instant compds. is to provide acute relief to males suffering from benign

prostatic hyperplasia, by permitting less hindered urine flow. Another utility of the instant compds. is provided by combination with a human 5-alpha reductase inhibitory compd., such that both acute and chronic relief from the effects of benign prostatic hyperplasia can be achieved.

IT 332186-79-5P 332186-80-8P 332186-81-9P

332186-82-0P 332186-83-1P 332186-84-2P

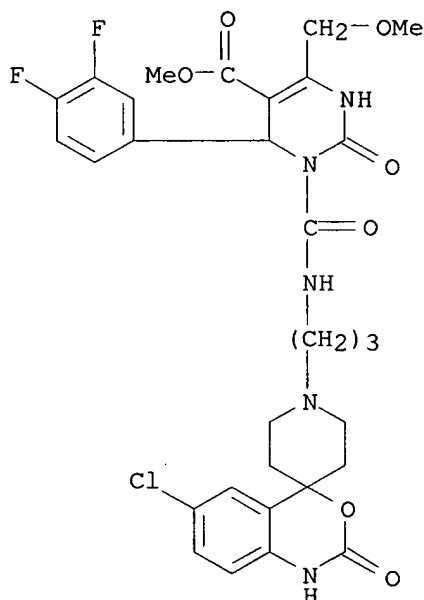
332187-26-5P 332187-27-6P 332187-49-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of spirobicyclicazacycloalkyl and cycloalkyl derivs. and uses as alpha a adrenergic receptor antagonists)

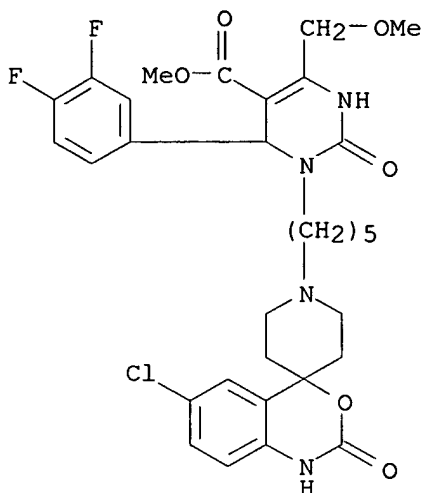
RN 332186-79-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(6-chloro-1,2-dihydro-2-oxospiro[4H-3,1-benzoxazine-4,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



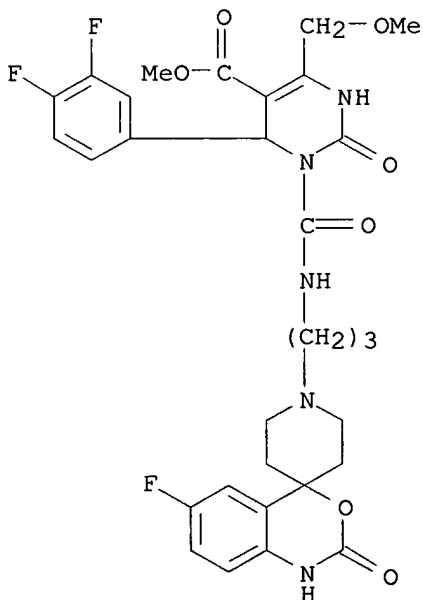
RN 332186-80-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[5-(6-chloro-1,2-dihydro-2-oxospiro[4H-3,1-benzoxazine-4,4'-piperidin]-1'-yl)pentyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



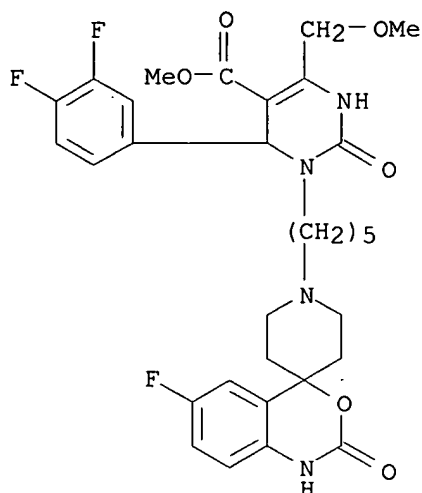
RN 332186-81-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(6-fluoro-1,2-dihydro-2-oxospiro[4H-3,1-benzoxazine-4,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 332186-82-0 CAPLUS

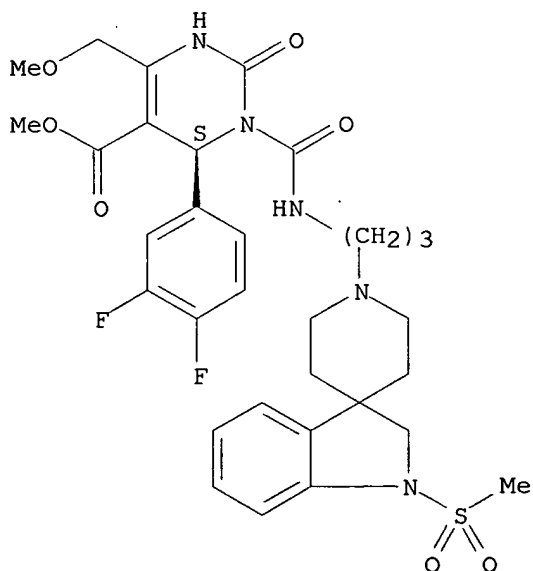
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[5-(6-fluoro-1,2-dihydro-2-oxospiro[4H-3,1-benzoxazine-4,4'-piperidin]-1'-yl)pentyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 332186-83-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[1,2-dihydro-1-(methoxymethyl)-2-oxo-1,2,3,6-tetrahydro-4H-indole-3-carboxylic acid methyl ester]-1'-yl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

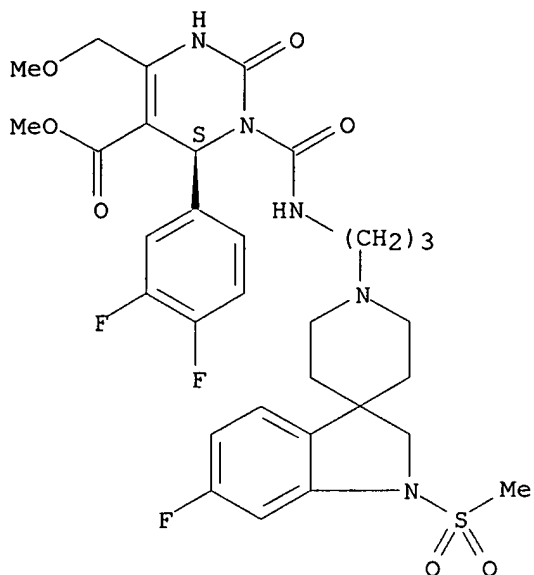
Absolute stereochemistry.



RN 332186-84-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[6-fluoro-1,2-dihydro-1-(methoxymethyl)-2-oxo-1,2,3,6-tetrahydro-4H-indole-3-carboxylic acid methyl ester]-1'-yl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

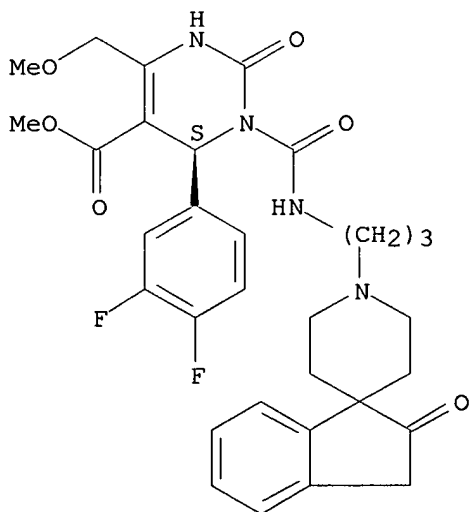
Absolute stereochemistry.



RN 332187-26-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(2,3-dihydro-2-oxospiro[1H-indene-1,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

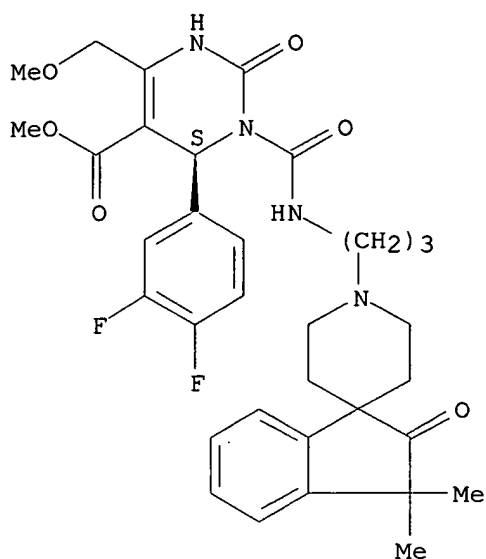
Absolute stereochemistry.



RN 332187-27-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(2,3-dihydro-3,3-dimethyl-2-oxospiro[1H-indene-1,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

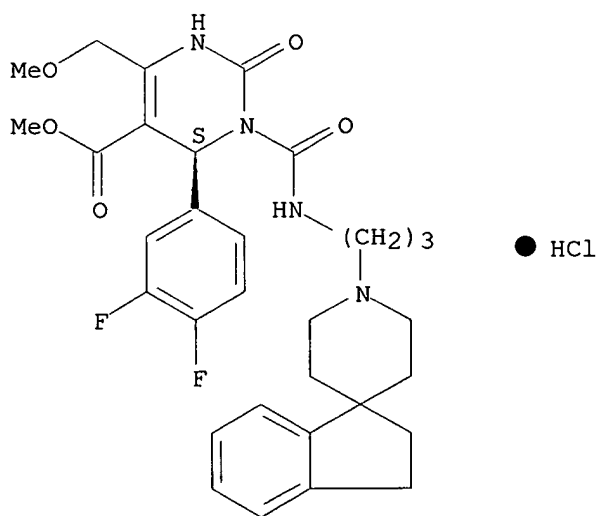
Absolute stereochemistry.



RN 332187-49-2 CAPLUS

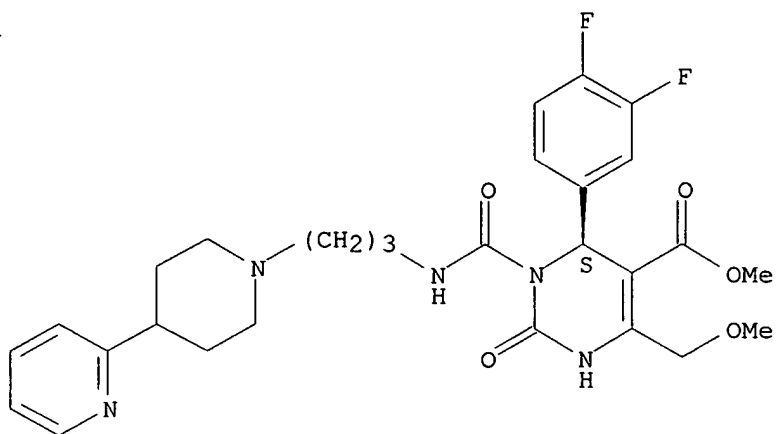
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IN ANSWER 8 OF 45 CAPLUS COPYRIGHT 2002 ACS
 AN 2000:854263 CAPLUS
 DN 134:231782
 TI In vitro studies on L-771,688 (SNAP 6383), a new potent and selective .alpha.1A-adrenoceptor antagonist
 AU Chang, R. S. L.; Chen, T.-B.; O'Malley, S. S.; Pettibone, D. J.; DiSalvo, J.; Francis, B.; Bock, M. G.; Freidinger, R.; Nagarathnam, D.; Miao, S. W.; Shen, Q.; Lagu, B.; Murali Dhar, T. G.; Tyagarajan, S.; Marzabadi, M. R.; Wong, W. C.; Gluchowski, C.; Forray, C.
 CS Department of Pharmacology, WP 46-300, Merck Research Laboratories, West Point, PA, 19486-0004, USA
 SO European Journal of Pharmacology (2000), 409(3), 301-312
 CODEN: EJPHAZ; ISSN: 0014-2999
 PB Elsevier Science B.V.
 DT Journal
 LA English
 AB L-771,688 (SNAP 6383, methyl(4S)-4-(3,4-difluorophenyl)-6-[(methoxy)methyl]-2-oxo-3-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,4-tetrahydro-5-pyrimidinecarboxylate) had high affinity (K_i 1.0 nM) for [3H]prazosin binding to cloned human, rat and dog .alpha.1A-adrenoceptors and high selectivity (>500-fold) over .alpha.1B and .alpha.1D-adrenoceptors. [3H]Prazosin/(+)-.beta.-[125I]-4-hydroxy-phenyl-ethyl-aminomethyl-teralone([125I]HEAT) binding studies in human and animal tissues known to contain .alpha.1A and non-.alpha.1A-adrenoceptors further demonstrated the potency and .alpha.1A-subtype selectivity of L-771,688; [3H]L-771,688 binding studies at the cloned human .alpha.1A-adrenoceptors and in rat tissues indicated that specific [3H]L-771,688 binding was saturable and of high affinity (K_d=43-90 pM) and represented binding to the pharmacol. relevant .alpha.1A-adrenoceptors. L-771,688 antagonized norepinephrine-induced inositol-phosphate responses in cloned human .alpha.1A-adrenoceptors, as well as phenylephrine or A-61603, N-[5-4,5-dihydro-1H-imidazol-2-yl]-2-hydroxy-5,6,7,8-tetrahydro-naphthalen-1-yl] methanesulfonamide hydrobromide, induced contraction in isolated rat, dog and human prostate, human and monkey bladder neck and rat caudal artery with apparent K_b values of 0.02-0.28 nM. In contrast, the contraction of rat aorta induced by norepinephrine was resistant to L-771,688. These data indicate that L-771,688 is a highly selective .alpha.1A-adrenoceptor antagonist.
 IT 200050-59-5, L 771688
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (In vitro studies on L-771,688 (SNAP 6383), a new potent and selective .alpha.1A-adrenoceptor antagonist)
 RN 200050-59-5 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~DI~~ ANSWER 9 OF 45 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2000:792692 CAPLUS

DN 133:321894

TI Preparation of pyrimidinonecarboxylates for treatment of benign prostatic hyperplasia.

IN Barrow, James C.; Selnick, Harold G.

PA Merck and Co., Inc., USA

SO Brit. UK Pat. Appl., 39 pp.

CODEN: BAXXDU

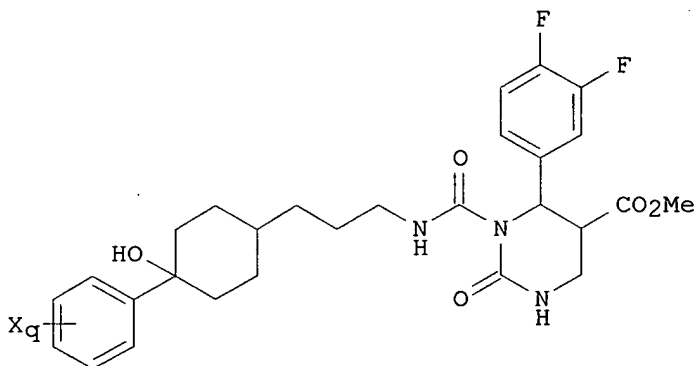
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2346142	A1	20000802	GB 2000-1222	20000119
PRAI	US 1999-117190P	P	19990126		
OS	MARPAT 133:321894				
GI					

no us



AB Title compds. [I; X = H, halo, cyano, alkyl, alkoxy, (CH₂)₀-3OCF₃, (CH₂)₀-3CF₃; q = 0-5], were prepd. Thus, 1-(3-aminopropyl)-4-(4-fluorophenyl)piperidin-4-ol dihydrochloride (prepn. given) and Et₃N in DMF were treated with (+)-4-(3,4-difluorophenyl)-2-oxo-1,2,3,4-tetrahydropyrimidine-3,5-dicarboxylic acid 5-Me ester 3-p-nitrophenyl ester (prepn. given) followed by 2 h stirring to give Me (+)-4-(3,4-difluorophenyl)-3-[3-[4-(4-fluorophenyl)-4-hydroxypiperidin-1-yl]propylcarbamoyl]-2-oxo-1,2,3,4-tetrahydropyrimidine-5-carboxylate (II). II showed K_i = 0.12 nM for .alpha.1a adrenergic receptor binding. A II capsule formulation is given.

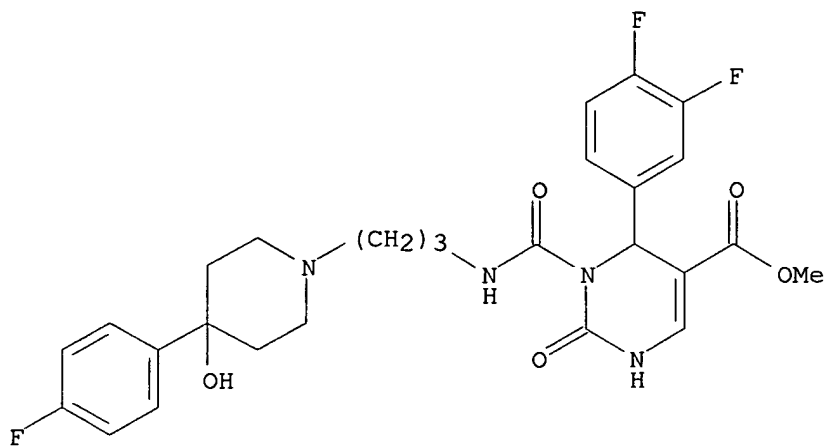
IT **303028-57-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidinonecarboxylates for treatment of benign prostatic hyperplasia)

RN 303028-57-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



09/855,597

~~17~~ ANSWER 10 OF 45 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2000:513681 CAPLUS

~~DN~~ 133:120346

TI Preparation of polyazanaphthalenone derivatives useful as alpha 1a adrenoceptor antagonists

IN Bock, Mark G.; Patane, Michael A.; Steele, Thomas G.

PA Merck and Co., Inc., USA

SO PCT Int. Appl., 105 pp.

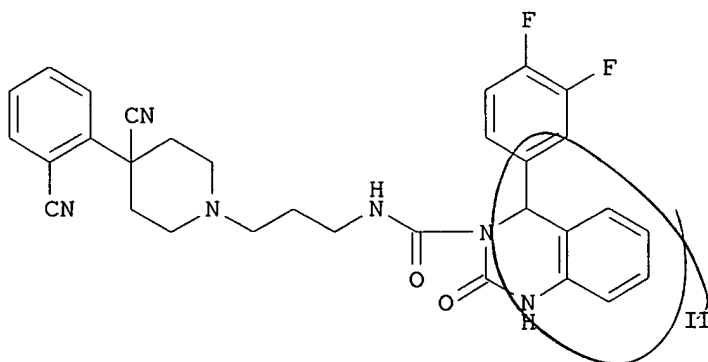
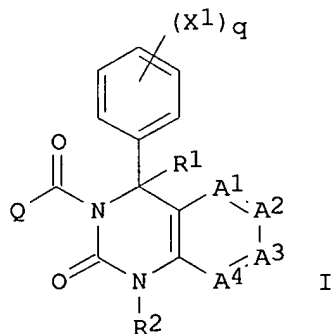
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000043374	A1	20000727	WO 2000-US1775	20000124
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 6358959	B1	20020319	US 2000-481991	20000111
PRAI	US 1999-117255P	P	19990126		
OS	MARPAT 133:120346				
GI					



AB Dihydroquinazolin-2-one and dihydropteridin-2-one derivs. (I) [wherein Q = (un)substituted piperidinylaminoalkylamino, cycloalkylaminoalkylamino, piperidinylaminoalkylpiperidinyl, cycloalkylaminoalkylpiperidinyl, etc.; A1-A4 = independently (un)substituted C or N; X1 = H, halo, CN, NO2, (fluorinated) (cyclo)alkyl, or (un)substituted alkoxy(alkyl); R1 = H, (fluorinated) (cyclo)alkyl, or (un)substituted Ph; R2 = H or (fluorinated) alkyl; q = 0-5] and pharmaceutically acceptable salts were prepd. as alpha la adrenergic receptor antagonists for use in the treatment of benign prostatic hyperplasia. For example, II was formed in a multistep sequence. Anthranilonitrile was treated with 3,4-difluorophenyl magnesium bromide, followed by (EtO)2CO, to give the 2(1H)-quinazolinone. The quinazolinone was then N-alkylated with 4-MeOC6H4CH2Cl and hydrogenated with NaBH4. Finally, addn. of 4-NO2C6H4OC(O)Cl, followed by amidation with N-(3-aminopropyl)-4-(2-cyanophenyl)-4-cyanopiperidine.bul.HCl, and deprotection using TFA gave II. I are selective in their ability to relax smooth muscle tissue enriched in the alpha la receptor subtype, e.g. the tissue found surrounding the urethral lining, without at the same time inducing hypotension (no data). Therefore, I give acute relief to males suffering from benign prostatic hyperplasia by permitting less hindered urine flow. Combination of I with a human 5-alpha reductase inhibitory compd. provides both acute and chronic relief from the effects of benign prostatic hyperplasia.

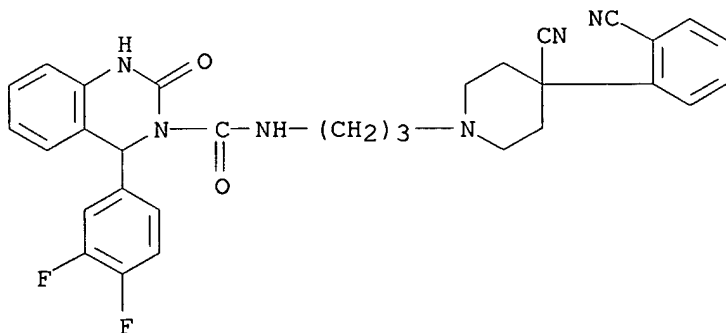
IT **285569-92-8P 285569-94-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn of dihydroquinazolin-2-one and dihydropteridin-2-one deriv. 1a adrenoceptor antagonists by treatment of o-amino(hetero)arylnitriles with arylmagnesium bromides, followed by cycloaddn. with (EtO)2CO, and further ring substitution)

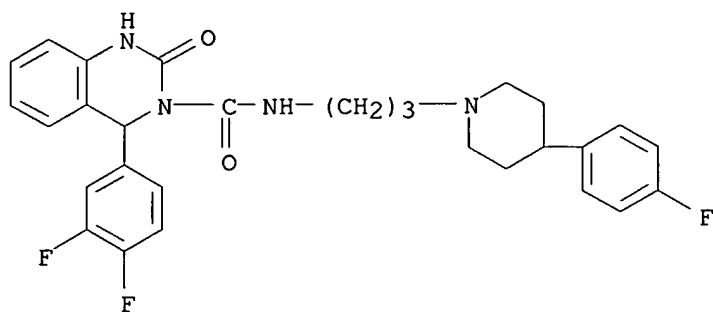
RN 285569-92-8 CAPLUS

CN 3(2H)-Quinazolinecarboxamide, N-[3-[4-cyano-4-(2-cyanophenyl)-1-piperidinyl]propyl]-4-(3,4-difluorophenyl)-1,4-dihydro-2-oxo- (9CI) (CA INDEX NAME)



RN 285569-94-0 CAPLUS

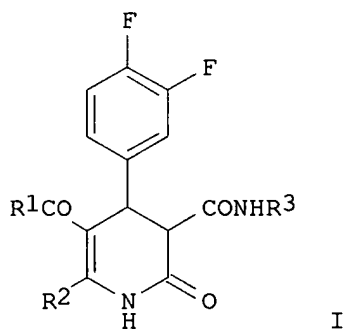
CN 3(2H)-Quinazolinecarboxamide, 4-(3,4-difluorophenyl)-N-[3-[4-(4-fluorophenyl)-1-piperidinyl]propyl]-1,4-dihydro-2-oxo- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2002 ACS
 AN 2000:441582 CAPLUS
 DN 133:74027
 TI Difluorophenyldihydropyrimidinecarboxamides as .alpha.la receptor antagonists
 IN Cui, Donghui; Davis, Margaret R.; Kari, Hanumath P.; Vyas, Kamlesh P.; Zhang, Kanyin; Evans, Benjamin; Dunn, Michael; Nagarathnam, Dhanapalan; Lagu, Bharat
 PA Synaptic Pharmaceutical Corporation, USA; Merck & Co., Inc.
 SO PCT Int. Appl., 73 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000037026	A1	20000629	WO 1999-US30868	19991223
	W: AU, CA, JP				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 6274585	B1	20010814	US 1999-470691	19991223
	EP 1139972	A1	20011010	EP 1999-967609	19991223
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRAI	US 1998-113612P	P	19981223		
	US 1998-221668	A	19981223		
	WO 1999-US30868	W	19991223		
OS	MARPAT 133:74027				
GI					



AB Title compds. I [R1 = OMe, OH; R2 = CH2OH CH2OMe, CO2H; R1R2 = OCH2; R3 = (CH2)3OH, (un)substituted 4-(2-pyridyl)piperidinopropyl] were prepd. as selective antagonists for human .alpha.la receptors. These compds. are useful for relaxing lower urinary tract tissue, treating benign prostatic hyperplasia and for the treatment of any disease where the antagonism of the .alpha.la receptor may be useful. Thus, I [R1 = OMe, R2 = CH2OMe, R3 = 4-(1-oxido-2-pyridyl)piperidinopropyl] was prepd. from MeOCH2COCH2CO2Me, 3,4=F2C6H3CHO, and 4-(2-pyridyl)piperidine and bound to cloned human .alpha.la receptors at 0.3 .mu.M.

IT 279250-24-7P 279250-25-8P 279250-26-9P

279250-27-0P 279250-28-1P 279250-29-2P
 279250-30-5P 279250-48-5P 279250-51-0P
 279250-53-2P 279250-54-3P 279250-55-4P
 279250-56-5P

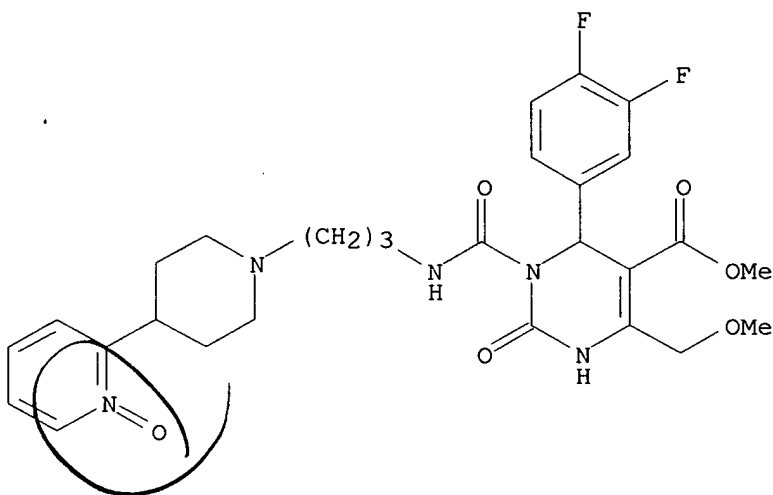
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of difluorophenyldihydropyrimidinecarboxamides as .alpha.1a receptor antagonists)

RN 279250-24-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(1-oxido-2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



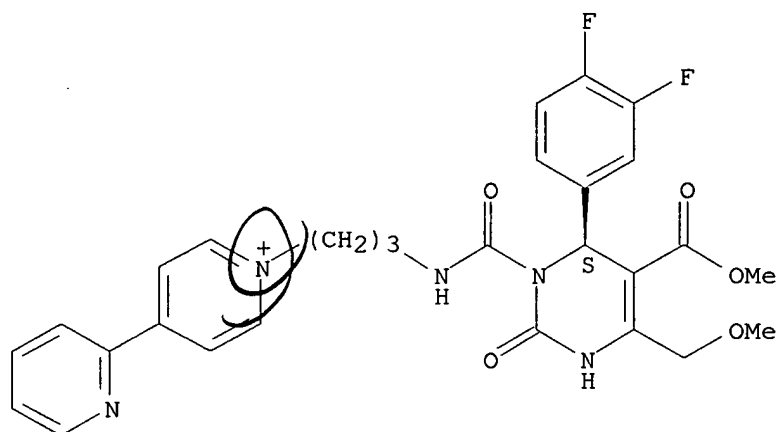
● HCl

RN 279250-25-8 CAPLUS

CN 2,4'-Bipyridinium, 1'-[3-[[[(6S)-6-(3,4-difluorophenyl)-3,6-dihydro-5-(methoxycarbonyl)-4-(methoxymethyl)-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]-, chloride, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

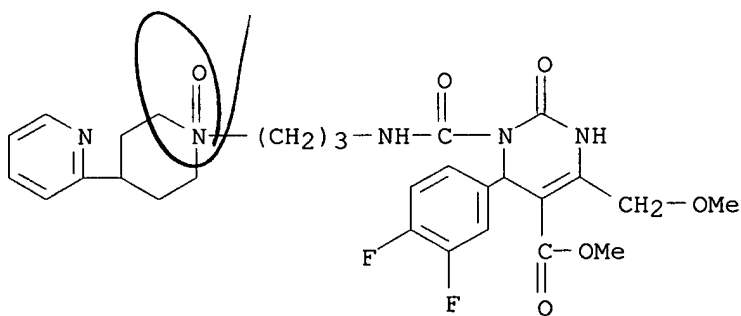
● Cl⁻

PAGE 2-A

● HCl

RN 279250-26-9 CAPLUS

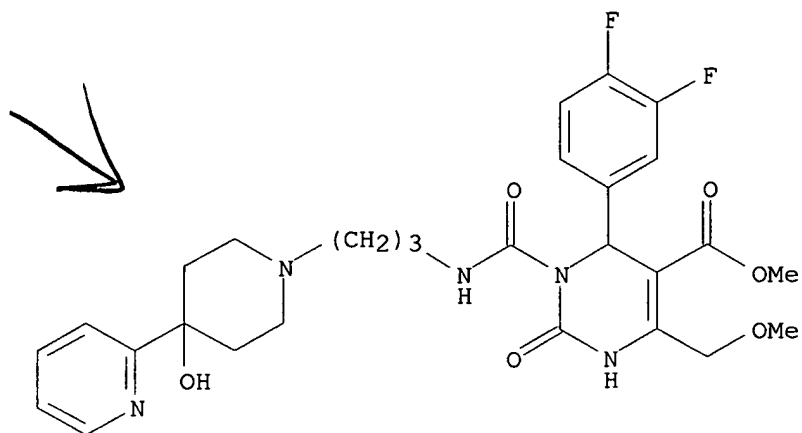
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[1-oxido-4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 279250-27-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-hydroxy-4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-(methoxymethyl)-2-oxo-, methyl ester, dihydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

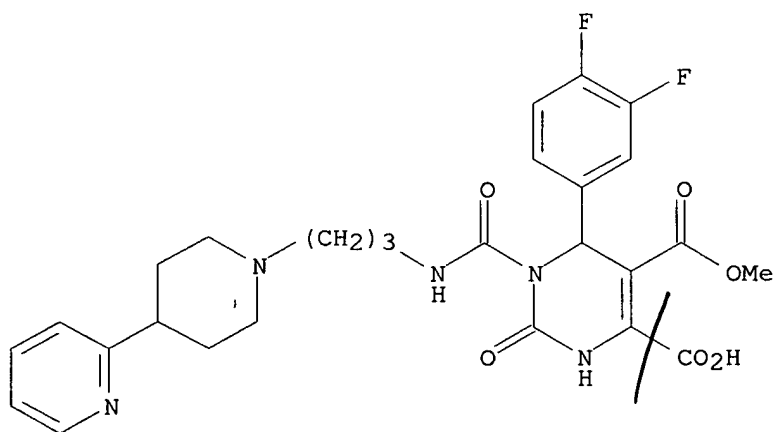


● 2 HCl

RN 279250-28-1 CAPLUS

CN 4,5-Pyrimidinedicarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, 5-methyl ester, (+)-(9CI) (CA INDEX NAME)

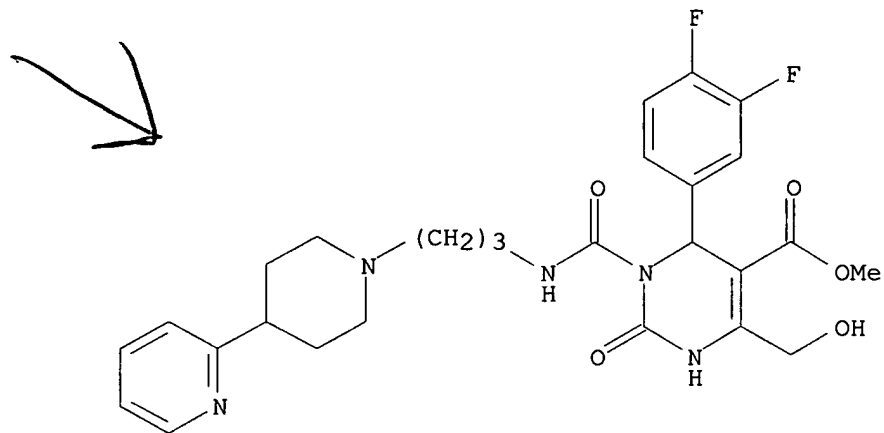
Rotation (+).



RN 279250-29-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(hydroxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (+)-(9CI) (CA INDEX NAME)

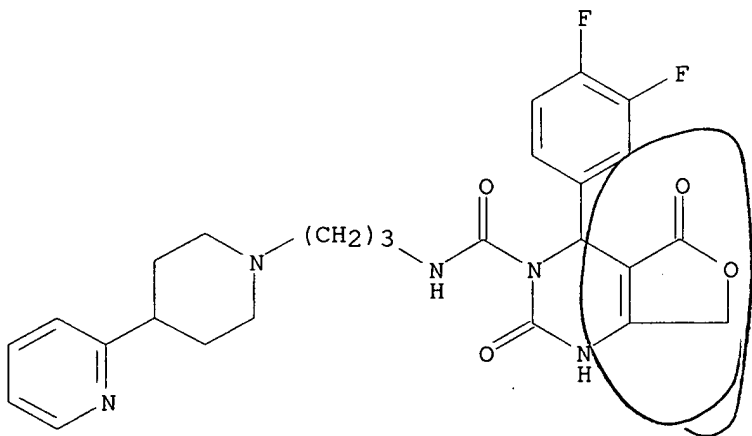
Rotation (+).



RN 279250-30-5 CAPLUS

CN Furo[3,4-d]pyrimidine-3(4H)-carboxamide, 4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxo-N-[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]-, (+)-(9CI) (CA INDEX NAME)

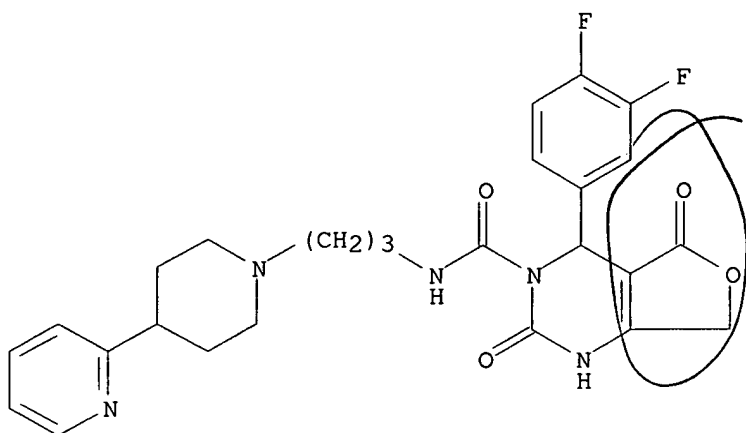
Rotation (+).



RN 279250-48-5 CAPLUS

CN Furo[3,4-d]pyrimidine-3(4H)-carboxamide, 4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxo-N-[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]-, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

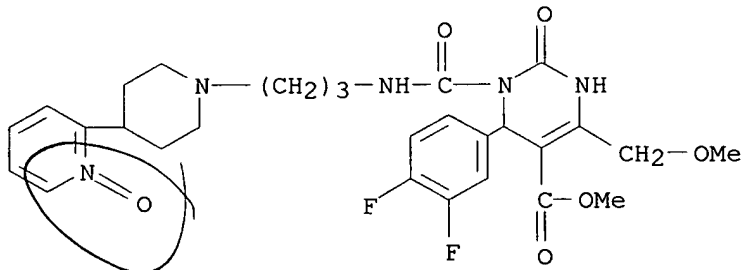
Rotation (+).



● 2 HCl

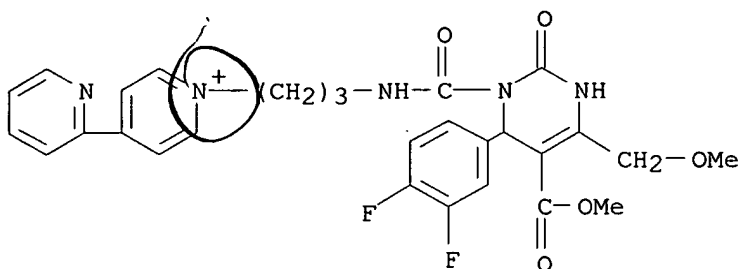
RN 279250-51-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(1-oxido-2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



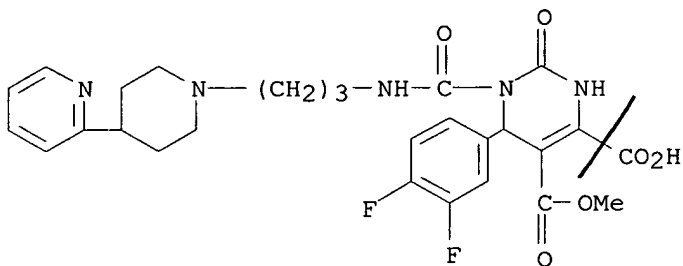
RN 279250-53-2 CAPLUS

CN 2,4'-Bipyridinium, 1'-[3-[[[6-(3,4-difluorophenyl)-3,6-dihydro-5-(methoxycarbonyl)-4-(methoxymethyl)-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]- (9CI) (CA INDEX NAME)



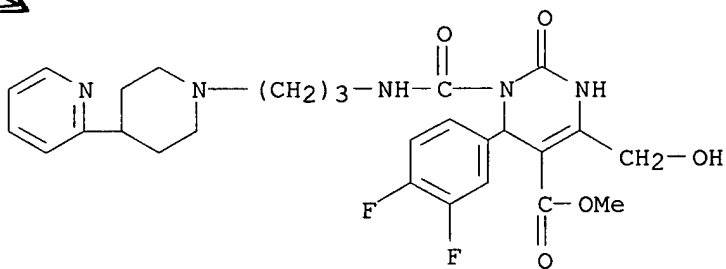
RN 279250-54-3 CAPLUS

CN 4,5-Pyrimidinedicarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, 5-methyl ester (9CI) (CA INDEX NAME)



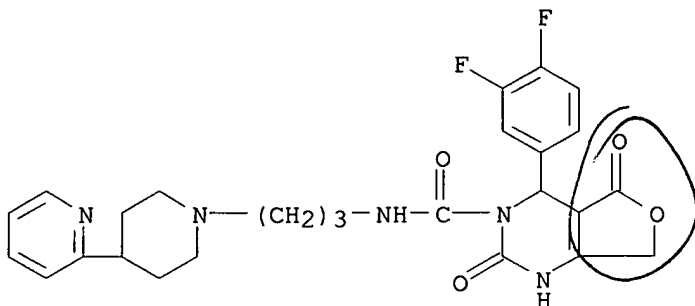
RN 279250-55-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(hydroxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 279250-56-5 CAPLUS

CN Furo[3,4-d]pyrimidine-3(4H)-carboxamide, 4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxo-N-[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



IT 200050-59-5P 279250-44-1P 279250-45-2P

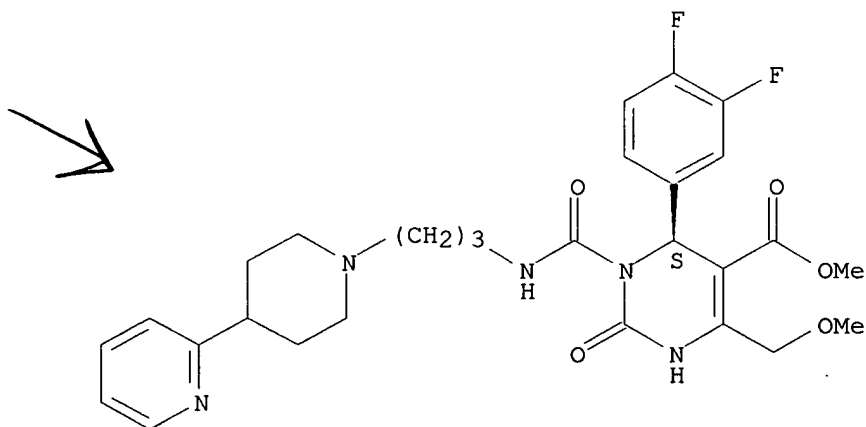
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of difluorophenyldihydropyrimidinecarboxamides as .alpha.1a receptor antagonists)

RN 200050-59-5 CAPLUS

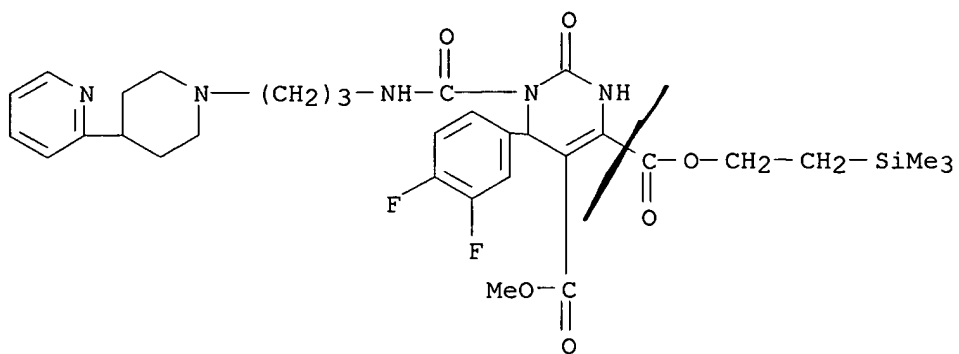
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 279250-44-1 CAPLUS

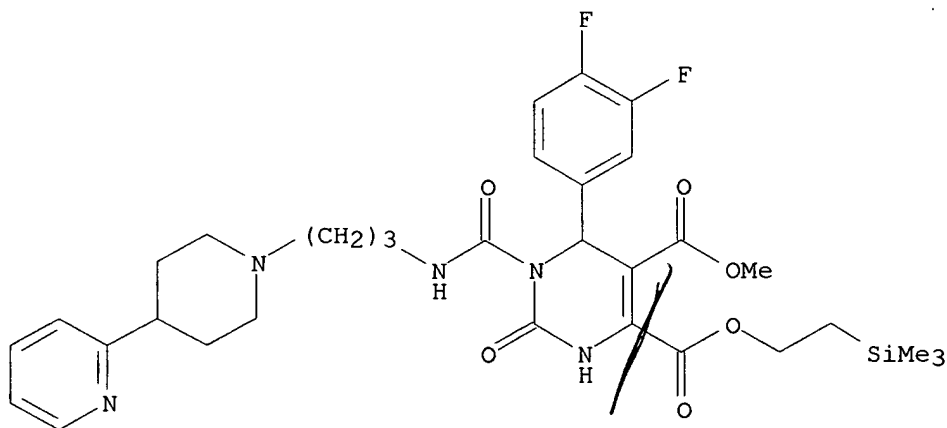
CN 4,5-Pyrimidinedicarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, 5-methyl 4-[2-(trimethylsilyl)ethyl] ester (9CI) (CA INDEX NAME)



RN 279250-45-2 CAPLUS

CN 4,5-Pyrimidinedicarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, 5-methyl 4-[2-(trimethylsilyl)ethyl] ester, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~12~~ ANSWER 12 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 2000:94015 CAPLUS

DN 132:265162

TI Synthesis and evaluation of furo[3,4-d]pyrimidinones as selective .alpha.1a-adrenergic receptor antagonists

AU Lagu, Bharat; Tian, Dake; Chiu, George; Nagarathnam, Dhanapalan; Fang, James; Shen, Quanrong; Forray, Carlos; Ransom, Richard W.; Chang, Raymond S. L.; Vyas, Kamlesh P.; Zhang, Kanyin; Gluchowski, Charles

CS Department of Chemistry, Synaptic Pharmaceutical Corporation, Paramus, NJ, 07652, USA

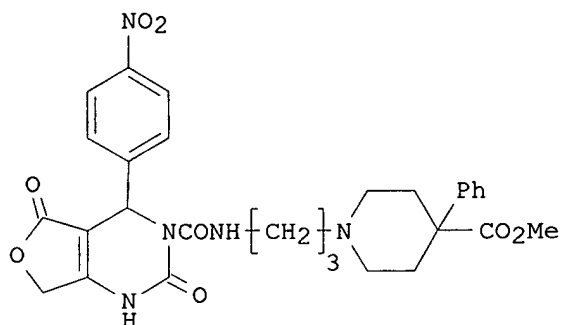
SO Bioorganic & Medicinal Chemistry Letters (2000), 10(2), 175-178
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

GI



I

AB Furo[3,4-d]pyrimidinones, e.g., I, were found to be metabolites of dihydropyrimidinones that are subtype-selective antagonists of the .alpha.1a-adrenergic receptor. A versatile synthesis that provides access to furo[3,4-d]pyrimidinones in high yield and in enantiomerically pure forms is described along with structure-activity relationships in the series.

IT 179481-41-5P 200200-20-0P 263358-19-6P

263358-20-9P 263358-21-0P 263358-22-1P

263358-23-2P 263358-24-3P 263358-25-4P

263358-26-5P 263358-27-6P 263358-28-7P

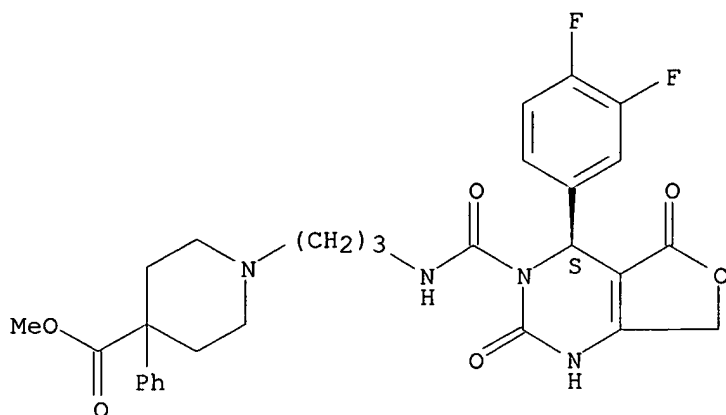
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn., .alpha.1-adrenergic receptor antagonist activity, and structure-activity relationship of furopyrimidinones)

RN 179481-41-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[(4S)-4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]propyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)

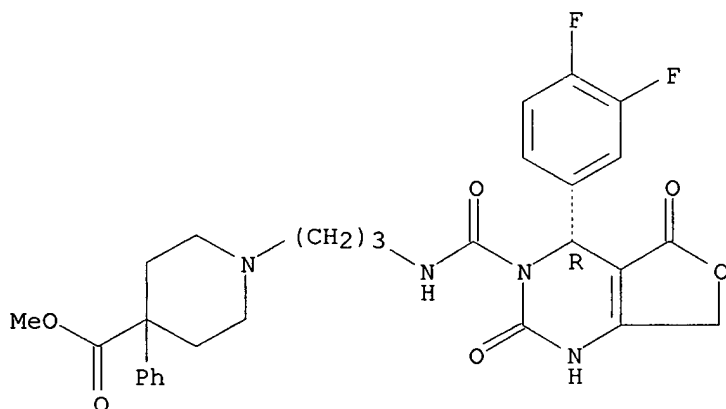
Absolute stereochemistry. Rotation (+).



RN 200200-20-0 CAPLUS

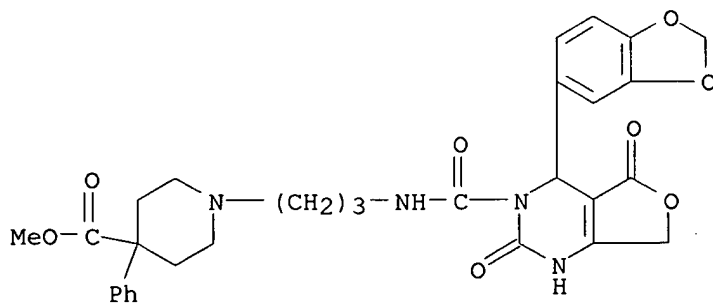
CN 4-Piperidinecarboxylic acid, 1-[3-[[[(4R)-4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]propyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



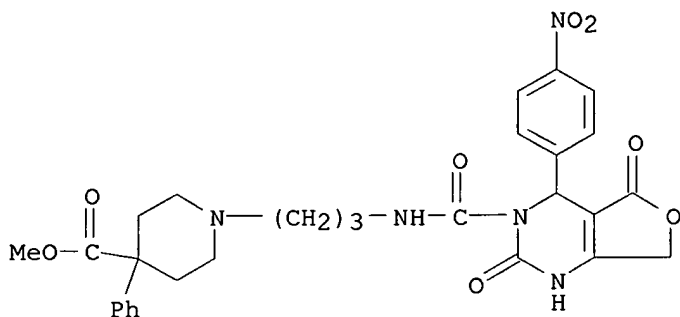
RN 263358-19-6 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[4-(1,3-benzodioxol-5-yl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]propyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)



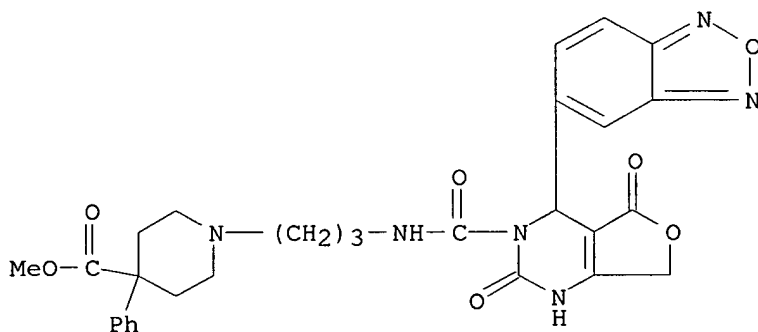
RN 263358-20-9 CAPLUS

CN 4-Piperidinecarboxylic acid, 4-phenyl-1-[3-[[[1,2,5,7-tetrahydro-4-(4-nitrophenyl)-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]propyl]-, methyl ester (9CI) (CA INDEX NAME)



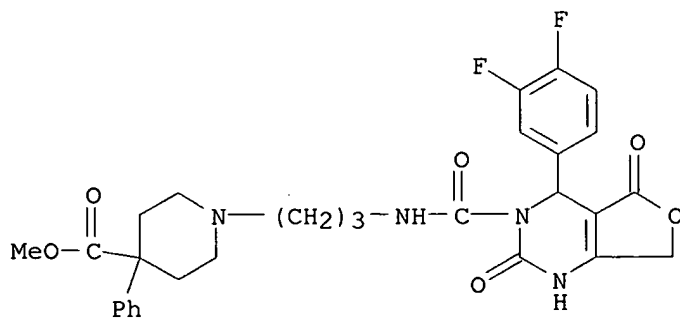
RN 263358-21-0 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[4-(2,1,3-benzoxadiazol-5-yl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]propyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)



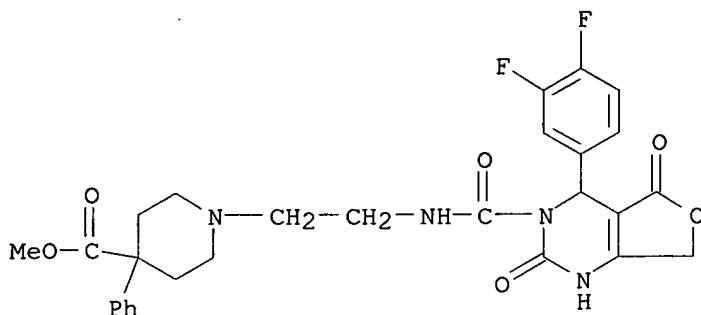
RN 263358-22-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]propyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)



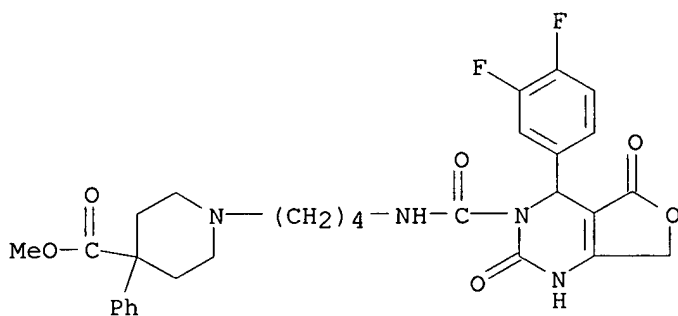
RN 263358-23-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[[[4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]ethyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 263358-24-3 CAPLUS

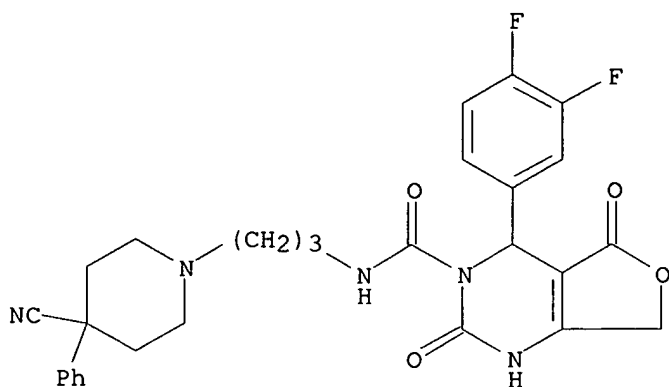
CN 4-Piperidinecarboxylic acid, 1-[4-[[[4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]butyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 263358-25-4 CAPLUS

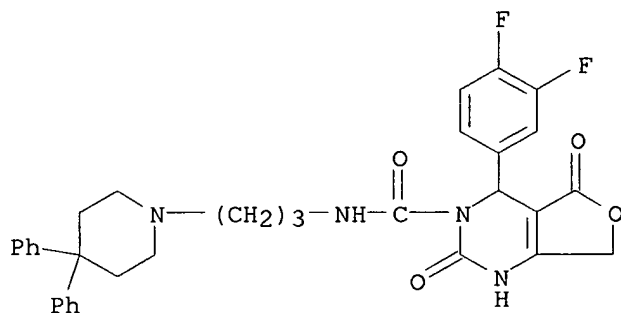
CN Furo[3,4-d]pyrimidine-3(4H)-carboxamide, N-[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]-4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxo-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 263358-26-5 CAPLUS

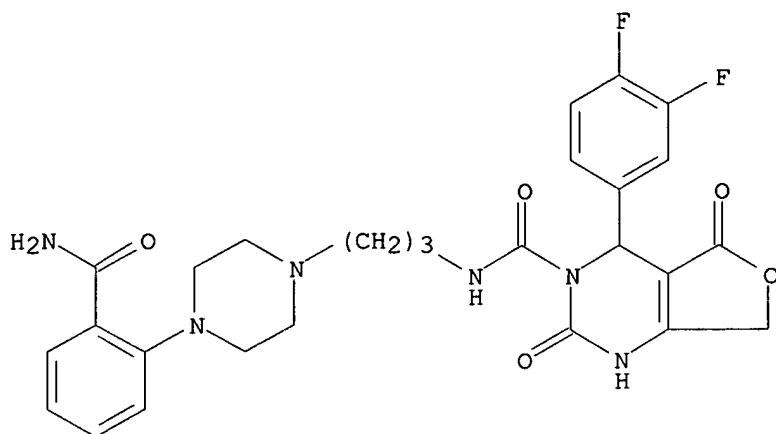
CN Furo[3,4-d]pyrimidine-3(4H)-carboxamide, 4-(3,4-difluorophenyl)-N-[3-(4,4-diphenyl-1-piperidinyll-propyl]-1,2,5,7-tetrahydro-2,5-dioxo- (9CI) (CA INDEX NAME)



RN 263358-27-6 CAPLUS

CN Furo[3,4-d]pyrimidine-3(4H)-carboxamide, N-[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]-4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxo-, (+)- (9CI) (CA INDEX NAME)

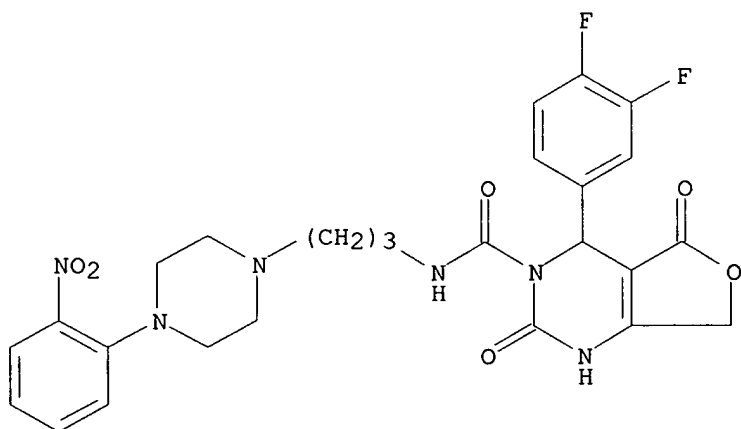
Rotation (+).



RN 263358-28-7 CAPLUS

CN Furo[3,4-d]pyrimidine-3(4H)-carboxamide, 4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-N-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]-2,5-dioxo-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~17~~ ANSWER 13 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 2000:26765 CAPLUS

DN 132:189295

TI Study of the antidepressant activity of 4-phenyl-2-thioxo-benzo[4,5]thieno[2,3-d]pyrimidine derivatives

AU Darias, Victoriano; Abdala, Susana; Martin-Herrera, Domingo; Vega, Salvador

CS Departamento de Farmacologia, Facultad de Farmacia, Universidad de La Laguna, Tenerife, Spain

SO Arzneimittel-Forschung (1999), 49(12), 986-991

CODEN: ARZNAD; ISSN: 0004-4172

PB Editio Cantor Verlag

DT Journal

LA English

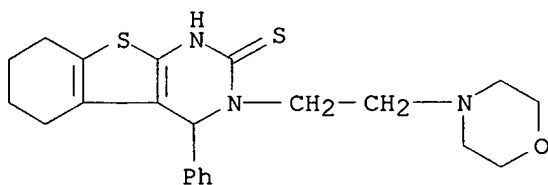
AB A series of 23 4-phenyl-2-thioxo-benzo[4,5]thieno[2,3-d]pyrimidine derivs. were tested for acute toxicity and antidepressant activity in mice. Eight of the 23 compds. tested clearly antagonized the tetrabenazine effects and four of them showed activity values ranging from 40 to 75%, close to those shown by imipramine and viloxazine, the drugs chosen as ref. stds. Some compds. were also notably effective in the Porsolt test, shortening the immobility period of mice by more than 20%. The values obtained were very close to those elicited by imipramine and viloxazine. The most effective compds. in these tests were found among those bearing a primary amine or a benzoylamino group at the position 3 of the thieno[2,3-d]pyrimidine general structure. The substitution of the thioxocarbonyl group at position 2 by a methylmercapto substituent maintained the activity. Some compds. were chosen as prototypes for the design of new mols. with better antidepressant activity. These compds. did not present the adverse anticholinergic effects found in most tricyclic antidepressant drugs.

IT 128352-90-9 128352-91-0 260262-43-9

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antidepressant activity of 4-phenyl-2-thioxo-benzo[4,5]thieno[2,3-d]pyrimidine derivs.)

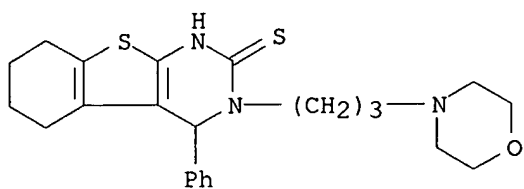
RN 128352-90-9 CAPLUS

CN [1]Benzothieno[2,3-d]pyrimidine-2(1H)-thione, 3,4,5,6,7,8-hexahydro-3-[2-(4-morpholinyl)ethyl]-4-phenyl- (9CI) (CA INDEX NAME)



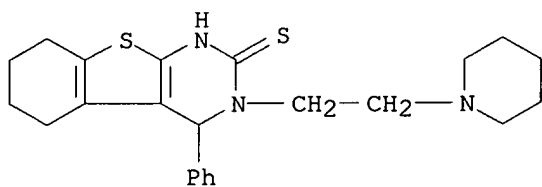
RN 128352-91-0 CAPLUS

CN [1]Benzothieno[2,3-d]pyrimidine-2(1H)-thione, 3,4,5,6,7,8-hexahydro-3-[3-(4-morpholinyl)propyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 260262-43-9 CAPLUS

CN [1]Benzo[thieno[2,3-d]pyrimidine-2(1H)-thione, 3,4,5,6,7,8-hexahydro-4-phenyl-3-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

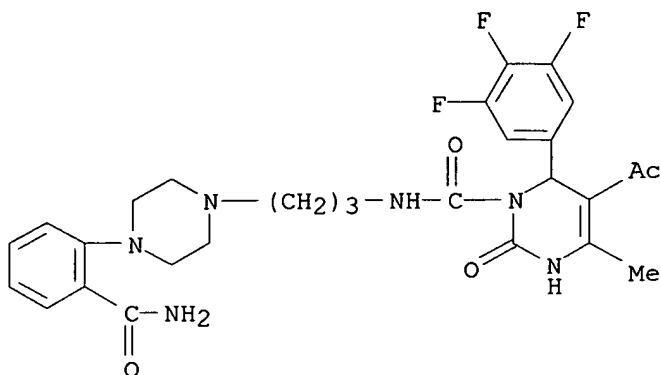
LX ANSWER 14 OF 45 CAPLUS COPYRIGHT 2002 ACS
 AN 1999:680975 CAPLUS
 DN 132:78527
 TI Design and synthesis of novel .alpha.1a adrenoceptor-selective
 antagonists. 3. Approaches to eliminate opioid agonist metabolites by
 using substituted phenylpiperazine side chains
 AU Lagu, Bharat; Tian, Dake; Nagarathnam, Dhanapalan; Marzabadi, Mohammad R.;
 Wong, Wai C.; Miao, Shou W.; Zhang, Fengqi; Sun, Wanying; Chiu, George;
 Fang, James; Forray, Carlos; Chang, Raymond S. L.; Ransom, Richard W.;
 Chen, Tsing B.; O'Malley, Stacey; Zhang, Kanyin; Vyas, Kamlesh P.;
 Gluchowski, Charles
 CS Departments of Chemistry and Pharmacology, Synaptic Pharmaceutical
 Corporation, Paramus, NJ, 07652, USA
 SO Journal of Medicinal Chemistry (1999), 42(23), 4794-4803
 CODEN: JMCMAR; ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 OS CASREACT 132:78527
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Dihydropyrimidinones, such as I, represent a novel class of .alpha.1a
 adrenoceptor antagonists with potential for the treatment of benign
 prostatic hyperplasia (BPH). Anal. of the metabolites of I revealed that
 4-methoxycarbonyl-4-phenylpiperidine is formed as the major metabolite and
 is an agonist at the .mu.-opioid receptor. To circumvent any potential
 liability resulting from the metabolite, the authors decided to identify
 alternate templates devoid of agonist activity at the .mu.-opioid receptor
 to replace the 4-methoxycarbonyl-4-phenylpiperidine moiety. The present
 study describes the synthesis and SAR of dihydropyrimidinones linked to
 substituted 4-phenylpiperazine contg. side chains. Compd. II was
 identified as a lead compd. with a binding and functional profile
 comparable to that of I. The putative metabolite 2-
 carboxamidophenylpiperazine has negligible affinity for the .mu.-opioid
 receptor.
 IT 216310-22-4P 216310-32-6P 216310-54-2P
 253799-47-2P 253799-48-3P 253799-49-4P
 253799-50-7P 253799-51-8P 253799-52-9P
 253799-53-0P 253799-54-1P 253799-55-2P
 253799-56-3P 253799-57-4P 253799-58-5P
 253799-59-6P 253799-60-9P 253799-61-0P
 253799-62-1P 253799-63-2P 253799-64-3P
 253799-65-4P 253799-68-7P 253799-69-8P
 253799-70-1P 253799-71-2P 253799-72-3P
 253799-73-4P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological
 study); PREP (Preparation)
 (prepn., adrenoceptor antagonist activity, and structure-activity
 relationship of phenylpiperazine pyrimidinones)
 RN 216310-22-4 CAPLUS
 CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-N-[3-[4-[2-(aminocarbonyl)phenyl]-1-
 piperazinyl]propyl]-3,6-dihydro-4-methyl-2-oxo-6-(3,4,5-trifluorophenyl)-,

09/855,597

dihydrochloride (9CI) (CA INDEX NAME)

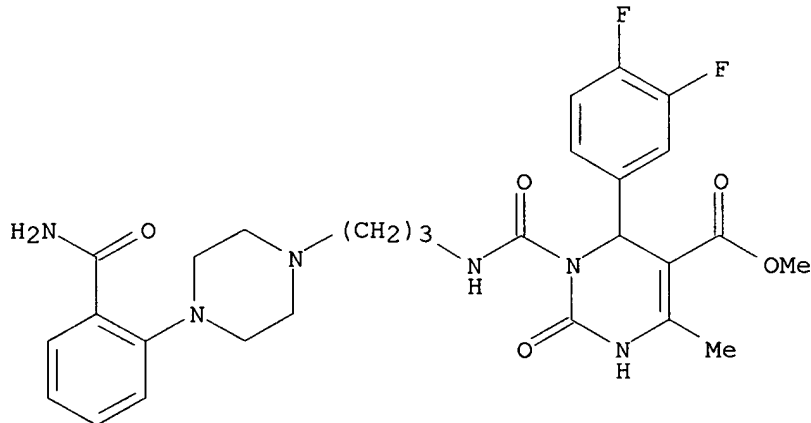


●2 HCl

RN 216310-32-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



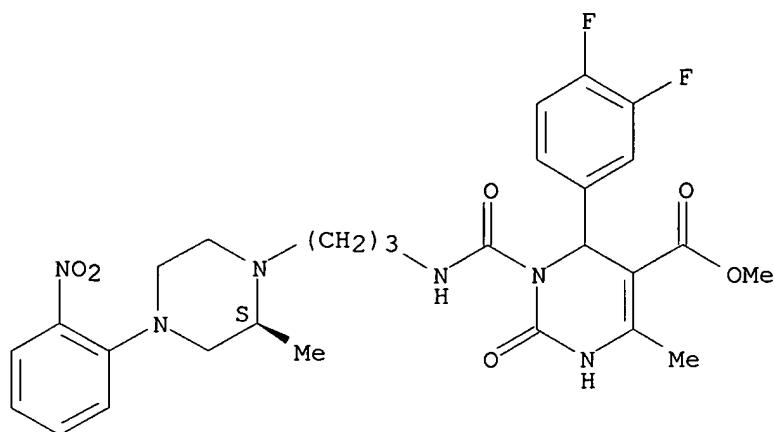
●2 HCl

RN 216310-54-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[(2S)-2-methyl-4-(2-nitrophenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

09/855,597

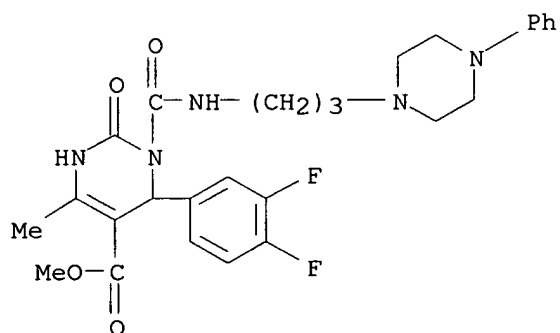
Absolute stereochemistry.



● HCl

RN 253799-47-2 CAPLUS

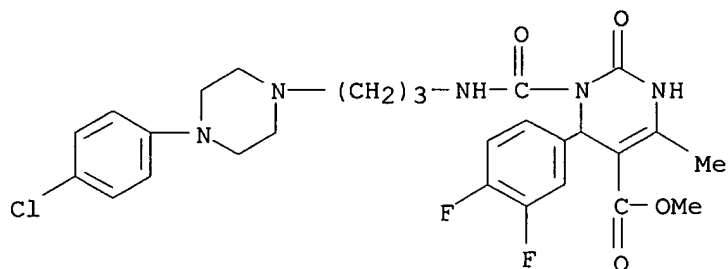
CN 5-Pyrimidinecarboxylic acid, 4-(3,4-difluorophenyl)-1,2,3,4-tetrahydro-6-methyl-2-oxo-3-[[[3-(4-phenyl-1-piperazinyl)propyl]amino]carbonyl]-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

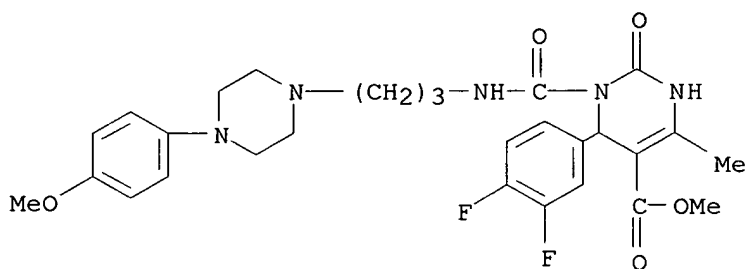
RN 253799-48-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(4-chlorophenyl)-1-piperazinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

 $\bullet 2 \text{ HCl}$

RN 253799-49-4 CAPLUS

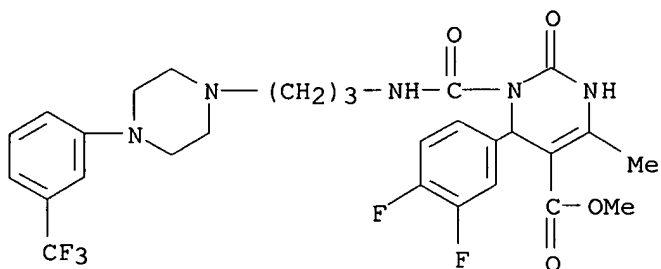
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
[[[3-[4-(4-methoxyphenyl)-1-piperazinyl]propyl]amino]carbonyl]-4-methyl-2-
oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●₂ HCl

RN 253799-50-7 CAPLUS

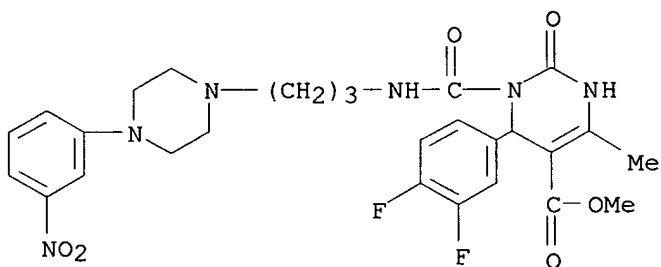
CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-N-[3-[4-[4-(acetyloxy)phenyl]-1-piperazinyl]propyl]-6-(3,4-difluorophenyl)-3,6-dihydro-4-methyl-2-oxo-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 253799-53-0 CAPLUS

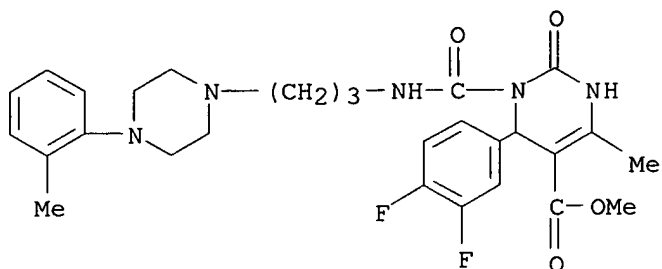
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(3-nitrophenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 253799-54-1 CAPLUS

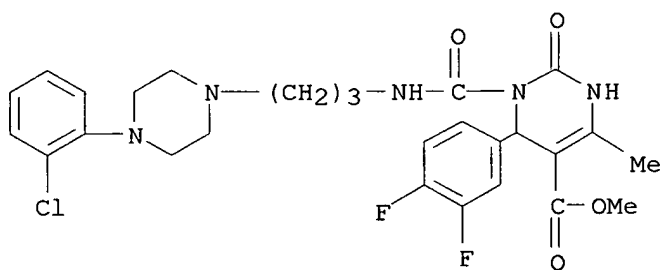
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(2-methylphenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 253799-55-2 CAPLUS

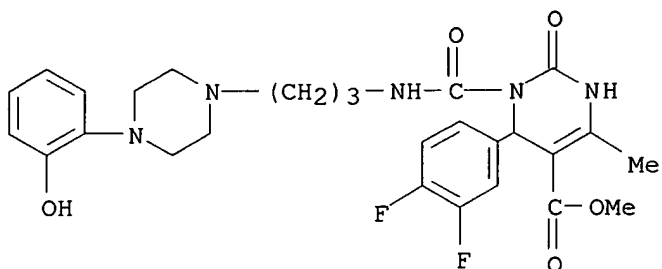
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(2-chlorophenyl)-1-piperazinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 253799-56-3 CAPLUS

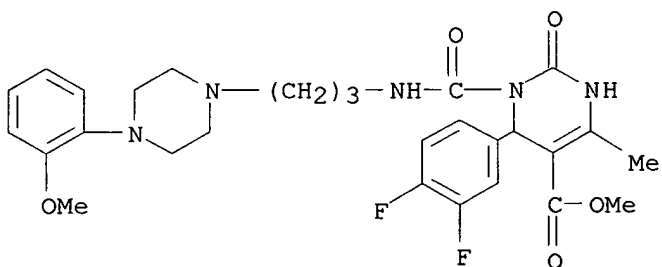
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-(2-hydroxyphenyl)-1-piperazinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 253799-57-4 CAPLUS

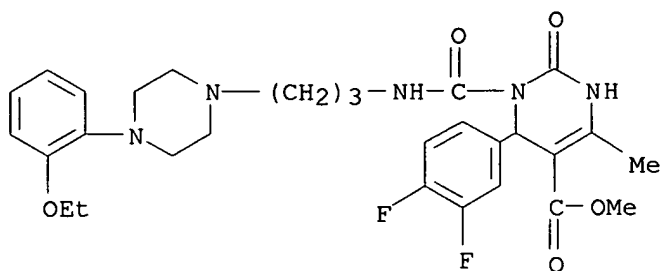
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 253799-58-5 CAPLUS

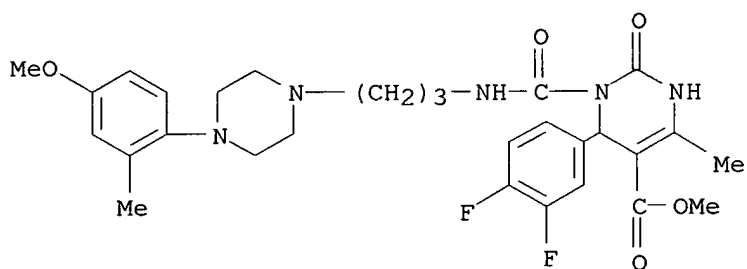
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(2-ethoxyphenyl)-1-piperazinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 253799-59-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
 [[[3-[4-(4-methoxy-2-methylphenyl)-1-piperazinyl]propyl]amino]carbonyl]-4-
 methyl-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

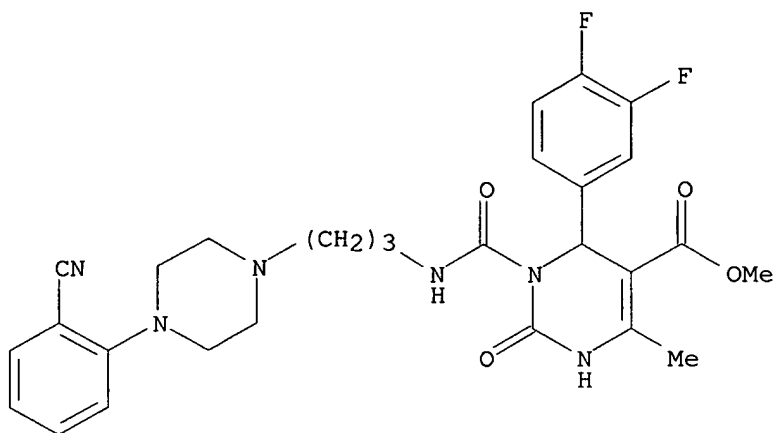


●2 HCl

RN 253799-60-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(2-cyanophenyl)-1-
 piperazinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-
 tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride, (+)- (9CI) (CA
 INDEX NAME)

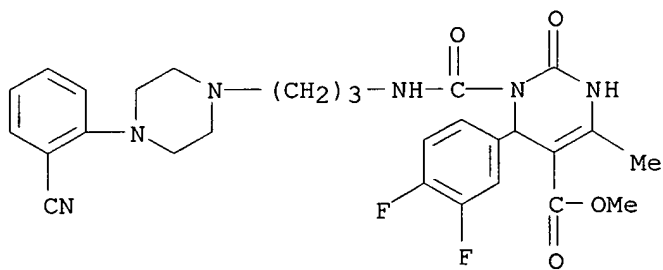
Rotation (+).



●2 HCl

RN 253799-61-0 CAPLUS

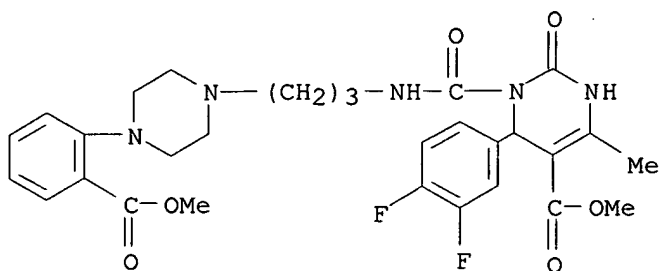
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 253799-62-1 CAPLUS

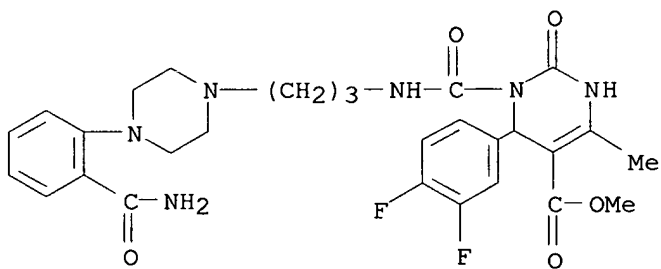
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-[2-(methoxycarbonyl)phenyl]-1-piperazinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 253799-63-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

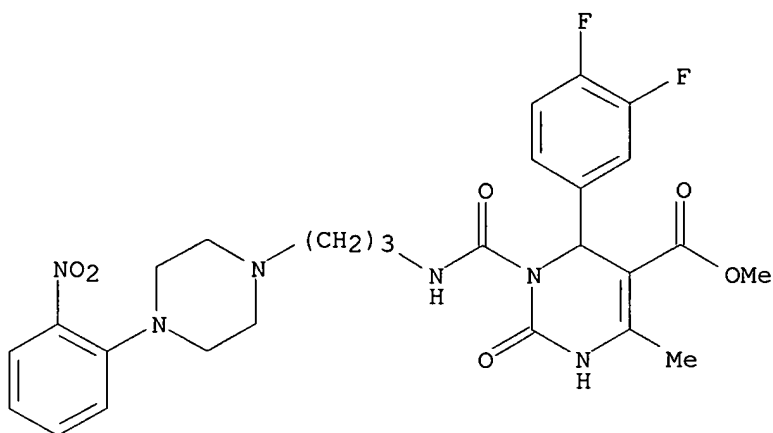


●2 HCl

RN 253799-64-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, dihydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

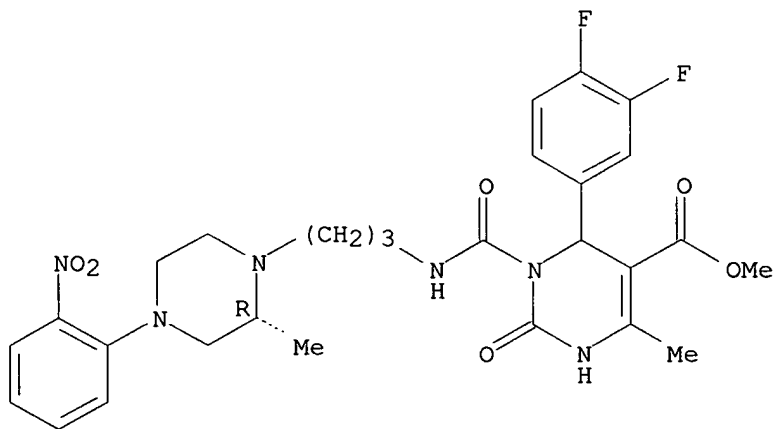


● 2 HCl

RN 253799-65-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[(2R)-2-methyl-4-(2-nitrophenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

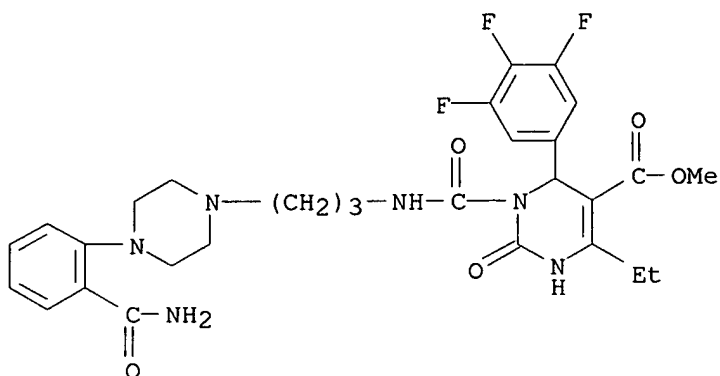
Absolute stereochemistry.



● 2 HCl

RN 253799-68-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]amino]carbonyl]-4-ethyl-1,2,3,6-tetrahydro-2-oxo-6-(3,4,5-trifluorophenyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

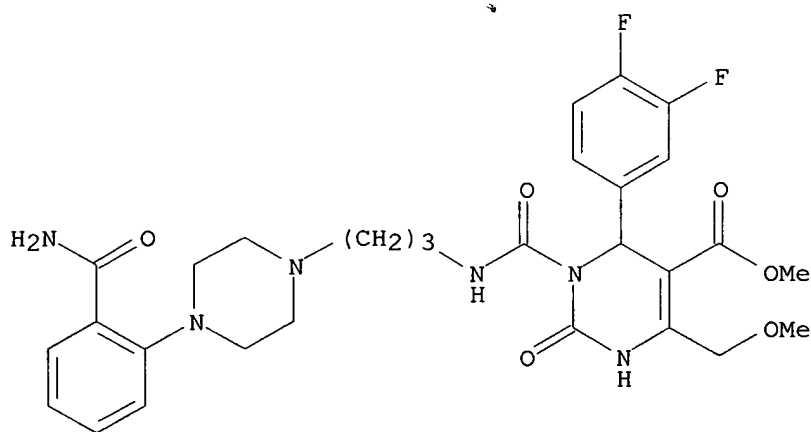


●2 HCl

RN 253799-69-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

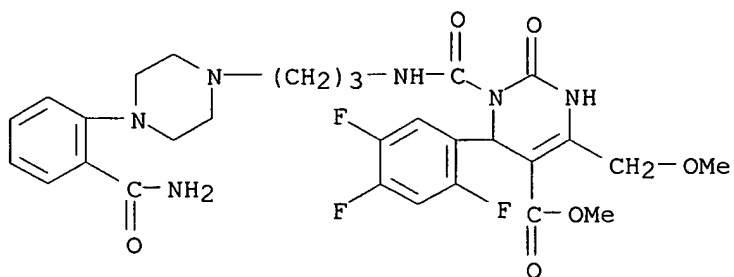
Rotation (+).



●2 HCl

RN 253799-70-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-6-(2,4,5-trifluorophenyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

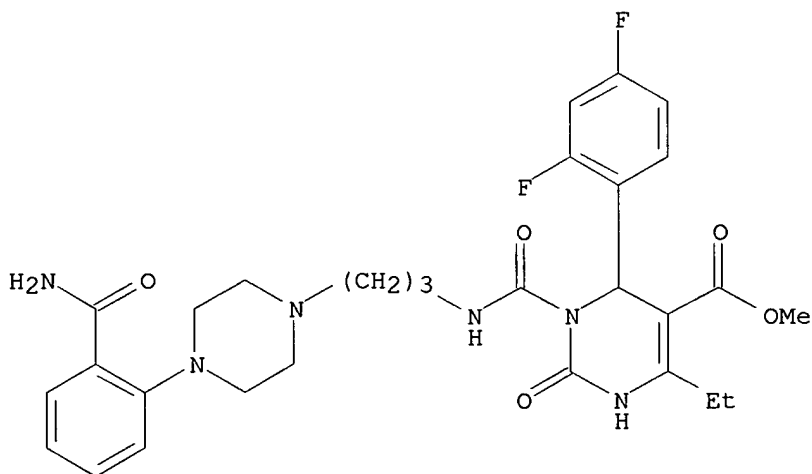


● 2 HCl

RN 253799-71-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]amino]carbonyl]-6-(2,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-2-oxo-, methyl ester, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

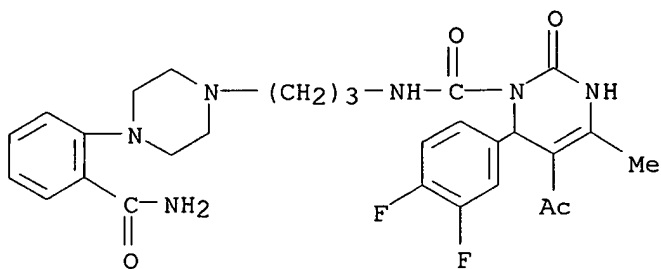
Rotation (+).



● 2 HCl

RN 253799-72-3 CAPLUS

CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-N-[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]-6-(3,4-difluorophenyl)-3,6-dihydro-4-methyl-2-oxo-, dihydrochloride (9CI) (CA INDEX NAME)

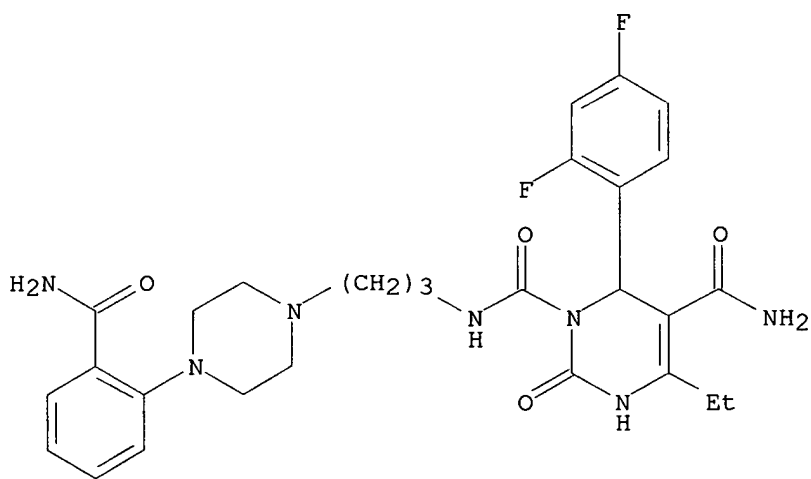


● 2 HCl

RN 253799-73-4 CAPLUS

CN 1,5(6H)-Pyrimidinedicarboxamide, N1-[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]-6-(2,4-difluorophenyl)-4-ethyl-2,3-dihydro-2-oxo-, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



● 2 HCl

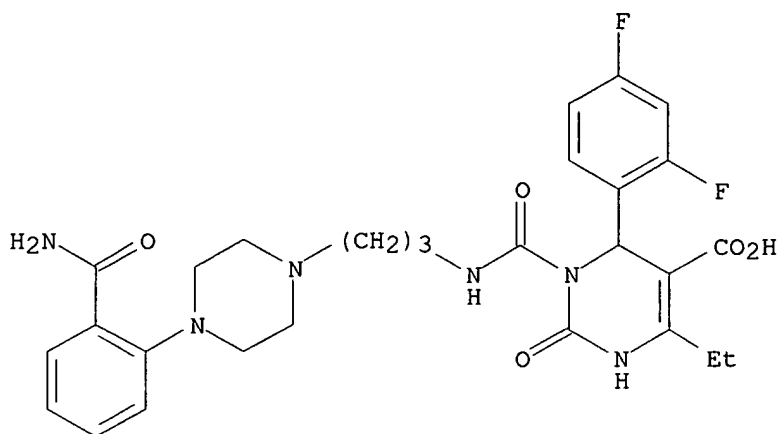
IT 253799-76-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn., adrenoceptor antagonist activity, and structure-activity relationship of phenylpiperazine pyrimidinones)

RN 253799-76-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]amino]carbonyl]-6-(2,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-2-oxo-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



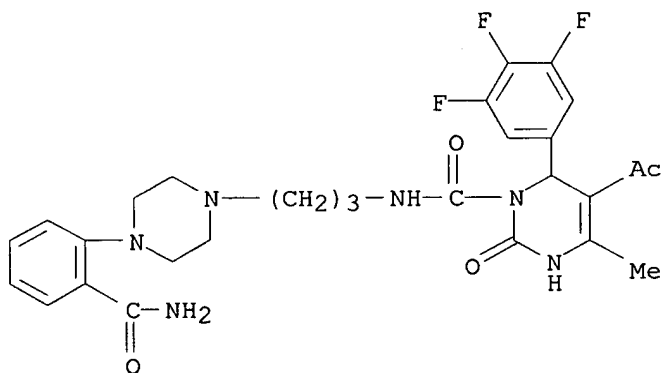
IT 216310-24-6P 253799-67-6P 253799-75-6P
253799-78-9P 253799-79-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn., adrenoceptor antagonist activity, and structure-activity relationship of phenylpiperazine pyrimidinones)

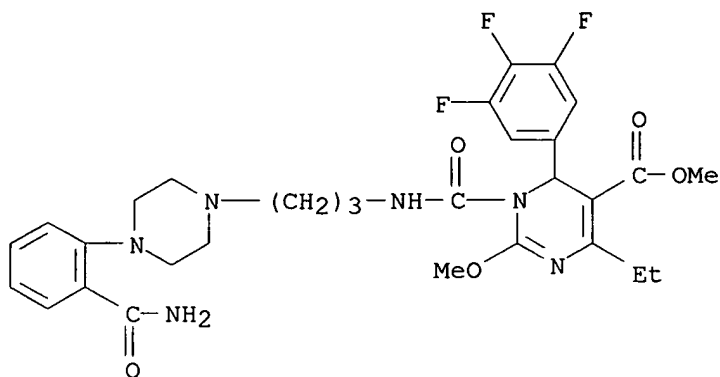
RN 216310-24-6 CAPLUS

CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-N-[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]-3,6-dihydro-4-methyl-2-oxo-6-(3,4,5-trifluorophenyl)- (9CI) (CA INDEX NAME)



RN 253799-67-6 CAPLUS

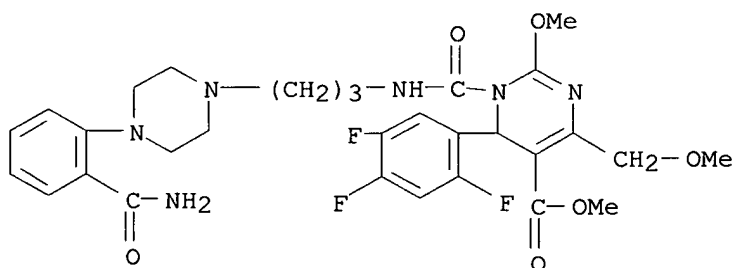
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]amino]carbonyl]-4-ethyl-1,6-dihydro-2-methoxy-6-(3,4,5-trifluorophenyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

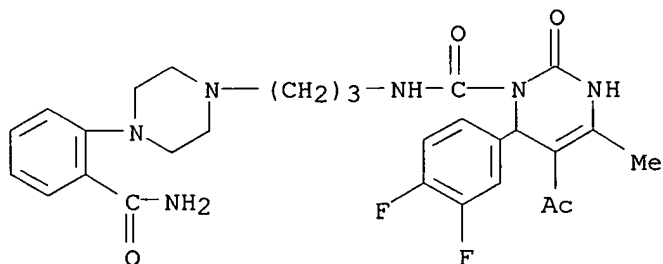
RN 253799-75-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]amino]carbonyl]-1,6-dihydro-2-methoxy-4-(methoxymethyl)-6-(2,4,5-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 253799-78-9 CAPLUS

CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-N-[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]-6-(3,4-difluorophenyl)-3,6-dihydro-4-methyl-2-oxo- (9CI) (CA INDEX NAME)



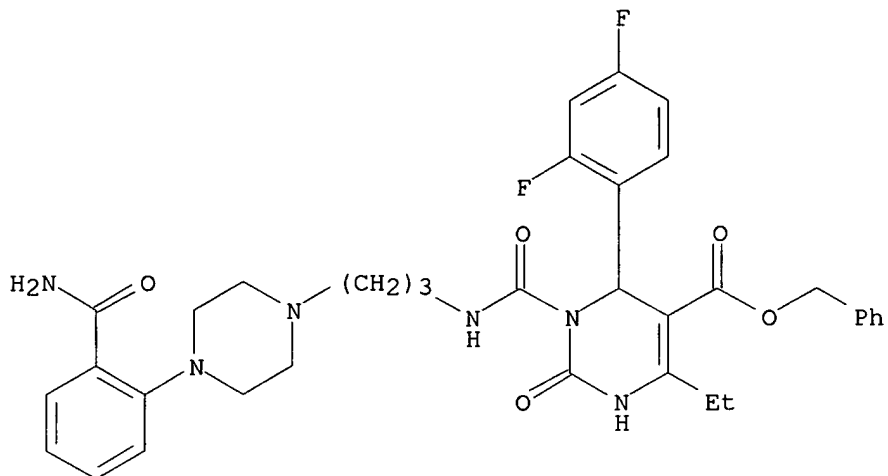
RN 253799-79-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]amino]carbonyl]-6-(2,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydropyrimidin-2(1H)-one

09/855,597

tetrahydro-2-oxo-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 1999:680971 CAPLUS

DN 132:18483

TI Design and Synthesis of Novel .alpha.1a Adrenoceptor-Selective Antagonists. 2. Approaches To Eliminate Opioid Agonist Metabolites via Modification of Linker and 4-Methoxycarbonyl-4-phenylpiperidine Moiety^{1,2}

AU Dhar, T. G. Murali; Nagarathnam, Dhanapalan; Marzabadi, Mohammad R.; Lagu, Bharat; Wong, Wai C.; Chiu, George; Tyagarajan, Sriram; Miao, Shou Wu; Zhang, Fengqi; Sun, Wanying; Tian, Dake; Shen, Quanrong; Zhang, Jack; Wetzel, John M.; Forray, Carlos; Chang, Raymond S. L.; Broten, Theodore P.; Schorn, Terry W.; Chen, Tsing Bao; O'Malley, Stacy; Ransom, Richard; Schneck, Kathryn; Bendesky, Robert; Harrell, Charles M.; Vyas, Kamlesh P.; Zhang, Kanyin; Gilbert, John; Pettibone, Douglas J.; Patane, Michael A.; Bock, Mark G.; Freidinger, Roger M.; Gluchowski, Charles

CS Departments of Chemistry and Pharmacology, Synaptic Pharmaceutical Corporation, Paramus, NJ, 07652, USA

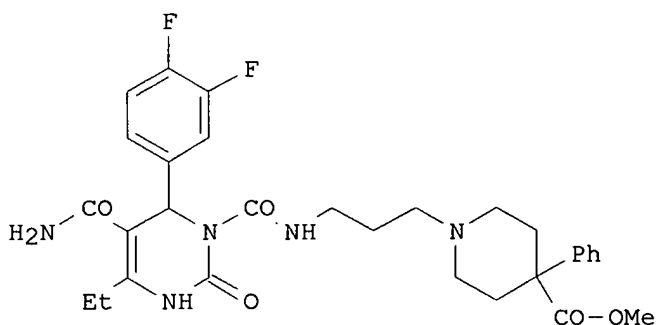
SO Journal of Medicinal Chemistry (1999), 42(23), 4778-4793
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

GI



I

AB We have previously described compd. I as a high-affinity subtype selective .alpha.1a antagonist. In vitro and in vivo evaluation of compd. I showed its major metabolite to be a .mu.-opioid agonist, 4-methoxycarbonyl-4-phenylpiperidine (II). Several dihydropyrimidinone analogs were synthesized with the goal of either minimizing the formation of II by modification of the linker or finding alternative piperidine moieties which when cleaved as a consequence of metab. would not give rise to .mu.-opioid activity. Modification of the linker gave several compds. with good .alpha.1a binding affinity ($K_i = < 1$ nM) and selectivity (>300-fold over .alpha.1b and .alpha.1d). In vitro anal. in the microsomal assay revealed these modifications did not significantly affect N-dealkylation and the formation of the piperidine II. The second approach, however, yielded several piperidine replacements for II, which did not show significant .mu.-opioid activity. Several of these compds. maintained good affinity at the .alpha.1a adrenoceptor and selectivity over .alpha.1b and .alpha.1d. Two compds., in addn. to their excellent

selectivity (>880-fold) over .alpha.1b and .alpha.1d, also showed good selectivity over several other recombinant human G-protein coupled receptors. The two compds. also showed good functional potency in isolated human prostate tissues, with Kbs comparable to their in vitro .alpha.1a binding data. One compd. also exhibited good uroselectivity (DBP Kb/IUP Kb > 20-fold) in the in vivo expts. in dogs, similar to I.

IT 200050-57-3P 216310-60-0P

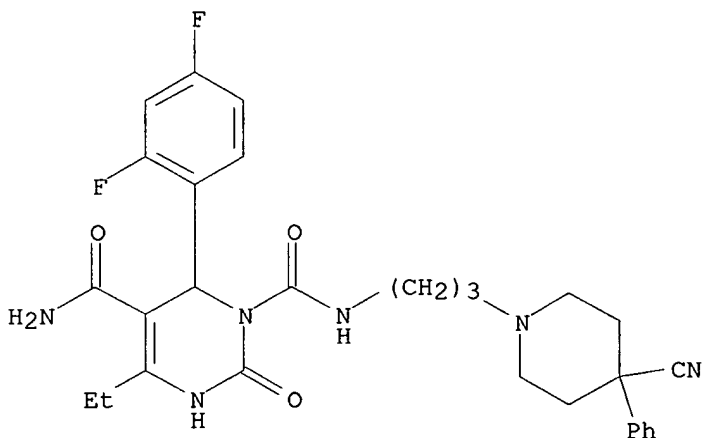
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(design and synthesis of dihydropyrimidone .alpha.1a adrenoceptor antagonists devoid of opioid agonist activity)

RN 200050-57-3 CAPLUS

CN 1,5(2H)-Pyrimidinedicarboxamide, N1-[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]-6-(2,4-difluorophenyl)-4-ethyl-3,6-dihydro-2-oxo-, (+)- (9CI) (CA INDEX NAME)

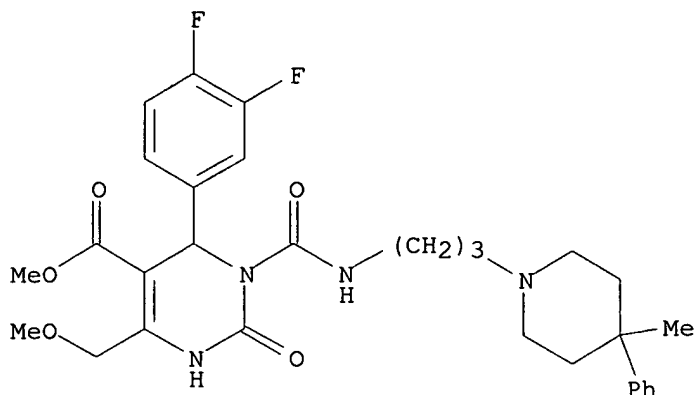
Rotation (+).



RN 216310-60-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-(4-methyl-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



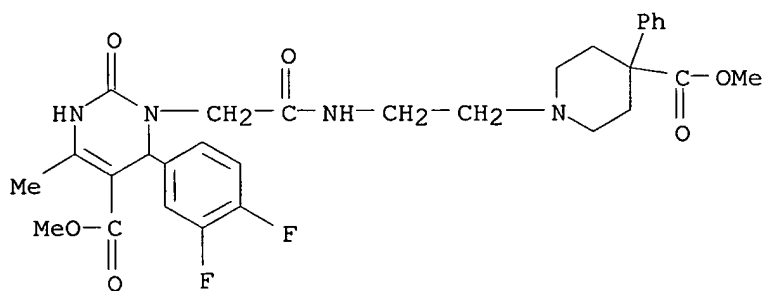
IT 216311-39-6P 252002-03-2P 252002-06-5P
 252002-22-5P 252002-23-6P 252002-24-7P
 252002-25-8P 252002-26-9P 252002-27-0P
 252002-28-1P 252002-29-2P 252002-30-5P
 252002-31-6P 252002-32-7P 252002-33-8P
 252002-38-3P 252002-39-4P 252002-40-7P
 252002-41-8P 252002-42-9P 252002-48-5P
 252002-49-6P 252002-50-9P 252002-51-0P

RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(design and synthesis of dihydropyrimidinone .alpha.1a adrenoceptor antagonists devoid of opioid agonist activity)

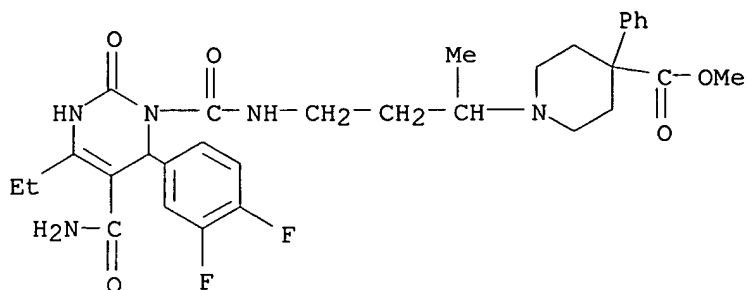
RN 216311-39-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[2-[[2-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]ethyl]amino]-2-oxoethyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



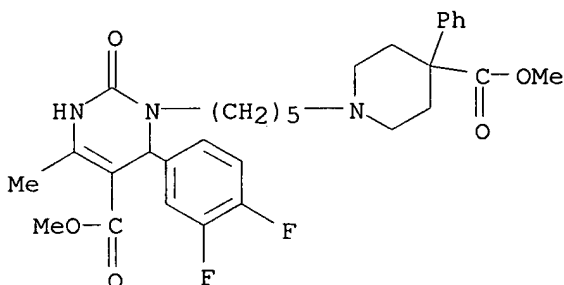
RN 252002-03-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[5-(aminocarbonyl)-6-(3,4-difluorophenyl)-4-ethyl-3,6-dihydro-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]-1-methylpropyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)



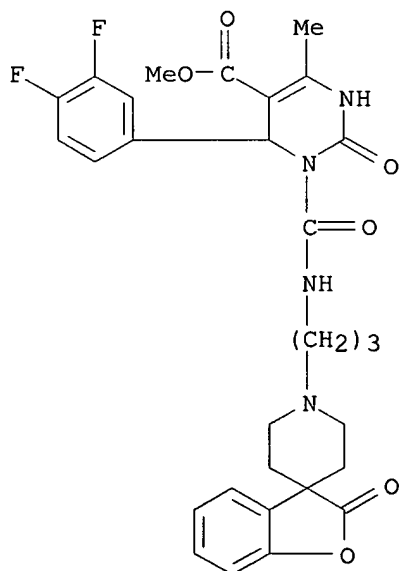
RN 252002-06-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



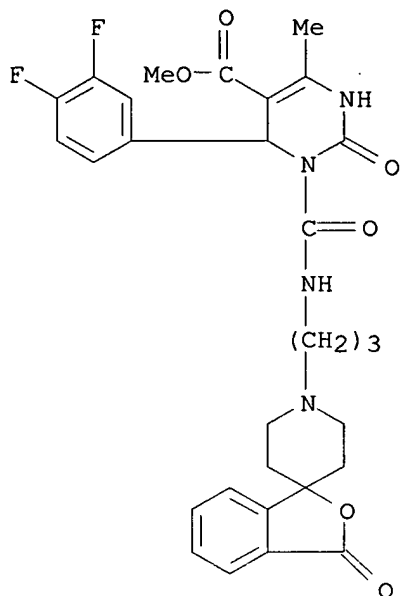
RN 252002-22-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[3-(2-oxospiro[benzofuran-3(2H),4'-piperidin]-1'-yl)propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



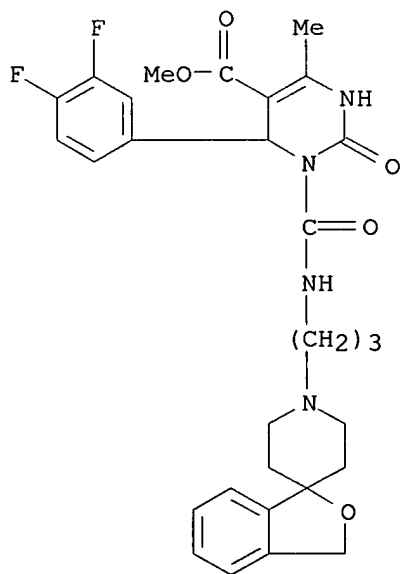
RN 252002-23-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-(3-oxospiro[isobenzofuran-1(3H),4'-piperidin]-1'-yl)propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 252002-24-7 CAPLUS

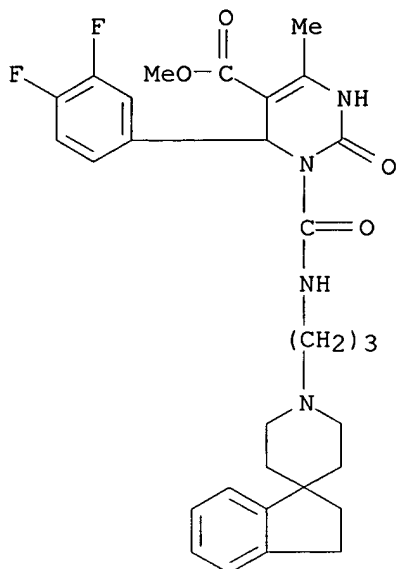
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-yl)propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



09/855,597

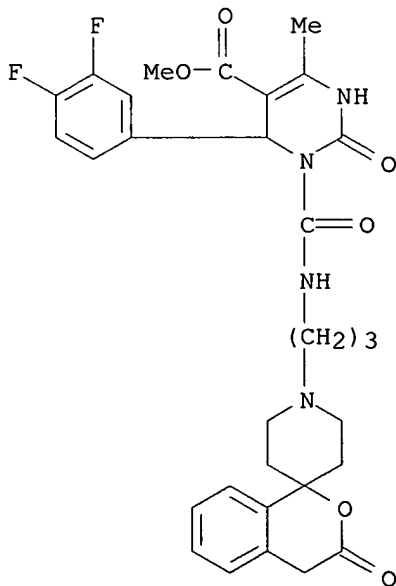
RN 252002-25-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



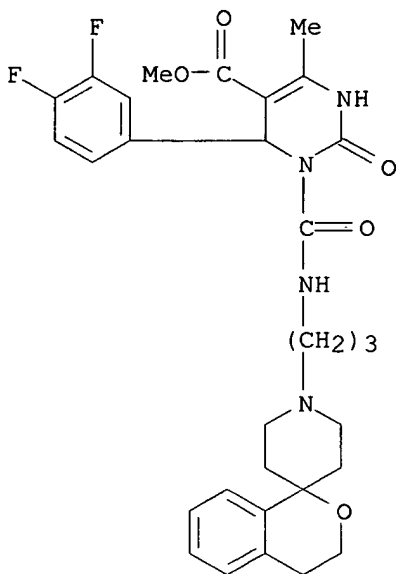
RN 252002-26-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(3,4-dihydro-3-oxospiro[1H-2-benzopyran-1,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



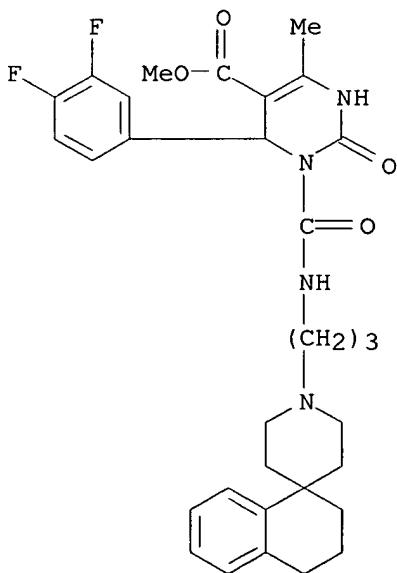
RN 252002-27-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(3,4-dihydrospiro[1H-2-benzopyran-1,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 252002-28-1 CAPLUS

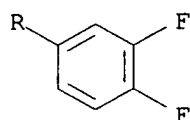
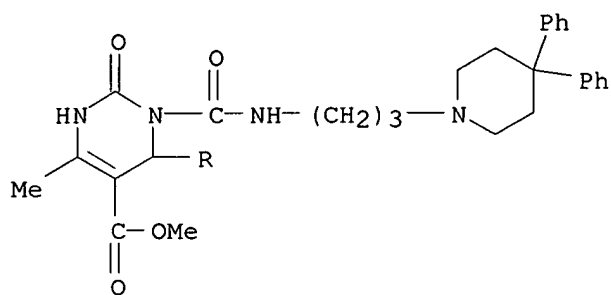
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(3,4-dihydrospiro[naphthalene-1(2H),4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 252002-29-2 CAPLUS

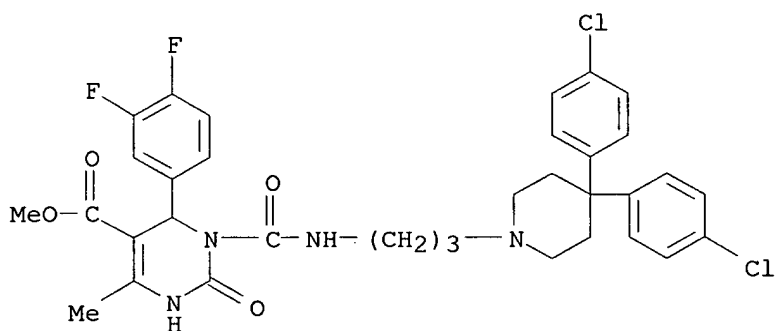
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(4,4-diphenyl-1-piperidinyl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-,

methyl ester (9CI) (CA INDEX NAME)



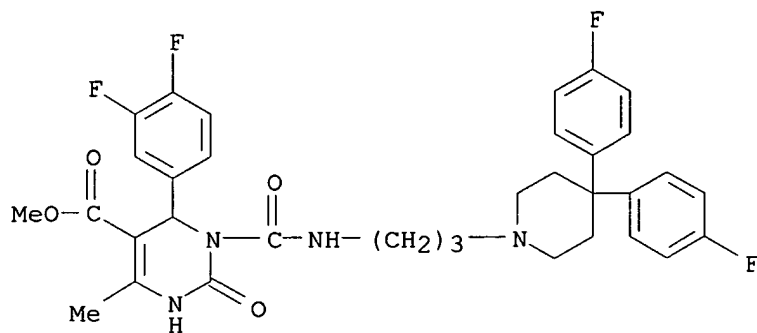
RN 252002-30-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4,4-bis(4-chlorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



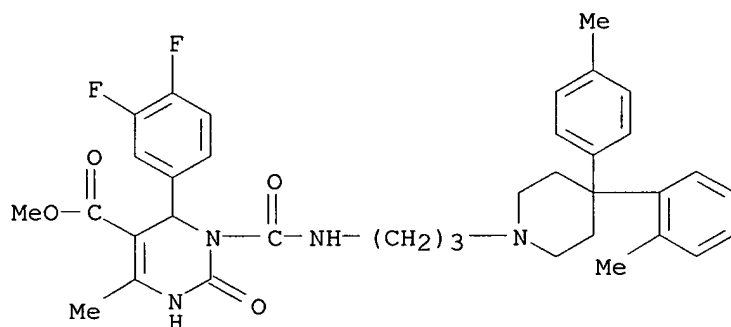
RN 252002-31-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4,4-bis(4-fluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



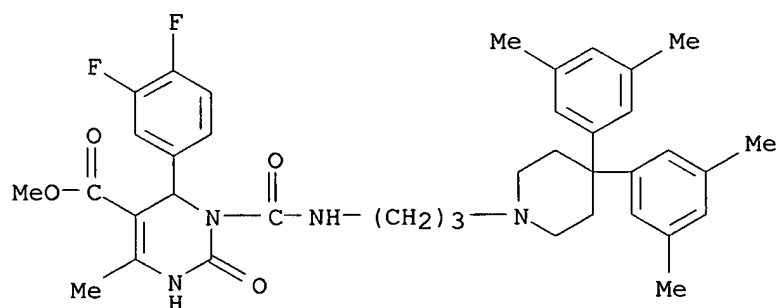
RN 252002-32-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 252002-33-8 CAPLUS

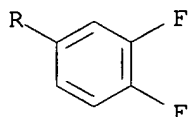
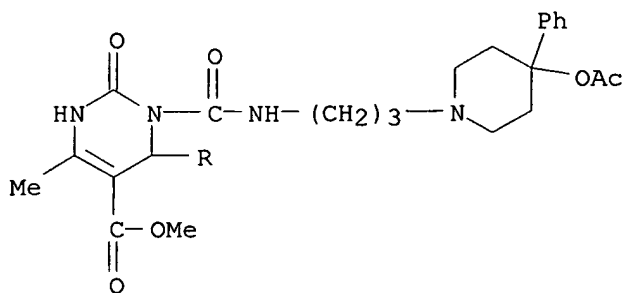
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4,4-bis(3,5-dimethylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 252002-38-3 CAPLUS

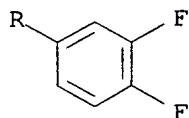
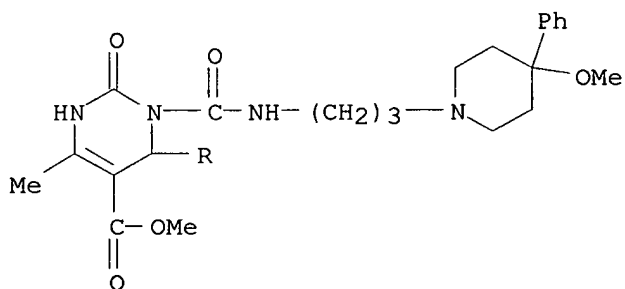
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(acetyloxy)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-

tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



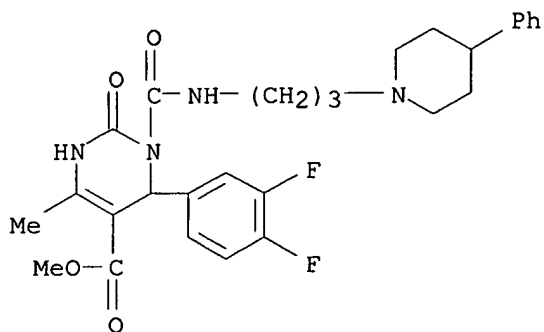
RN 252002-39-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-(4-methoxy-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



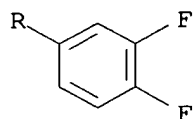
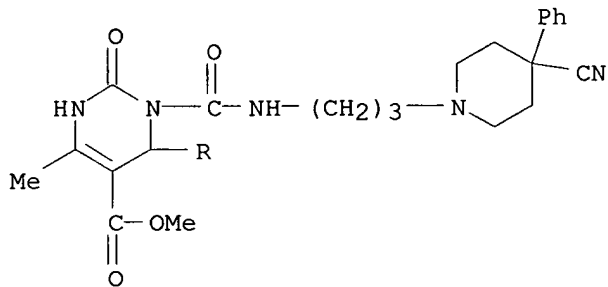
RN 252002-40-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-(4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



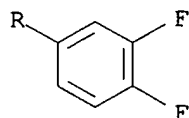
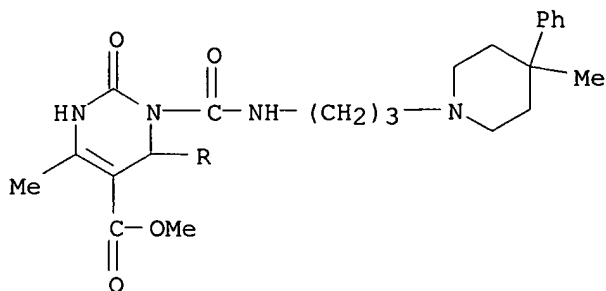
RN 252002-41-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidiny)propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 252002-42-9 CAPLUS

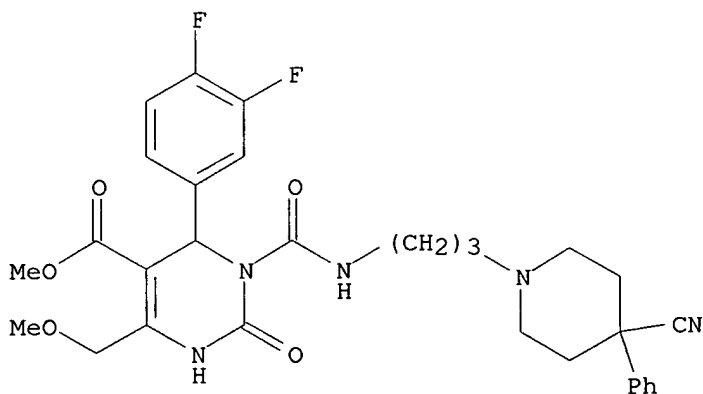
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-(4-methyl-4-phenyl-1-piperidiny)propyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 252002-48-5 CAPLUS

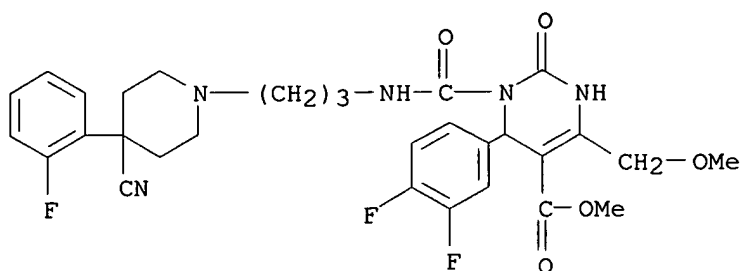
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



RN 252002-49-6 CAPLUS

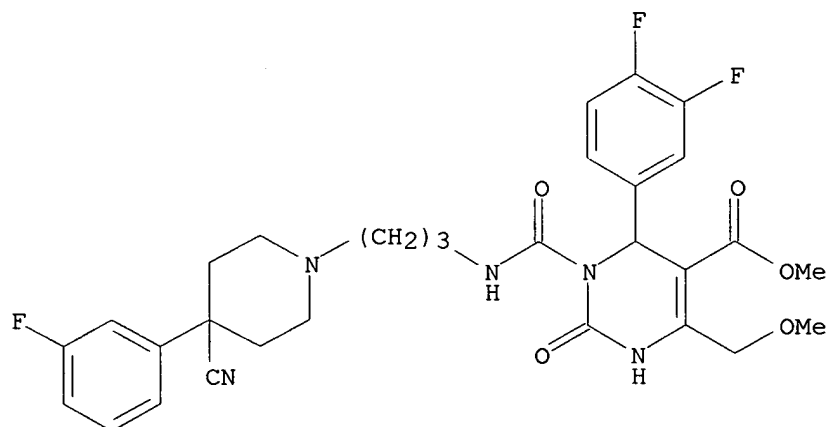
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-cyano-4-(2-fluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 252002-50-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-cyano-4-(3-fluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

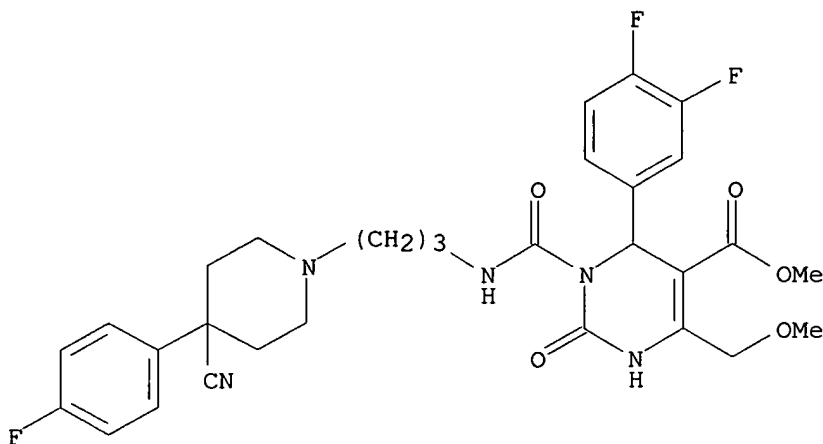
Rotation (+).



RN 252002-51-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-cyano-4-(4-fluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

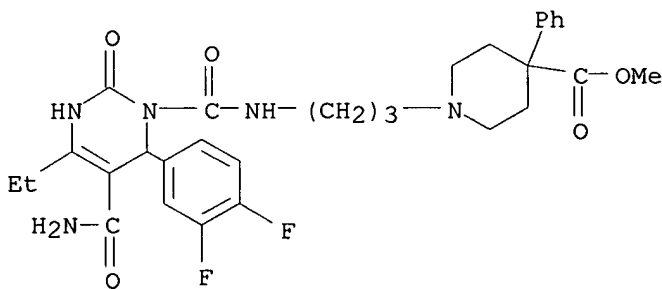


IT **252201-38-0**, SNAP 6201

RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (design and synthesis of dihydropyrimidone .alpha.1a adrenoceptor antagonists devoid of opioid agonist activity)

RN 252201-38-0 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[5-(aminocarbonyl)-6-(3,4-difluorophenyl)-4-ethyl-3,6-dihydro-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)

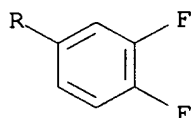
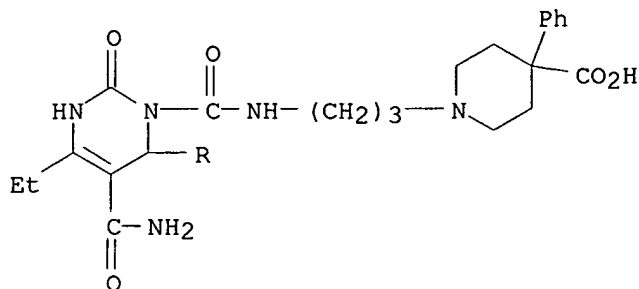


IT **252001-96-0**

RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative) (design and synthesis of dihydropyrimidone .alpha.1a adrenoceptor antagonists devoid of opioid agonist activity)

RN 252001-96-0 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[5-(aminocarbonyl)-6-(3,4-difluorophenyl)-4-ethyl-3,6-dihydro-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]-4-phenyl- (9CI) (CA INDEX NAME)



IT 216310-93-9P 216310-94-0P 252001-99-3P

252002-01-0P

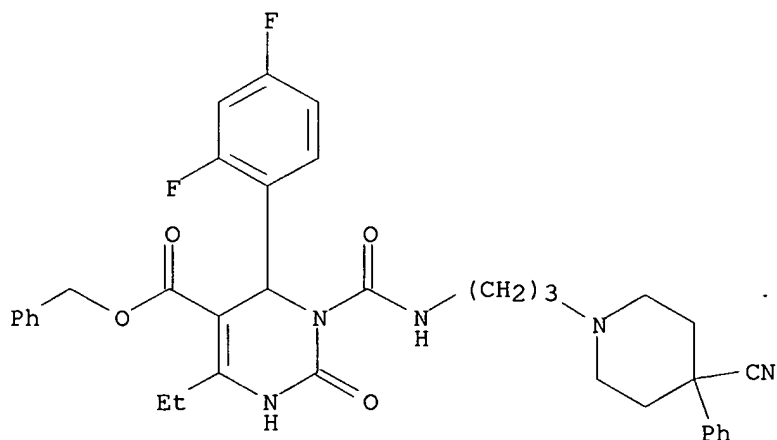
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(design and synthesis of dihydropyrimidone .alpha.1a adrenoceptor antagonists devoid of opioid agonist activity)

RN 216310-93-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-6-(2,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-2-oxo-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

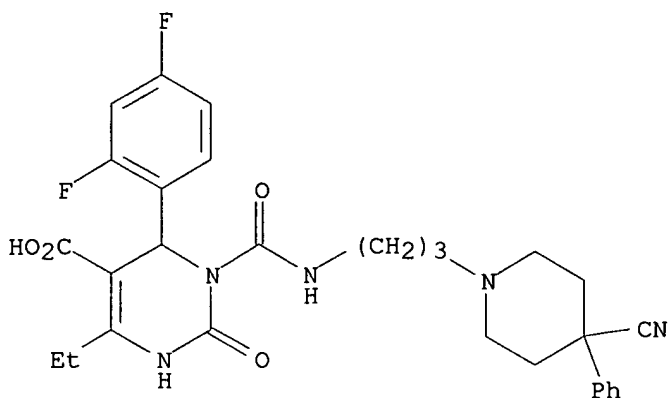
Rotation (+).



RN 216310-94-0 CAPLUS

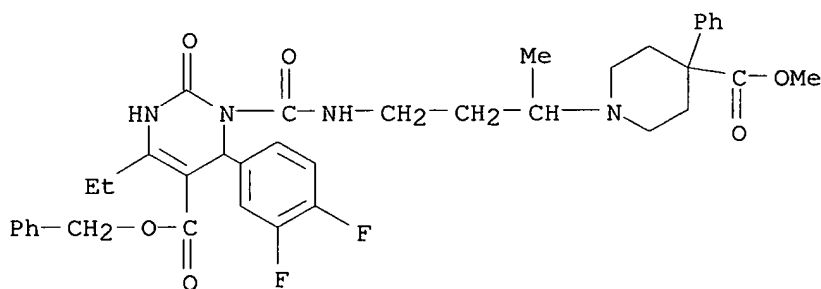
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-6-(2,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-2-oxo-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



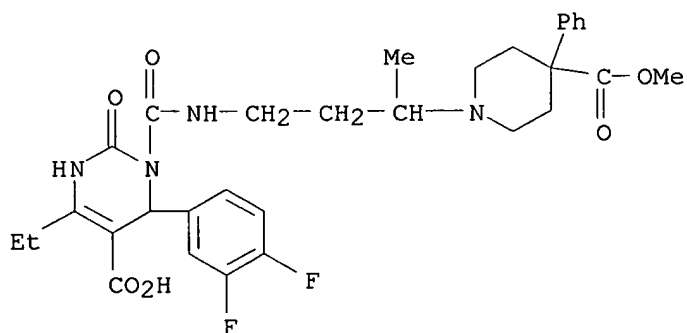
RN 252001-99-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]butyl]amino]carbonyl]-2-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 252002-01-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]butyl]amino]carbonyl]-2-oxo- (9CI) (CA INDEX NAME)



IT 200051-17-8P 216310-38-2P 216310-62-2P
 252002-04-3P 252002-52-1P 252002-53-2P
 252002-63-4P 252002-65-6P 252002-67-8P

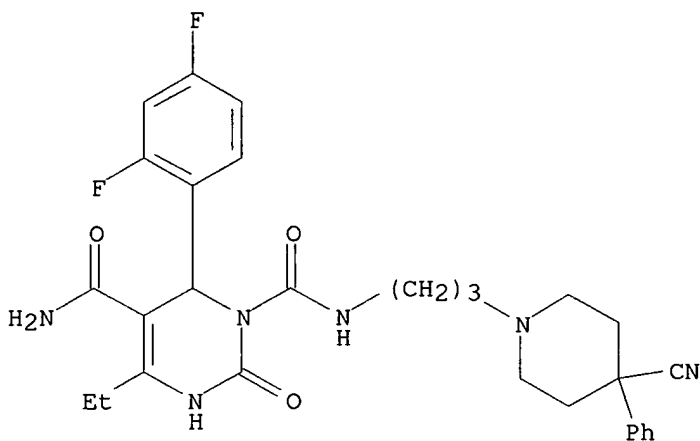
252002-69-0P 252002-71-4P 252002-72-5P
 252002-73-6P 252002-74-7P 252002-77-0P
 252002-78-1P 252002-80-5P 252002-82-7P
 252002-84-9P 252002-86-1P 252002-88-3P
 252002-90-7P 252002-93-0P 252002-94-1P
 252002-95-2P 252002-97-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (design and synthesis of dihydropyrimidone .alpha.1a adrenoceptor
 antagonists devoid of opioid agonist activity)

RN 200051-17-8 CAPLUS

CN 1,5(2H)-Pyrimidinedicarboxamide, N1-[3-(4-cyano-4-phenyl-1-
 piperidinyl)propyl]-6-(2,4-difluorophenyl)-4-ethyl-3,6-dihydro-2-oxo-,
 monohydrochloride, (+)-(9CI) (CA INDEX NAME)

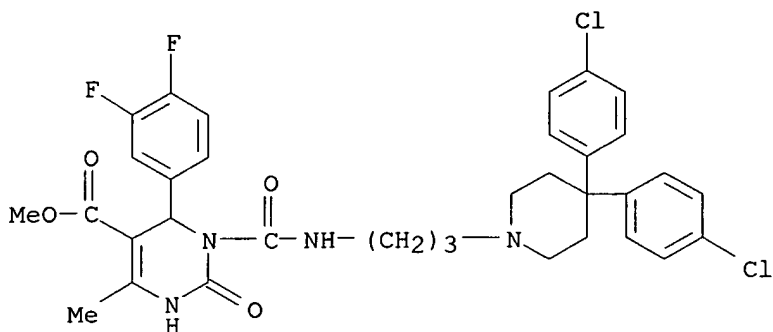
Rotation (+).



● HCl

RN 216310-38-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4,4-bis(4-chlorophenyl)-1-
 piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-
 tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA
 INDEX NAME)

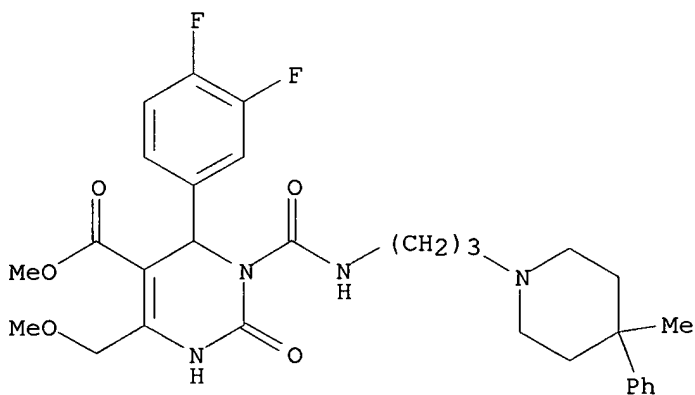


● HCl

RN 216310-62-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-(4-methyl-4-phenyl-1-piperidiny)propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

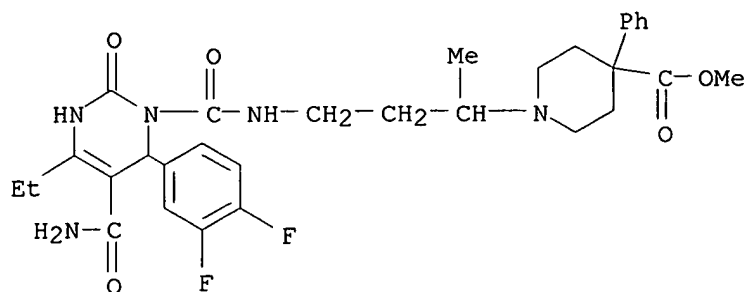
Rotation (+).



● HCl

RN 252002-04-3 CAPLUS

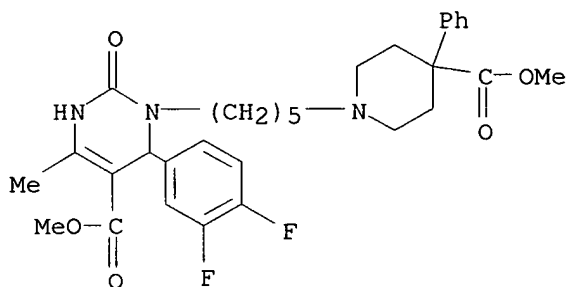
CN 4-Piperidinecarboxylic acid, 1-[3-[[[5-(aminocarbonyl)-6-(3,4-difluorophenyl)-4-ethyl-3,6-dihydro-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]-1-methylpropyl]-4-phenyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 252002-52-1 CAPLUS

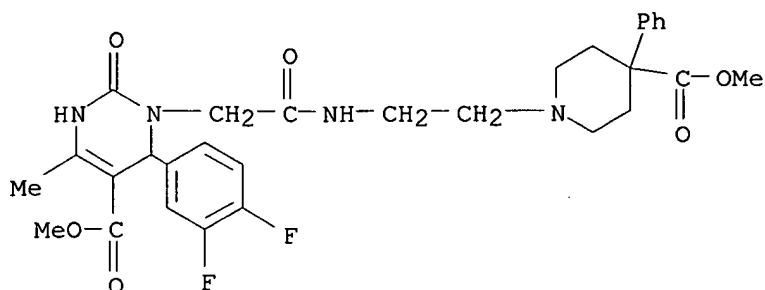
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

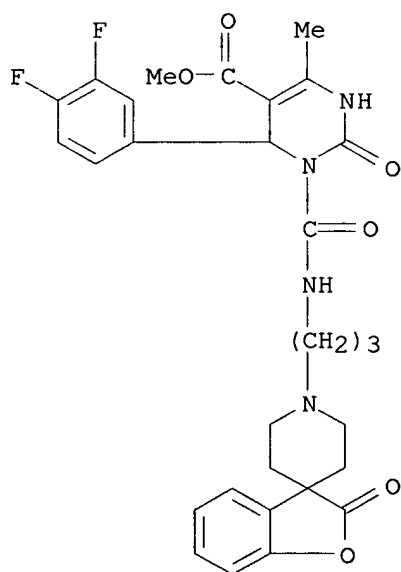
RN 252002-53-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[2-[[2-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]ethyl]amino]-2-oxoethyl]-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



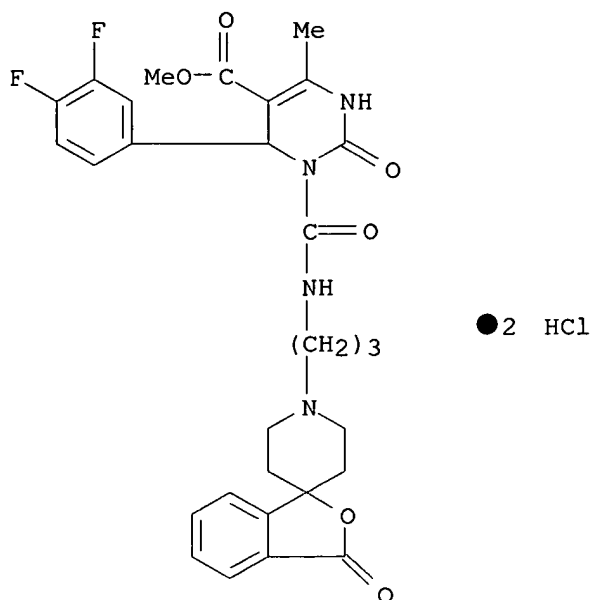
● HCl

RN 252002-63-4 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-(2-oxospiro[benzofuran-3(2H),4'-piperidin]-1'-yl)propyl]amino]carbonyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



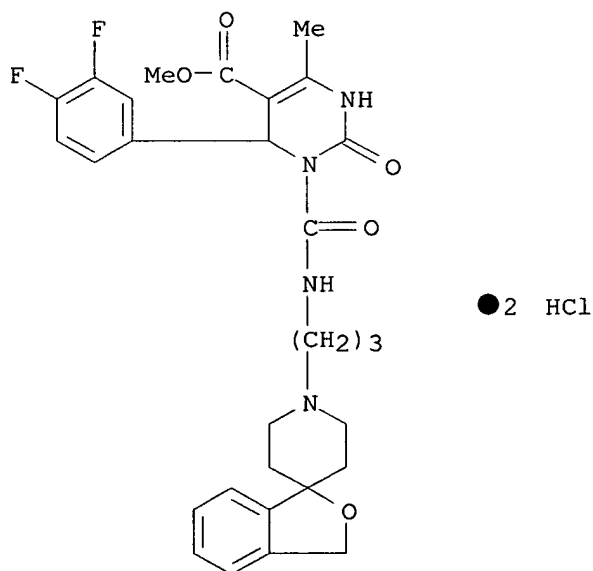
● HCl

RN 252002-65-6 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-(3-oxospiro[isobenzofuran-1(3H),4'-piperidin]-1'-yl)propyl]amino]carbonyl]-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



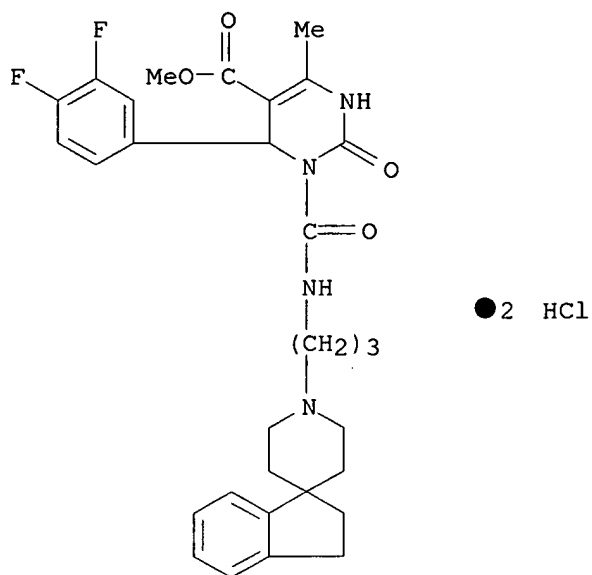
RN 252002-67-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[3-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-yl]propyl]amino]carbonyl]-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



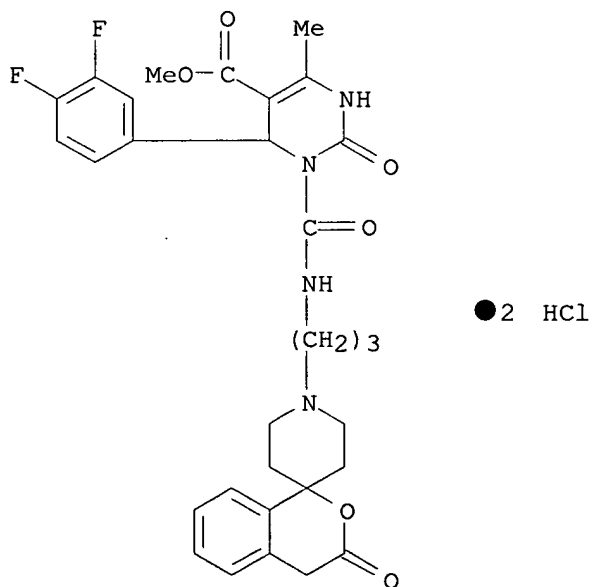
RN 252002-69-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



RN 252002-71-4 CAPLUS

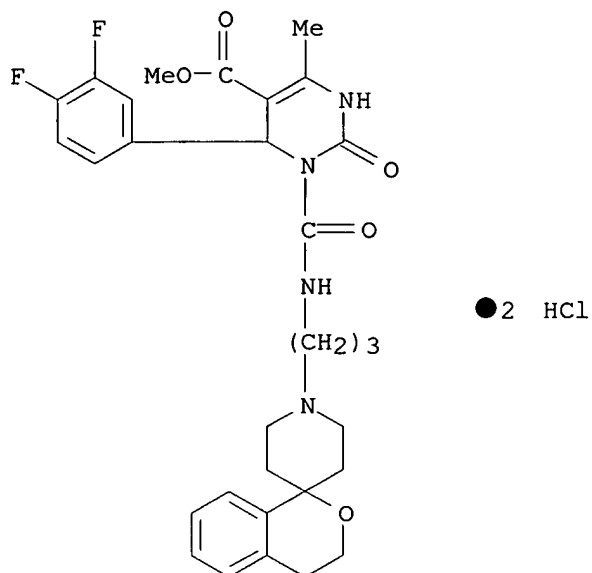
5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(3,4-dihydro-3-oxospiro[1H-2-benzopyran-1,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride (9CI)
(CA INDEX NAME)



RN 252002-72-5 CAPLUS

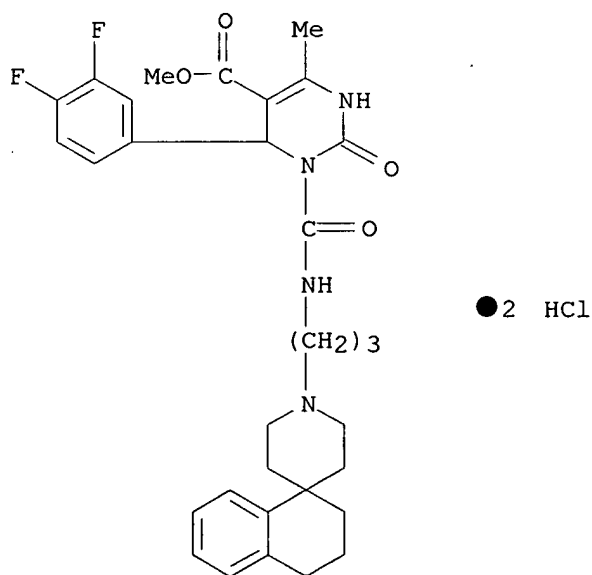
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(3,4-dihydrospiro[1H-2-benzopyran-1,4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride (9CI)

(CA INDEX NAME)



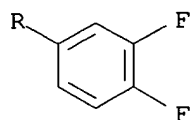
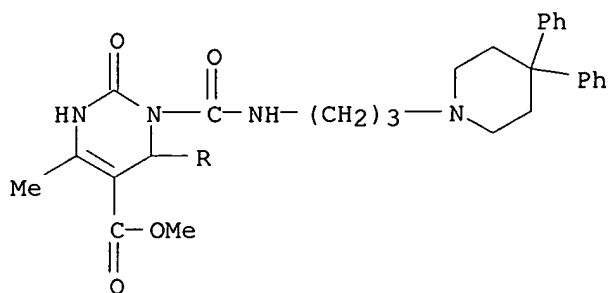
RN 252002-73-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(3,4-dihydrospiro[naphthalene-1(2H),4'-piperidin]-1'-yl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride (9CI)
(CA INDEX NAME)



RN 252002-74-7 CAPLUS

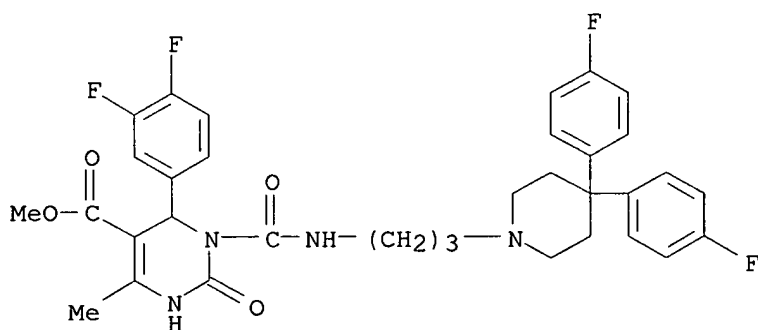
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(4,4-diphenyl-1-piperidinyl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 252002-77-0 CAPLUS

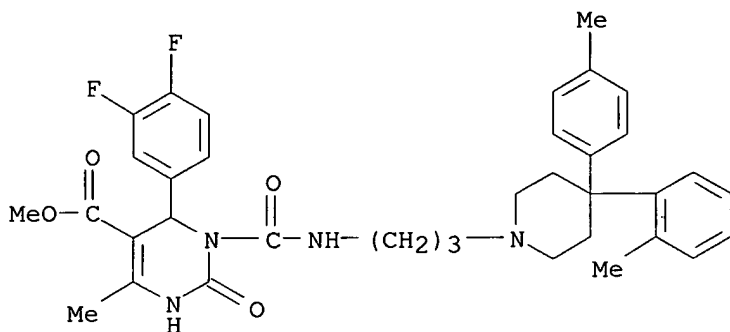
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4,4-bis(4-fluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 252002-78-1 CAPLUS

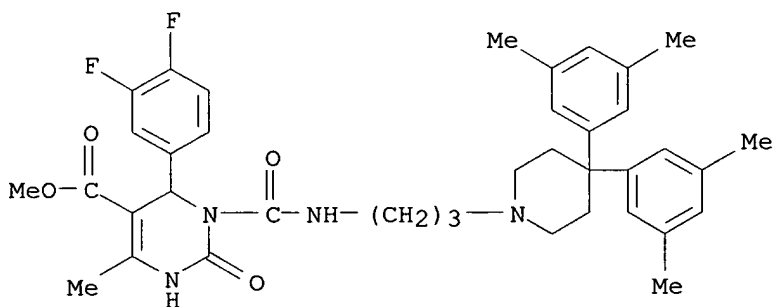
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 252002-80-5 CAPLUS

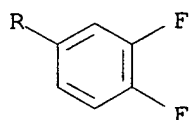
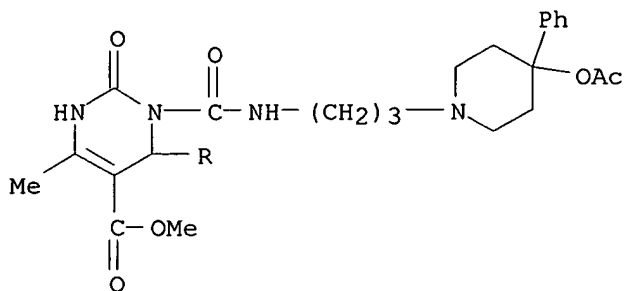
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4,4-bis(3,5-dimethylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 252002-82-7 CAPLUS

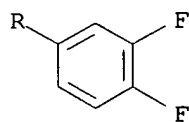
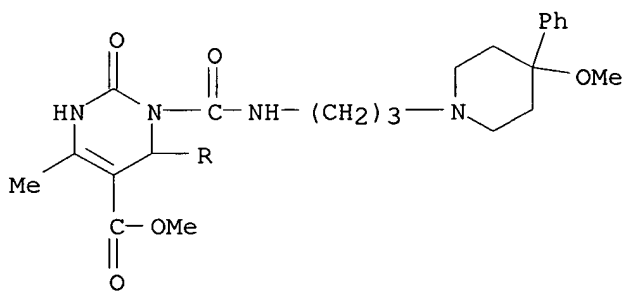
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(acetyloxy)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 252002-84-9 CAPLUS

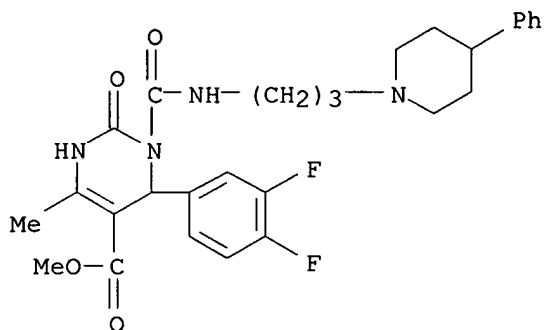
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-(4-methoxy-4-phenyl-1-piperidiny)propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 252002-86-1 CAPLUS

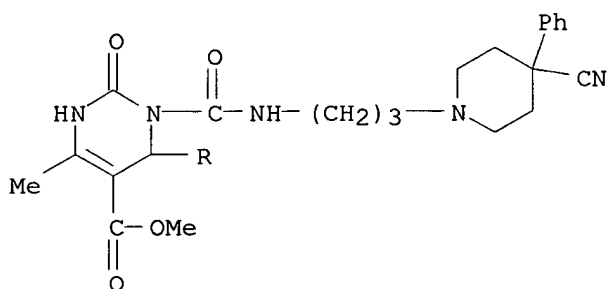
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-(4-phenyl-1-piperidiny)propyl]amino]carbonyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 252002-88-3 CAPLUS

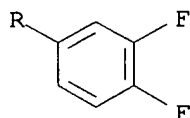
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

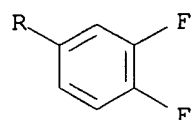
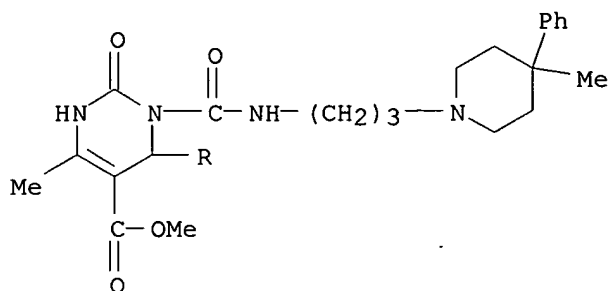


● HCl

RN 252002-90-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-(4-methyl-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



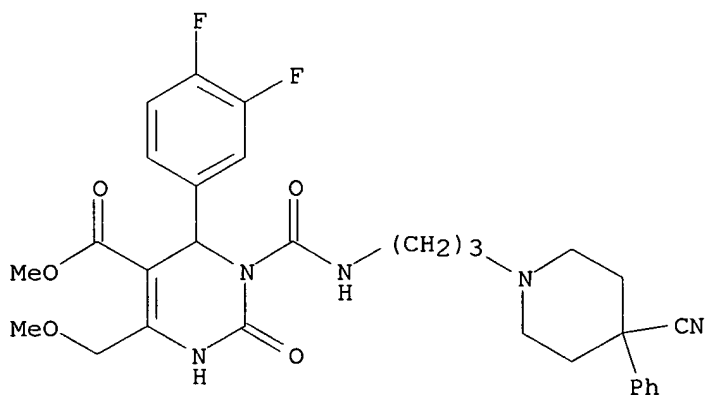


● HCl

RN 252002-93-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

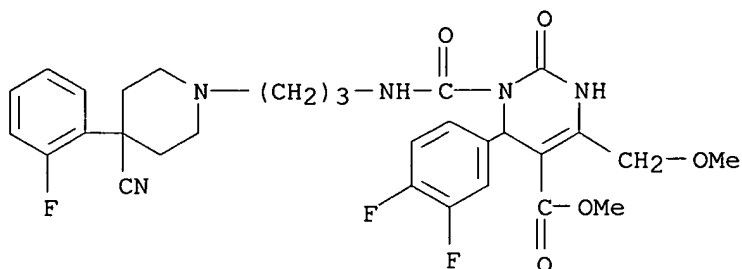
Rotation (+).



● HCl

RN 252002-94-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-cyano-4-(2-fluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

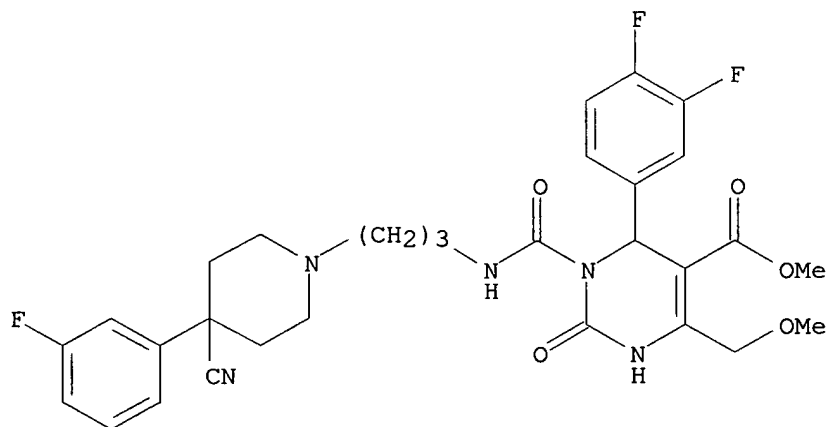


● HCl

RN 252002-95-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-cyano-4-(3-fluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

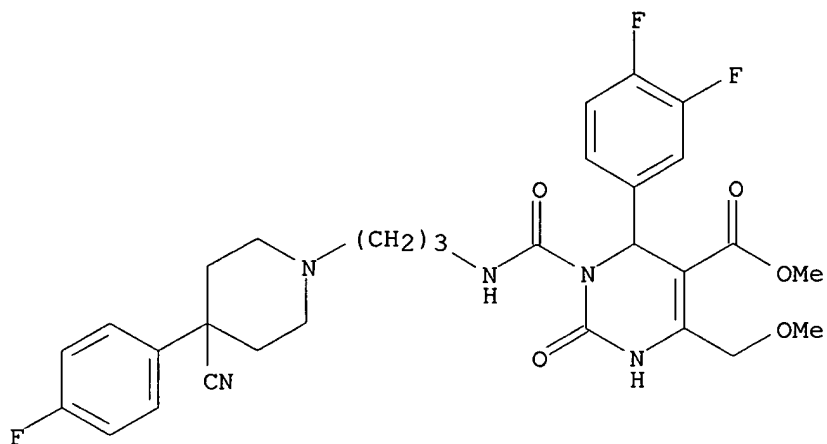


● 2 HCl

RN 252002-97-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-cyano-4-(4-fluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

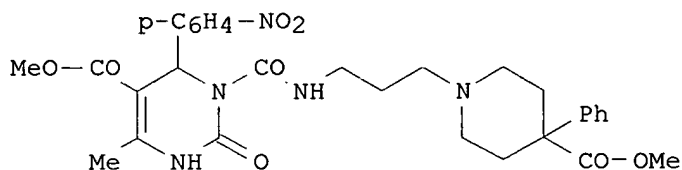
Rotation (+).



● HCl

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

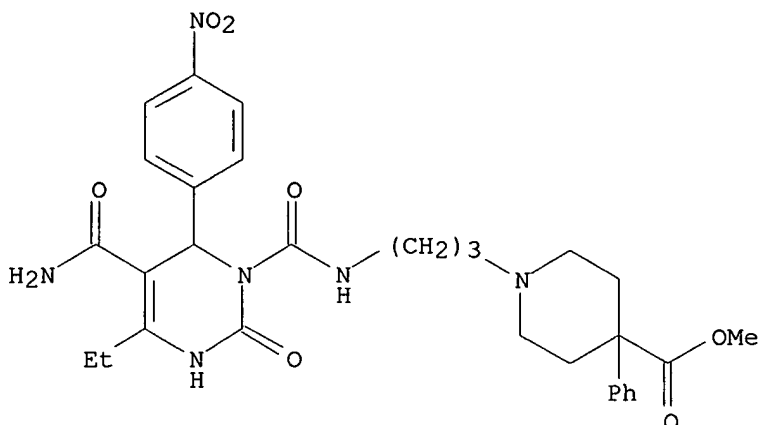
LV ANSWER 16 OF 45 CAPLUS COPYRIGHT 2002 ACS
 AN 1999:680967 CAPLUS
 DN 132:18482
 TI Design and Synthesis of Novel .alpha.1a Adrenoceptor-Selective
 Antagonists. 1. Structure-Activity Relationship in Dihydropyrimidinones
 AU Nagarathnam, Dhanapalan; Miao, Shou Wu; Lagu, Bharat; Chiu, George; Fang,
 James; Dhar, T. G. Murali; Zhang, Jack; Tyagarajan, Sriram; Marzabadi,
 Mohammad R.; Zhang, Fengqi; Wong, Wai C.; Sun, Wanying; Tian, Dake;
 Wetzel, John M.; Forray, Carlos; Chang, Raymond S. L.; Broten, Theodore
 P.; Ransom, Richard W.; Schorn, Terry W.; Chen, Tsing B.; O'Malley,
 Stacey; Kling, Paul; Schneck, Kathryn; Bendesky, Robert; Harrell, Charles
 M.; Vyas, Kamlesh P.; Gluchowski, Charles
 CS Departments of Chemistry and Pharmacology, Synaptic Pharmaceutical
 Corporation, Paramus, NJ, 07652, USA
 SO Journal of Medicinal Chemistry (1999), 42(23), 4764-4777
 CODEN: JMCMAR; ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 GI



I

AB Dihydropyrimidinones such as compd. I exhibited high binding affinity and
 subtype selectivity for the cloned human .alpha.1a receptor. Systematic
 modifications of I led to identification of highly potent and
 subtype-selective compds. with high binding affinity ($K_i = 0.2$ nM) for
 .alpha.1a receptor and greater than 1500-fold selectivity over .alpha.1b
 and .alpha.1d adrenoceptors. The compds. were found to be functional
 antagonists in human, rat, and dog prostate tissues. One of the compds.
 [(+)-SNAP 6201] exhibited excellent selectivity to inhibit intraurethral
 pressure (IUP) as compared to lowering diastolic blood pressure (DBP) in
 mongrel dogs ($K_b(\text{DBP})/K_b(\text{IUP}) = 40$) suggesting uroselectivity for
 .alpha.1a-selective compds.
 IT **200050-48-2P 200050-49-3P**
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological
 process); BSU (Biological study, unclassified); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); PROC (Process); USES (Uses)
 (synthesis of dihydropyrimidinones as .alpha.1a adrenoceptor-selective
 antagonists)
 RN 200050-48-2 CAPLUS
 CN 4-Piperidinecarboxylic acid, 1-[3-[[[5-(aminocarbonyl)-4-ethyl-3,6-dihydro-
 6-(4-nitrophenyl)-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]-4-phenyl-
 , methyl ester, (+)-(9CI) (CA INDEX NAME)

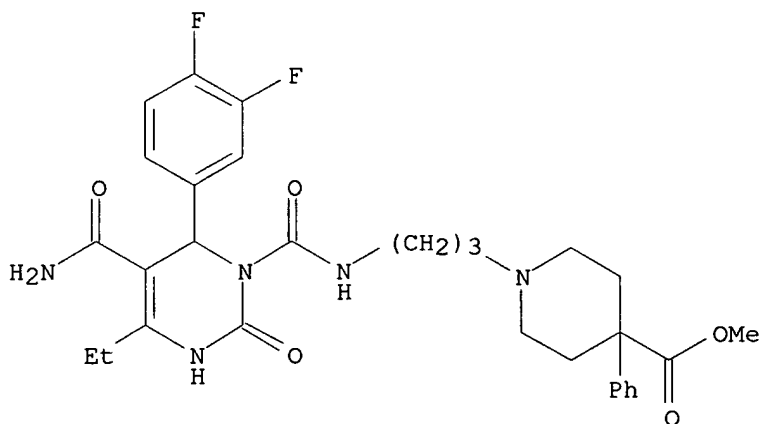
Rotation (+).



RN 200050-49-3 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[5-(aminocarbonyl)-6-(3,4-difluorophenyl)-4-ethyl-3,6-dihydro-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]-4-phenyl-, methyl ester, (+)-(9CI)
(CA INDEX NAME)

Rotation (+).



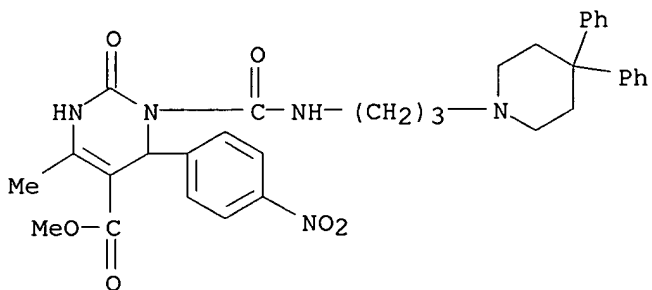
IT 200050-44-8P 200050-46-0P 216310-00-8P
216310-01-9P 251930-36-6P 251930-37-7P
251930-38-8P 251930-39-9P 251930-40-2P
251930-41-3P 251930-42-4P 251930-44-6P
251930-46-8P 251930-64-0P 251930-65-1P
251930-66-2P 251930-67-3P 251930-68-4P
251930-70-8P 251930-71-9P 251930-72-0P
251930-73-1P 251930-76-4P

RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(synthesis of dihydropyrimidinones as .alpha.1a adrenoceptor-selective antagonists)

09/855,597

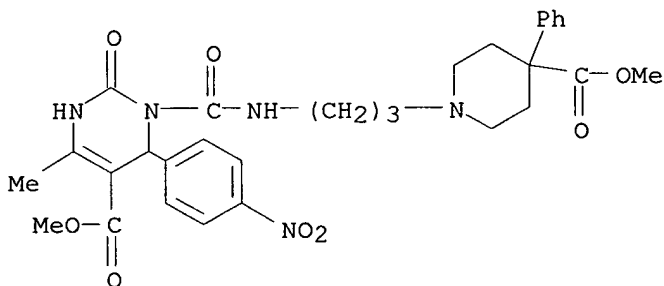
RN 200050-44-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4,4-diphenyl-1-piperidinyl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-6-(4-nitrophenyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 200050-46-0 CAPLUS

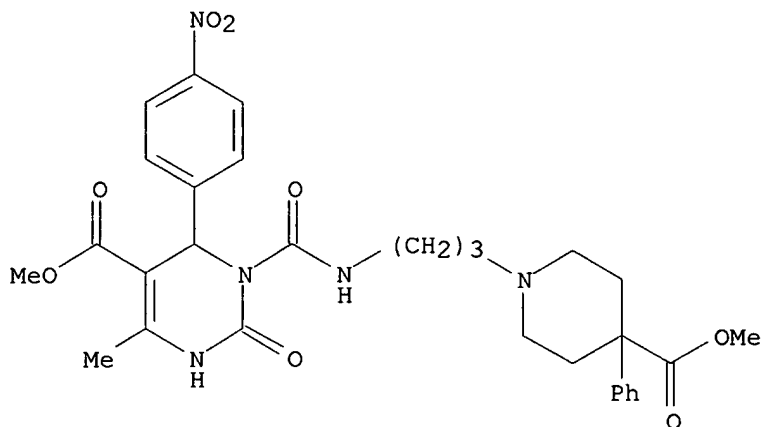
CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 216310-00-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

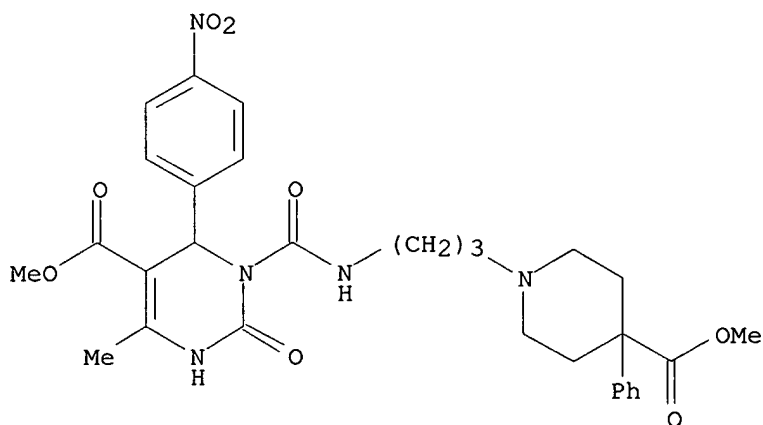
Rotation (+).



RN 216310-01-9 CAPLUS

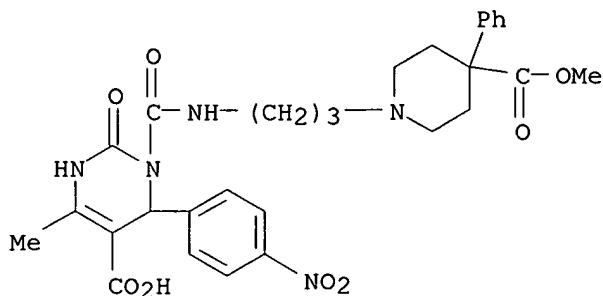
CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-oxo-, methyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



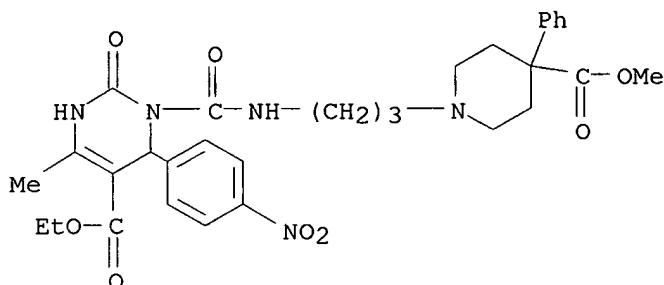
RN 251930-36-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-oxo- (9CI) (CA INDEX NAME)



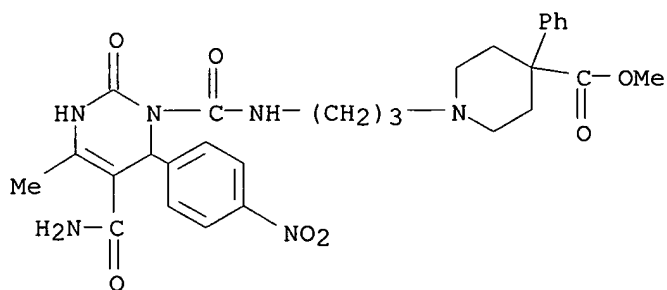
RN 251930-37-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



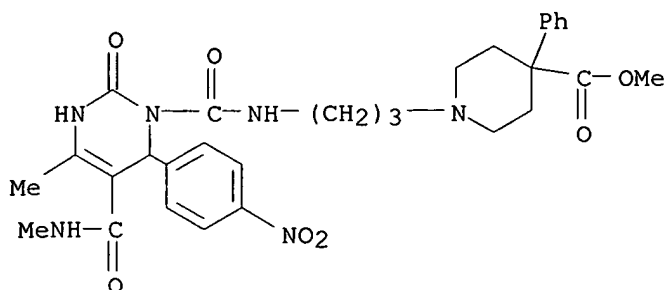
RN 251930-38-8 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[5-(aminocarbonyl)-3,6-dihydro-4-methyl-6-(4-nitrophenyl)-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)



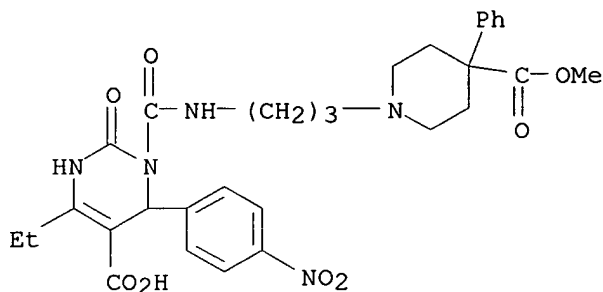
RN 251930-39-9 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[3,6-dihydro-4-methyl-5-[(methylamino)carbonyl]-6-(4-nitrophenyl)-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)



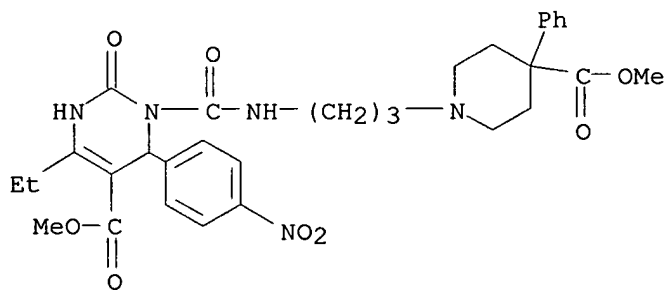
RN 251930-40-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-6-(4-nitrophenyl)-2-oxo- (9CI) (CA INDEX NAME)



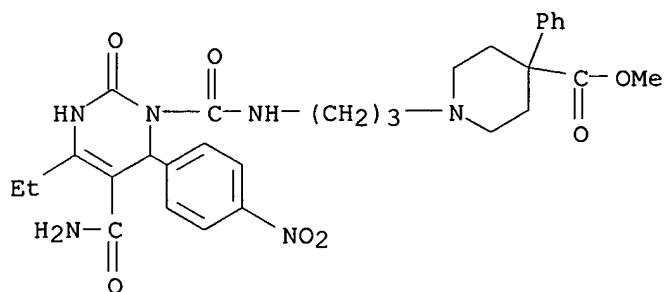
RN 251930-41-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-6-(4-nitrophenyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



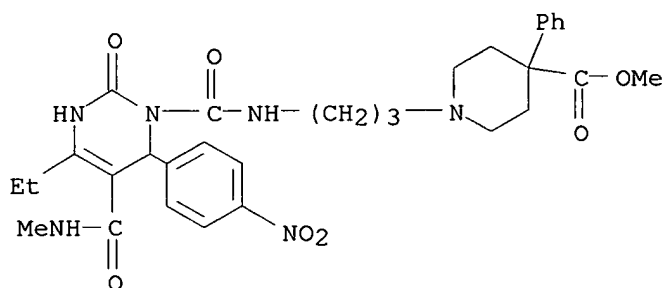
RN 251930-42-4 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[5-(aminocarbonyl)-4-ethyl-3,6-dihydro-6-(4-nitrophenyl)-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 251930-44-6 CAPLUS

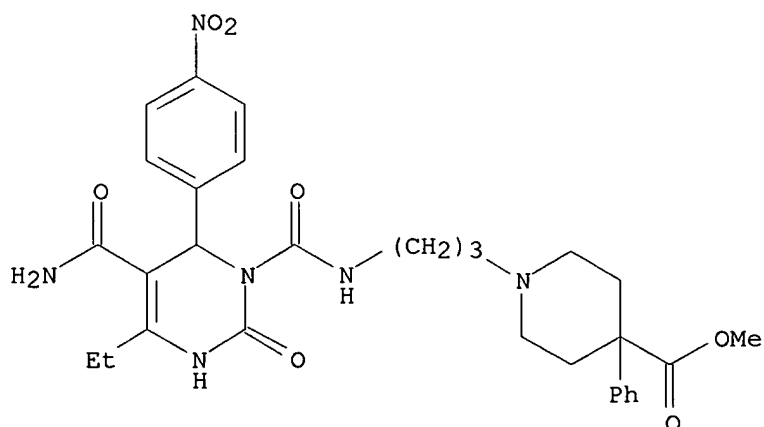
CN 4-Piperidinecarboxylic acid, 1-[3-[[[4-ethyl-3,6-dihydro-5-[(methylamino)carbonyl]-6-(4-nitrophenyl)-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 251930-46-8 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[5-(aminocarbonyl)-4-ethyl-3,6-dihydro-6-(4-nitrophenyl)-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]-4-phenyl-, methyl ester, (-)- (9CI) (CA INDEX NAME)

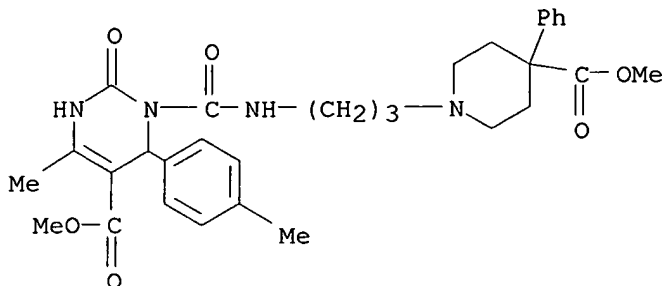
Rotation (-).



RN 251930-64-0 CAPLUS

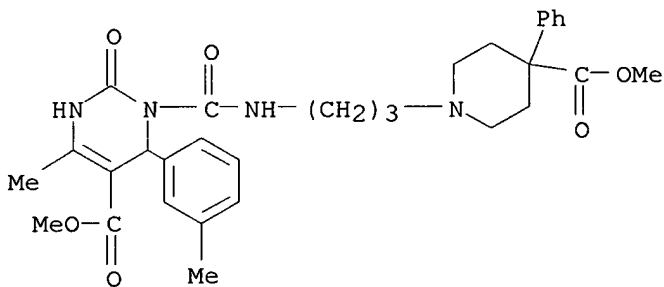
CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[[3-[4-

(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-methylphenyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



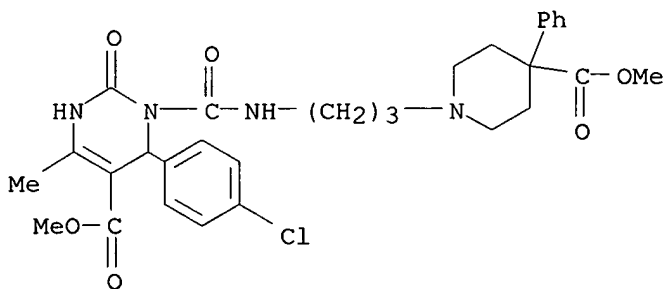
RN 251930-65-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(3-methylphenyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



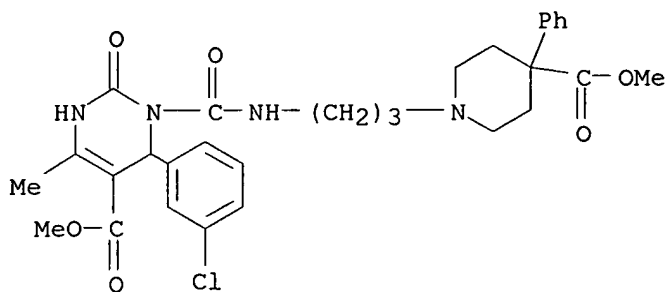
RN 251930-66-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(4-chlorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



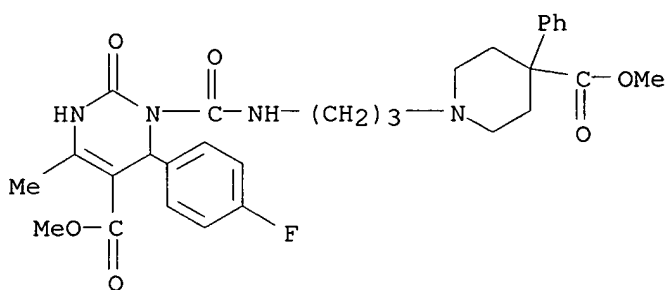
RN 251930-67-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3-chlorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



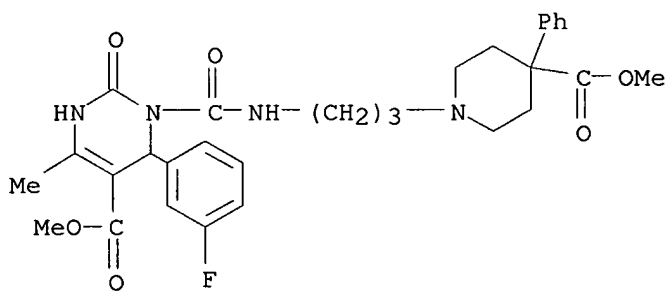
RN 251930-68-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(4-fluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



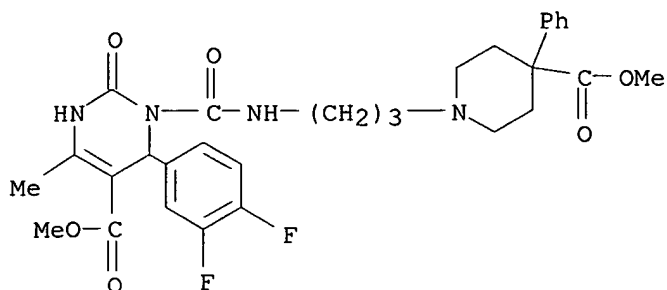
RN 251930-70-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3-fluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



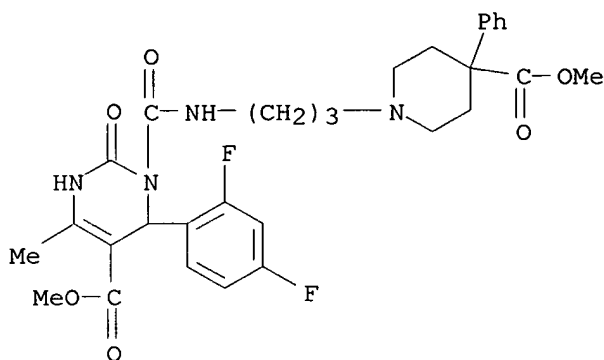
RN 251930-71-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



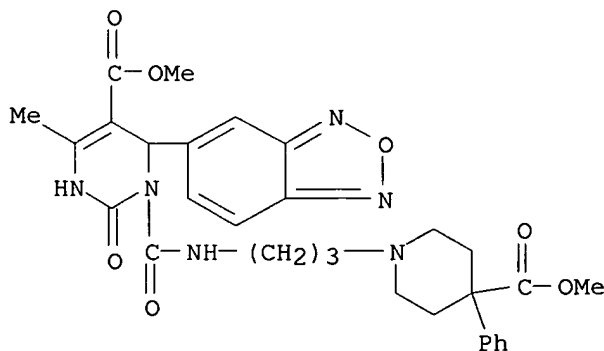
RN 251930-72-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-
methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 251930-73-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-1,2,3,6-
tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-
piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester (9CI)
(CA INDEX NAME)

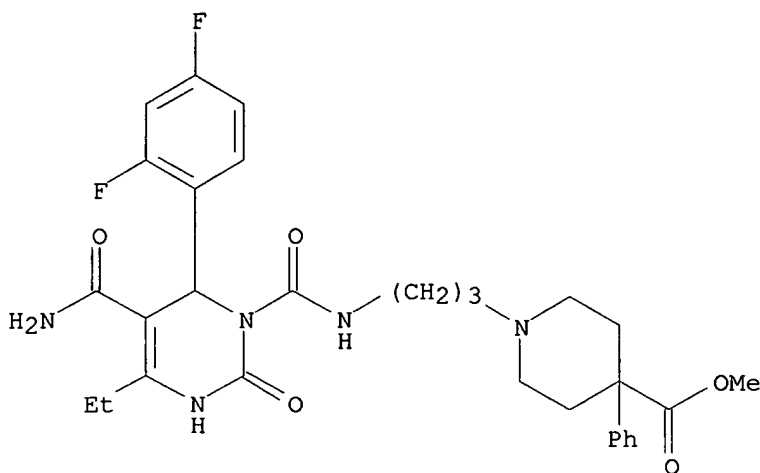


RN 251930-76-4 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[5-(aminocarbonyl)-6-(2,4-
difluorophenyl)-4-ethyl-3,6-dihydro-2-oxo-1(2H)-

pyrimidinyl]carbonyl]amino]propyl]-4-phenyl-, methyl ester, (+)- (9CI)
(CA INDEX NAME)

Rotation (+).

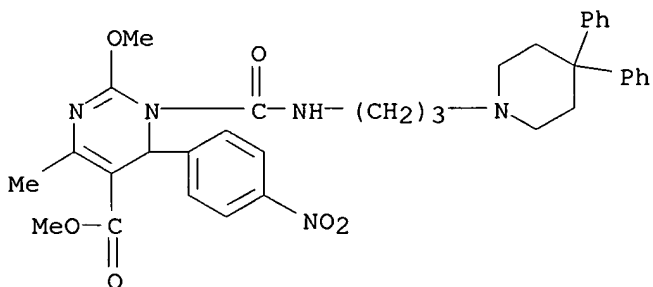


IT 179480-93-4P 179482-19-0P 179482-20-3P
200051-72-5P 200051-73-6P 251930-30-0P
251930-34-4P 251930-35-5P 251930-74-2P
251930-75-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(synthesis of dihydropyrimidinones as .alpha.1a adrenoceptor-selective
antagonists)

RN 179480-93-4 CAPLUS

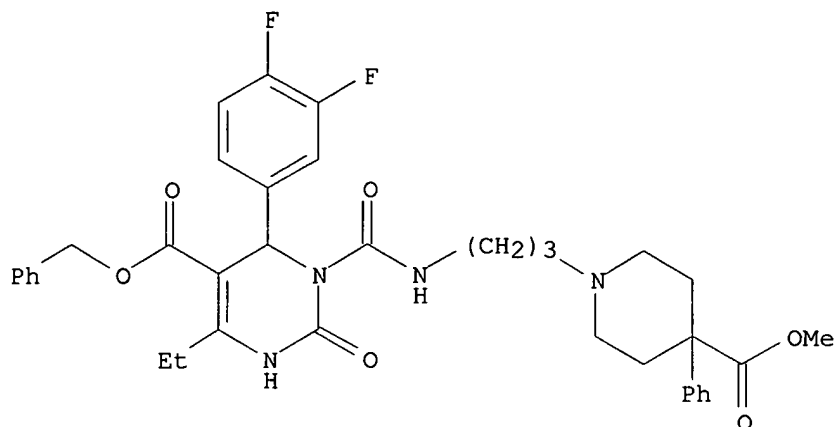
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4,4-diphenyl-1-piperidinyl)propyl]amino]carbonyl]-1,6-dihydro-2-methoxy-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 179482-19-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, phenylmethyl ester, (+)- (9CI)
(CA INDEX NAME)

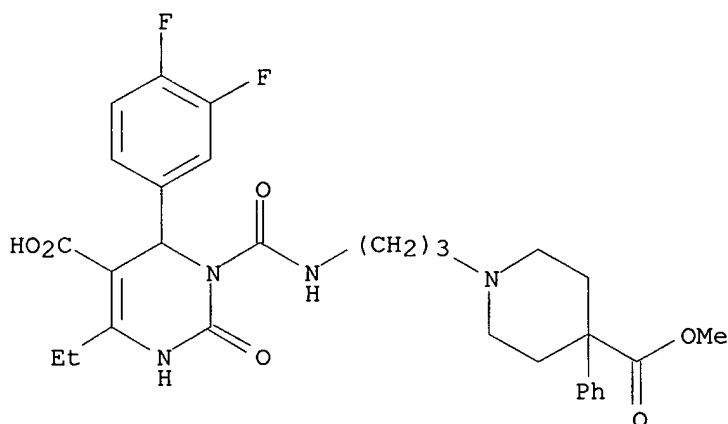
Rotation (+).



RN 179482-20-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, (+)- (9CI) (CA INDEX NAME)

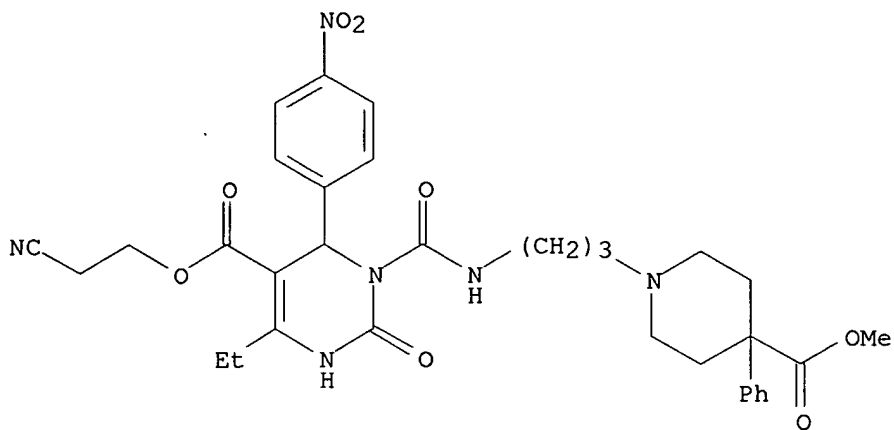
Rotation (+).



RN 200051-72-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-6-(4-nitrophenyl)-2-oxo-, 2-cyanoethyl ester, (+)- (9CI) (CA INDEX NAME)

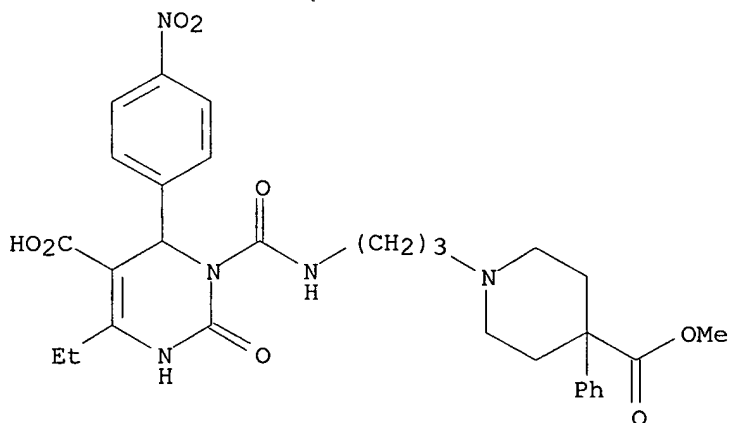
Rotation (+).



RN 200051-73-6 CAPLUS

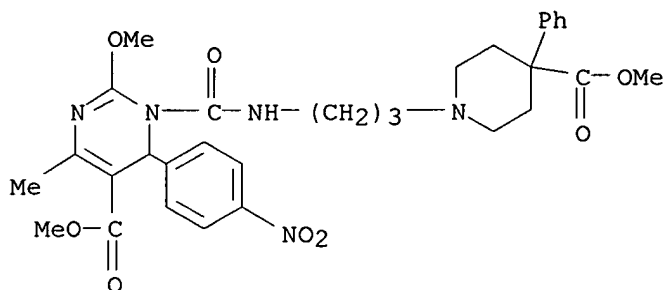
CN 5-Pyrimidinecarboxylic acid, 4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-6-(4-nitrophenyl)-2-oxo-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



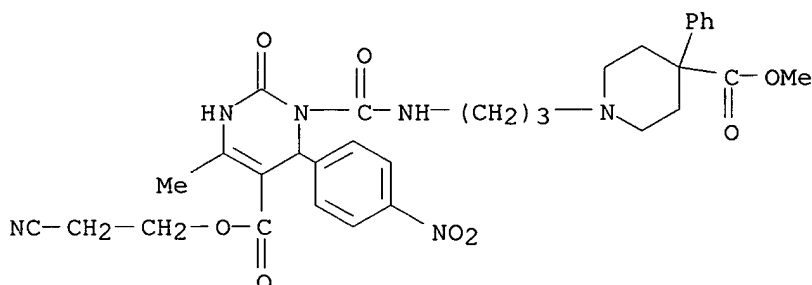
RN 251930-30-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI) (CA INDEX NAME)



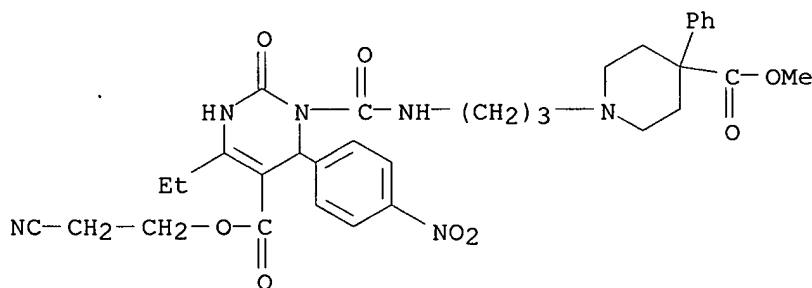
RN 251930-34-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-oxo-, 2-cyanoethyl ester (9CI) (CA INDEX NAME)



RN 251930-35-5 CAPLUS

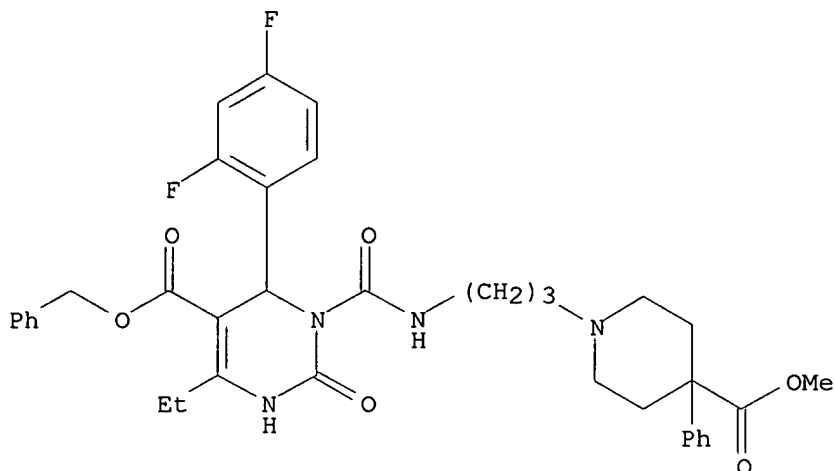
CN 5-Pyrimidinecarboxylic acid, 4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-6-(4-nitrophenyl)-2-oxo-, 2-cyanoethyl ester (9CI) (CA INDEX NAME)



RN 251930-74-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

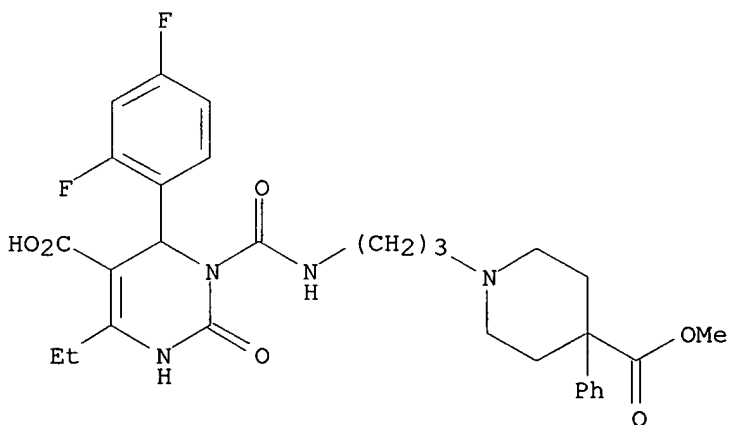
Rotation (+).



RN 251930-75-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

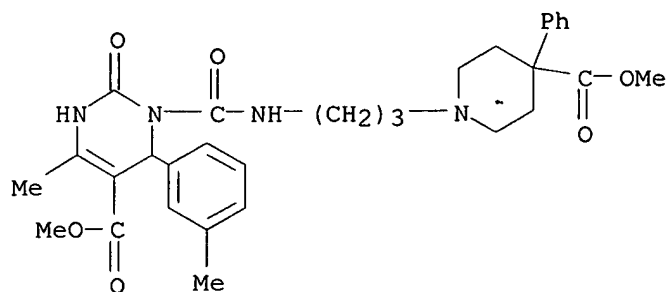


IT 251930-77-5P 251930-78-6P 251930-79-7P
251930-80-0P 251930-81-1P 251930-82-2P
251930-85-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of dihydropyrimidinones as .alpha.1a adrenoceptor-selective antagonists)

RN 251930-77-5 CAPLUS

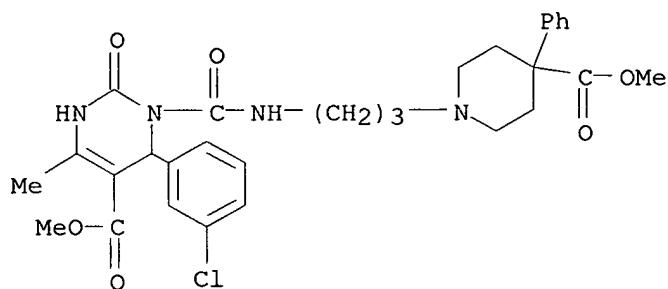
CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(3-methylphenyl)-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 251930-78-6 CAPLUS

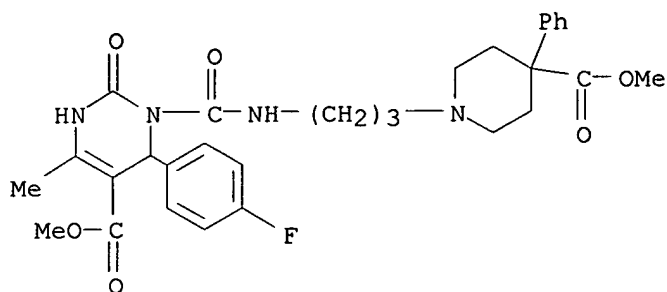
CN 5-Pyrimidinecarboxylic acid, 6-(3-chlorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 251930-79-7 CAPLUS

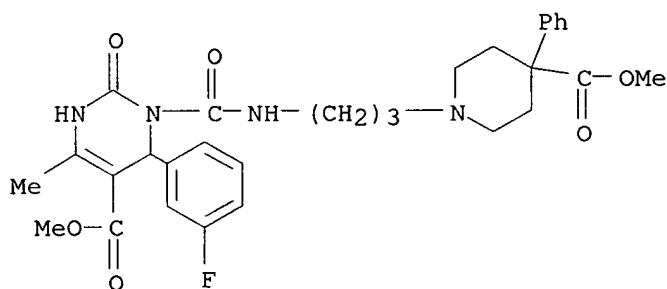
CN 5-Pyrimidinecarboxylic acid, 6-(4-fluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 251930-80-0 CAPLUS

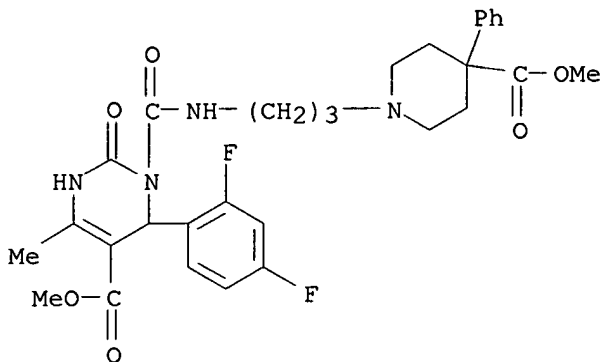
CN 5-Pyrimidinecarboxylic acid, 6-(3-fluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 251930-81-1 CAPLUS

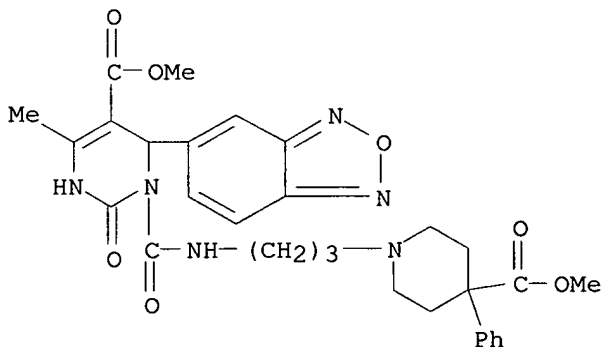
CN 5-Pyrimidinecarboxylic acid, 6-(2,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 251930-82-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

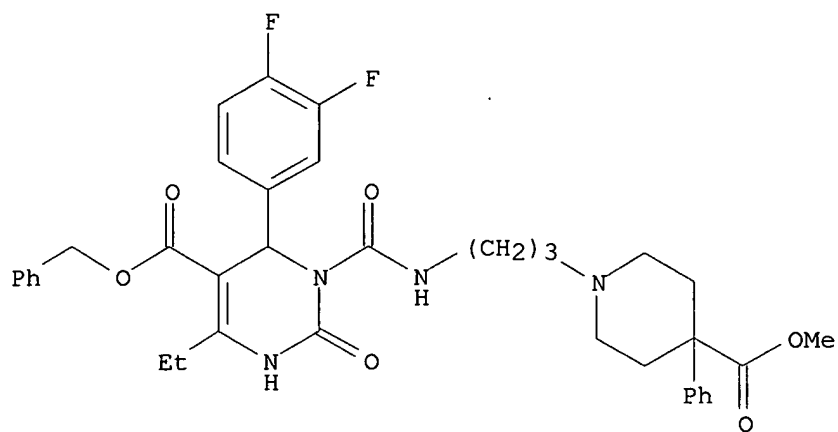


● HCl

RN 251930-85-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, phenylmethyl ester, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



● HCl

RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 17 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 1999:680947 CAPLUS

DN 132:30317

TI Design and Synthesis of Novel .alpha.1a Adrenoceptor-Selective Antagonists. 4. Structure-Activity Relationship in the Dihydropyrimidine Series

AU Wong, Wai C.; Sun, Wanying; Lagu, Bharat; Tian, Dake; Marzabadi, Mohammad R.; Zhang, Fengqi; Nagarathnam, Dhanapalan; Miao, Shou W.; Wetzel, John M.; Peng, Jian; Forray, Carlos; Chang, Raymond S. L.; Chen, Tsing B.; Ransom, Richard; O'Malley, Stacey; Broten, Theodore P.; Kling, Paul; Vyas, Kamlesh P.; Zhang, Kanyin; Gluchowski, Charles

CS Departments of Chemistry and Pharmacology, Synaptic Pharmaceutical Corporation, Paramus, NJ, 07662, USA

SO Journal of Medicinal Chemistry (1999), 42(23), 4804-4813
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 132:30317

AB The authors have previously disclosed dihydropyridines as selective .alpha.1a antagonists as a potential treatment for benign prostatic hyperplasia (BPH). The propensity of dihydropyridines toward an oxidn. led the authors to find suitable replacements of the core unit. The accompanying papers describe the structure-activity relation (SAR) of dihydropyrimidinones as selective .alpha.1a antagonists. The authors report herein the SAR of dihydropyrimidines and highlight the similarities and differences between the dihydropyrimidine and dihydropyrimidinone series of compds.

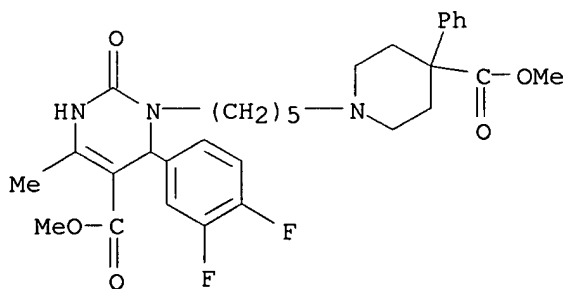
IT 252002-06-5 252327-17-6

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(design and synthesis of novel .alpha.1a adrenoceptor-selective antagonists and structure-activity relationship in the dihydropyrimidine series in relation to inhibition of prostate contraction and bioavailability)

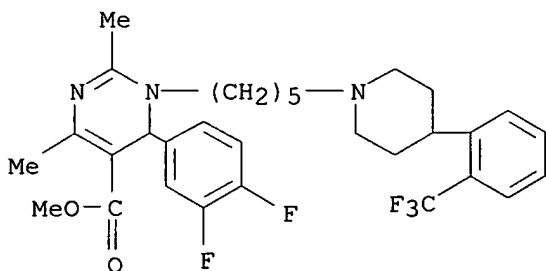
RN 252002-06-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 252327-17-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-1-[5-[4-[2-(trifluoromethyl)phenyl]-1-piperidinyl]pentyl]-, methyl ester (9CI) (CA INDEX NAME)

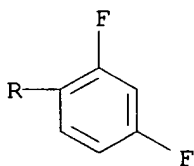
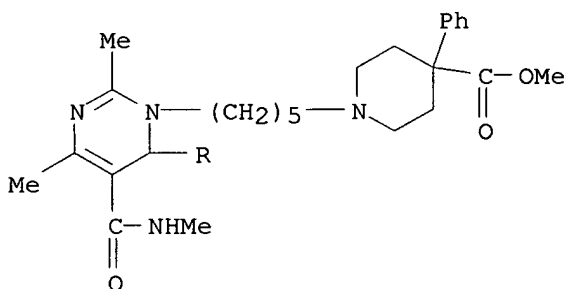
IT **179481-71-1P**

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)

(design and synthesis of novel $\alpha.1a$ adrenoceptor-selective antagonists and structure-activity relationship in the dihydropyrimidine series in relation to inhibition of prostate contraction and bioavailability)

RN 179481-71-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[5-[6-(2,4-difluorophenyl)-2,4-dimethyl-5-[(methylamino)carbonyl]-1(6H)-pyrimidinyl]pentyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)



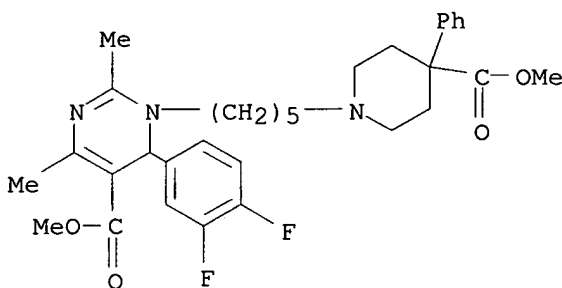
IT **179481-11-9P 200050-74-4P 200050-75-5P**
200051-31-6P 200051-32-7P 216310-41-7P
216310-42-8P 252327-20-1P 252327-21-2P
252327-23-4P 252327-24-5P 252327-25-6P
252327-26-7P 252327-27-8P 252327-28-9P
252327-29-0P 252327-30-3P 252327-31-4P
252327-32-5P 252327-33-6P 252327-34-7P
252327-35-8P 252327-36-9P 252327-37-0P
252327-38-1P 252327-40-5P 252327-41-6P

252327-42-7P 252327-45-0P 252327-46-1P
 252327-47-2P 252327-48-3P 252327-49-4P
 252327-50-7P 252327-51-8P 252327-52-9P
 252327-53-0P 252327-54-1P 252327-57-4P
 252327-58-5P 252327-59-6P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process) (design and synthesis of novel .alpha.la adrenoceptor-selective antagonists and structure-activity relationship in the dihydropyrimidine series in relation to inhibition of prostate contraction and bioavailability)

RN 179481-11-9 CAPLUS

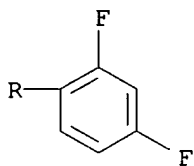
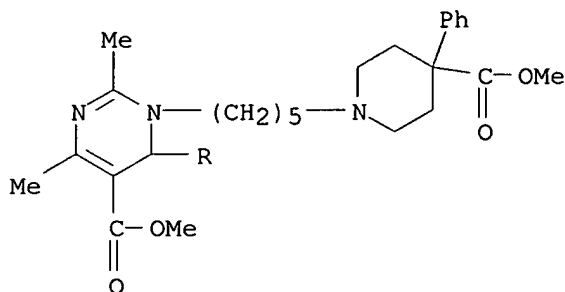
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 200050-74-4 CAPLUS

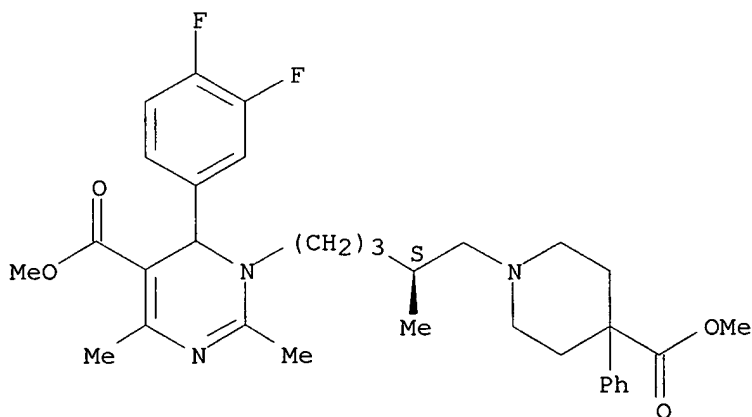
CN 5-Pyrimidinecarboxylic acid, 6-(2,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



RN 200050-75-5 CAPLUS

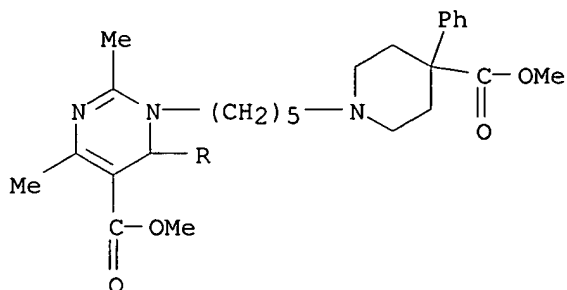
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[(4S)-5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]-4-methylpentyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

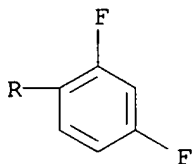


RN 200051-31-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



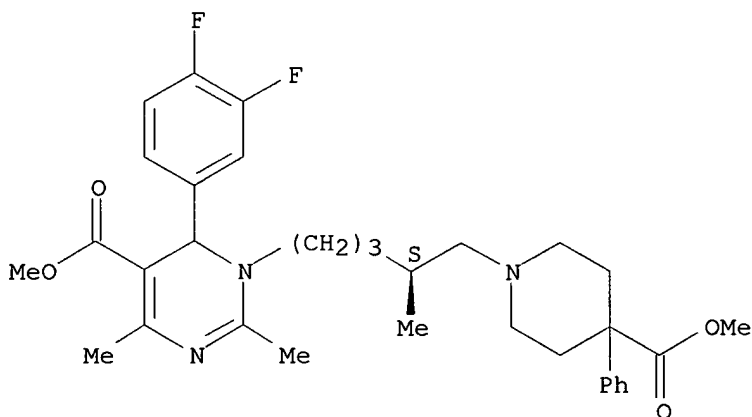
● 2 HCl



RN 200051-32-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[(4S)-5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]-4-methylpentyl]-2,4-dimethyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

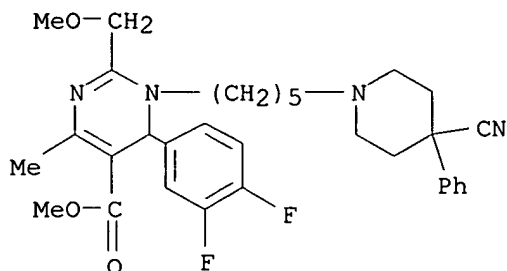
Absolute stereochemistry.



● 2 HCl

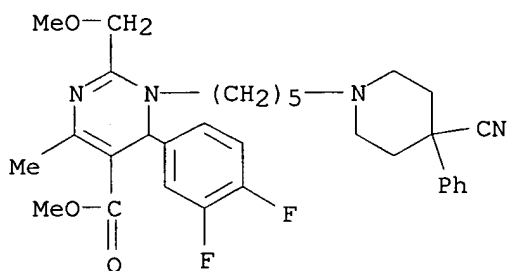
RN 216310-41-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[5-(4-cyano-4-phenyl-1-piperidinyl)pentyl]-6-(3,4-difluorophenyl)-1,6-dihydro-2-(methoxymethyl)-4-methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 216310-42-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[5-(4-cyano-4-phenyl-1-piperidiny)pentyl]-6-(3,4-difluorophenyl)-1,6-dihydro-2-(methoxymethyl)-4-methyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

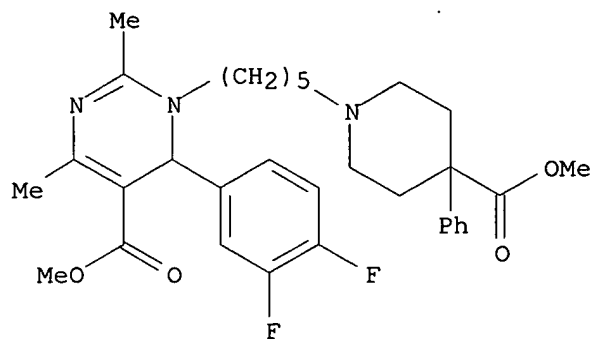


● 2 HCl

RN 252327-20-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidiny]pentyl]-2,4-dimethyl-, methyl ester, dihydrochloride, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

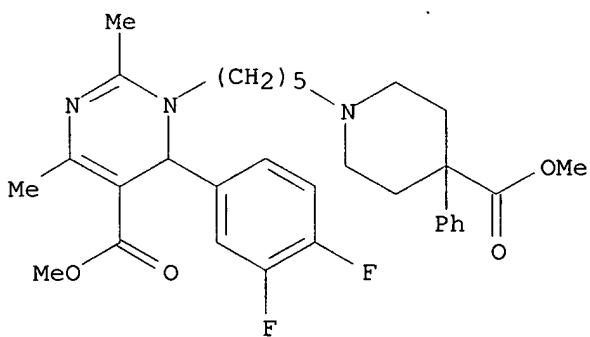


● 2 HCl

RN 252327-21-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester, dihydrochloride, (+)- (9CI) (CA INDEX NAME)

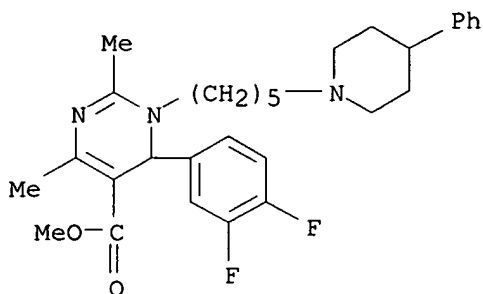
Rotation (+).



● 2 HCl

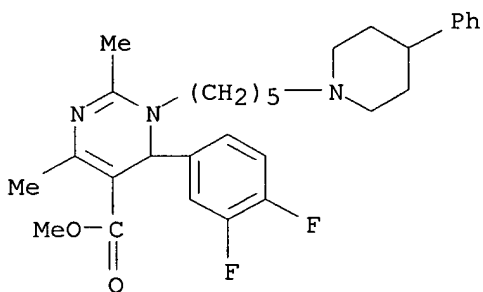
RN 252327-23-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-1-[5-(4-phenyl-1-piperidinyl)pentyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 252327-24-5 CAPLUS

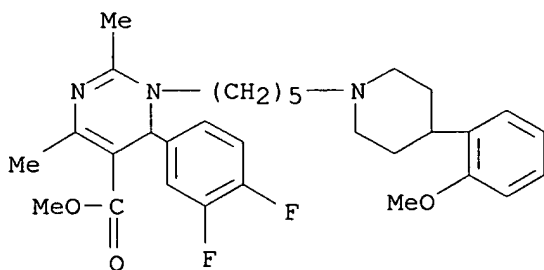
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-1-[5-(4-phenyl-1-piperidinyl)pentyl]-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

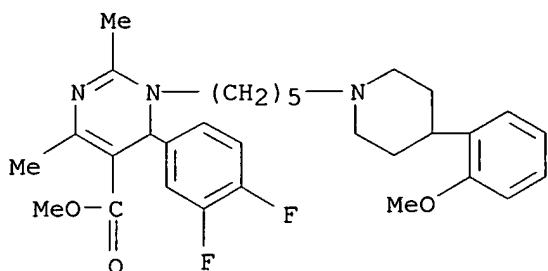
RN 252327-25-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(2-methoxyphenyl)-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



RN 252327-26-7 CAPLUS

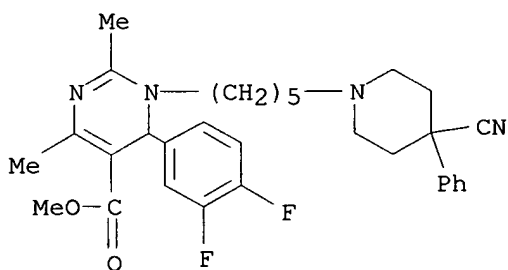
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(2-methoxyphenyl)-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

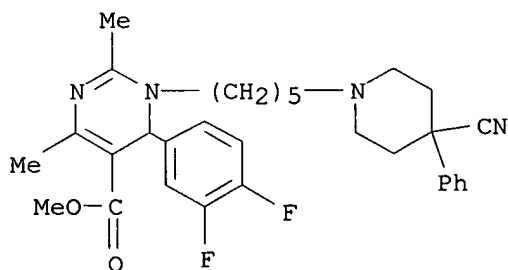
RN 252327-27-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[5-(4-cyano-4-phenyl-1-piperidinyl)pentyl]-
6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-, methyl ester (9CI) (CA
INDEX NAME)



RN 252327-28-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[5-(4-cyano-4-phenyl-1-piperidinyl)pentyl]-
6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-, methyl ester,
dihydrochloride (9CI) (CA INDEX NAME)



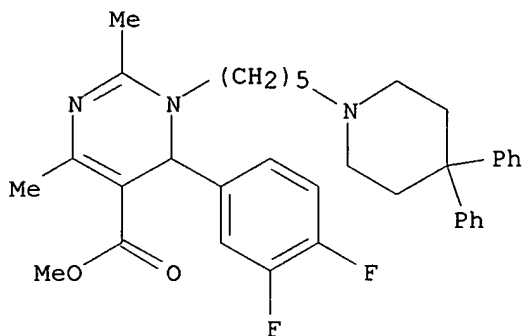
●2 HCl

RN 252327-29-0 CAPLUS

09/855,597

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[5-(4,4-diphenyl-1-piperidinyl)pentyl]-1,6-dihydro-2,4-dimethyl-, methyl ester, (+)-(9CI)
(CA INDEX NAME)

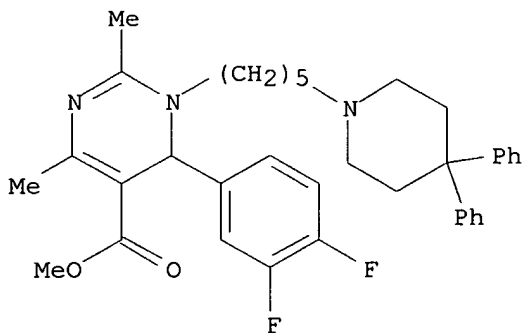
Rotation (+).



RN 252327-30-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[5-(4,4-diphenyl-1-piperidinyl)pentyl]-1,6-dihydro-2,4-dimethyl-, methyl ester, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

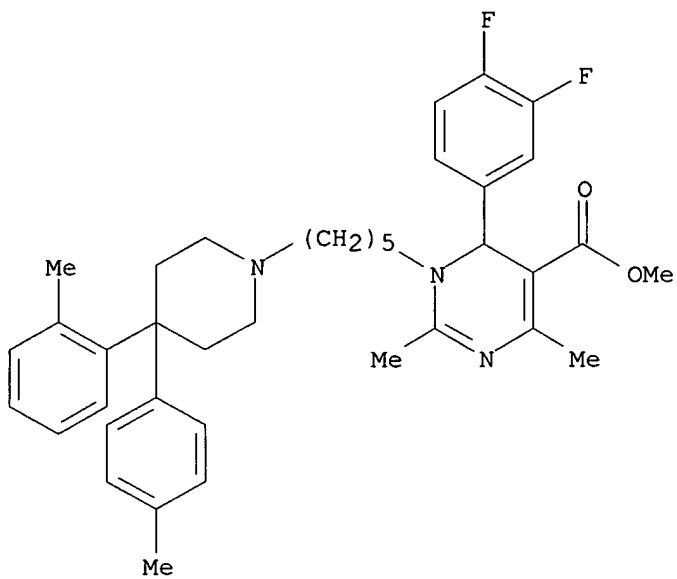


● 2 HCl

RN 252327-31-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-1-[5-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]pentyl]-, methyl ester, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

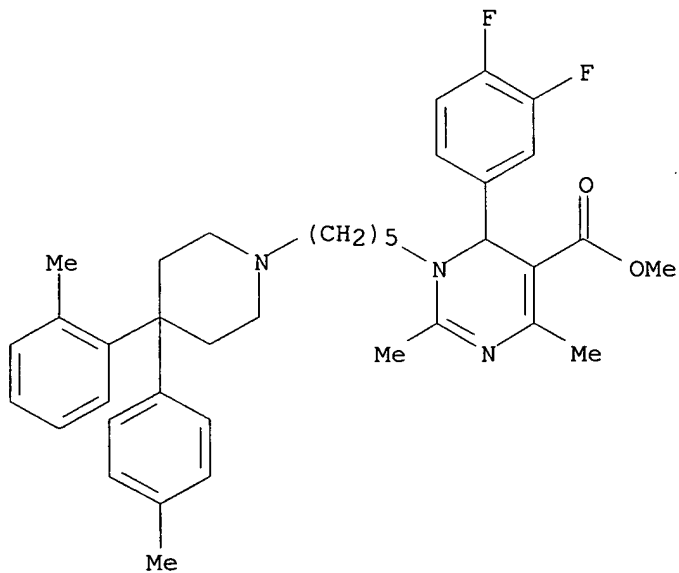


RN 252327-32-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-1-[5-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]pentyl]-, methyl ester, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

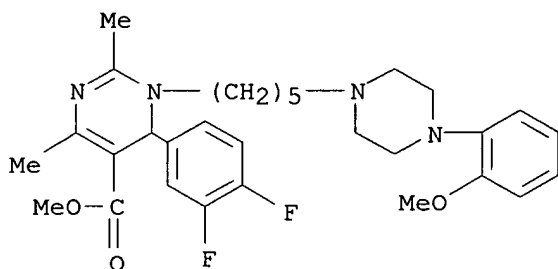
PAGE 1-A



2 HCl

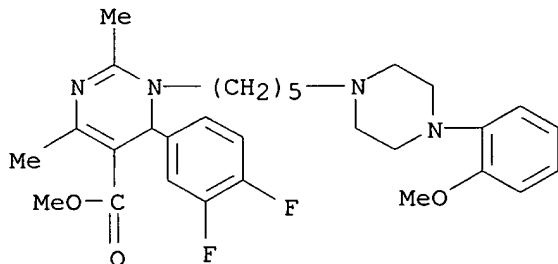
RN 252327-33-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(2-methoxyphenyl)-1-piperazinyl]pentyl]-2,4-dimethyl-, methyl ester (9CI)
(CA INDEX NAME)



RN 252327-34-7 CAPLUS

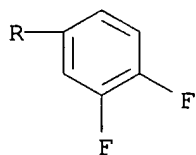
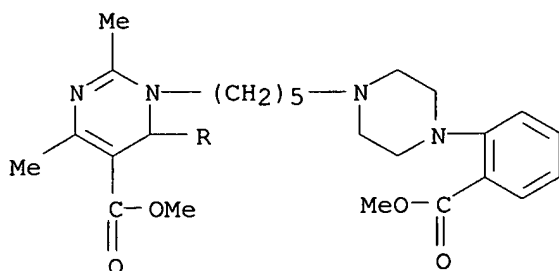
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(2-methoxyphenyl)-1-piperazinyl]pentyl]-2,4-dimethyl-, methyl ester, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

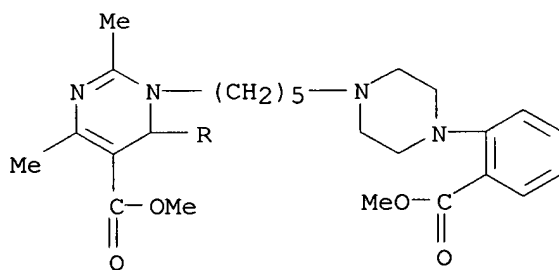
RN 252327-35-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-[2-(methoxycarbonyl)phenyl]-1-piperazinyl]pentyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

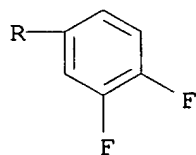


RN 252327-36-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-[2-(methoxycarbonyl)phenyl]-1-piperazinyl]pentyl]-2,4-dimethyl-, methyl ester, trihydrochloride (9CI) (CA INDEX NAME)



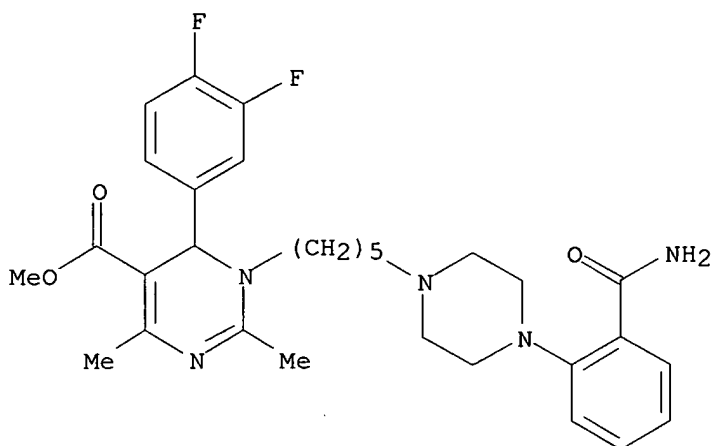
● 3 HCl



RN 252327-37-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[5-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]pentyl]-6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-, methyl ester, (+)- (9CI) (CA INDEX NAME)

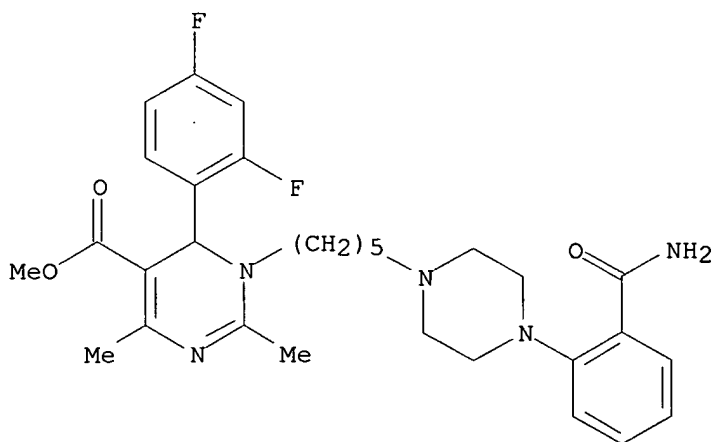
Rotation (+).



RN 252327-38-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[5-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]pentyl]-6-(2,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-, methyl ester, hydrochloride (2:5), (+)-(9CI) (CA INDEX NAME)

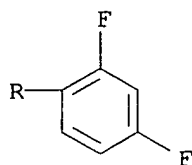
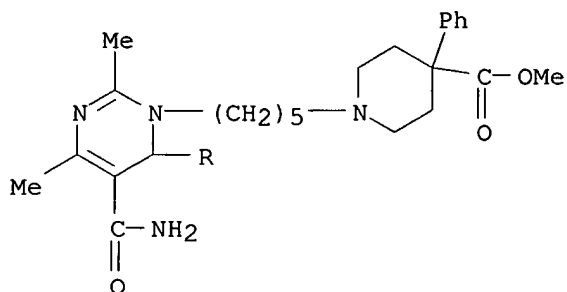
Rotation (+).



● 5/2 HCl

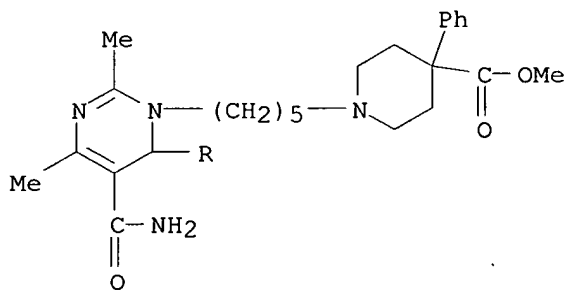
RN 252327-40-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[5-[5-(aminocarbonyl)-6-(2,4-difluorophenyl)-2,4-dimethyl-1(6H)-pyrimidinyl]pentyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)

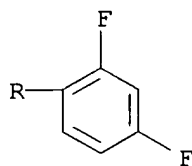


RN 252327-41-6 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[5-[5-(aminocarbonyl)-6-(2,4-difluorophenyl)-2,4-dimethyl-1(6H)-pyrimidinyl]pentyl]-4-phenyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

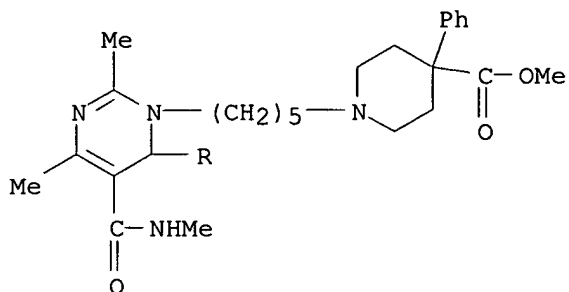


● 2 HCl

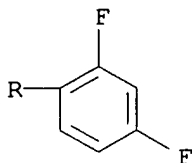


RN 252327-42-7 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[5-[6-(2,4-difluorophenyl)-2,4-dimethyl-5-[(methylamino)carbonyl]-1(6H)-pyrimidinyl]pentyl]-4-phenyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

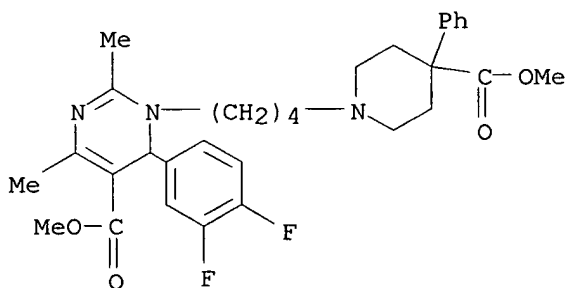


● 2 HCl



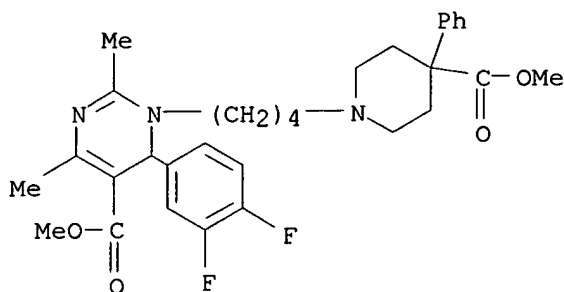
RN 252327-45-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[4-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]butyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



RN 252327-46-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[4-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]butyl]-2,4-dimethyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

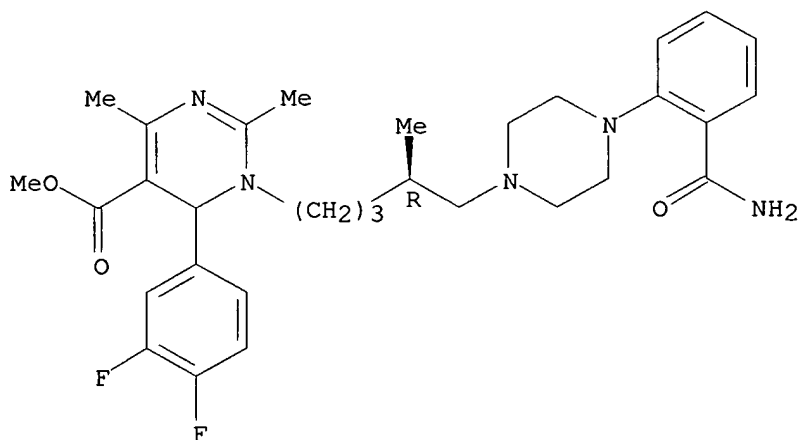


● 2 HCl

RN 252327-47-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[(4R)-5-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]-4-methylpentyl]-6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

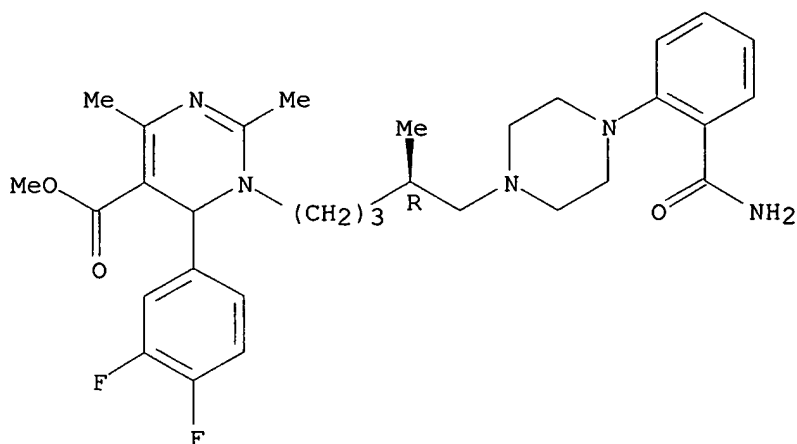
Absolute stereochemistry.



RN 252327-48-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[(4R)-5-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]-4-methylpentyl]-6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-, methyl ester, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

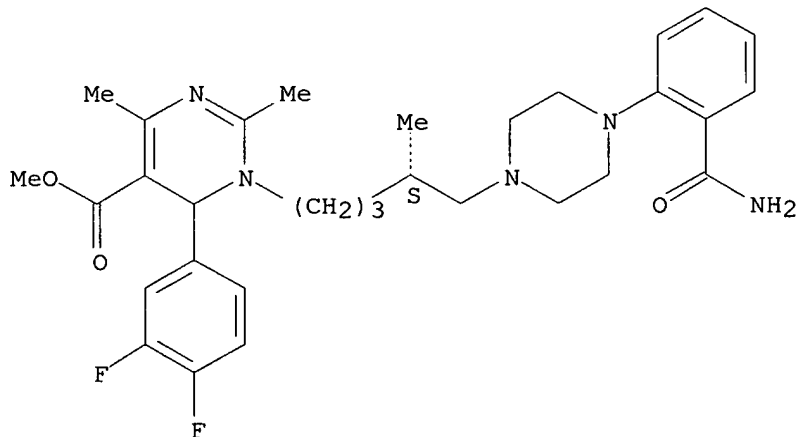


● 3 HCl

RN 252327-49-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[(4S)-5-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]-4-methylpentyl]-6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

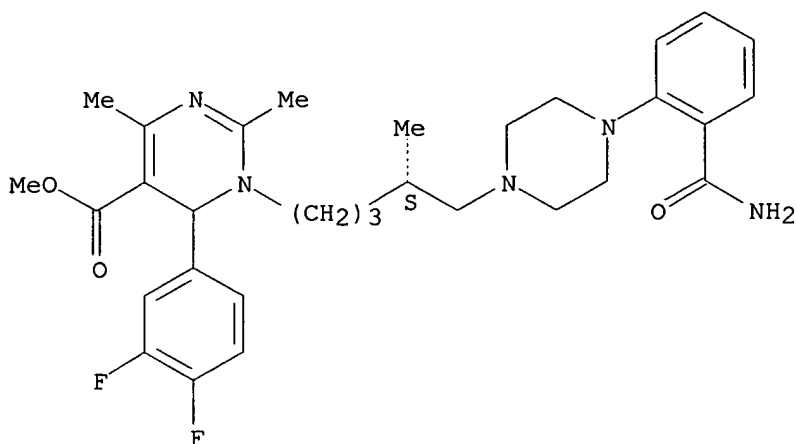
Absolute stereochemistry.



RN 252327-50-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[(4S)-5-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]-4-methylpentyl]-6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-, methyl ester, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

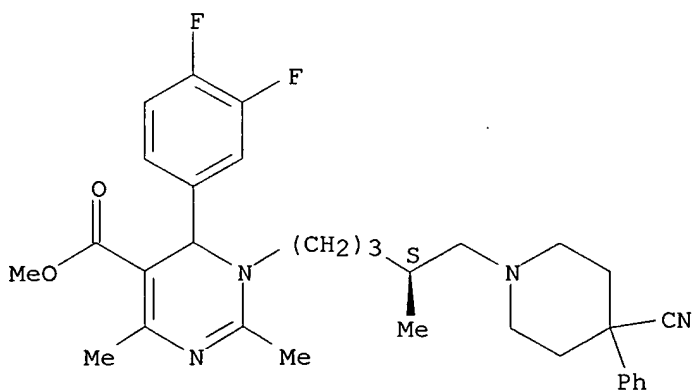


● 3 HCl

RN 252327-51-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[(4S)-5-(4-cyano-4-phenyl-1-piperidinyl)-4-methylpentyl]-6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

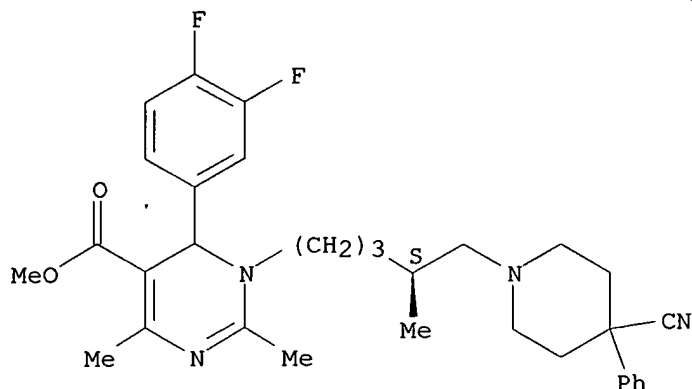
Absolute stereochemistry.



RN 252327-52-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[(4S)-5-(4-cyano-4-phenyl-1-piperidinyl)-4-methylpentyl]-6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

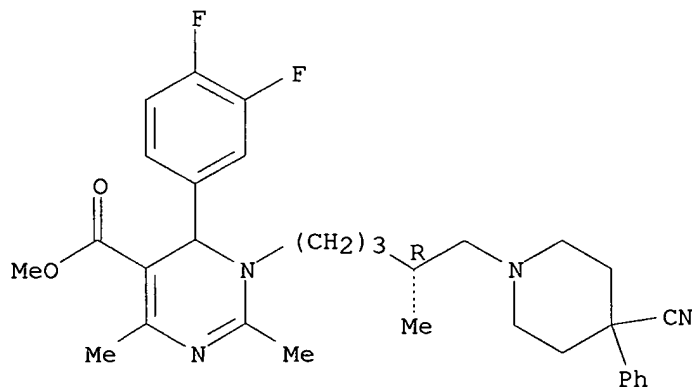


● 2 HCl

RN 252327-53-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[(4R)-5-(4-cyano-4-phenyl-1-piperidinyl)-4-methylpentyl]-6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

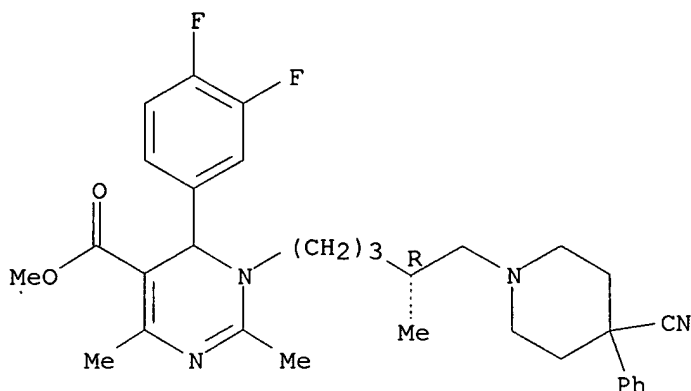
Absolute stereochemistry.



RN 252327-54-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[(4R)-5-(4-cyano-4-phenyl-1-piperidinyl)-4-methylpentyl]-6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

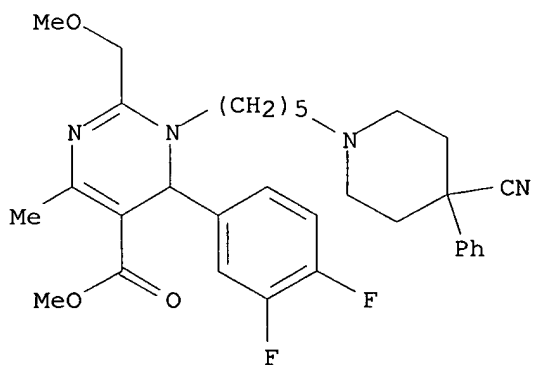


●2 HCl

RN 252327-57-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[5-(4-cyano-4-phenyl-1-piperidinyl)pentyl]-6-(3,4-difluorophenyl)-1,6-dihydro-2-(methoxymethyl)-4-methyl-, methyl ester, dihydrochloride, (+)- (9CI) (CA INDEX NAME)

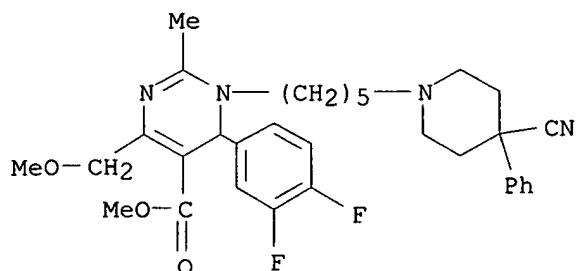
Rotation (+).



●2 HCl

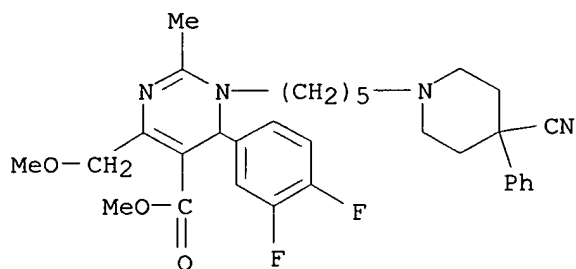
RN 252327-58-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[5-(4-cyano-4-phenyl-1-piperidinyl)pentyl]-6-(3,4-difluorophenyl)-1,6-dihydro-4-(methoxymethyl)-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 252327-59-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[5-(4-cyano-4-phenyl-1-piperidinyl)pentyl]-6-(3,4-difluorophenyl)-1,6-dihydro-4-(methoxymethyl)-2-methyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

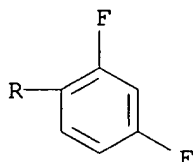
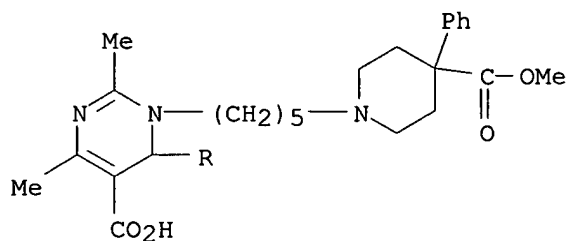
IT 179483-03-5P 216310-98-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(design and synthesis of novel α_1 adrenoceptor-selective antagonists and structure-activity relationship in the dihydropyrimidine series in relation to inhibition of prostate contraction and bioavailability)

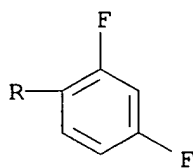
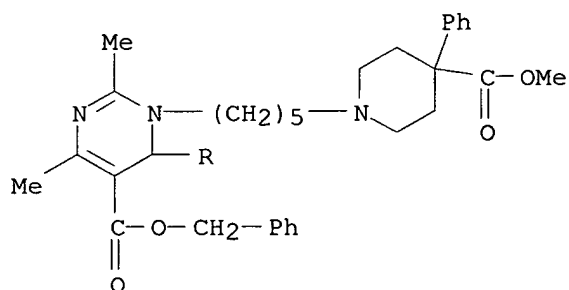
RN 179483-03-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)



RN 216310-98-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-2,4-dimethyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 1999:626075 CAPLUS

DN 131:252591

TI Combination of .alpha.1-adrenoceptor antagonists and endothelin antagonists for the treatment of benign prostatic hyperplasia

IN Broten, Theodore P.; Siegl, Peter K. S.; Nichtberger, Steven A.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 45 pp.

CODEN: PIXXD2

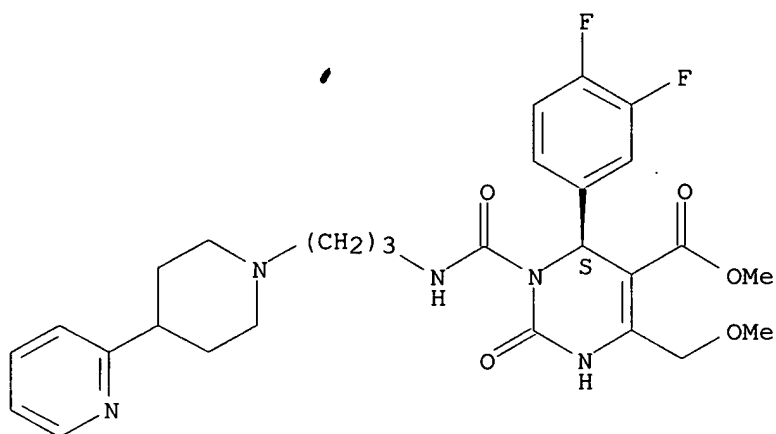
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9948530	A1	19990930	WO 1999-US6014	19990319
	W:	AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9930112	A1	19991018	AU 1999-30112	19990319
	US 6410554	B1	20020625	US 1999-274839	19990323
PRAI	US 1998-79041P	P	19980323		
	GB 1998-10895	A	19980520		
	WO 1999-US6014	W	19990319		
AB	A pharmaceutical compn. for the treatment of benign prostatic hyperplasia comprises an .alpha.1a-adrenoceptor antagonist, a non-selective endothelin antagonist, and optionally a 5.alpha.-reductase inhibitor. The combination therapy improves lower urinary tract symptoms including increasing urine flow rate, decreasing residual urine vol. and improving overall obstructive and irritative symptoms in patients with benign prostatic hyperplasia or symptomatic prostatism. The efficacy of endothelin antagonists and .alpha.1a-adrenoceptor antagonists for inhibition of ET-1 and .alpha.1-adrenoceptor-mediated prostatic urethral contractions was tested in a mongrel dog model. The prepn. of the .alpha.1a-adrenoceptor antagonist trans-(+)-4-(3,4-difluorophenyl)-5-methyl-2-oxo-oxazolidine-3-carboxylic acid [3-[4-(4-fluorophenyl)-piperidin-1-yl]propyl]amide is presented.				
IT	200050-59-5				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(prepn. of .alpha.1-adrenoceptor antagonists for combination therapy with endothelin antagonists for treatment of benign prostatic hyperplasia and prostatitis)				
RN	200050-59-5 CAPLUS				
CN	5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (6S)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry. Rotation (+).



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 19 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 1999:126891 CAPLUS

DN 130:182478

TI Crystalline pyrimidine derivative useful as an alpha 1a adrenergic receptor antagonist

IN Sidler, Daniel R.; Larsen, Robert D.; Chartrain, Michel; Ikemoto, Norihiro; Roberge, Christopher M.; Taylor, Colleen S.; Li, Wenjie; Bills, Gerald F.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 112 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9907695	A2	19990218	WO 1998-US16011	19980731
	WO 9907695	A3	19990617		
	W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 6207444	B1	20010327	US 1998-122301	19980724
	AU 9886805	A1	19990301	AU 1998-86805	19980731
	EP 1003734	A2	20000531	EP 1998-938234	19980731
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
	JP 2001512724	T2	20010828	JP 2000-506199	19980731
	US 6320049	B1	20011120	US 2000-563203	20000502
PRAI	US 1997-54815P	P	19970805		
	US 1997-54902P	P	19970805		
	GB 1997-19706	A	19970916		
	GB 1997-19708	A	19970916		
	US 1998-122301	A	19980724		
	WO 1998-US16011	W	19980731		
OS	CASREACT 130:182478; MARPAT 130:182478				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to cryst., pharmaceutically acceptable salts of an alpha 1a adrenergic receptor antagonist, I, which are useful (no data) in the treatment of benign prostatic hyperplasia. Pharmaceutical compns. employing the cryst. salts, and processes for making and using the compds., the cryst. salts, and the pharmaceutical compns., are also disclosed. The invention further relates to a process for obtaining an enantiomerically pure intermediate useful for the synthesis of end product alpha 1a adrenergic receptor antagonists. The end products are useful for the treatment of benign prostatic hyperplasia and for relaxing lower urinary tract tissue. The invention also relates to a process for prepg. a class of dihydropyrimidinone compds., including I, wherein the process involves deprotonating a dihydropyrimidinone compd. and then coupling the deprotonated deriv. with a primary amine. For instance, 2,4'-dipyridyl

was N-alkylated by 3-bromopropylamine-HBr, and the quaternized pyridine ring was reduced, first by NaBH₄ and then by H₂, to give 3-[4-(2-pyridyl)piperidin-1-yl]propylamine (II). This achiral compd. was purified by crystn. of its L-tartrate salt. In a sep. step, the (S)-enantiomeric intermediate III was prepd. by cyclocondensation of Me 4-methoxyacetoacetate with urea and 3,4-difluorobenzaldehyde, followed by kinetic enzymic resoln., e.g., using subtilisin. Lithiation of III with LDA, followed by reaction with carbonyldiimidazole and then II, gave I as an oil. Crystn. of I with L-tartaric acid from 2-propanol gave a white, free-flowing, cryst. L-tartrate salt, which was characterized and detd. to be an isopropanol solvate. This solvate can be heated or washed with EtOH to give the anhyd. L-tartrate of I. Both the solvated and anhyd. forms have convenient phys. properties. Furthermore, these salts of I allow that compd. to be purified on an industrial scale.

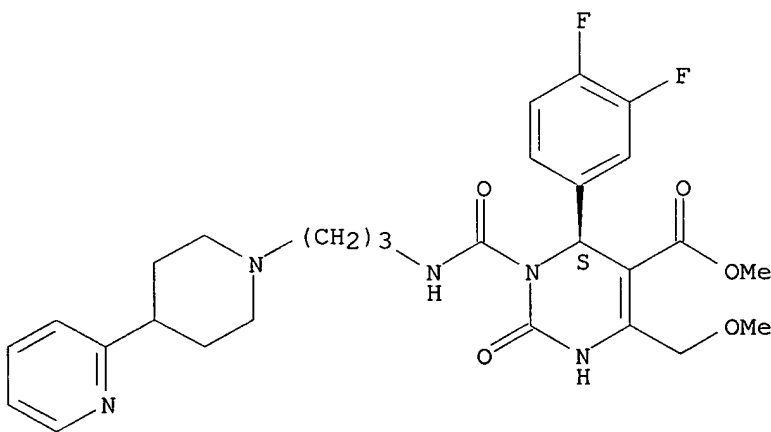
IT **200050-59-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(purifn. via salification; prepn. of cryst. pyrimidine deriv. salts useful as .alpha.1A adrenergic antagonists)

RN 200050-59-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT **220497-97-2P 220498-01-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target salt; prepn. of cryst. pyrimidine deriv. salts useful as .alpha.1A adrenergic antagonists)

RN 220497-97-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (6S)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

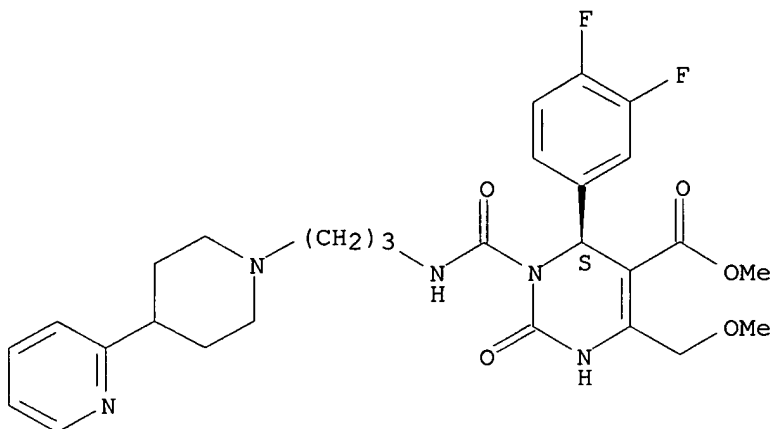
09/855,597

CM 1

CRN 200050-59-5

CMF C28 H33 F2 N5 O5

Absolute stereochemistry. Rotation (+).



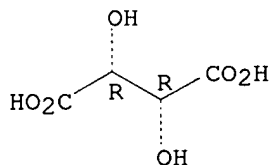
CM 2

CRN 87-69-4

CMF C4 H6 O6

CDES 1:R2:R*,R*

Absolute stereochemistry.



RN 220498-01-1 CAPLUS

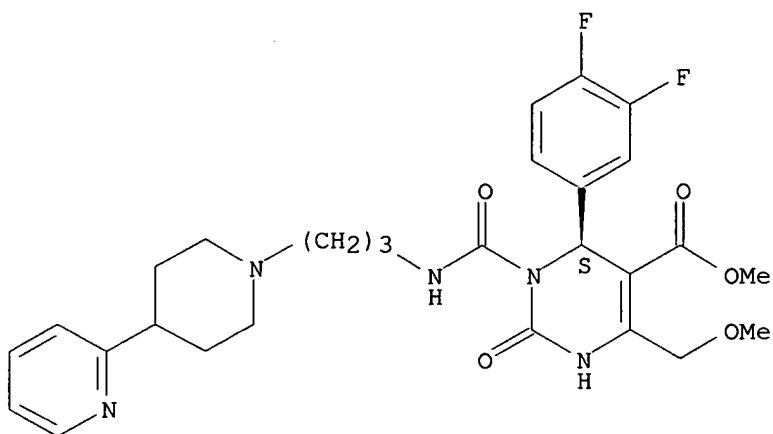
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (6S)-, (2R,3R)-2,3-dihydroxybutanedioate, compd. with 2-propanol (1:1:?) (9CI) (CA INDEX NAME)

CM 1

CRN 200050-59-5

CMF C28 H33 F2 N5 O5

Absolute stereochemistry. Rotation (+).



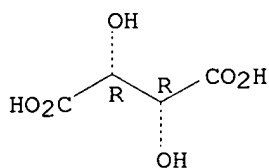
CM 2

CRN 87-69-4

CMF C4 H6 O6

CDES 1:R2:R*,R*

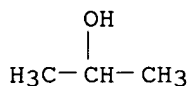
Absolute stereochemistry.



CM 3

CRN 67-63-0

CMF C3 H8 O



IT 220498-03-3P 220498-05-5P 220498-06-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target salt; prepn. of cryst. pyrimidine deriv. salts useful as .alpha.1A adrenergic antagonists)

RN 220498-03-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (6S)-,

09/855,597

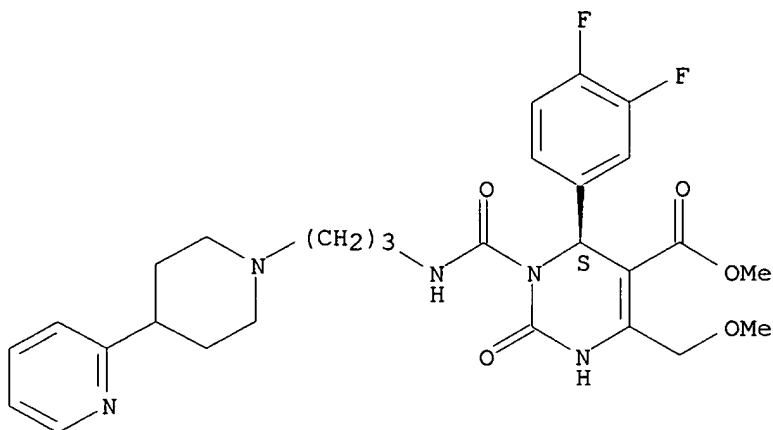
(2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 200050-59-5

CMF C28 H33 F2 N5 O5

Absolute stereochemistry. Rotation (+).



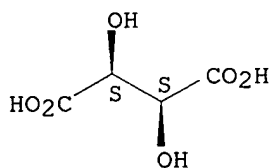
CM 2

CRN 147-71-7

CMF C4 H6 O6

CDES 1:S2:R*,R*

Absolute stereochemistry.



RN 220498-05-5 CAPLUS

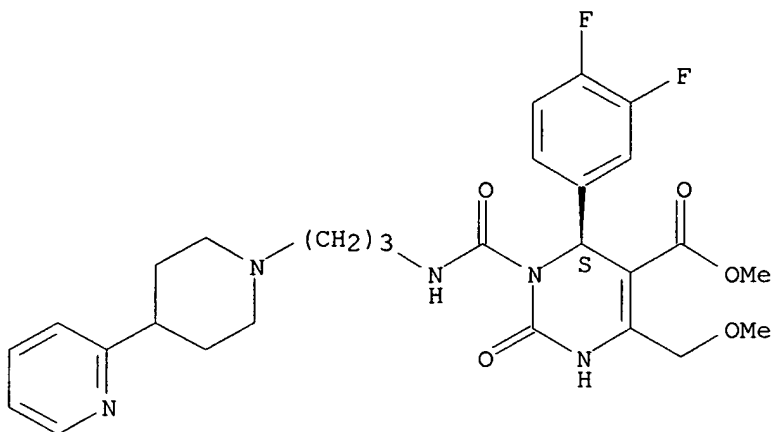
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (6S)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 200050-59-5

CMF C28 H33 F2 N5 O5

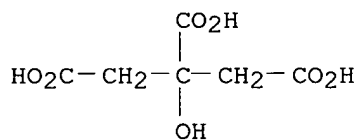
Absolute stereochemistry. Rotation (+).



CM 2

CRN 77-92-9

CMF C6 H8 O7



RN 220498-06-6 CAPLUS

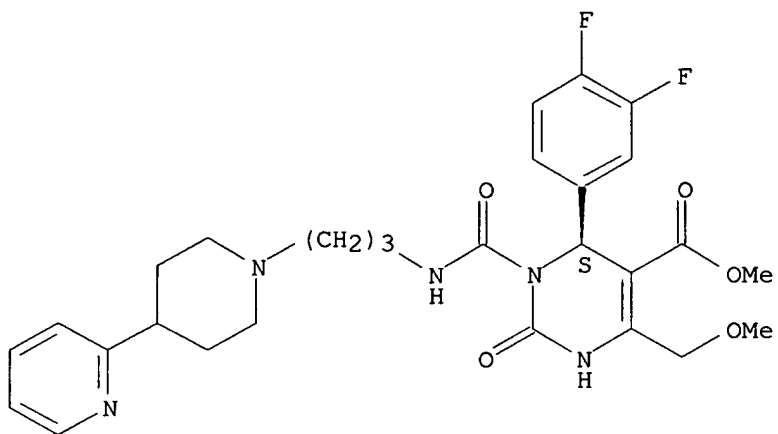
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (2S)-, monobenzoate (9CI) (CA INDEX NAME)

CM 1

CRN 200050-59-5

CMF C28 H33 F2 N5 O5

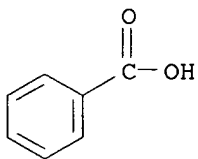
Absolute stereochemistry. Rotation (+).



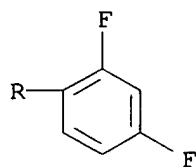
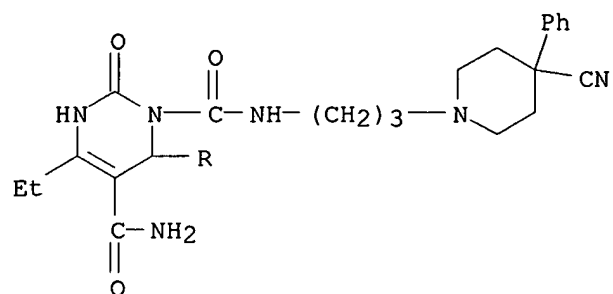
CM 2

CRN 65-85-0

CMF C7 H6 O2



~~IN~~ ANSWER 20 OF 45 CAPLUS COPYRIGHT 2002 ACS
~~AN~~ 1999:41858 CAPLUS
DN 130:246195
TI Rapid liquid chromatography with tandem mass spectrometry-based screening procedures for studies on the biotransformation of drug candidates
AU Fernandez-Metzler, C. L.; Owens, K. G.; Baillie, T. A.; King, R. C.
CS Merck Research Laboratories, West Point, PA, 19486, USA
SO Drug Metabolism and Disposition (1999), 27(1), 32-40
CODEN: DMDSAI; ISSN: 0090-9556
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
AB The accelerated pace of contemporary drug discovery and development in the pharmaceutical industry has generated increasing demands for early information on the metabolic fate of candidate drugs to guide the selection of new compds. for clin. evaluation. In response to these demands, the authors have developed a procedure for the rapid anal. of complex biol. mixts. for the presence of drug-related materials and have embarked on the development of novel computer-based approaches whereby such procedures can be automated. The goal of this work was to rapidly identify drug metabolites (derived either from a single substrate or from a mixt. of substrates) formed in vivo or in vitro. The approach that the authors have developed relies on the use of generic chromatog. and mass spectrometric methods for anal. of mixts. of drugs and metabolites and on correlation anal. of tandem mass spectrometry spectra to distinguish drug-related components from endogenous materials. Cross-correlation of the spectra also is used to identify the relation between each metabolite and its resp. parent drug in the mixt. In this manner, metabolites of a mixt. of several drugs may be analyzed in the time it normally would take to analyze the products from a single substrate. The authors show that this rapid anal. approach can, with only minor sacrifices in the completeness of the data, significantly increase the no. of compds. whose metabolic fate can be elucidated in a given time.
IT 221564-95-0, L 773558
RL: ANT (Analyte); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process)
(rapid liq. chromatog. with tandem mass spectrometry-based screening procedures for studies on biotransformation of drug candidates by human liver microsomes)
RN 221564-95-0 CAPLUS
CN 1,5(2H)-Pyrimidinedicarboxamide, N1-[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]-6-(2,4-difluorophenyl)-4-ethyl-3,6-dihydro-2-oxo-(9CI) (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 1998:764290 CAPLUS

DN 130:25077

TI Preparation of piperidinypropylaminocarbonyldihydropyrimidones and related compounds as selective adrenergic .alpha.1A receptor antagonists.

IN Wong, Wai C.; Lagu, Bharat; Nagarathnam, Dhanapalan; Marzabadi, Mohammad R.; Gluchowski, Charles

PA Synaptic Pharmaceutical Corporation, USA

SO PCT Int. Appl., 314 pp.

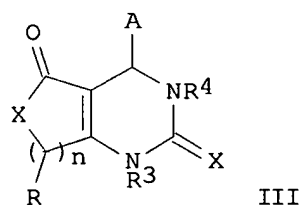
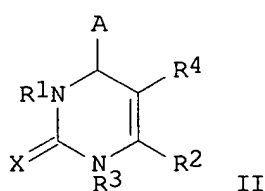
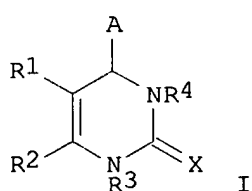
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9851311	A2	19981119	WO 1998-US10082	19980515
	WO 9851311	A3	19990114		
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	US 6245773	B1	20010612	US 1997-858017	19970516
	AU 9876872	A1	19981208	AU 1998-76872	19980515
	US 2002010186	A1	20020124	US 2001-855597	20010515
PRAI	US 1997-858017	A	19970516		
	US 1996-17801P	P	19960516		
	WO 1998-US10082	W	19980515		
OS	MARPAT 130:25077				
GI					



AB Title compds. [I, II, III; A = specified (substituted) (hetero)aryl; X = S, O, NR₃; R₁ = H, NO₂, cyano, alkyl, fluoroalkyl, alkenyl, alkynyl, cycloalkyl, fluorocycloalkyl, cycloalkenyl, N(R₃)₂, OR₃, COR₃, CO₂R₃, CON(R₃)₂; R₂ = H, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, fluoroalkyl, alkenyl, alkynyl, cycloalkyl, fluorocycloalkyl, cycloalkenyl, cycloalkylalkyl, cyano, OR₃, etc.; R₃ = H, alkyl, fluoroalkyl, alkenyl, alkynyl, cycloalkyl, fluorocycloalkyl, cycloalkenyl; R₄ = specified substituted heterocyclylpiperidinylalkyl, etc.; n = 0-5], were prepd. I are useful for lowering intraocular pressure, inhibiting cholesterol synthesis, relaxing lower urinary tract tissue, treatment of benign prostatic hyperplasia, impotency, cardiac arrhythmia, etc. Thus, (+)-5-carboxamido-4-ethyl-1-[N-[3-(4-methoxycarbonyl-4-phenylpiperidin-1-yl)propyl]]carboxamido-6-(4-nitrophenyl)-2-oxo-1,2,3,6-

tetrahydropyrimidine (prepn. given) bound to human .alpha.1A receptors with pKi = 9.74.

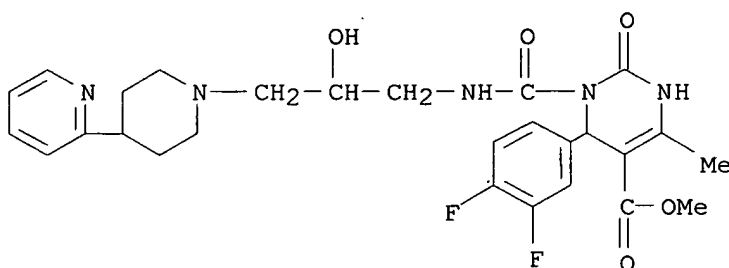
IT 200050-54-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of piperidinylpropylaminocarbonyldihydropyrimidones as selective adrenergic .alpha.1A receptor antagonists)

RN 200050-54-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[2-hydroxy-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

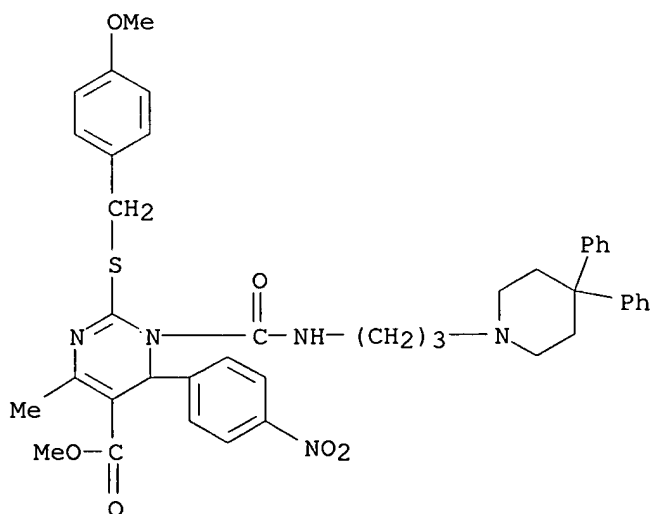
IT 179480-83-2P 179480-84-3P 179480-85-4P
 179480-86-5P 179480-93-4P 179481-10-8P
 179481-11-9P 179481-41-5P 179481-42-6P
 179481-56-2P 179481-57-3P 179481-59-5P
 179481-60-8P 179481-61-9P 179481-62-0P
 179481-63-1P 179481-64-2P 179481-65-3P
 179481-70-0P 179481-71-1P 179481-72-2P
 179481-79-9P 179481-81-3P 179481-82-4P
 200050-41-5P 200050-42-6P 200050-43-7P
 200050-44-8P 200050-45-9P 200050-47-1P
 200050-48-2P 200050-49-3P 200050-50-6P
 200050-53-9P 200050-57-3P 200050-58-4P
 200050-59-5P 200050-60-8P 200050-63-1P
 200050-65-3P 200050-66-4P 200050-75-5P
 200050-76-6P 200050-82-4P 200050-84-6P
 200050-85-7P 200050-87-9P 200050-89-1P
 200050-90-4P 200050-91-5P 200050-92-6P
 200051-01-0P 200051-02-1P 200051-03-2P
 200051-05-4P 200051-06-5P 200051-09-8P
 200051-10-1P 200051-14-5P 200051-17-8P
 200051-18-9P 200051-19-0P 200051-32-7P
 200051-35-0P 200051-36-1P 200051-37-2P
 200051-38-3P 200051-39-4P 200051-40-7P
 200051-41-8P 200051-43-0P 200051-45-2P
 200051-46-3P 200051-47-4P 200051-48-5P
 200051-57-6P 200051-58-7P 200051-59-8P
 200051-61-2P 200051-62-3P 200200-20-0P

200200-23-3P 216310-00-8P 216310-01-9P
 216310-03-1P 216310-05-3P 216310-11-1P
 216310-13-3P 216310-15-5P 216310-17-7P
 216310-20-2P 216310-22-4P 216310-24-6P
 216310-31-5P 216310-32-6P 216310-33-7P
 216310-34-8P 216310-35-9P 216310-36-0P
 216310-37-1P 216310-38-2P 216310-39-3P
 216310-40-6P 216310-41-7P 216310-42-8P
 216310-43-9P 216310-44-0P 216310-45-1P
 216310-46-2P 216310-47-3P 216310-48-4P
 216310-49-5P 216310-50-8P 216310-51-9P
 216310-52-0P 216310-53-1P 216310-54-2P
 216310-55-3P 216310-56-4P 216310-57-5P
 216310-58-6P 216310-59-7P 216310-60-0P
 216310-62-2P 216310-64-4P 216310-66-6P
 216310-68-8P 216310-70-2P 216310-72-4P
 216310-74-6P 216310-76-8P 216310-78-0P
 216310-80-4P 216310-82-6P 216310-84-8P
 216310-86-0P 216310-88-2P 216310-90-6P
 216311-28-3P 216311-38-5P 216311-39-6P
 216311-40-9P 216311-41-0P 216311-42-1P
 216311-43-2P 216311-44-3P 216311-45-4P
 216311-46-5P 216311-47-6P 216311-48-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of piperidinylpropylaminocarbonyldihydropyrimidones as selective adrenergic .alpha.1A receptor antagonists)

RN 179480-83-2 CAPLUS

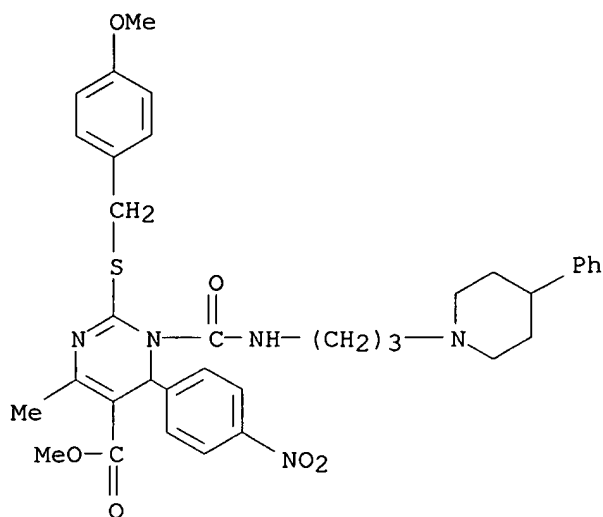
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4,4-diphenyl-1-piperidinyl)propyl]amino]carbonyl]-1,6-dihydro-2-[[[4-methoxyphenyl)methyl]thio]-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI)
 (CA INDEX NAME)



RN 179480-84-3 CAPLUS

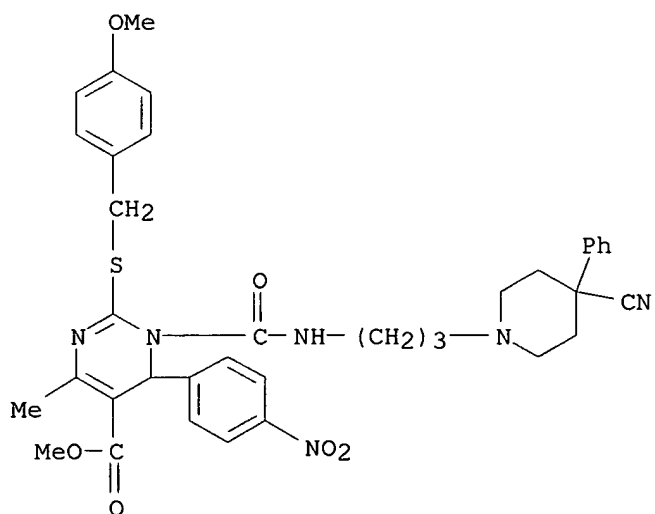
CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-[[[4-methoxyphenyl)methyl]thio]-4-methyl-6-(4-nitrophenyl)-1-[[[3-(4-phenyl-1-

piperidinyl)propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



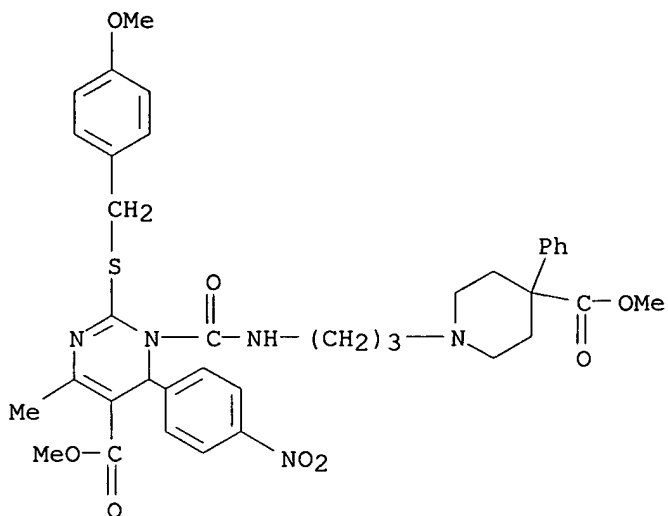
RN 179480-85-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-1,6-dihydro-2-[[4-methoxyphenyl)methyl]thio]-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI)
(CA INDEX NAME)



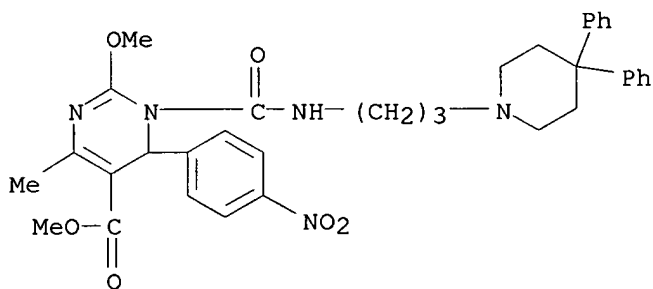
RN 179480-86-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-[[4-methoxyphenyl)methyl]thio]-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI)
(CA INDEX NAME)



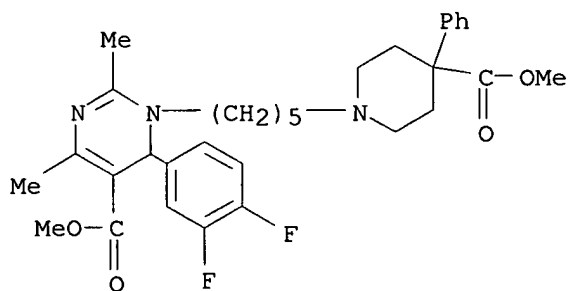
RN 179480-93-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4,4-diphenyl-1-piperidinyl)propyl]amino]carbonyl]-1,6-dihydro-2-methoxy-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI) (CA INDEX NAME)



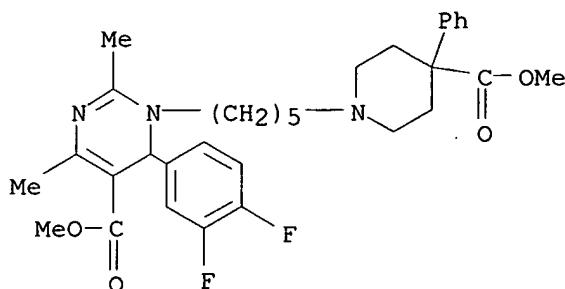
RN 179481-10-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



RN 179481-11-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

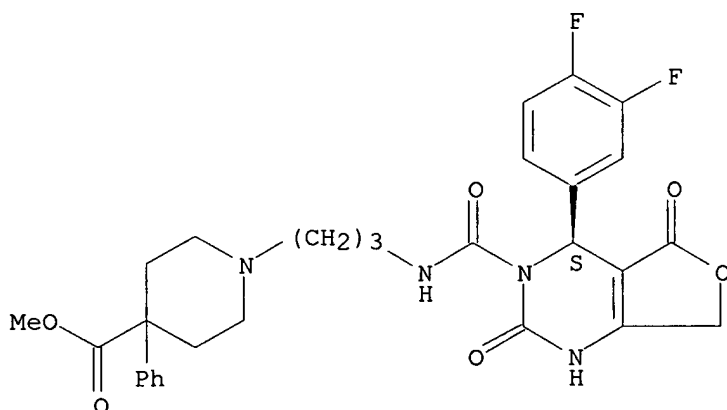


●2 HCl

RN 179481-41-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[(4S)-4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]propyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)

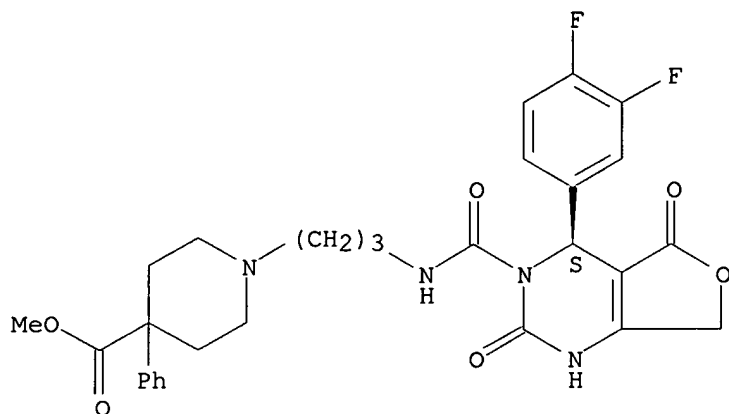
Absolute stereochemistry. Rotation (+).



RN 179481-42-6 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[(4S)-4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]propyl]-4-phenyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

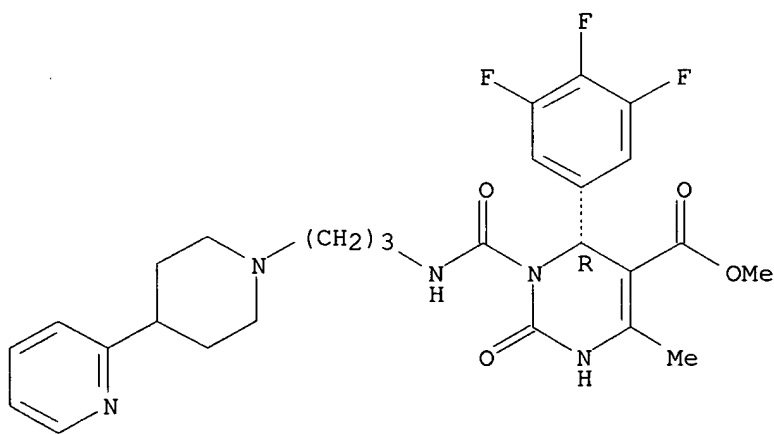


● HCl

RN 179481-56-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (6R)- (9CI) (CA INDEX NAME)

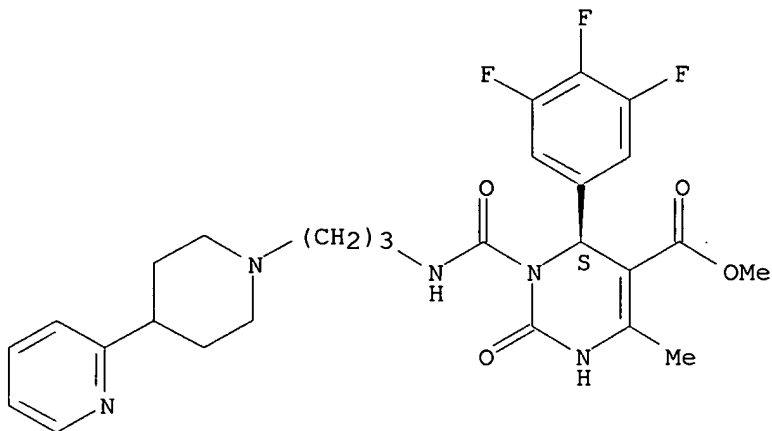
Absolute stereochemistry. Rotation (-).



RN 179481-57-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

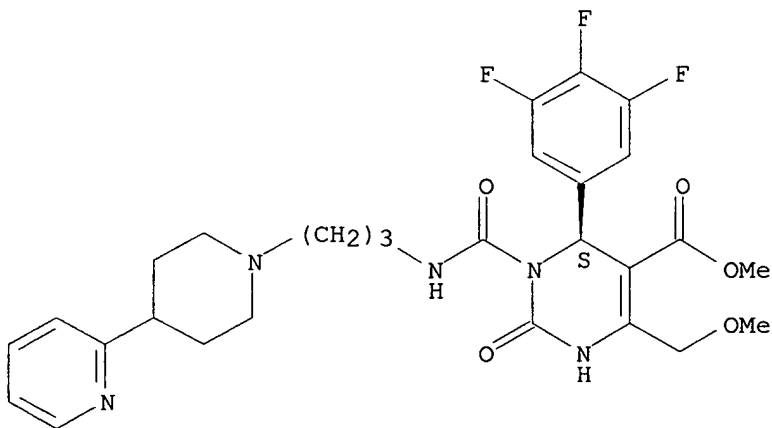
Absolute stereochemistry. Rotation (+).



RN 179481-59-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

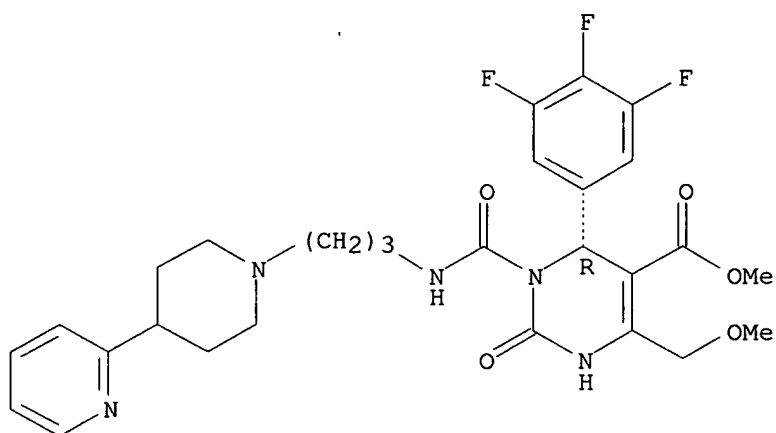
Absolute stereochemistry. Rotation (+).



RN 179481-60-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, dihydrochloride, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

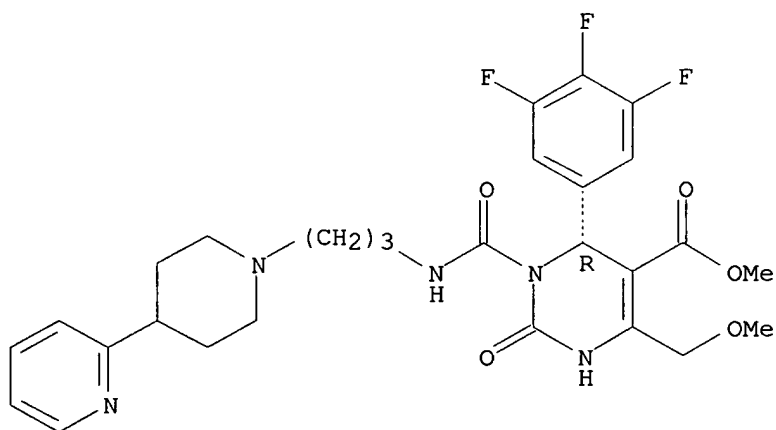


● 2 HCl

RN 179481-61-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (6R)- (9CI) (CA INDEX NAME)

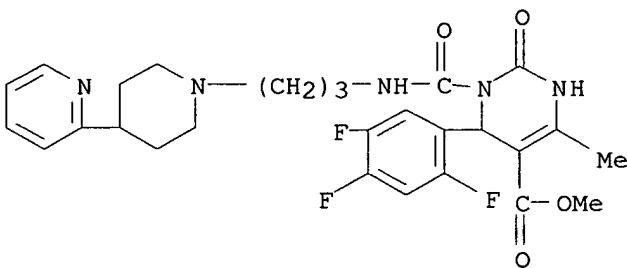
Absolute stereochemistry. Rotation (-).



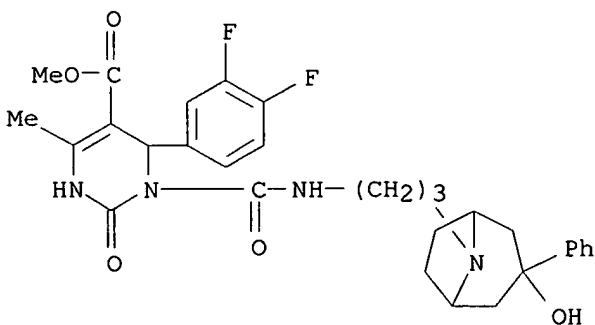
RN 179481-62-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(2,4,5-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)

5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(2,4,5-trifluorophenyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

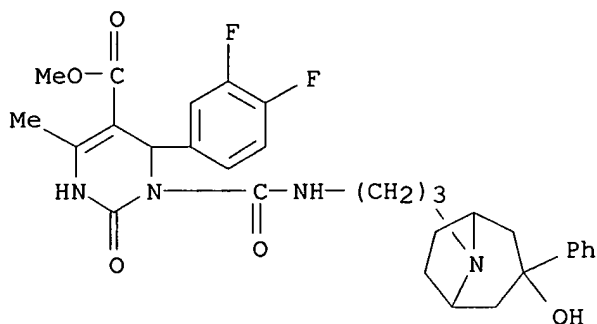


5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
[[[3-(3-hydroxy-3-phenyl-8-azabicyclo[3.2.1]oct-8-yl)propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX
NAME)



CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
[[[3-(3-hydroxy-3-phenyl-8-azabicyclo[3.2.1]oct-8-

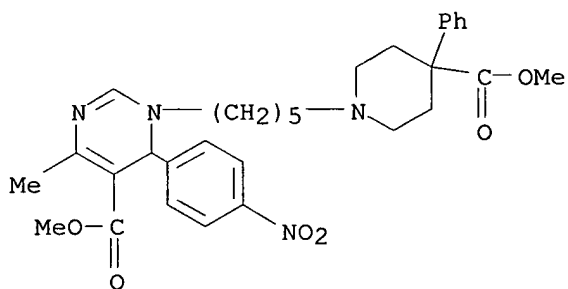
yl)propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, monohydrochloride
(9CI) (CA INDEX NAME)



● HCl

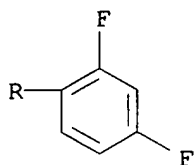
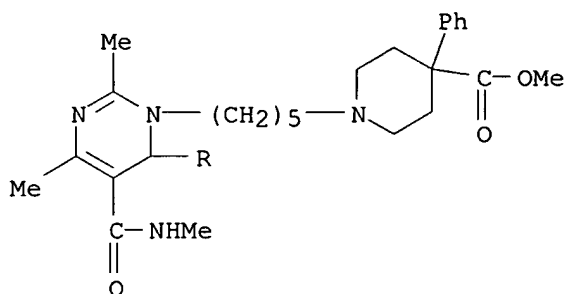
RN 179481-70-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidiny]pentyl]-4-methyl-6-(4-nitrophenyl)-, methyl ester
(9CI) (CA INDEX NAME)



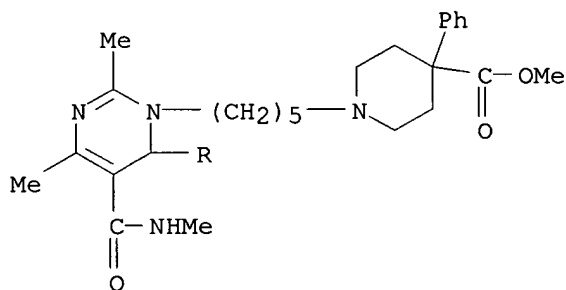
RN 179481-71-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[5-[6-(2,4-difluorophenyl)-2,4-dimethyl-5-[(methylamino)carbonyl]-1(6H)-pyrimidinyl]pentyl]-4-phenyl-, methyl ester
(9CI) (CA INDEX NAME)

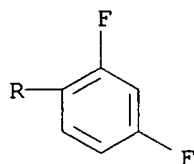


RN 179481-72-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[5-[6-(2,4-difluorophenyl)-2,4-dimethyl-5-[(methylamino)carbonyl]-1(6H)-pyrimidinyl]pentyl]-4-phenyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

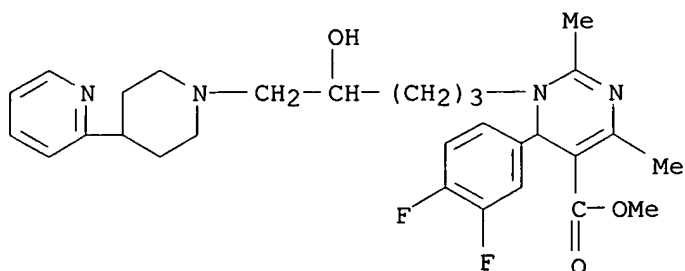


● HCl



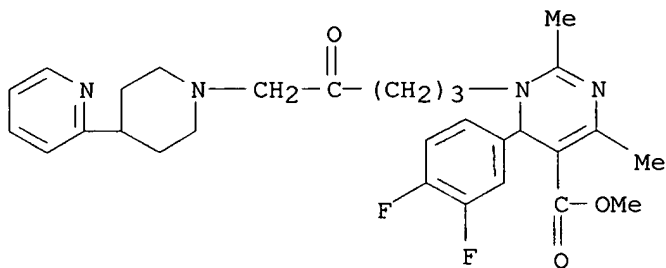
RN 179481-79-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[4-hydroxy-5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



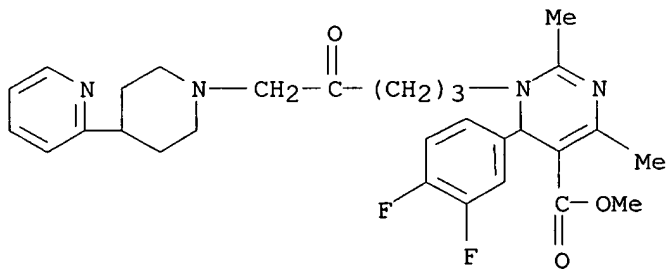
RN 179481-81-3 CAPLUS

5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-1-[4-oxo-5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 179481-82-4 CAPLUS

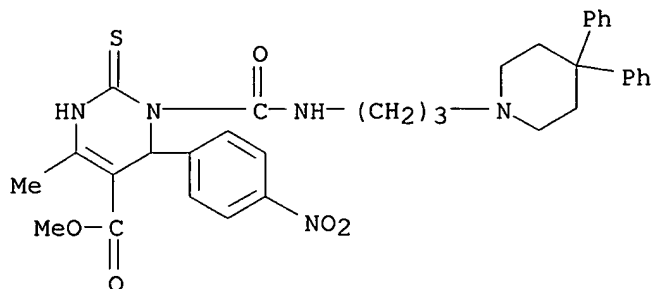
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-1-[4-oxo-5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-, methyl ester, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

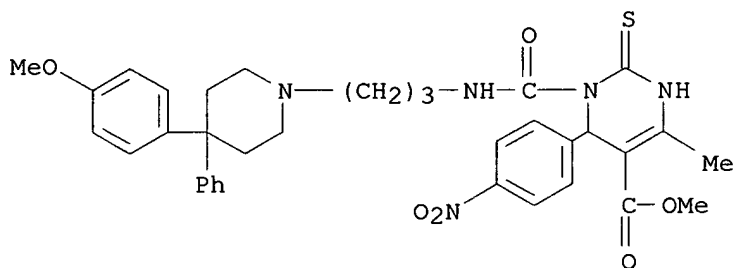
RN 200050-41-5 CAPLUS

5-Pyrimidinecarboxylic acid, 1-[[[3-(4,4-diphenyl-1-piperidiny)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-6-(4-nitrophenyl)-2-thioxo-, methyl ester (9CI) (CA INDEX NAME)



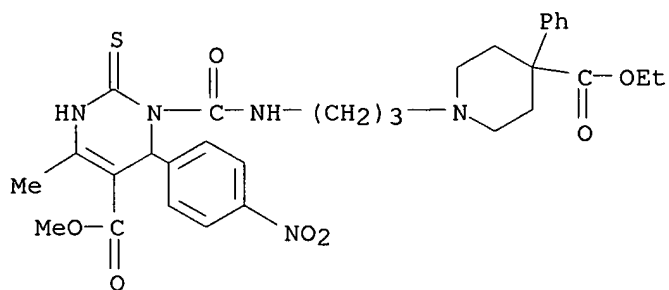
RN 200050-42-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-thioxo-, methyl ester (9CI) (CA INDEX NAME)



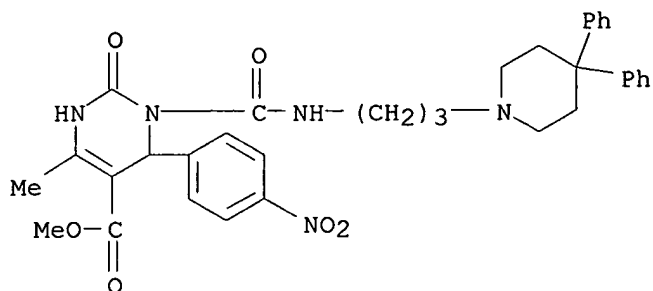
RN 200050-43-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(ethoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-6-(4-nitrophenyl)-2-thioxo-, methyl ester (9CI) (CA INDEX NAME)



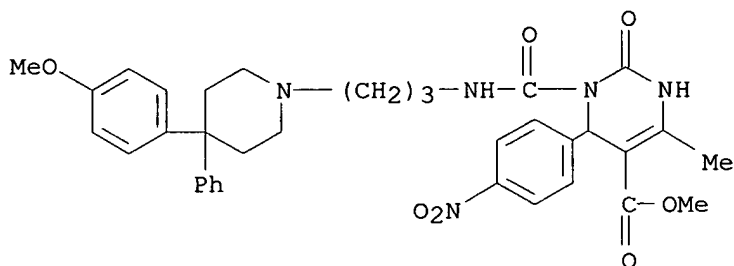
RN 200050-44-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4,4-diphenyl-1-piperidinyl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-6-(4-nitrophenyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



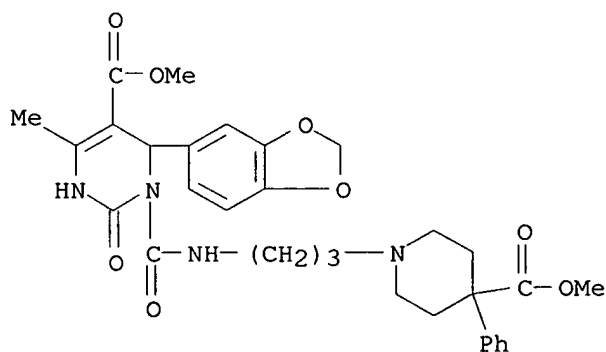
RN 200050-45-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 200050-47-1 CAPLUS

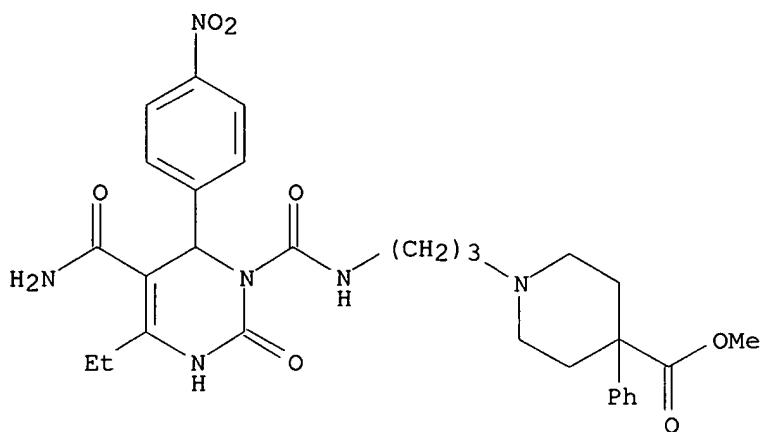
CN 5-Pyrimidinecarboxylic acid, 6-(1,3-benzodioxol-5-yl)-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 200050-48-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[5-(aminocarbonyl)-4-ethyl-3,6-dihydro-6-(4-nitrophenyl)-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]-4-phenyl-, methyl ester, (+)-(9CI) (CA INDEX NAME)

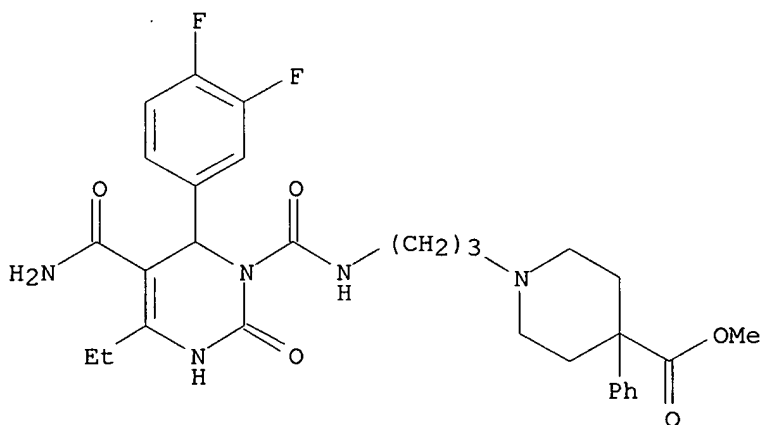
Rotation (+).



RN 200050-49-3 CAPLUS

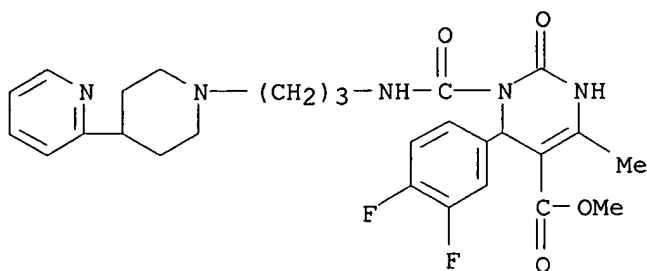
CN 4-Piperidinecarboxylic acid, 1-[3-[[[5-(aminocarbonyl)-6-(3,4-difluorophenyl)-4-ethyl-3,6-dihydro-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]-4-phenyl-, methyl ester, (+)-(9CI)
(CA INDEX NAME)

Rotation (+).



RN 200050-50-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

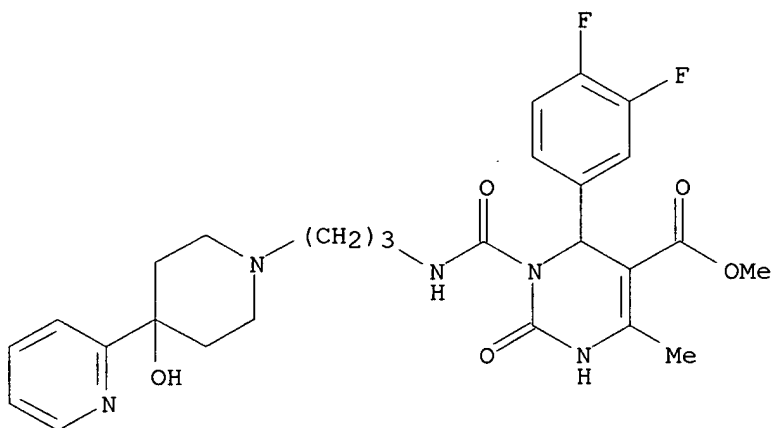


● 2 HCl

RN 200050-53-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
 [[[3-[4-hydroxy-4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-
 methyl-2-oxo-, methyl ester, dihydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

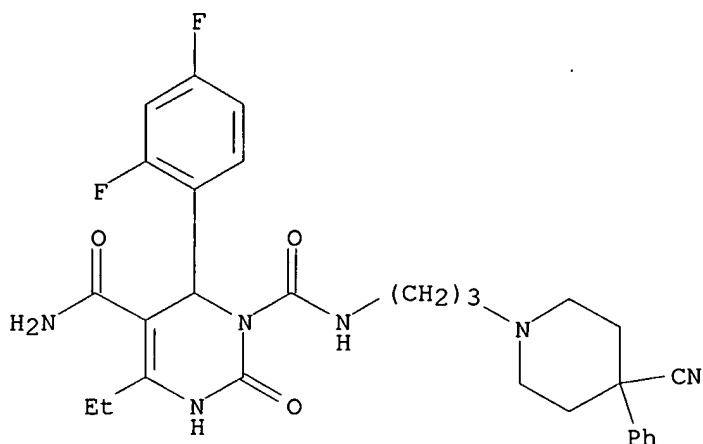


● 2 HCl

RN 200050-57-3 CAPLUS

CN 1,5(2H)-Pyrimidinedicarboxamide, N1-[3-(4-cyano-4-phenyl-1-
 piperidinyl)propyl]-6-(2,4-difluorophenyl)-4-ethyl-3,6-dihydro-2-oxo-,
 (+)- (9CI) (CA INDEX NAME)

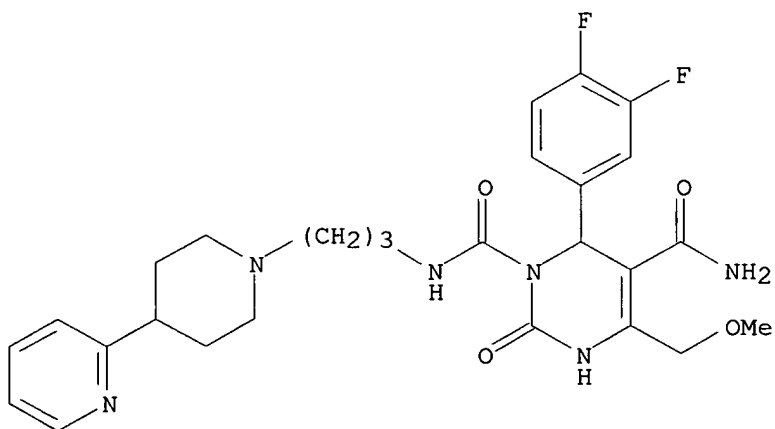
Rotation (+).



RN 200050-58-4 CAPLUS

CN 1,5(2H)-Pyrimidinedicarboxamide, 6-(3,4-difluorophenyl)-3,6-dihydro-4-(methoxymethyl)-2-oxo-N1-[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]-, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

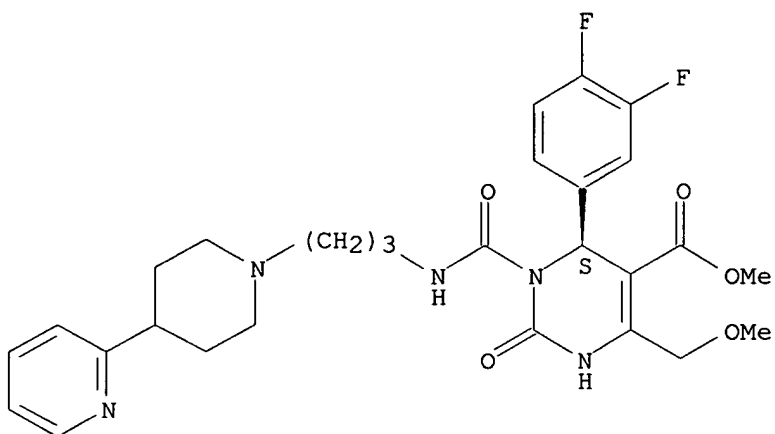


● 2 HCl

RN 200050-59-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (6S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

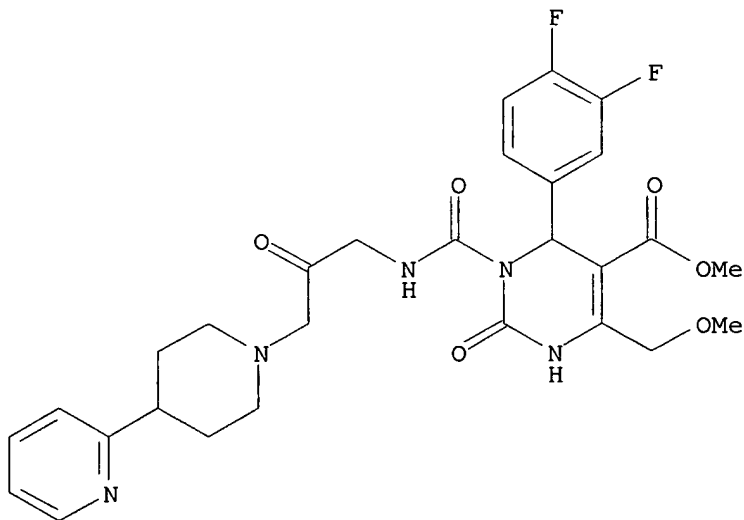


RN 200050-60-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[2-oxo-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

PAGE 1-A



PAGE 2-A

● 2 HCl

RN 200050-63-1 CAPLUS

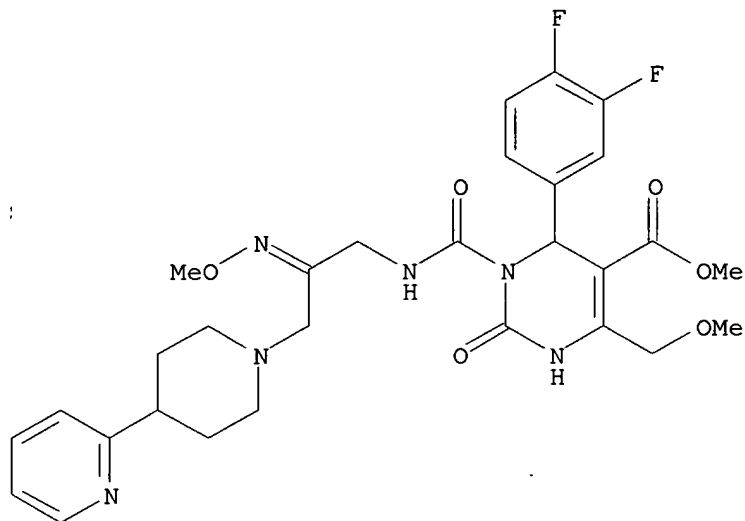
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[2-(methoxyimino)-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-(methoxymethyl)-2-oxo-, methyl ester, dihydrochloride, (+)-(9CI) (CA

INDEX NAME)

Rotation (+).

Double bond geometry unknown.

PAGE 1-A

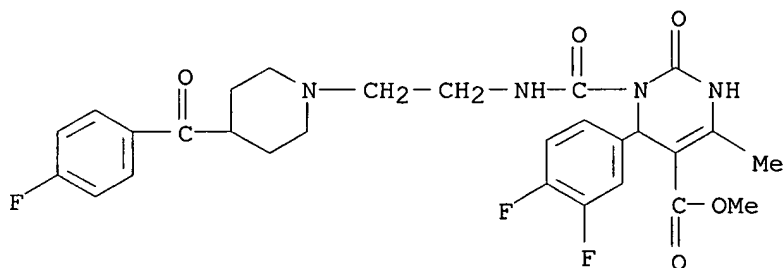


PAGE 2-A

● 2 HCl

RN 200050-65-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



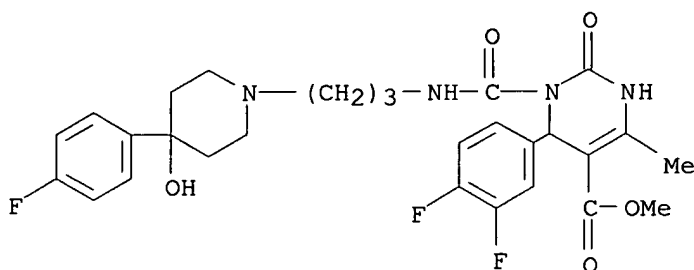
● HCl

RN 200050-66-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-

09/855,597

tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

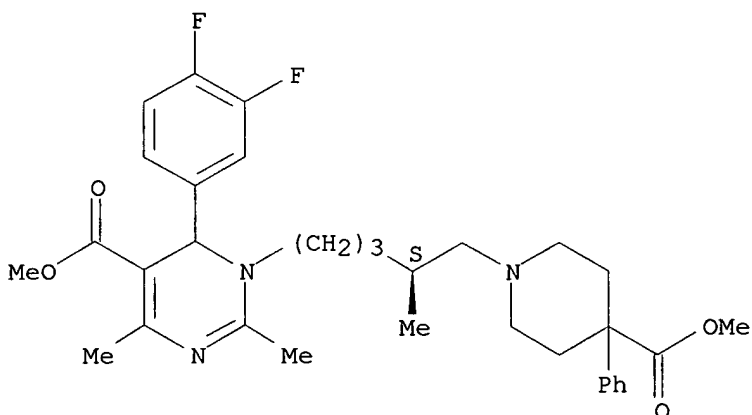


● HCl

RN 200050-75-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[(4S)-5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]-4-methylpentyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

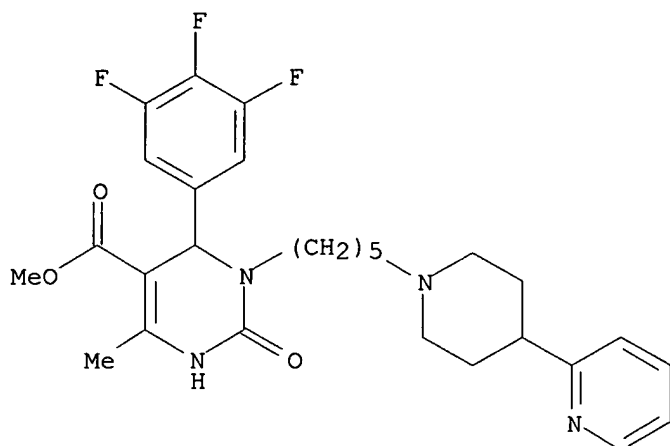
Absolute stereochemistry.



RN 200050-76-6 CAPLUS

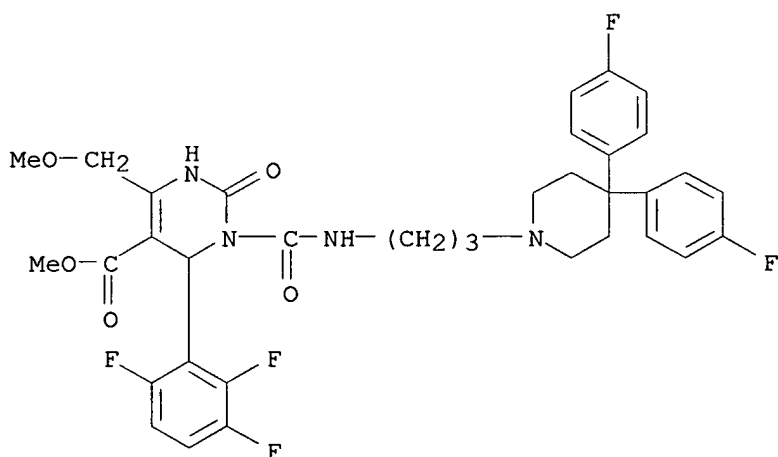
CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 200050-82-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4,4-bis(4-fluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-6-(2,3,6-trifluorophenyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

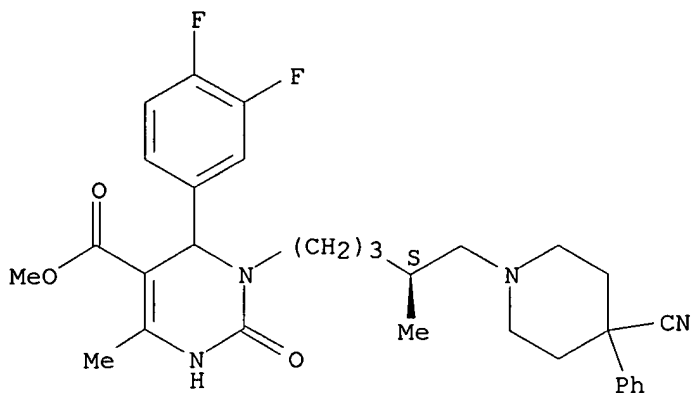


● 2 HCl

RN 200050-84-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[(4S)-5-(4-cyano-4-phenyl-1-piperidinyl)-4-methylpentyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

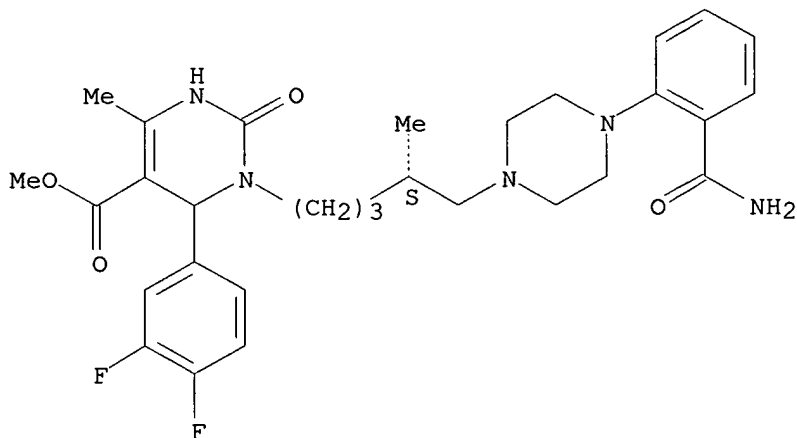
Absolute stereochemistry.



RN 200050-85-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[(4S)-5-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]-4-methylpentyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

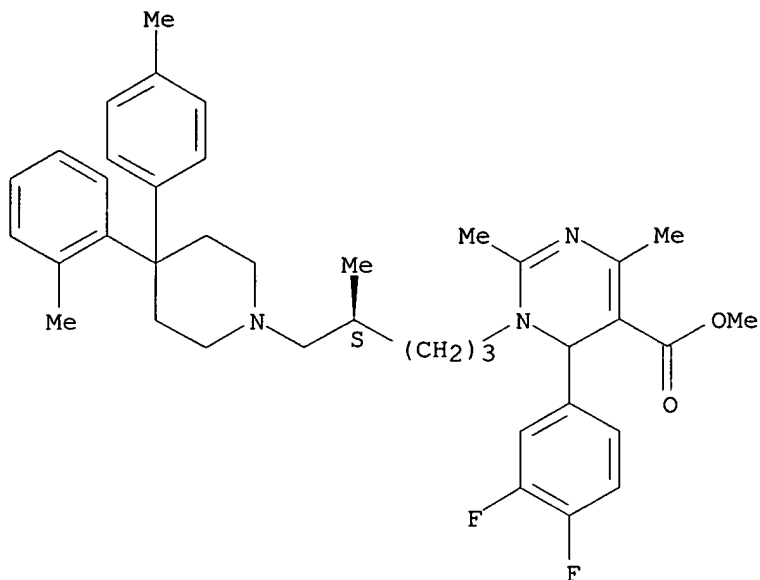
Absolute stereochemistry.



RN 200050-87-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-1-[(4S)-4-methyl-5-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]pentyl]-, methyl ester (9CI) (CA INDEX NAME)

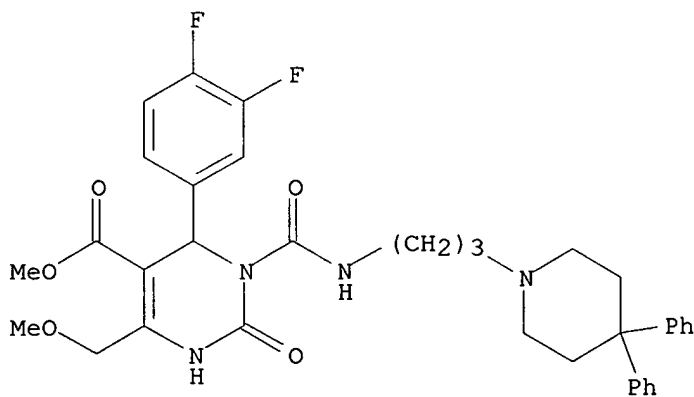
Absolute stereochemistry.



RN 200050-89-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(4,4-diphenyl-1-piperidinyl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

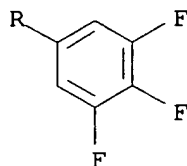
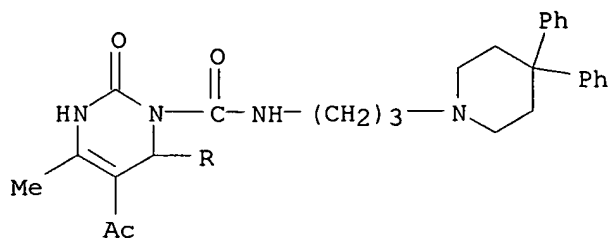
Rotation (+).



● HCl

RN 200050-90-4 CAPLUS

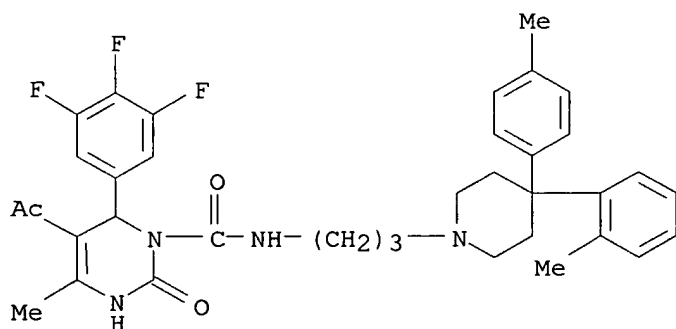
CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-N-[3-(4,4-diphenyl-1-piperidinyl)propyl]-3,6-dihydro-4-methyl-2-oxo-6-(3,4,5-trifluorophenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 200050-91-5 CAPLUS

CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-3,6-dihydro-4-methyl-N-[3-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]propyl]-2-oxo-6-(3,4,5-trifluorophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

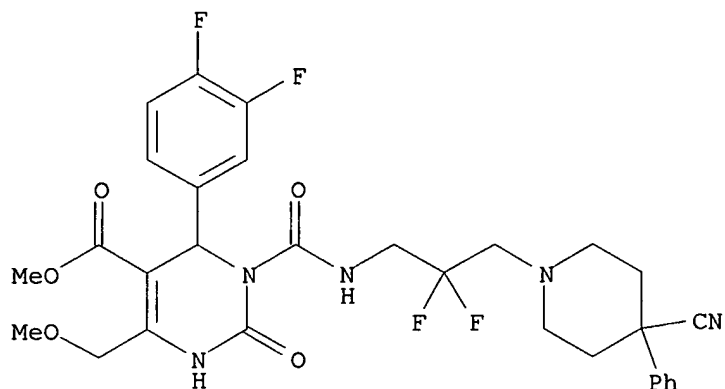


● HCl

RN 200050-92-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidinyl)-2,2-difluoropropyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

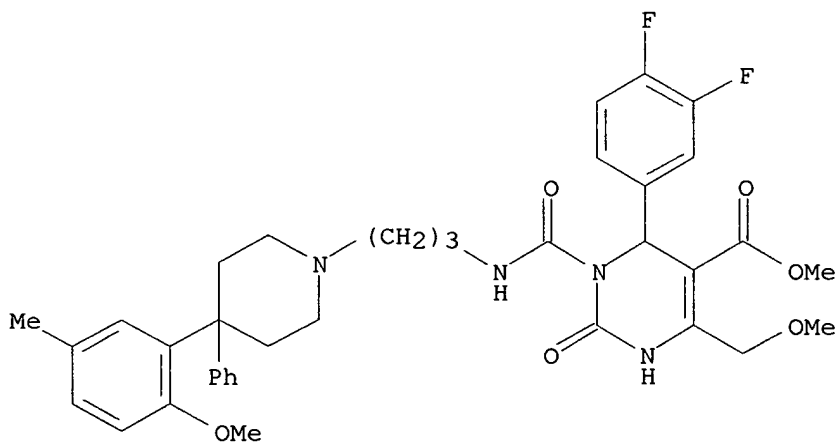
Rotation (+).



RN 200051-01-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(2-methoxy-5-methylphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

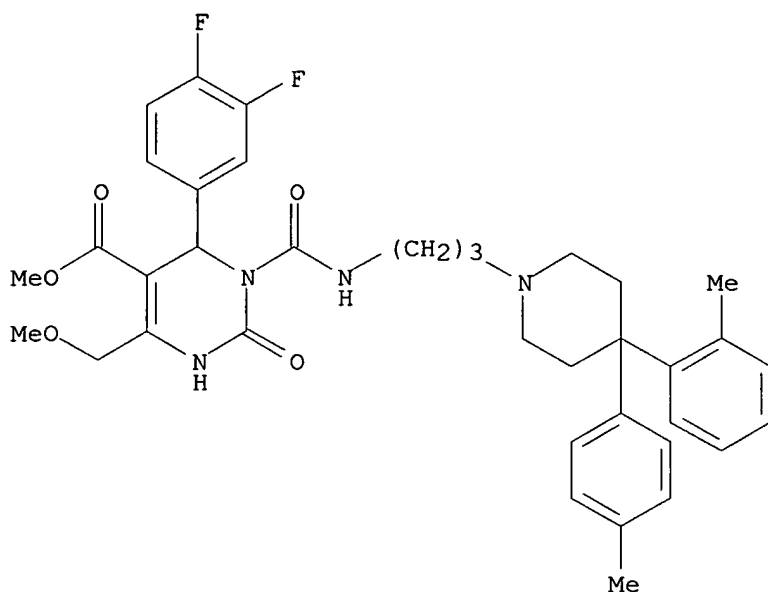
Rotation (+).



RN 200051-02-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

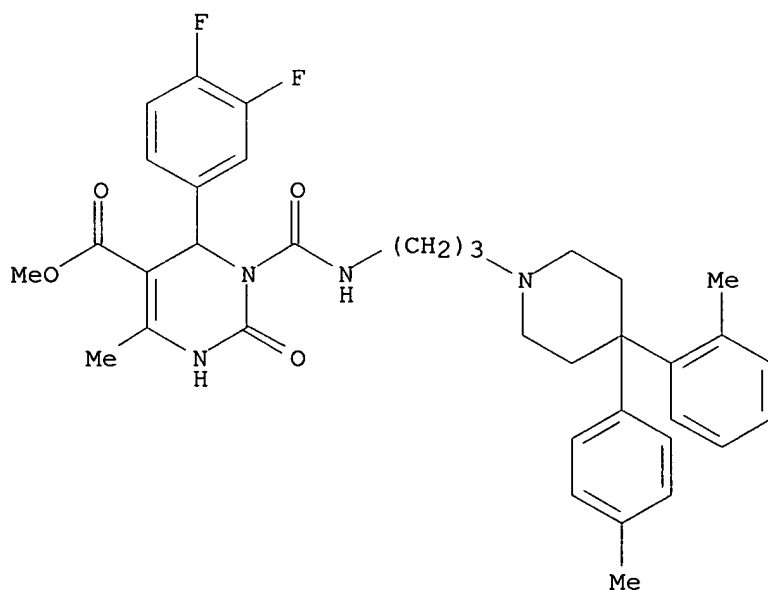
Rotation (+).



RN 200051-03-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

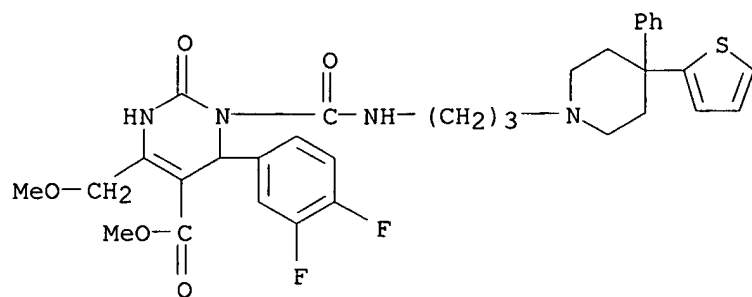
Rotation (+).



RN 200051-05-4 CAPLUS

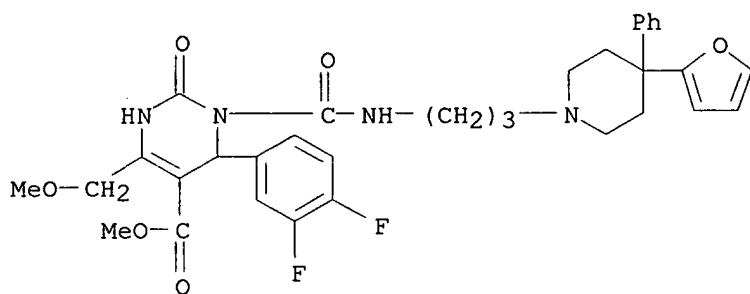
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-phenyl-4-(2-thienyl)-1-

piperidinyl]propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 200051-06-5 CAPLUS

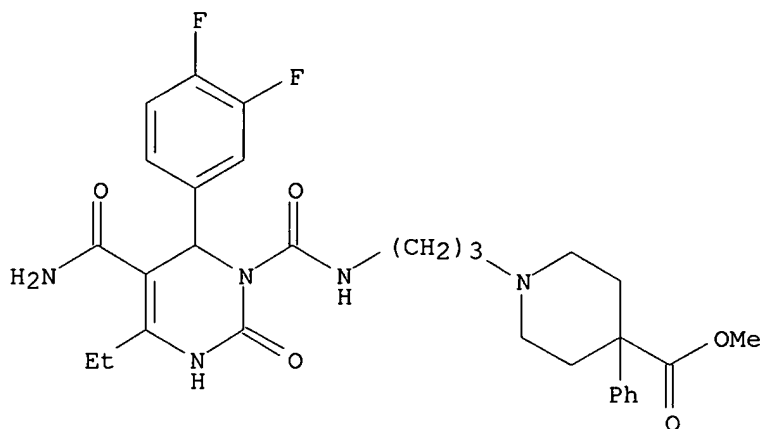
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(2-furanyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 200051-09-8 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[5-(aminocarbonyl)-6-(3,4-difluorophenyl)-4-ethyl-3,6-dihydro-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]-4-phenyl-, methyl ester, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

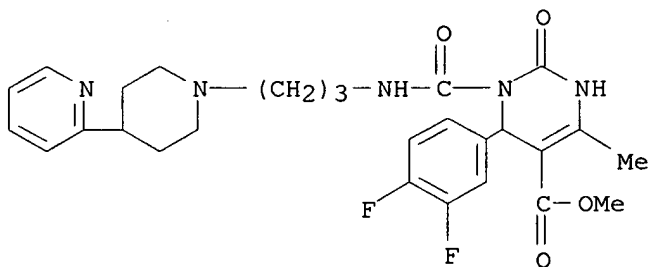
Rotation (+).



● HCl

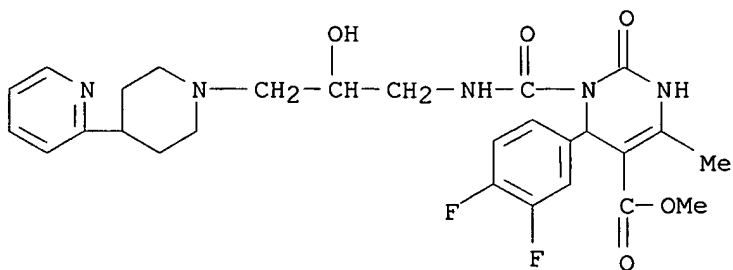
RN 200051-10-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 200051-14-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[2-hydroxy-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

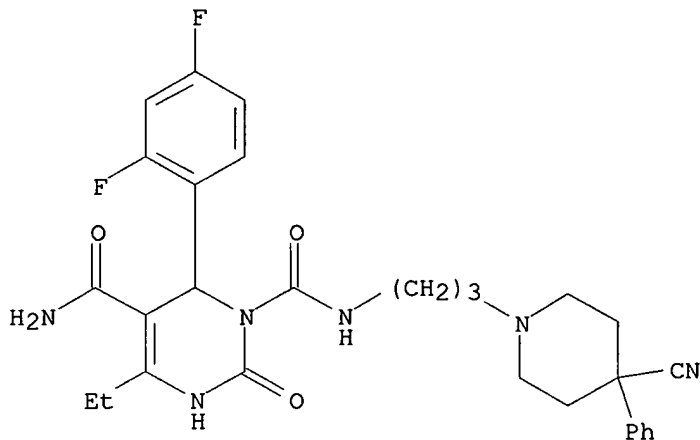


09/855,597

RN 200051-17-8 CAPLUS

CN 1,5(2H)-Pyrimidinedicarboxamide, N1-[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]-6-(2,4-difluorophenyl)-4-ethyl-3,6-dihydro-2-oxo-, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

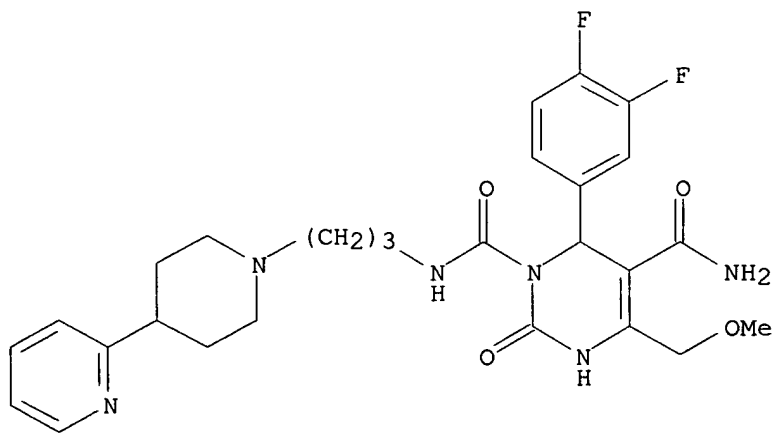


● HCl

RN 200051-18-9 CAPLUS

CN 1,5(2H)-Pyrimidinedicarboxamide, 6-(3,4-difluorophenyl)-3,6-dihydro-4-(methoxymethyl)-2-oxo-N1-[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]-, (+)-(9CI) (CA INDEX NAME)

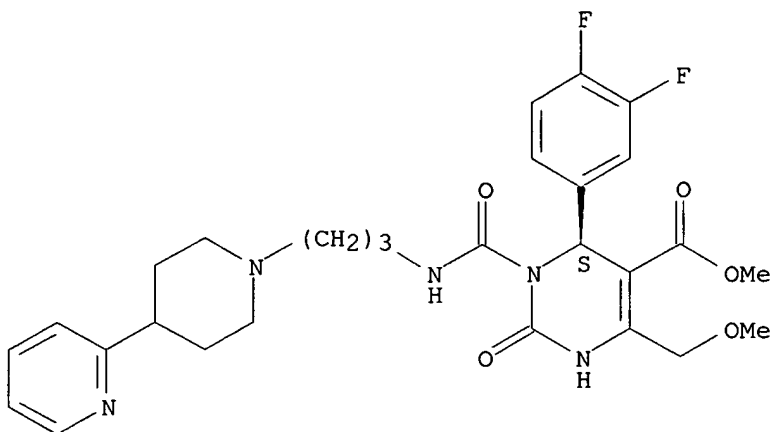
Rotation (+).



RN 200051-19-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, dihydrochloride, (6S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

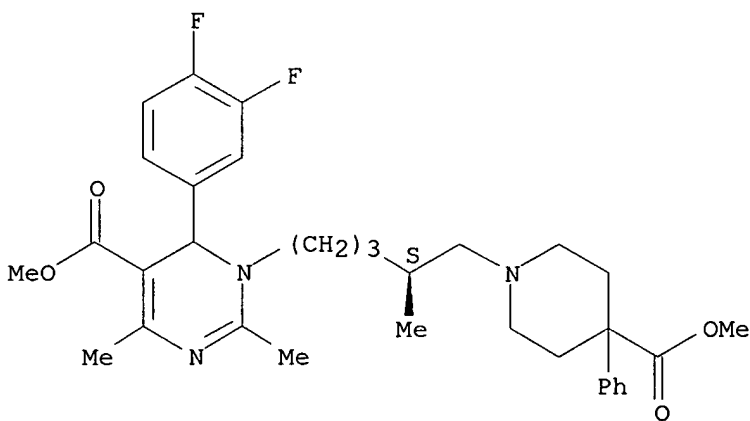


● 2 HCl

RN 200051-32-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[(4S)-5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]-4-methylpentyl]-2,4-dimethyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

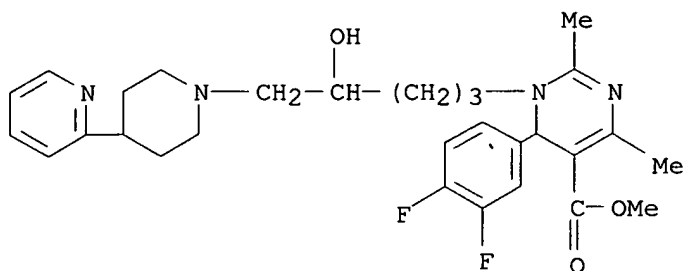
Absolute stereochemistry.



● 2 HCl

RN 200051-35-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[4-hydroxy-5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester, trihydrochloride (9CI) (CA INDEX NAME)

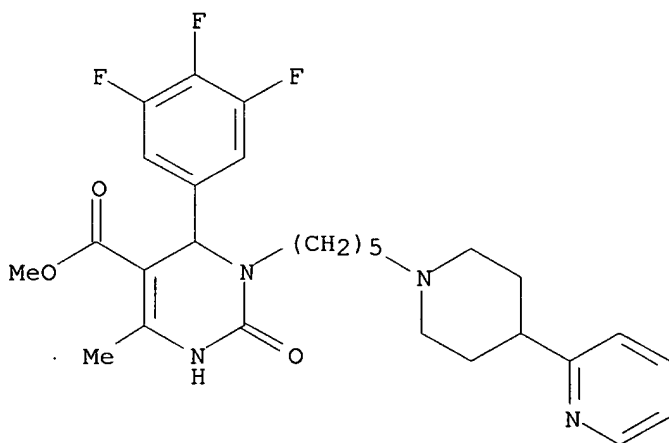


● 3 HCl

RN 200051-36-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

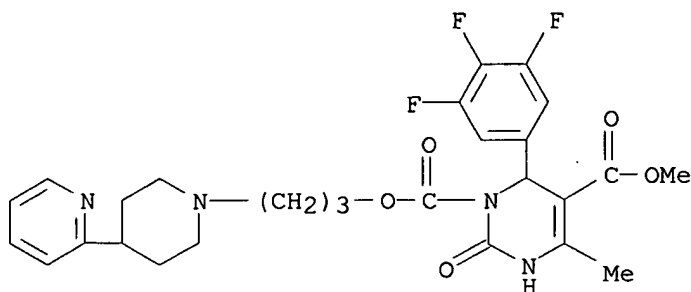
Rotation (+).



● 2 HCl

RN 200051-37-2 CAPLUS

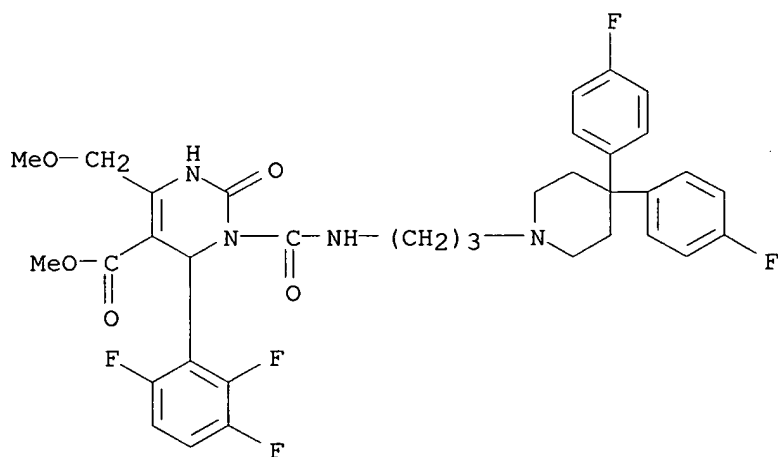
CN 1,5(2H)-Pyrimidinedicarboxylic acid, 3,6-dihydro-4-methyl-2-oxo-6-(3,4,5-trifluorophenyl)-, 5-methyl 1-[3-[4-(2-pyridinyl)-1-piperidinyl]propyl] ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

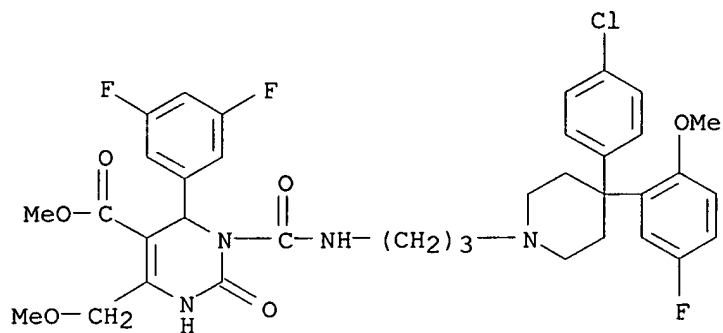
RN 200051-38-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4,4-bis(4-fluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-6-(2,3,6-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 200051-39-4 CAPLUS

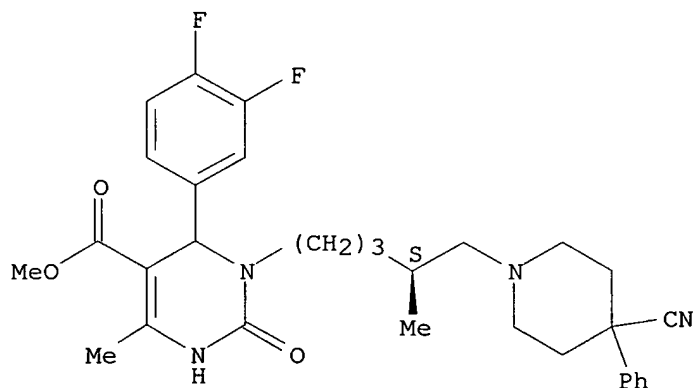
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(4-chlorophenyl)-4-(5-fluoro-2-methoxyphenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,5-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 200051-40-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[(4S)-5-(4-cyano-4-phenyl-1-piperidinyl)-4-methylpentyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

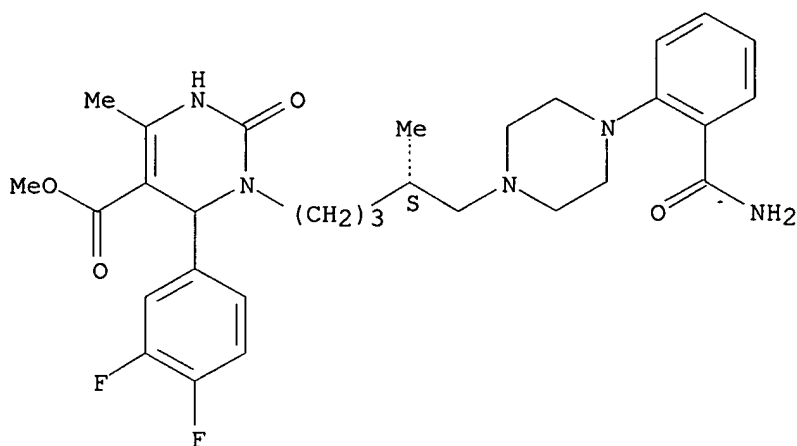


● HCl

RN 200051-41-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[(4S)-5-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]-4-methylpentyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



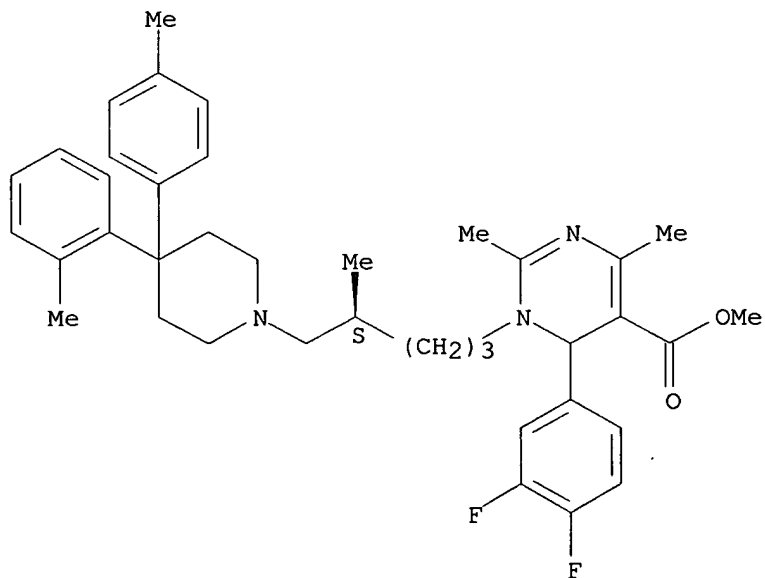
● 2 HCl

RN 200051-43-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-1-[(4S)-4-methyl-5-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]pentyl]-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

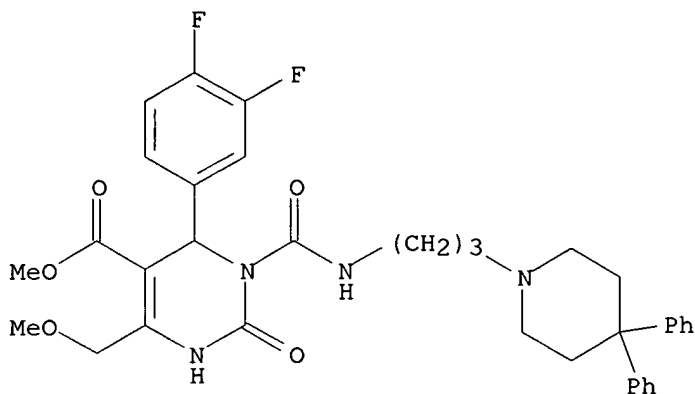


2 HCl

RN 200051-45-2 CAPLUS

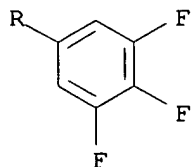
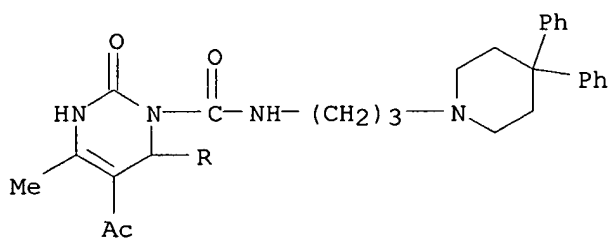
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(4,4-diphenyl-1-piperidinyl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



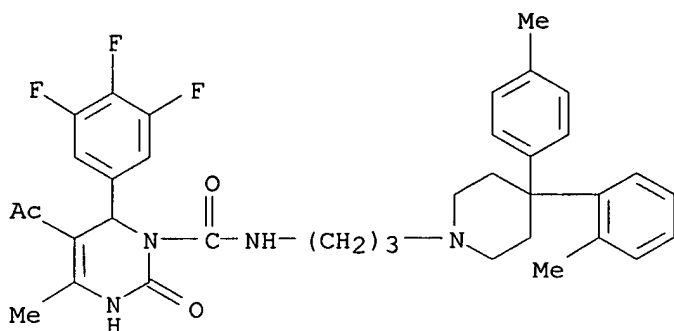
RN 200051-46-3 CAPLUS

CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-N-[3-(4,4-diphenyl-1-piperidinyl)propyl]-3,6-dihydro-4-methyl-2-oxo-6-(3,4,5-trifluorophenyl)-(9CI) (CA INDEX NAME)



RN 200051-47-4 CAPLUS

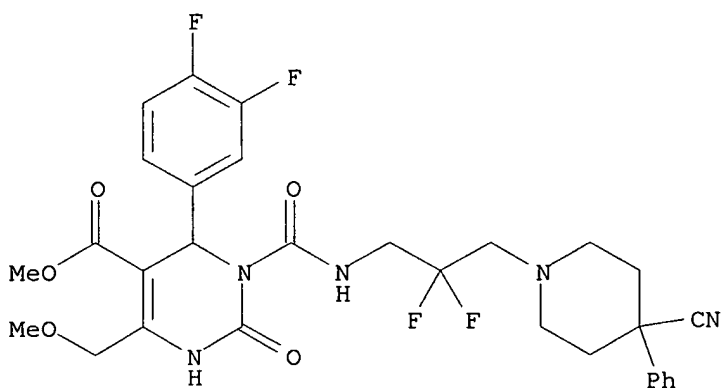
CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-3,6-dihydro-4-methyl-N-[3-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]propyl]-2-oxo-6-(3,4,5-trifluorophenyl)-(9CI) (CA INDEX NAME)



RN 200051-48-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidinyl)-2,2-difluoropropyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

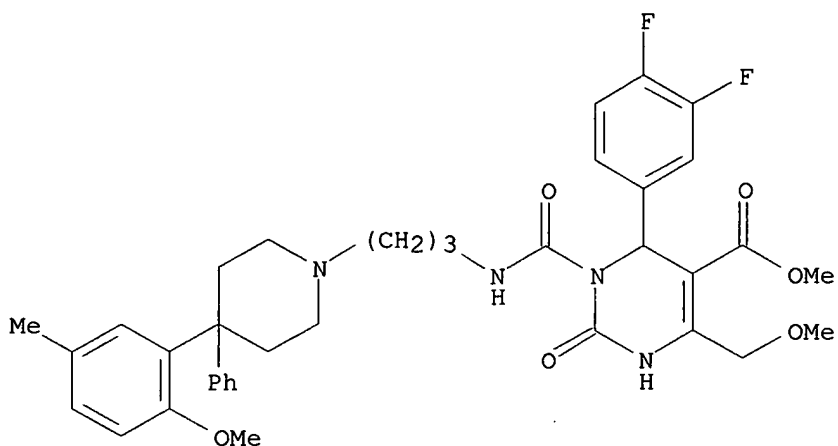


● HCl

RN 200051-57-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(2-methoxy-5-methylphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



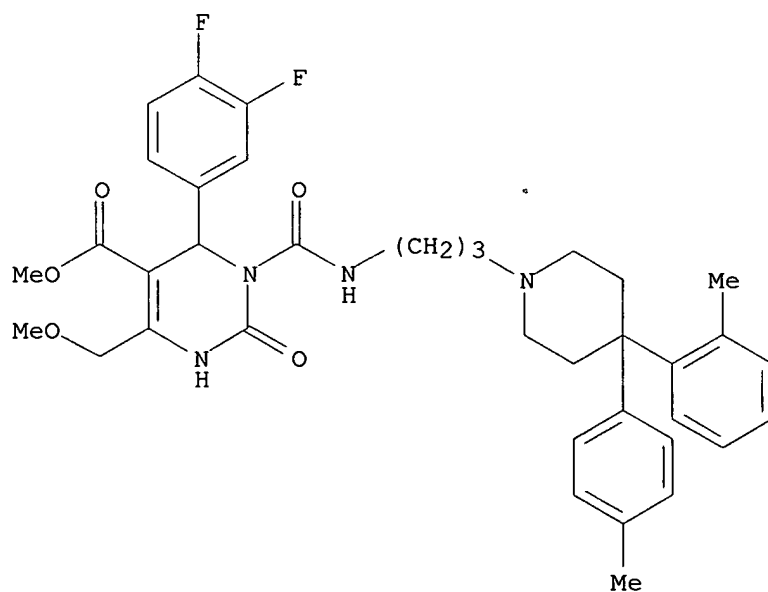
● HCl

RN 200051-58-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

PAGE 1-A



PAGE 2-A

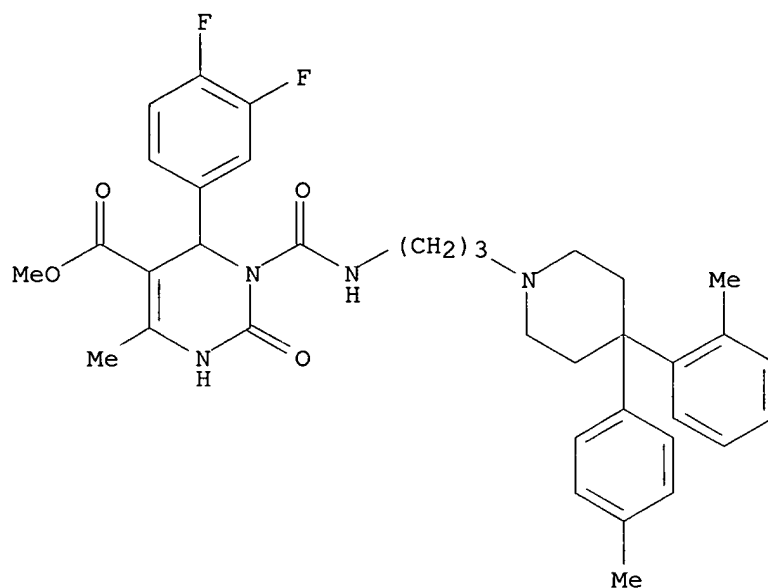
HCl

RN 200051-59-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, dihydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

PAGE 1-A

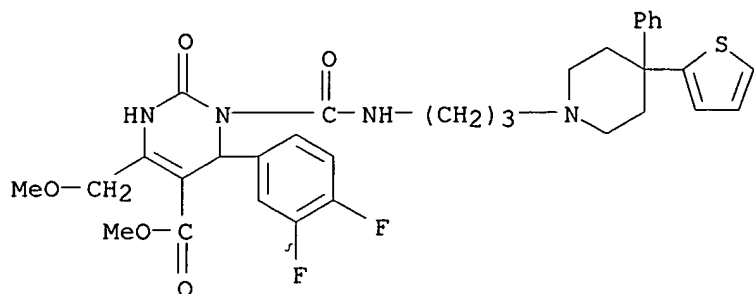


PAGE 2-A

● 2 HCl

RN 200051-61-2 CAPLUS

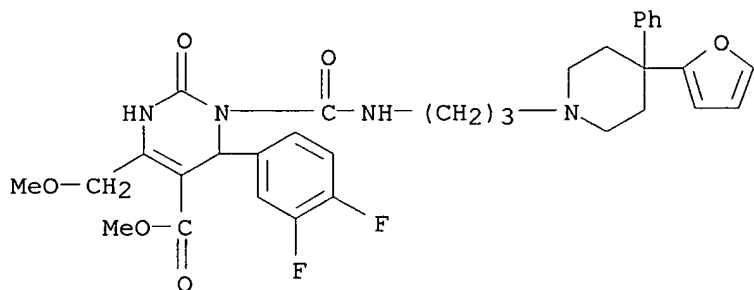
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-phenyl-4-(2-thienyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 200051-62-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(2-furanyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

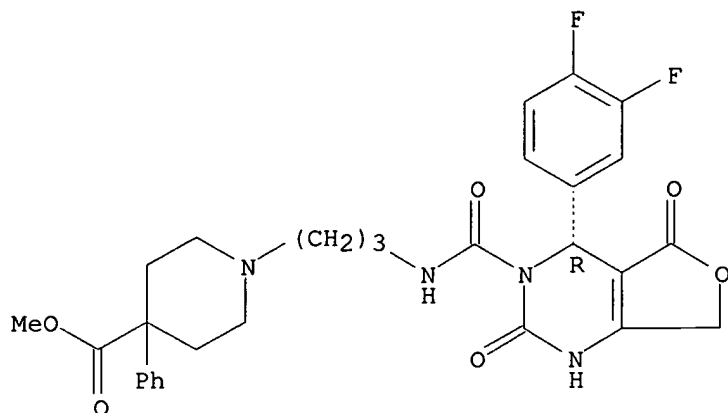


● HCl

RN 200200-20-0 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[(4R)-4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]propyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)

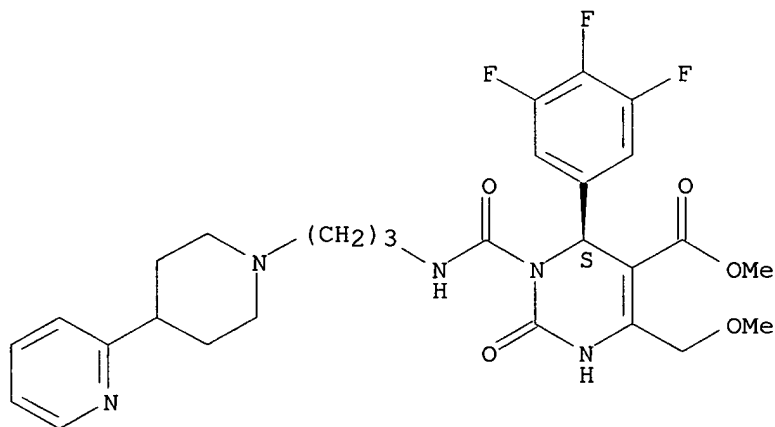
Absolute stereochemistry. Rotation (-).



RN 200200-23-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, dihydrochloride, (6S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

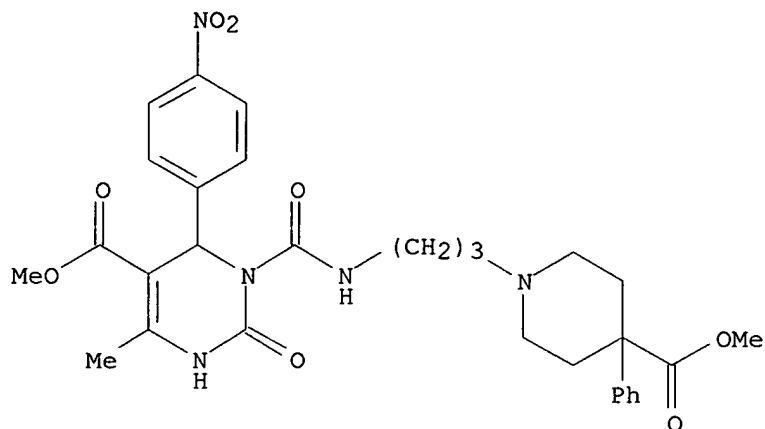


● 2 HCl

RN 216310-00-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

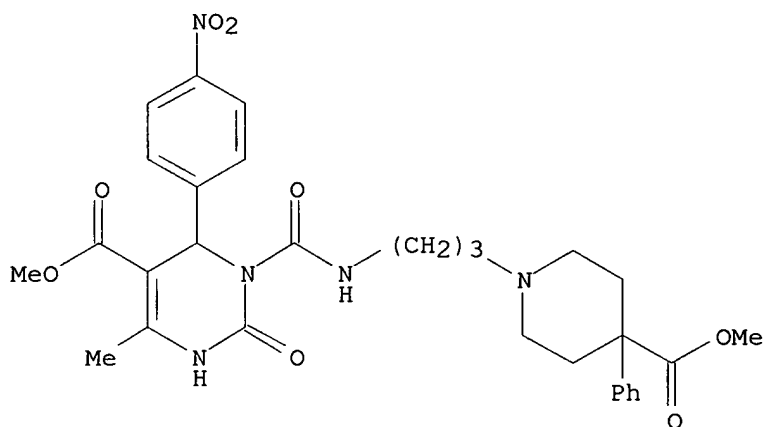
Rotation (+).



RN 216310-01-9 CAPLUS

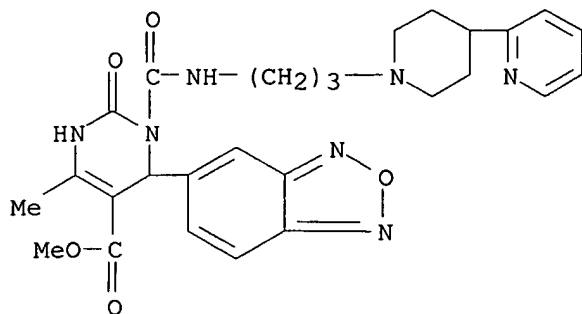
CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-oxo-, methyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



RN 216310-03-1 CAPLUS

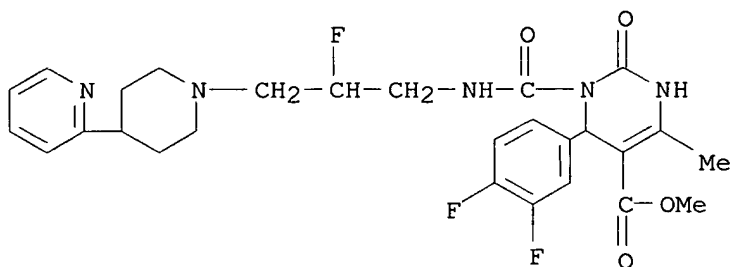
CN 5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 216310-05-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[2-fluoro-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

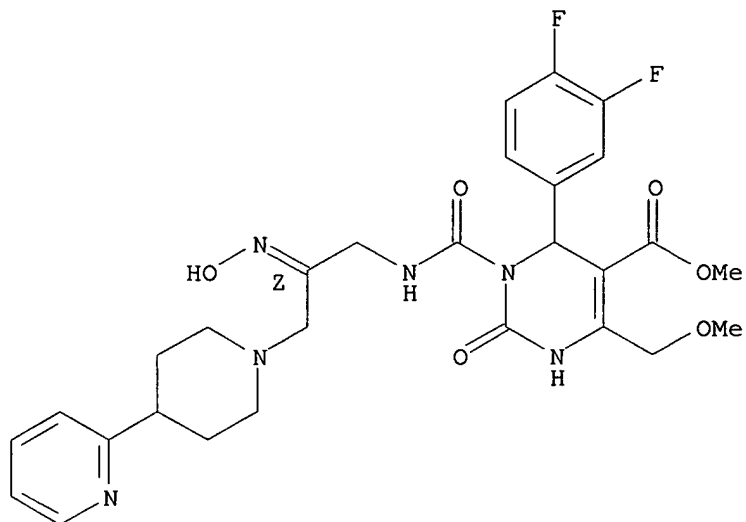
RN 216310-11-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[(2Z)-2-(hydroxyimino)-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-(methoxymethyl)-2-oxo-, methyl ester, dihydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

Double bond geometry as shown.

PAGE 1-A



PAGE 2-A

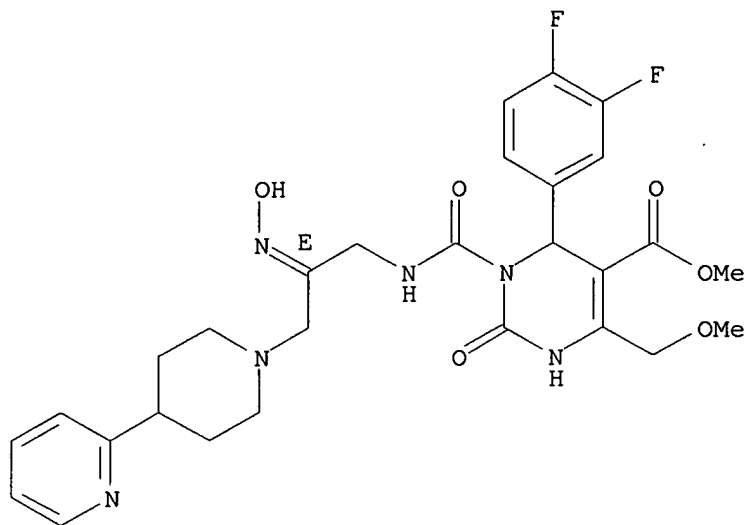
$$\bullet 2 \text{ HCl}$$

RN 216310-13-3 CAPLUS

5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
[[[(2E)-2-(hydroxyimino)-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-(methoxymethyl)-2-oxo-, methyl ester,
dihydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

Double bond geometry as shown.



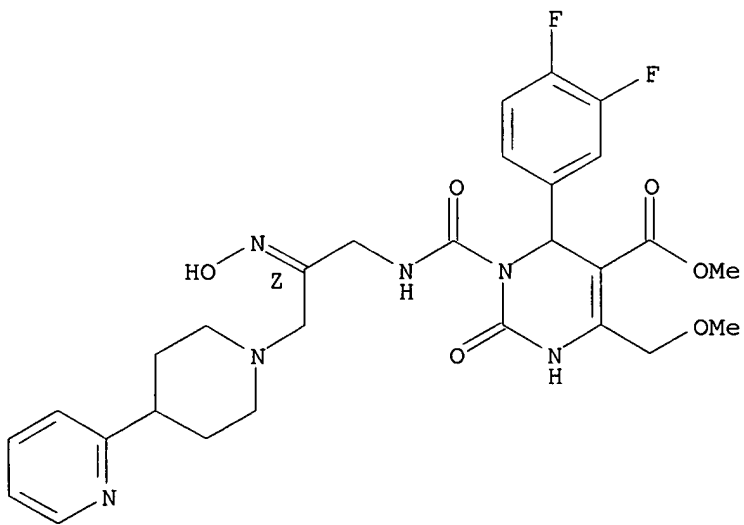
● 2 HCl

RN 216310-15-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
 [[[(2Z)-2-(hydroxyimino)-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-(methoxymethyl)-2-oxo-, methyl ester,
 (+)- (9CI) (CA INDEX NAME)

Rotation (+).

Double bond geometry as shown.



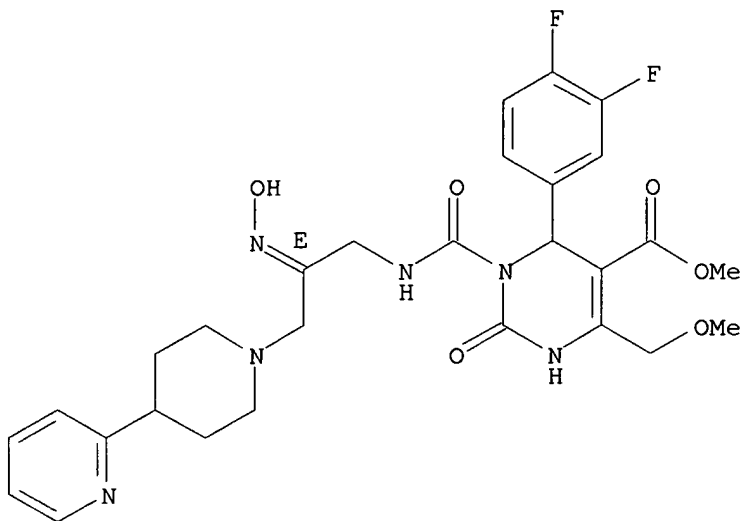
09/855,597

RN 216310-17-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
[[[(2E)-2-(hydroxyimino)-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-(methoxymethyl)-2-oxo-, methyl ester,
(+)- (9CI) (CA INDEX NAME)

Rotation (+).

Double bond geometry as shown.

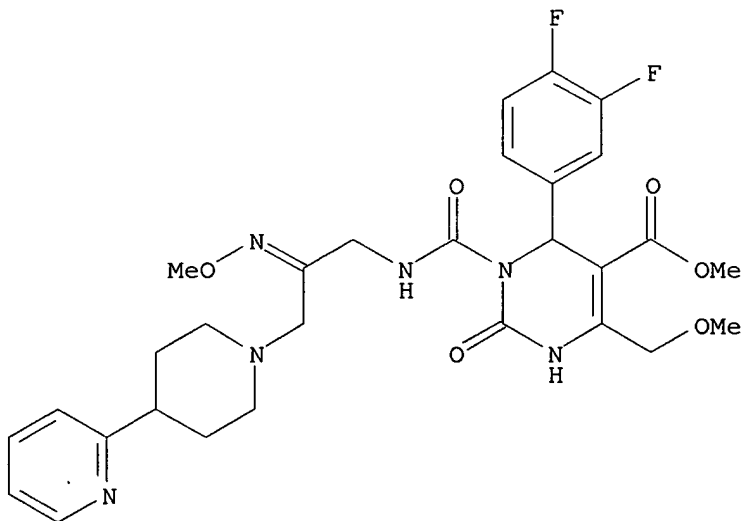


RN 216310-20-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
[[[2-(methoxyimino)-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-(methoxymethyl)-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

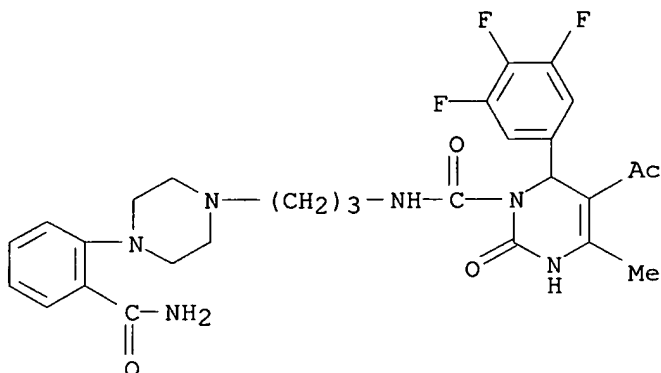
Rotation (+).

Double bond geometry unknown.



RN 216310-22-4 CAPLUS

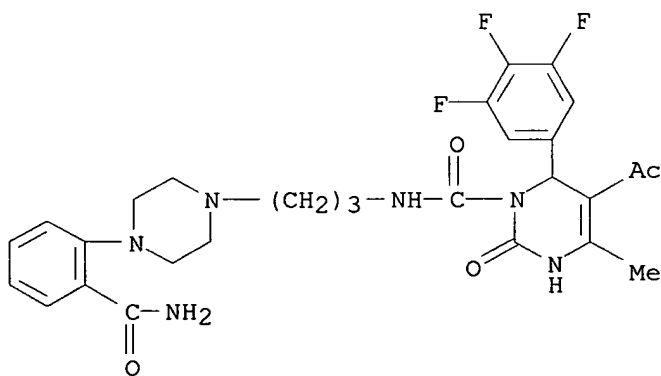
CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-N-[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]-3,6-dihydro-4-methyl-2-oxo-6-(3,4,5-trifluorophenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 216310-24-6 CAPLUS

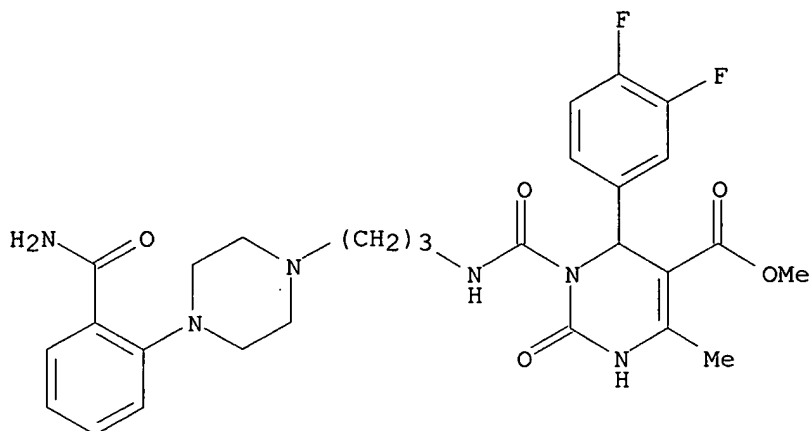
CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-N-[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]-3,6-dihydro-4-methyl-2-oxo-6-(3,4,5-trifluorophenyl)- (9CI) (CA INDEX NAME)



RN 216310-31-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

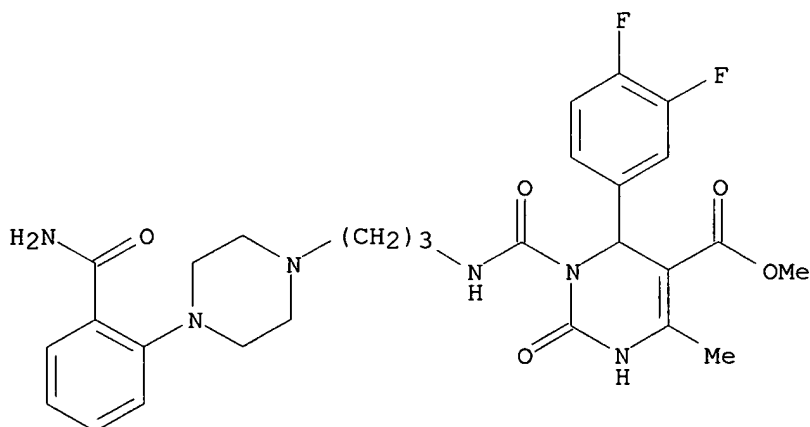
Rotation (+).



RN 216310-32-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

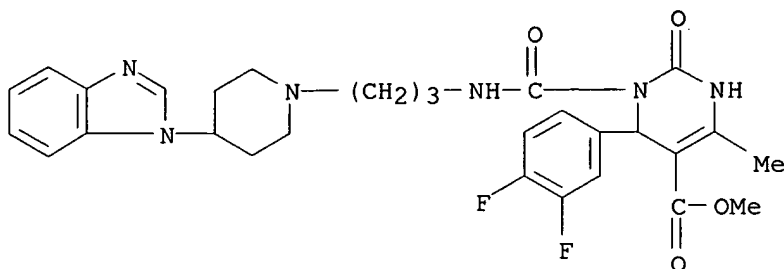
Rotation (+).



● 2 HCl

RN 216310-33-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(1H-benzimidazol-1-yl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

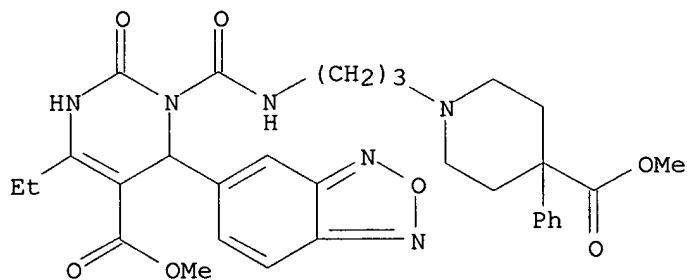


● HCl

RN 216310-34-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride, (-)-(9CI) (CA INDEX NAME)

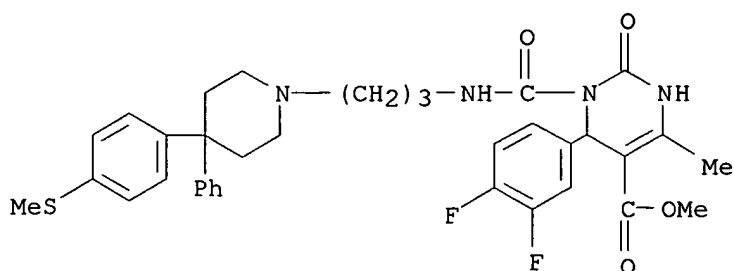
Rotation (-).



● HCl

RN 216310-35-9 CAPLUS

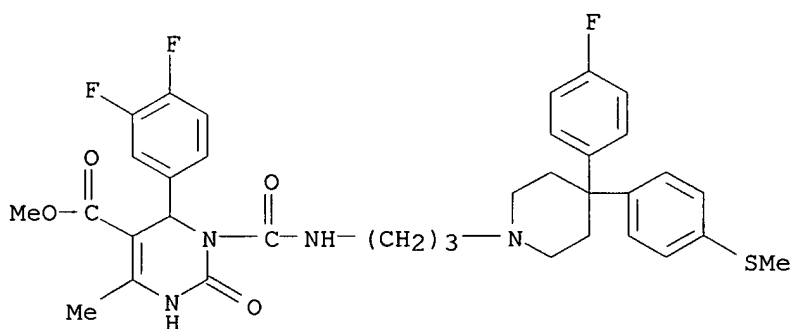
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-[4-(methylthio)phenyl]-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 216310-36-0 CAPLUS

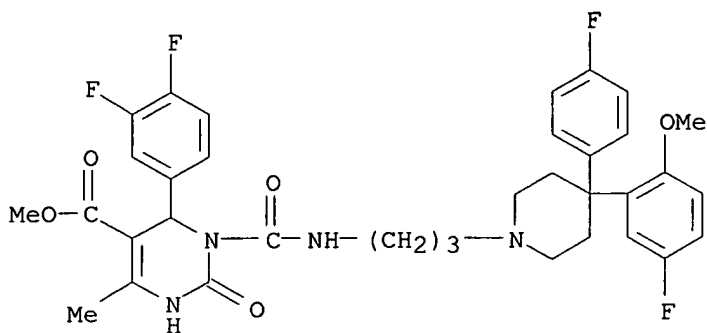
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(4-fluorophenyl)-4-[4-(methylthio)phenyl]-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 216310-37-1 CAPLUS

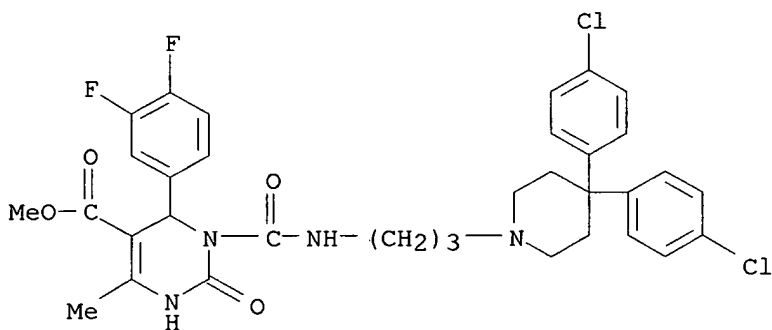
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(5-fluoro-2-methoxyphenyl)-4-(4-fluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 216310-38-2 CAPLUS

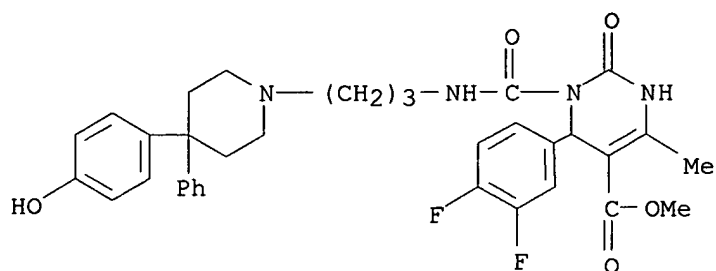
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4,4-bis(4-chlorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 216310-39-3 CAPLUS

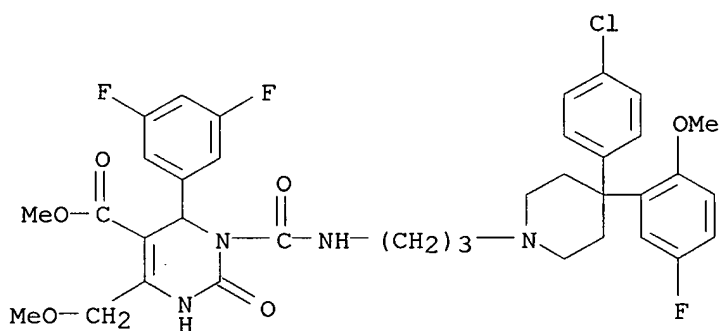
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-(4-hydroxyphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 216310-40-6 CAPLUS

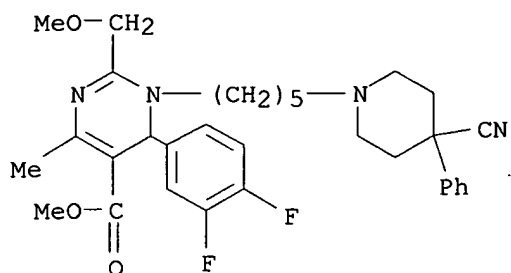
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(4-chlorophenyl)-4-(5-fluoro-2-methoxyphenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,5-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

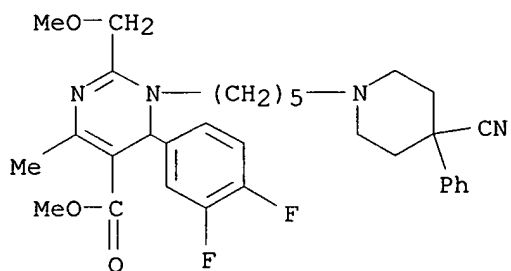
RN 216310-41-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[5-(4-cyano-4-phenyl-1-piperidinyl)pentyl]-6-(3,4-difluorophenyl)-1,6-dihydro-2-(methoxymethyl)-4-methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 216310-42-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[5-(4-cyano-4-phenyl-1-piperidinyl)pentyl]-6-(3,4-difluorophenyl)-1,6-dihydro-2-(methoxymethyl)-4-methyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

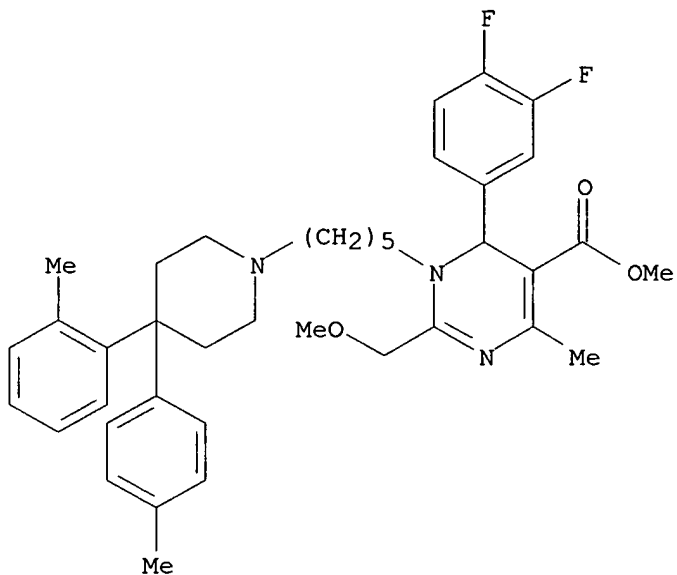


● 2 HCl

RN 216310-43-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2-(methoxymethyl)-4-methyl-1-[5-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]pentyl]-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

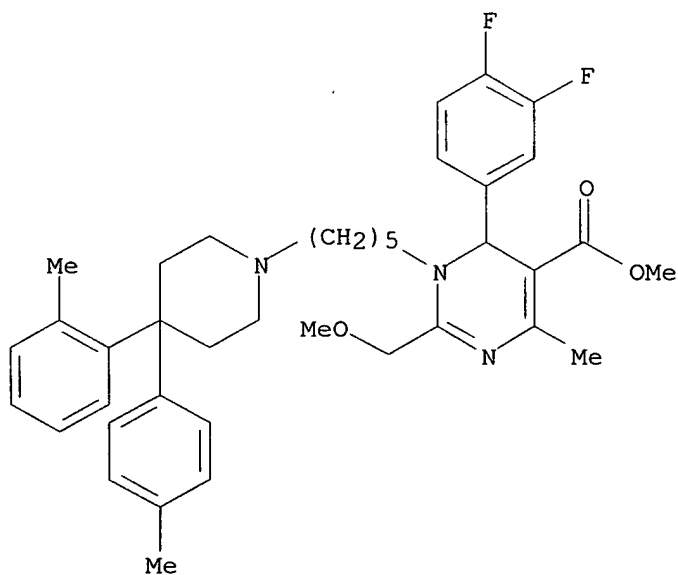


RN 216310-44-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2-(methoxymethyl)-4-methyl-1-[5-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]pentyl]-, methyl ester, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

PAGE 1-A

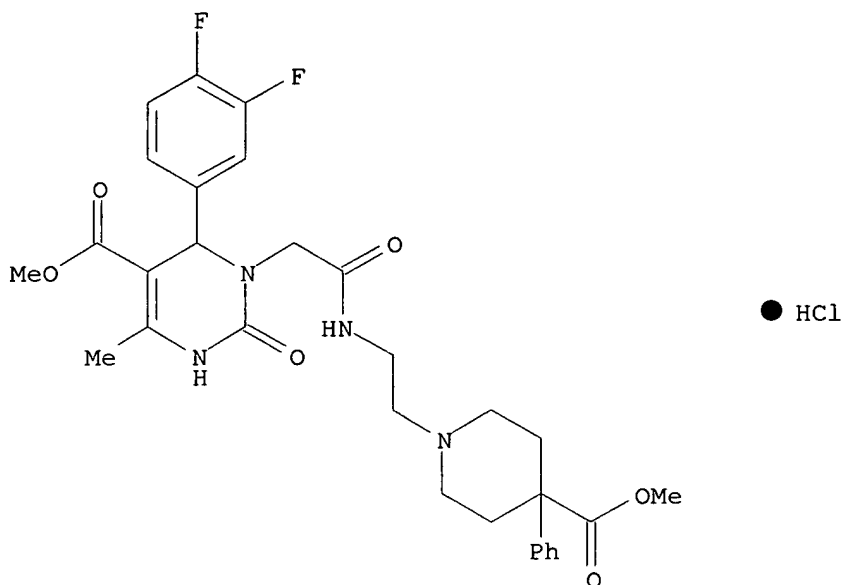


2 HCl

RN 216310-45-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[2-[[2-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]ethyl]amino]-2-oxoethyl]-4-methyl-2-oxo-, methyl ester, monohydrochloride, (+)- (9CI)
(CA INDEX NAME)

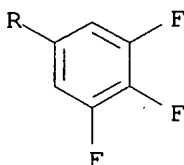
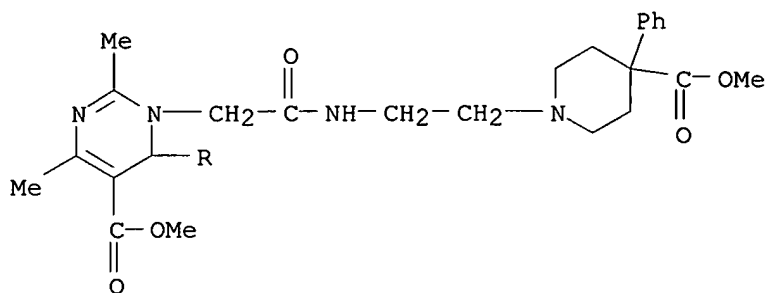
Rotation (+).



RN 216310-46-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-1-[2-[[2-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]ethyl]amino]-2-oxoethyl]-2,4-dimethyl-6-(3,4,5-trifluorophenyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



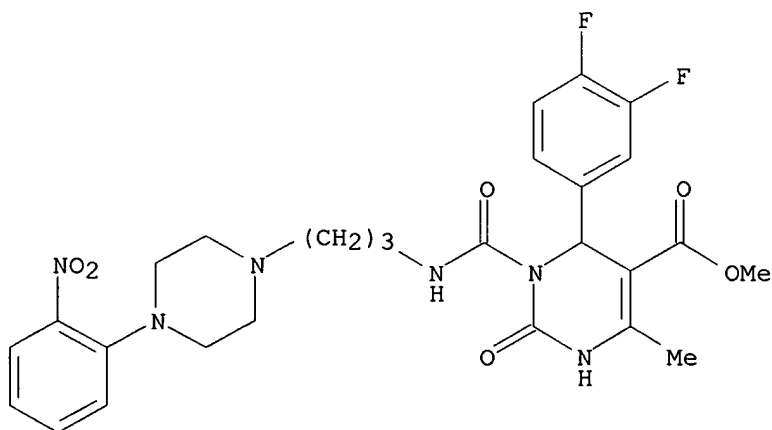
PAGE 2-A

● 2 HCl

RN 216310-47-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

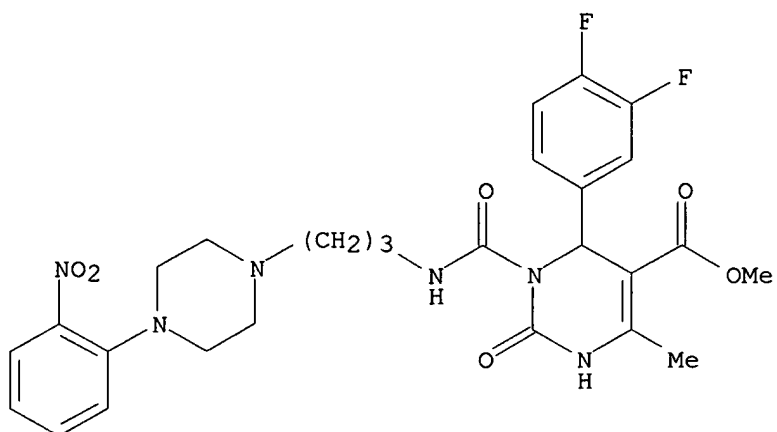


RN 216310-48-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

09/855,597

Rotation (+).

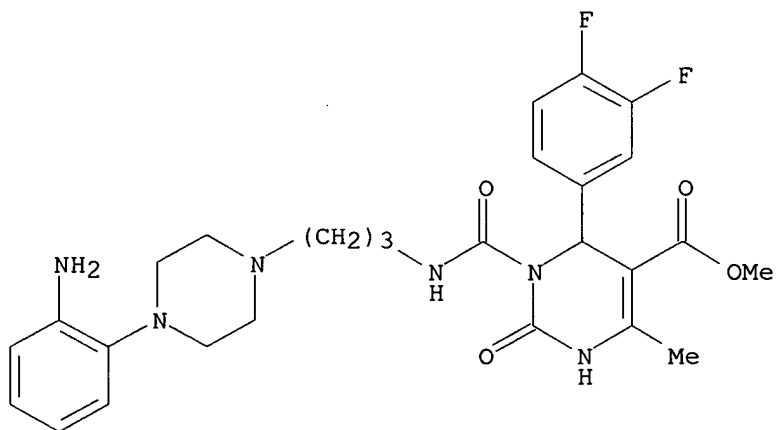


● HCl

RN 216310-49-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(2-aminophenyl)-1-piperazinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

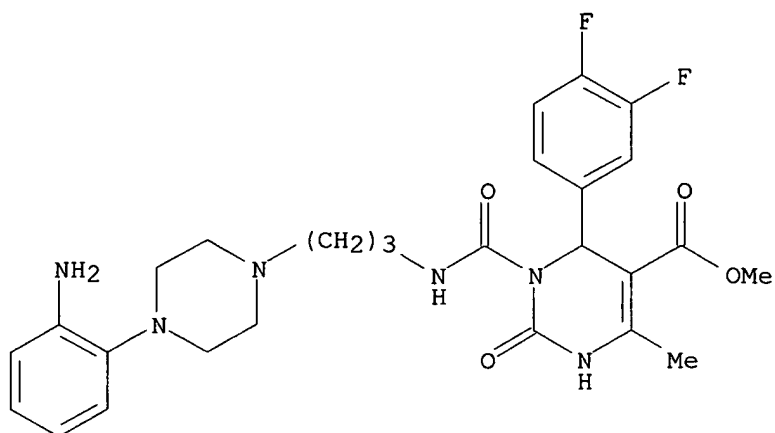
Rotation (+).



RN 216310-50-8 CAPLUS

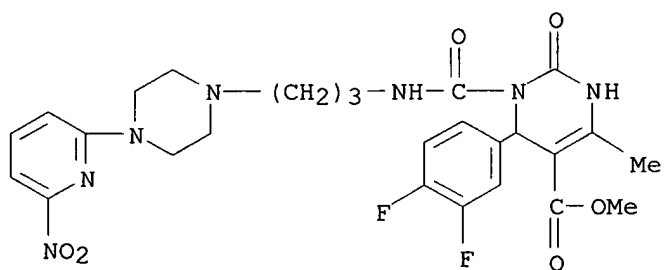
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(2-aminophenyl)-1-piperazinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

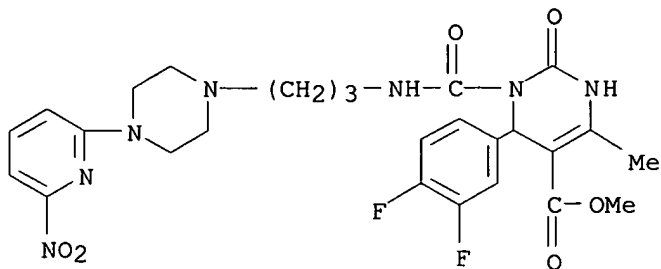


● 2 HCl

RN 216310-51-9 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(6-nitro-2-pyridinyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 216310-52-0 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(6-nitro-2-pyridinyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

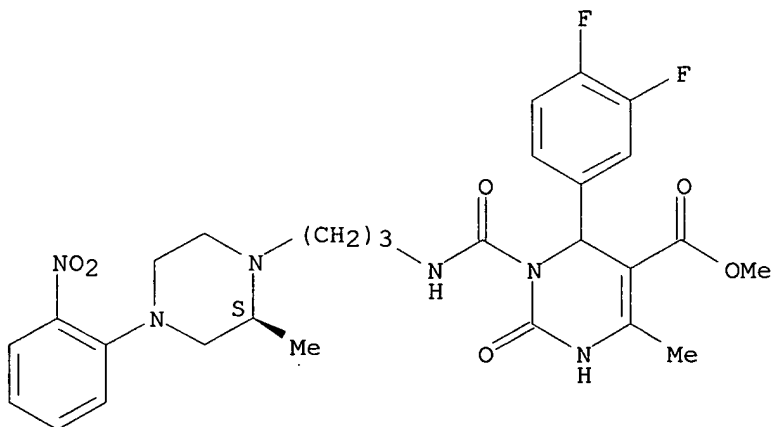


● HCl

RN 216310-53-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[(2S)-2-methyl-4-(2-nitrophenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

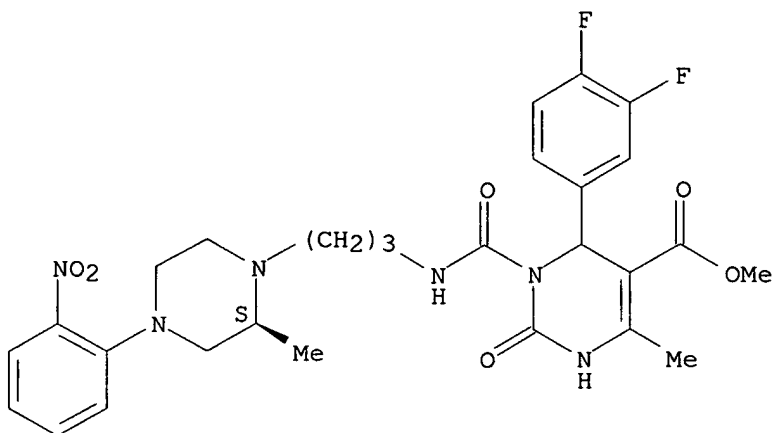
Absolute stereochemistry.



RN 216310-54-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[(2S)-2-methyl-4-(2-nitrophenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

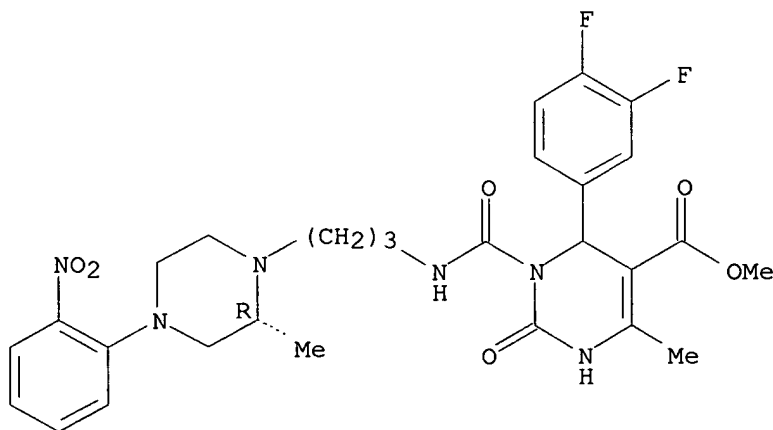


● HCl

RN 216310-55-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[(2R)-2-methyl-4-(2-nitrophenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

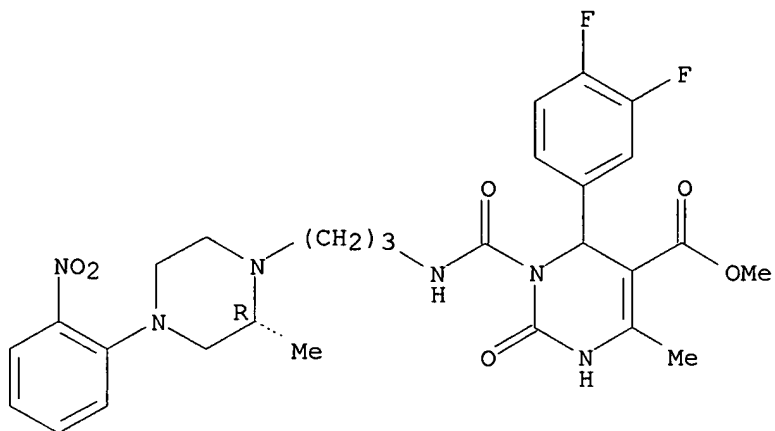
Absolute stereochemistry.



RN 216310-56-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[(2R)-2-methyl-4-(2-nitrophenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

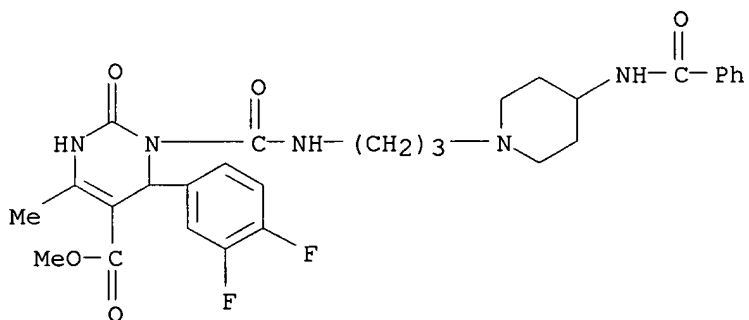
Absolute stereochemistry.



● HCl

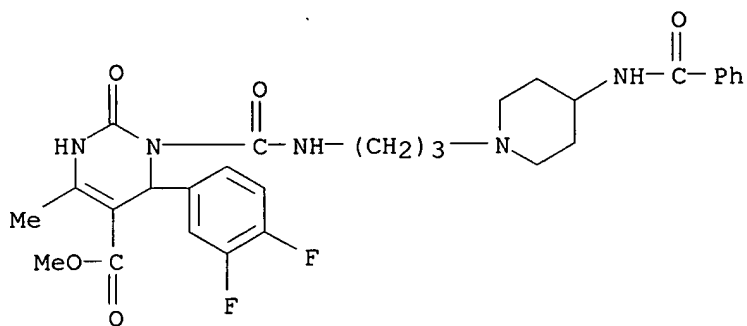
RN 216310-57-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(benzoylamino)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 216310-58-6 CAPLUS

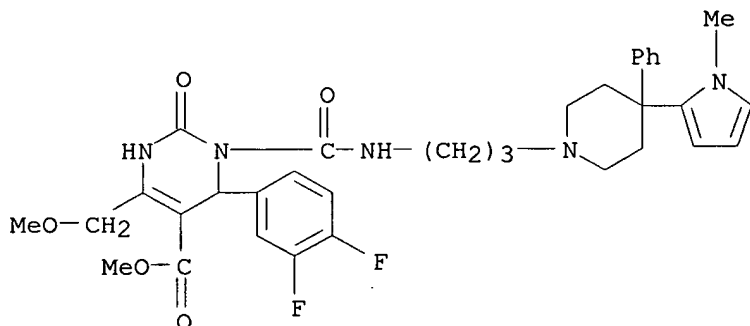
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(benzoylamino)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 216310-59-7 CAPLUS

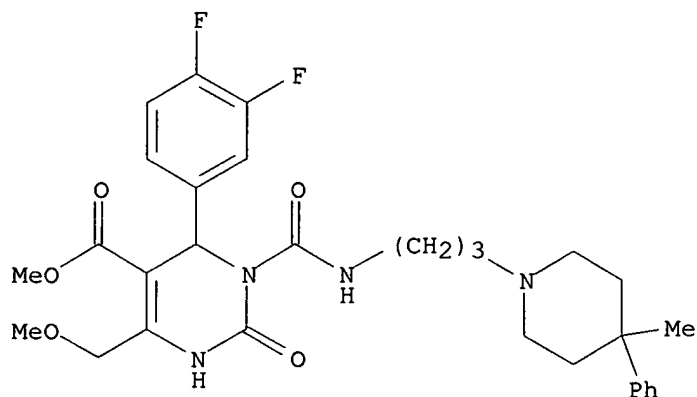
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(1-methyl-1H-pyrrol-2-yl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 216310-60-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-(4-methyl-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

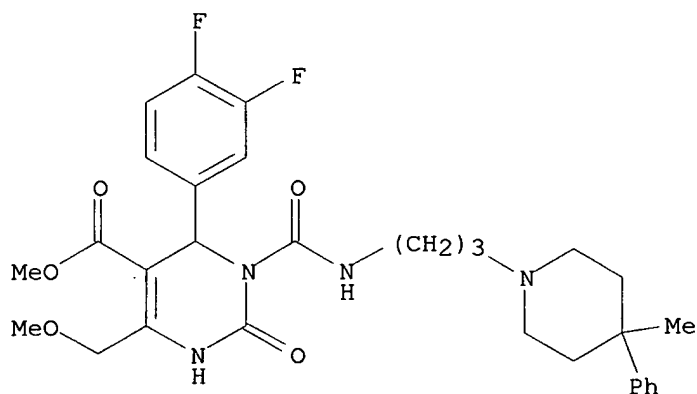
Rotation (+).



RN 216310-62-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-(4-methyl-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

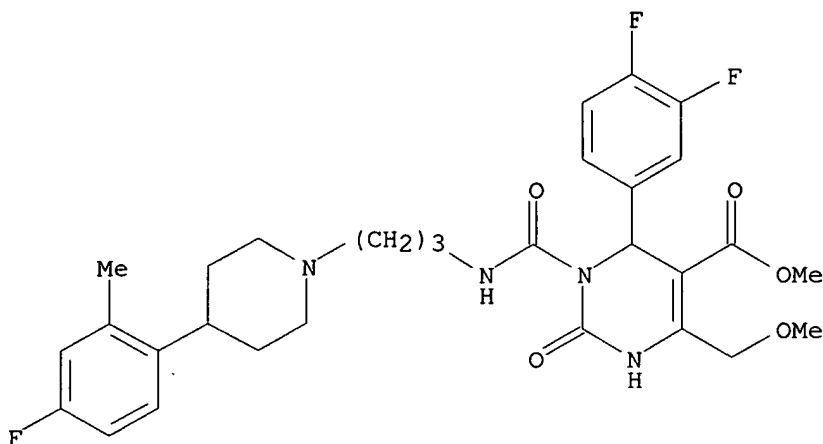


● HCl

RN 216310-64-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(4-fluoro-2-methylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

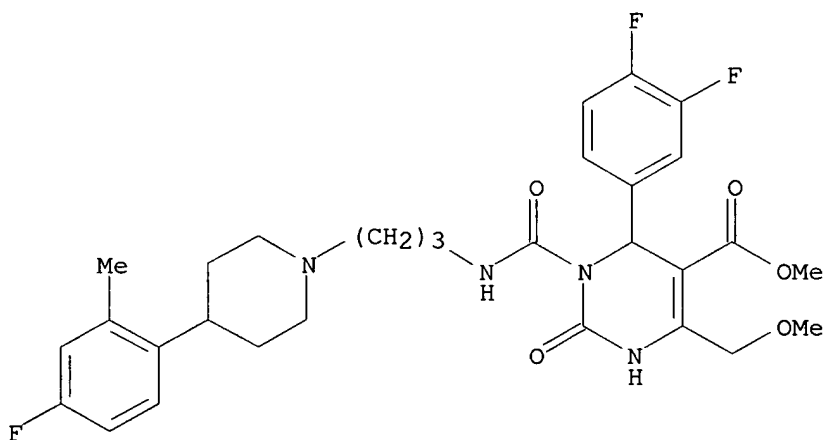
Rotation (+).



RN 216310-66-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(4-fluoro-2-methylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

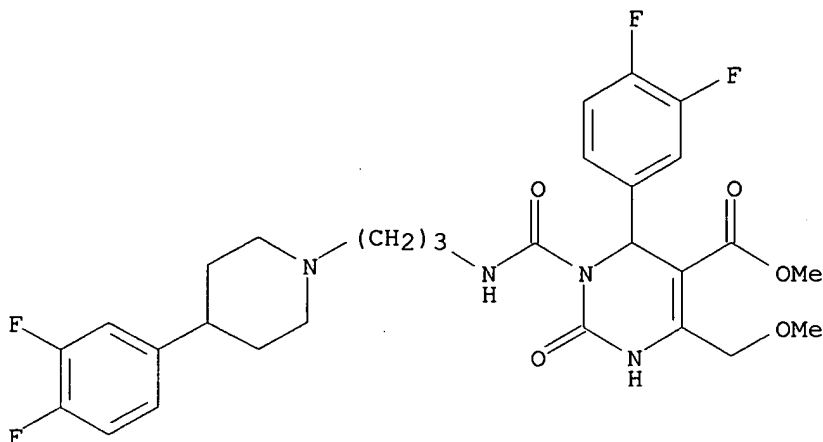


● HCl

RN 216310-68-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(3,4-difluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

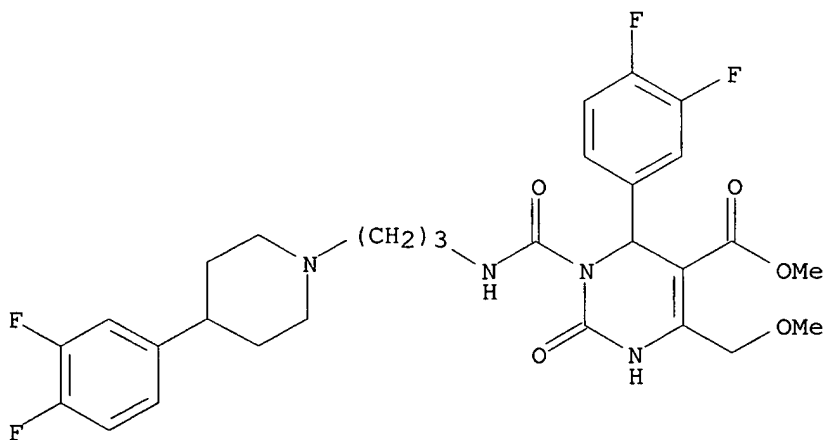
Rotation (+).



RN 216310-70-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(3,4-difluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

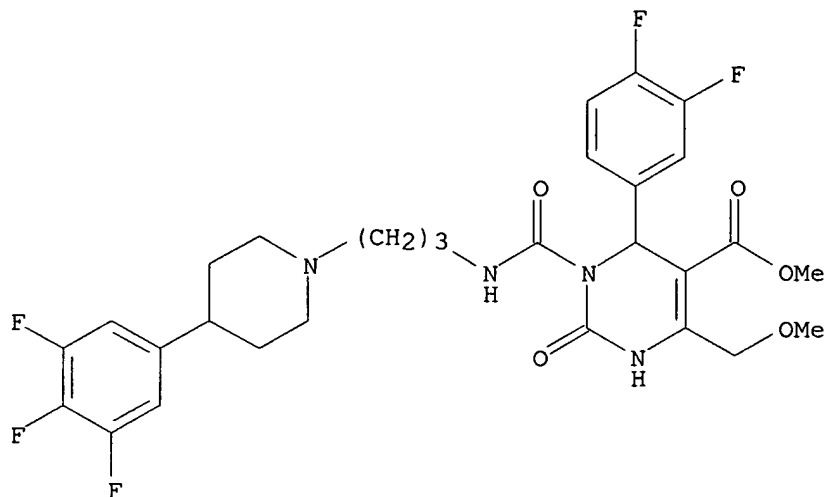


● HCl

RN 216310-72-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(3,4,5-trifluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (+)-(9CI) (CA INDEX NAME)

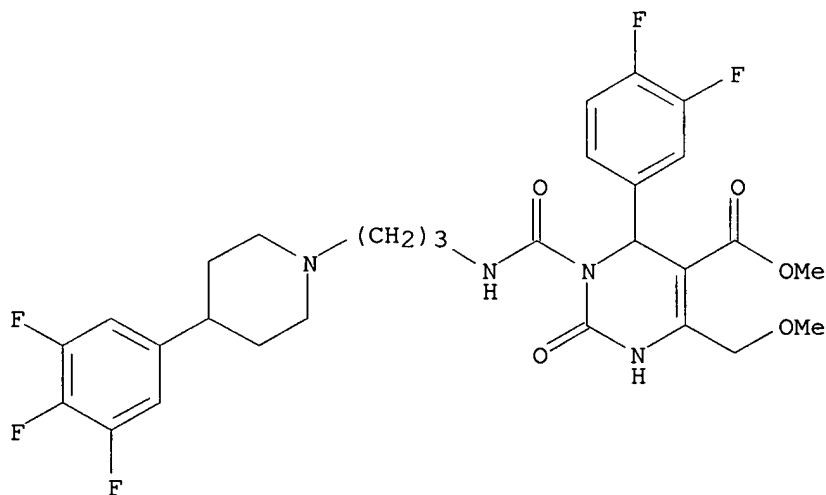
Rotation (+).



RN 216310-74-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(3,4,5-trifluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

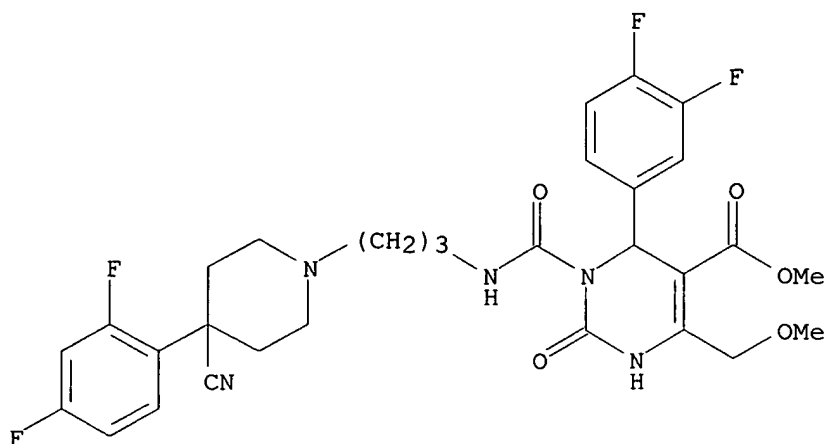


● HCl

RN 216310-76-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-cyano-4-(2,4-difluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

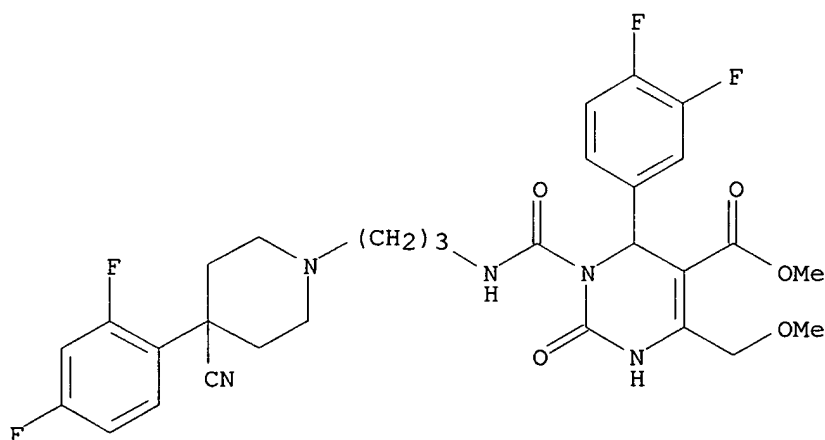
Rotation (+).



RN 216310-78-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-cyano-4-(2,4-difluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

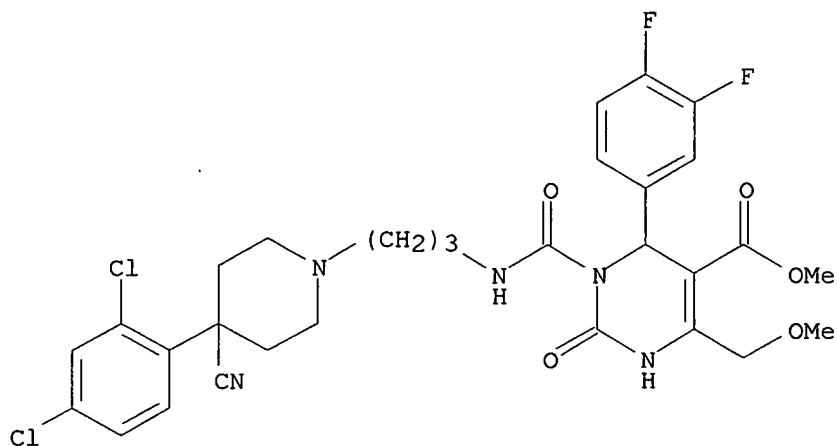


● HCl

RN 216310-80-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-cyano-4-(2,4-dichlorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

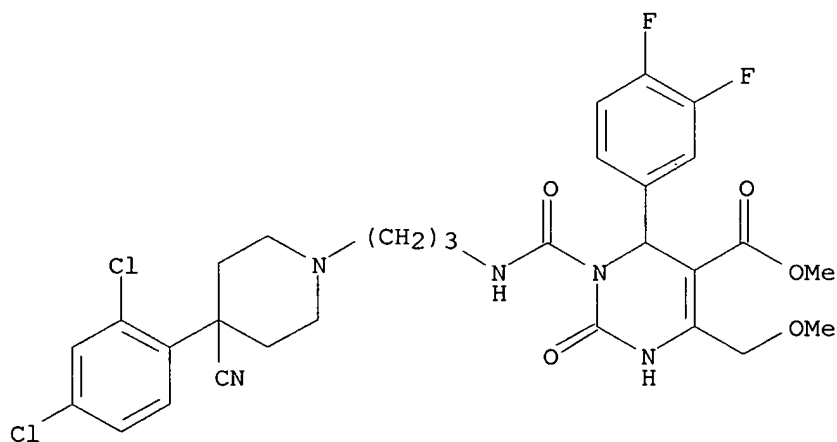
Rotation (+).



RN 216310-82-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-cyano-4-(2,4-dichlorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

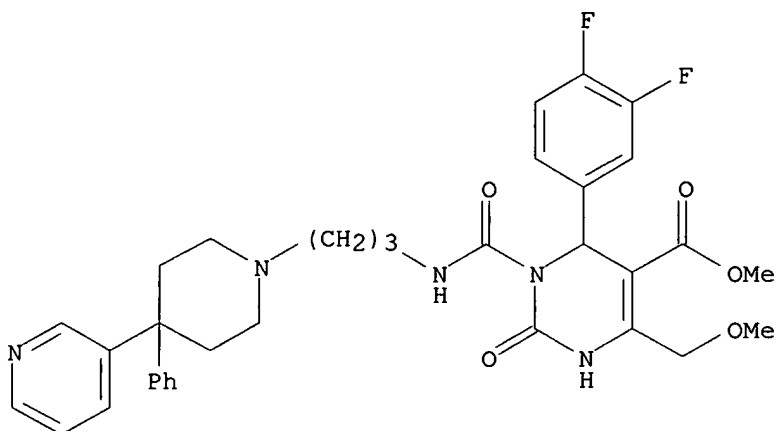


● HCl

RN 216310-84-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-phenyl-4-(3-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

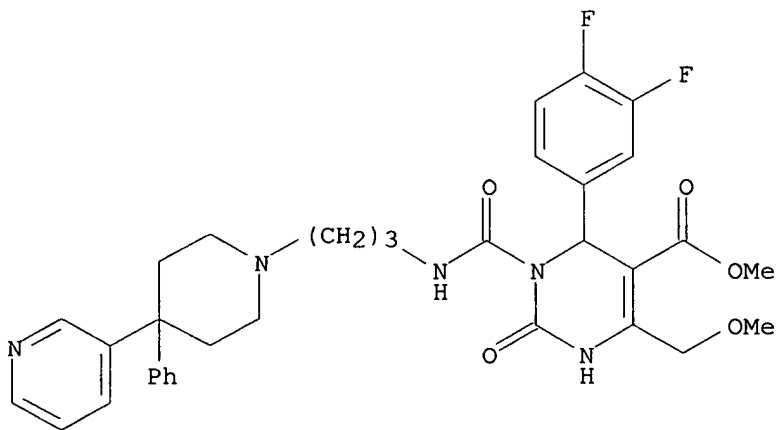


● 2 HCl

RN 216310-86-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-phenyl-4-(3-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (+)-(9CI) (CA INDEX NAME)

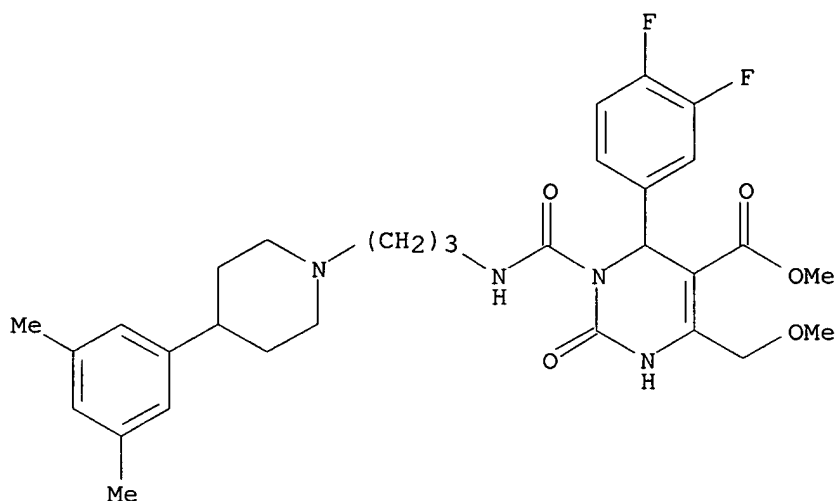
Rotation (+).



RN 216310-88-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(3,5-dimethylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

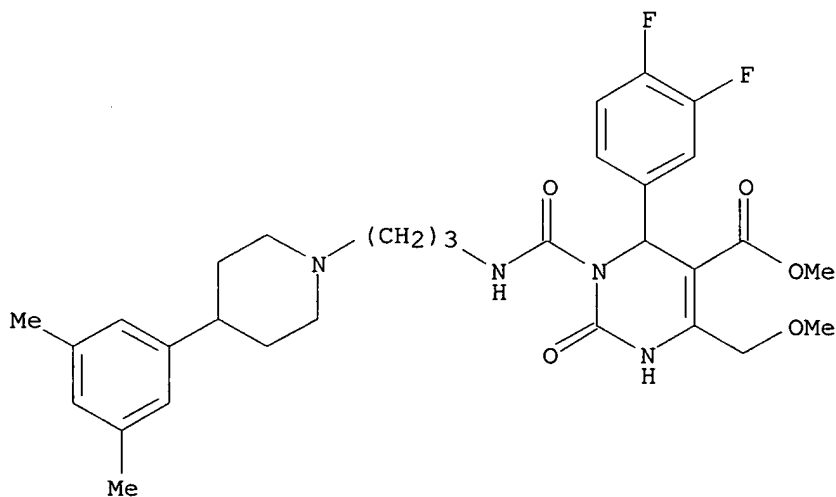


● HCl

RN 216310-90-6 CAPLUS

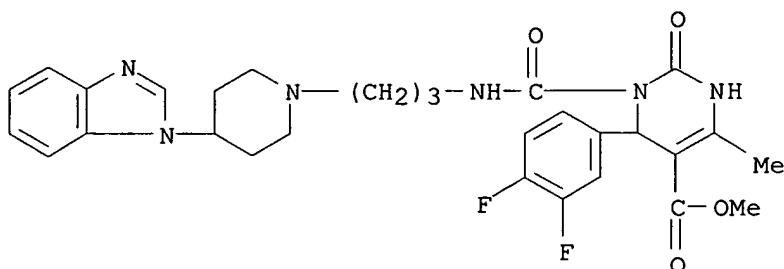
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(3,5-dimethylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



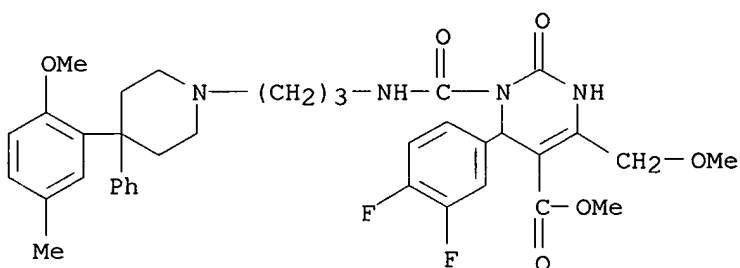
RN 216311-28-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(1H-benzimidazol-1-yl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



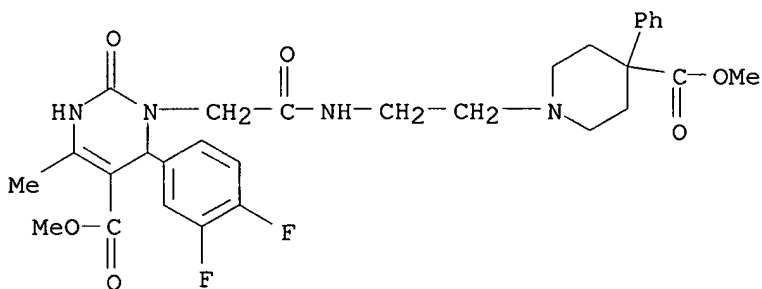
RN 216311-38-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(2-methoxy-5-methylphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



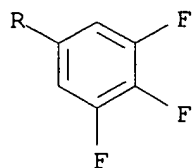
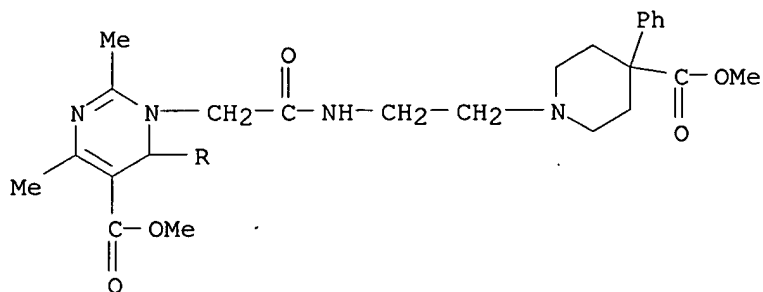
RN 216311-39-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[2-[[2-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]ethyl]amino]-2-oxoethyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



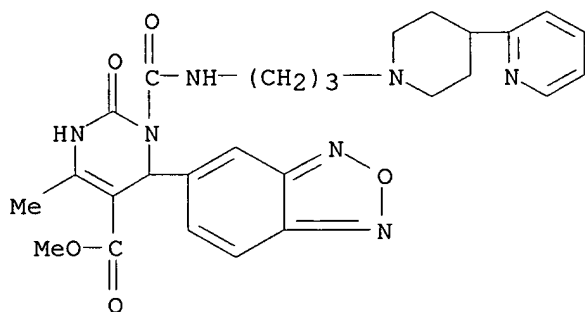
RN 216311-40-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-1-[2-[[2-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]ethyl]amino]-2-oxoethyl]-2,4-dimethyl-6-(3,4,5-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



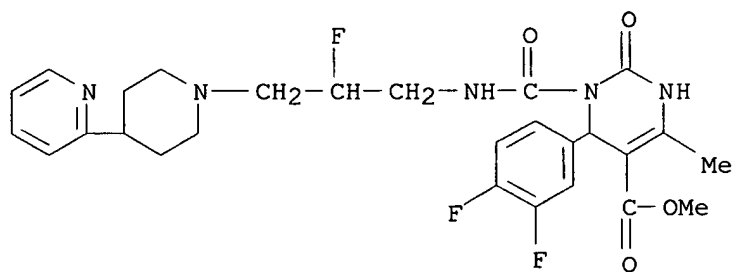
RN 216311-41-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,1,3-benzoxadiazol-5-yl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



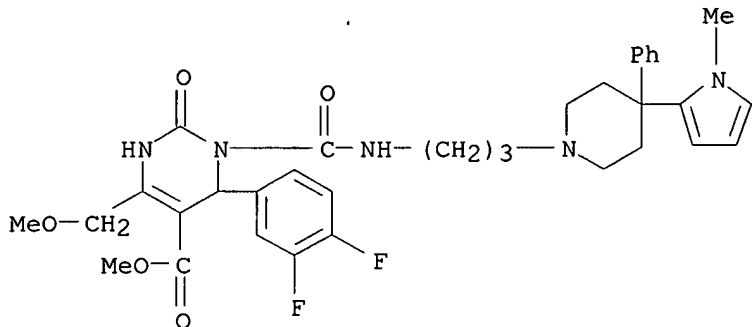
RN 216311-42-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[2-fluoro-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 216311-43-2 CAPLUS

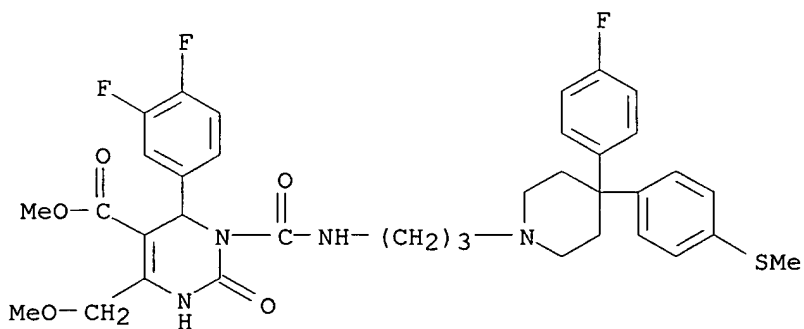
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(1-methyl-1H-pyrrol-2-yl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

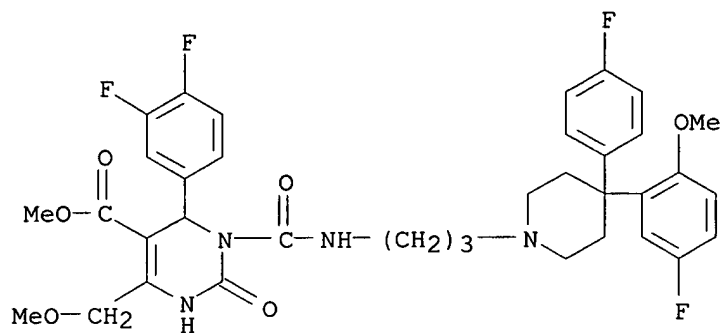
RN 216311-44-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(4-fluorophenyl)-4-[4-(methylthio)phenyl]-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



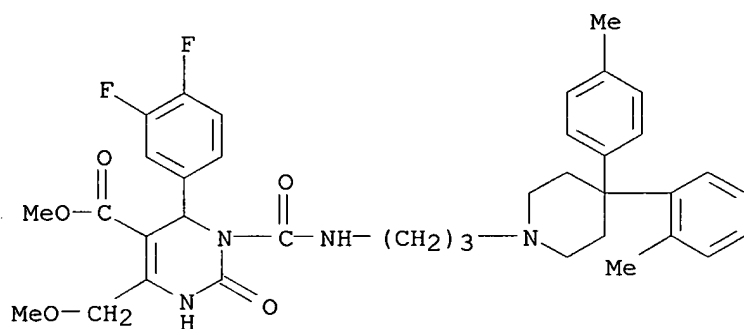
RN 216311-45-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(5-fluoro-2-methoxyphenyl)-4-(4-fluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



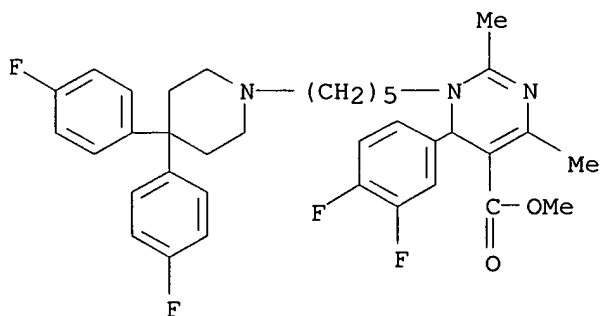
RN 216311-46-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 216311-47-6 CAPLUS

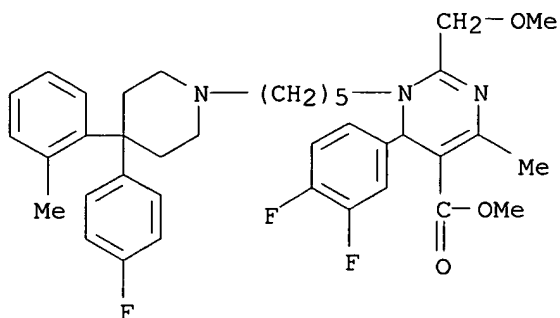
CN 5-Pyrimidinecarboxylic acid, 1-[5-[4,4-bis(4-fluorophenyl)-1-piperidinyl]pentyl]-6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



RN 216311-48-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[5-[4-(4-fluorophenyl)-4-(2-methylphenyl)-1-piperidinyl]pentyl]-1,6-dihydro-2-

(methoxymethyl)-4-methyl-, methyl ester (9CI) (CA INDEX NAME)

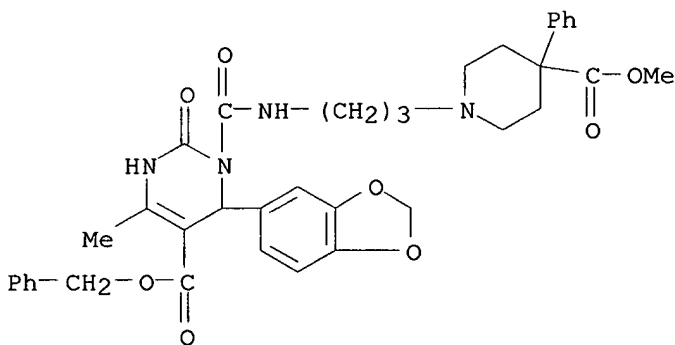


IT 200052-49-9

RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of piperidinypropylaminocarbonyldihydropyrimidones as
 selective adrenergic .alpha.1A receptor antagonists)

RN 200052-49-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(1,3-benzodioxol-5-yl)-1,2,3,6-tetrahydro-1-
 [[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidiny]propyl]amino]carbonyl]-4-
 methyl-2-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT 179482-19-0P 179482-20-3P 179482-43-0P

179482-51-0P 179482-73-6P 179482-74-7P

179482-82-7P 179482-85-0P 179482-89-4P

179483-03-5P 200051-67-8P 200051-72-5P

200051-73-6P 200051-85-0P 216310-93-9P

216310-94-0P 216310-97-3P 216310-98-4P

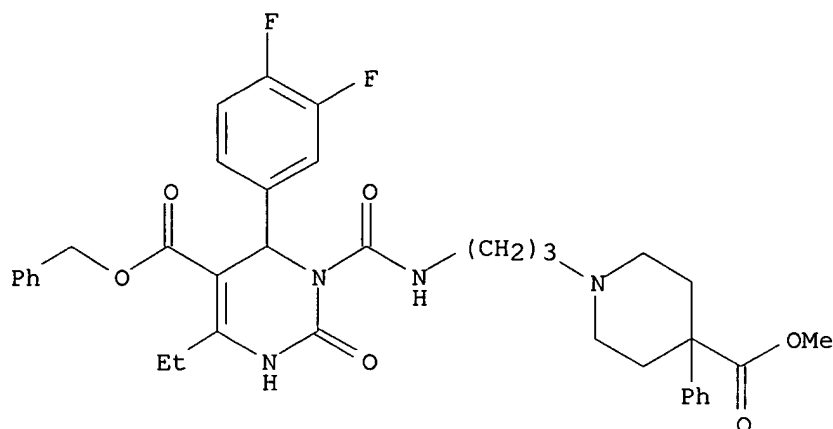
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(prepn. of piperidinypropylaminocarbonyldihydropyrimidones as
 selective adrenergic .alpha.1A receptor antagonists)

RN 179482-19-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-
 tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-
 piperidiny]propyl]amino]carbonyl]-2-oxo-, phenylmethyl ester, (+)- (9CI)
 (CA INDEX NAME)

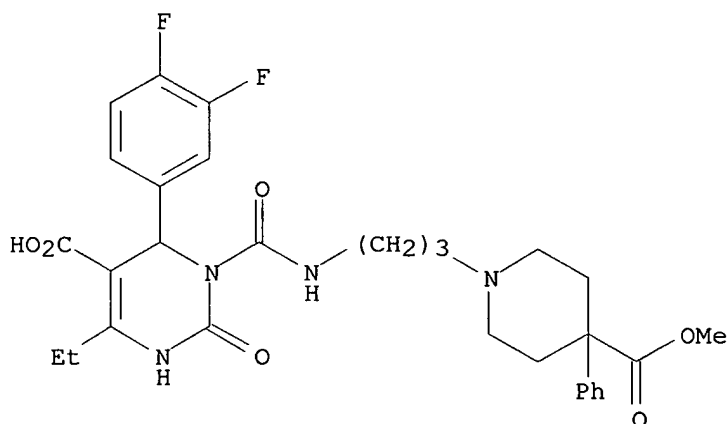
Rotation (+).



RN 179482-20-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, (+)- (9CI) (CA INDEX NAME)

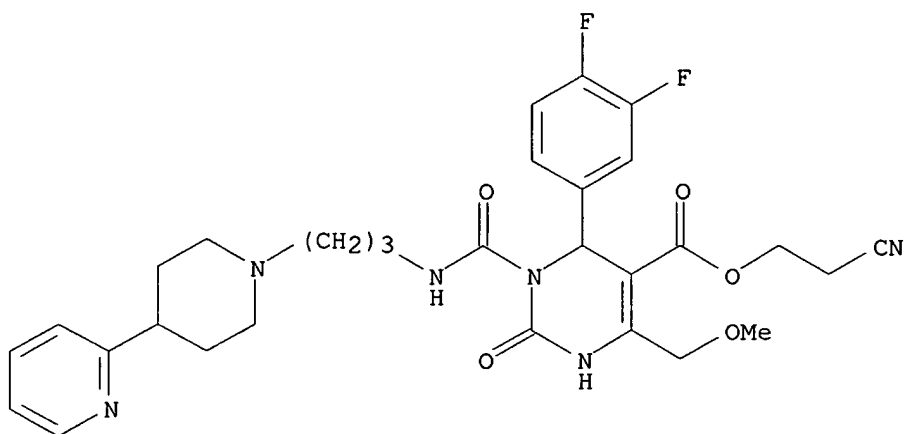
Rotation (+).



RN 179482-43-0 CAPLUS

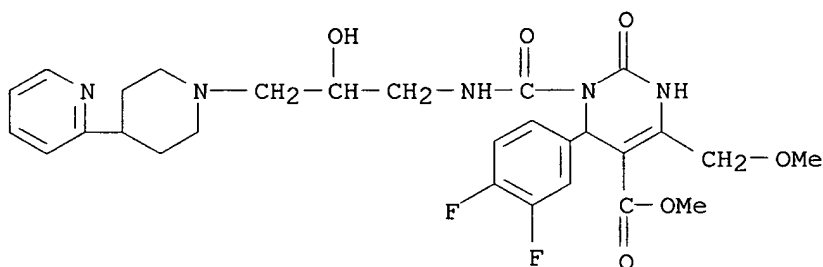
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, 2-cyanoethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



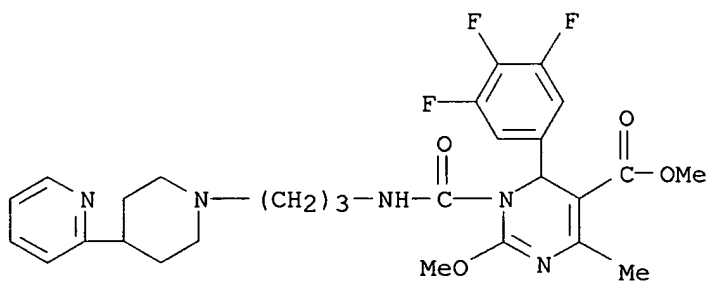
RN 179482-51-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[2-hydroxy-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-(methoxymethyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



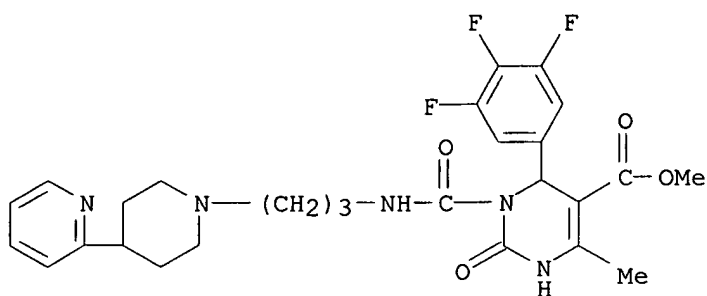
RN 179482-73-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-4-methyl-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 179482-74-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

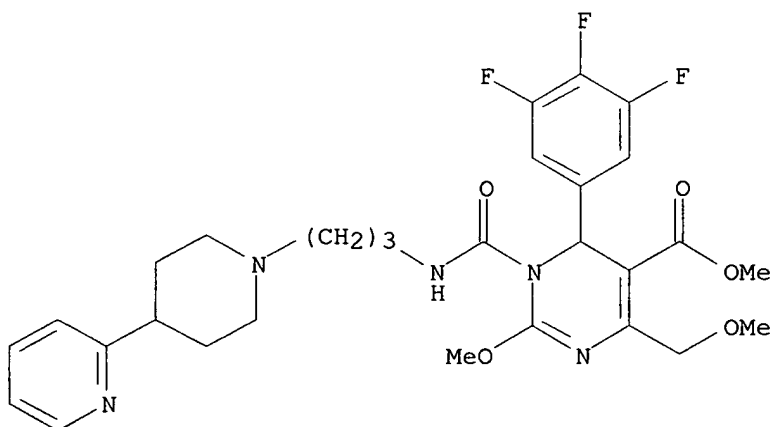


● 2 HCl

RN 179482-82-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-4-(methoxymethyl)-1-[[[3-[[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (+)-(9CI) (CA INDEX NAME)

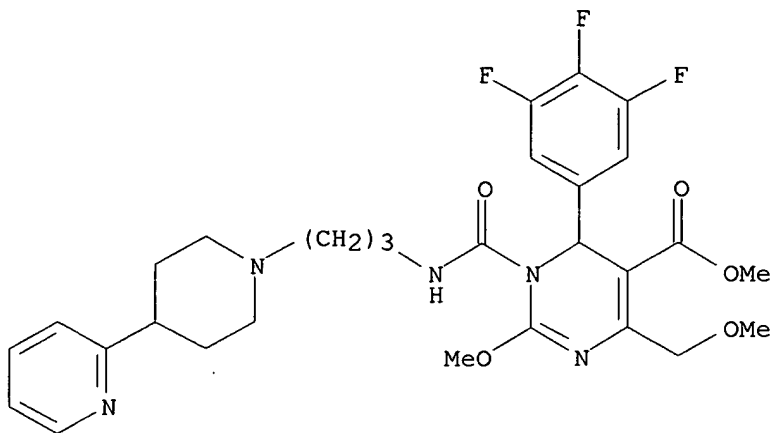
Rotation (+).



RN 179482-85-0 CAPLUS

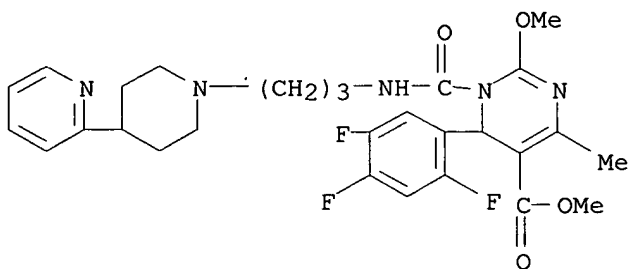
CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-4-(methoxymethyl)-1-[[[3-[[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (-)-(9CI) (CA INDEX NAME)

Rotation (-).



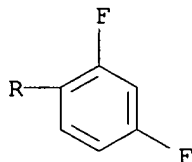
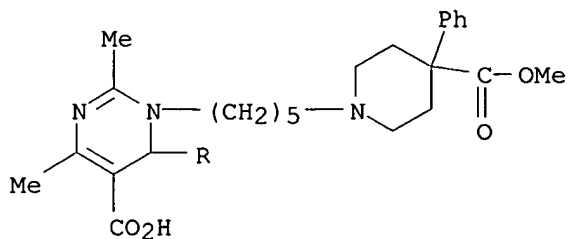
RN 179482-89-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-4-methyl-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(2,4,5-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



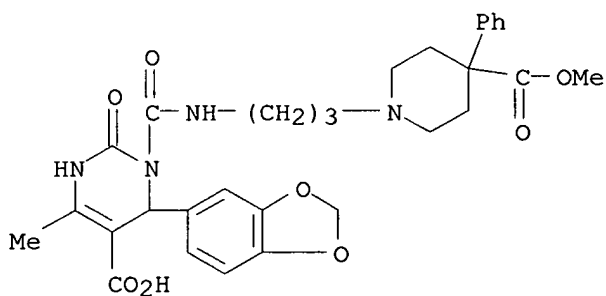
RN 179483-03-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-2,4-dimethylphenyl]-1-piperidinyl]pentyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)



RN 200051-67-8 CAPLUS

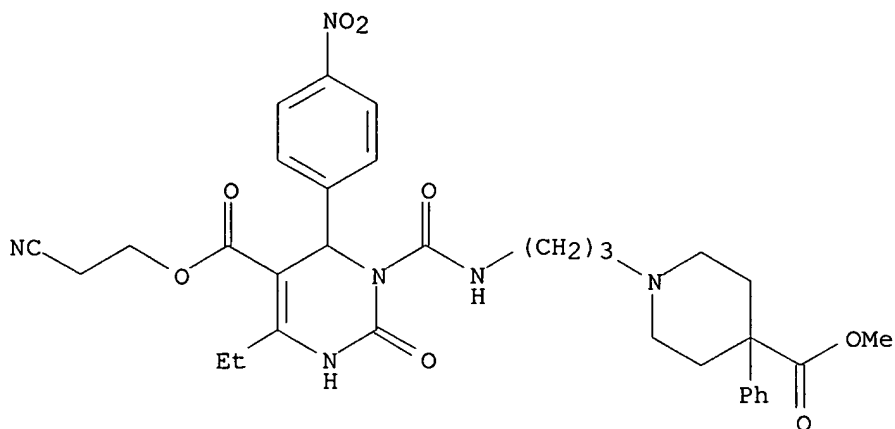
CN 5-Pyrimidinecarboxylic acid, 6-(1,3-benzodioxol-5-yl)-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 200051-72-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-6-(4-nitrophenyl)-2-oxo-, 2-cyanoethyl ester, (+)- (9CI) (CA INDEX NAME)

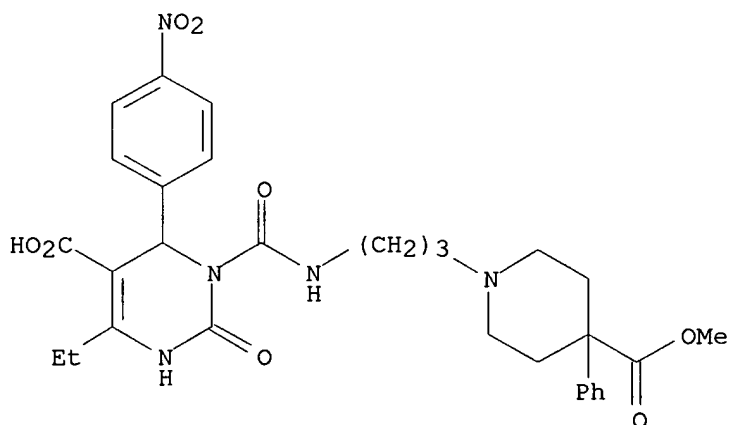
Rotation (+).



RN 200051-73-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-6-(4-nitrophenyl)-2-oxo-, (+)- (9CI) (CA INDEX NAME)

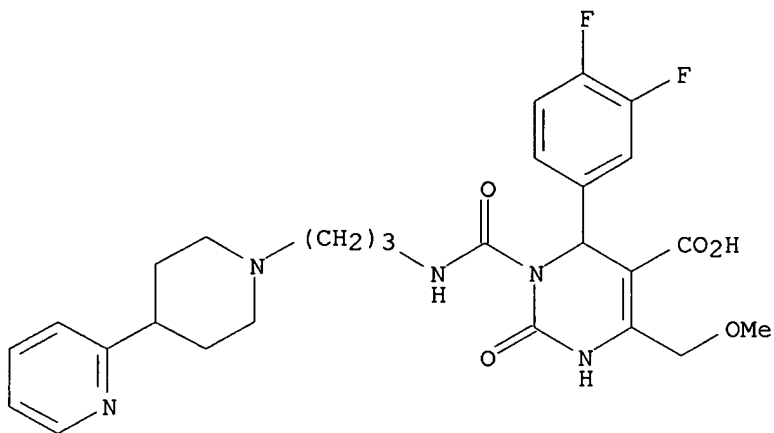
Rotation (+).



RN 200051-85-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, (+)- (9CI) (CA INDEX NAME)

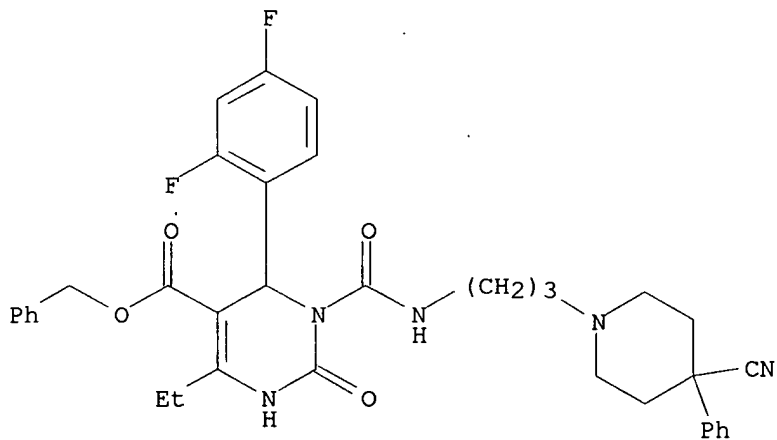
Rotation (+).



RN 216310-93-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidiny)propyl]amino]carbonyl]-6-(2,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-2-oxo-, phenylmethyl ester, (+)-(9CI) (CA INDEX NAME)

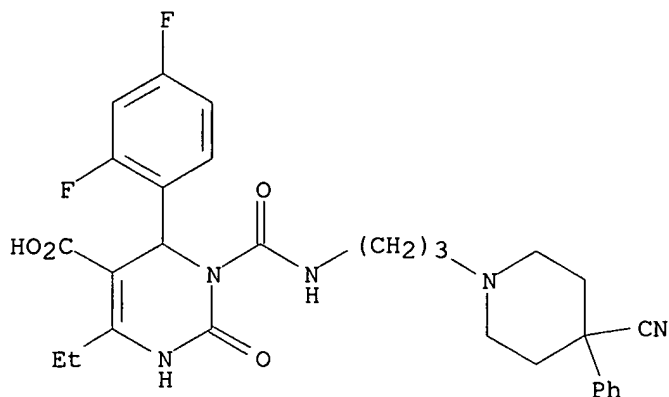
Rotation (+).



RN 216310-94-0 CAPLUS

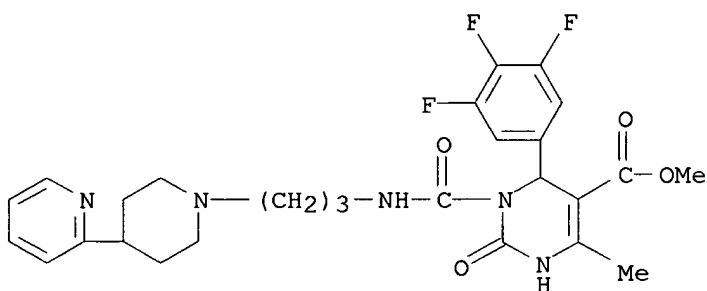
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidiny)propyl]amino]carbonyl]-6-(2,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-2-oxo-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



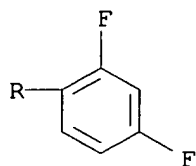
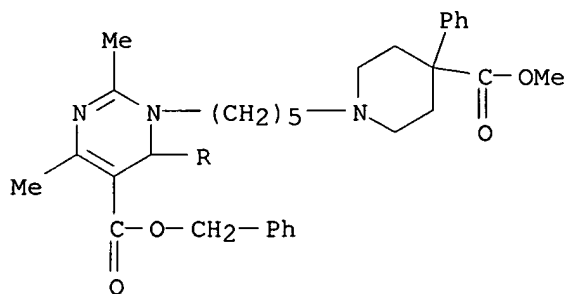
RN 216310-97-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 216310-98-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,4-difluorophenyl)-1,6-dihydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2,4-dimethyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 22 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 1997:752840 CAPLUS

DN 128:61520

TI Preparation of dihydropyrimidine derivatives as selective antagonists for human .alpha.1A-adrenergic receptors.

IN Wong, Wai C.; Lagu, Bharat; Nagarathnam, Dhanapalan; Marzabadi, Mohammad R.; Gluchowski, Charles

PA Synaptic Pharmaceutical Corporation, USA

SO PCT Int. Appl., 271 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9742956	A1	19971120	WO 1997-US8335	19970516
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9730082	A1	19971205	AU 1997-30082	19970516
	AU 727972	B2	20010104		
	JP 2000506904	T2	20000606	JP 1997-541146	19970516
	EP 1021185	A1	20000726	EP 1997-924745	19970516
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
	US 2002010186	A1	20020124	US 2001-855597	20010515
PRAI	US 1996-17801P	P	19960516		
	US 1996-648768	A	19960516		
	US 1997-858017	A1	19970516		
	WO 1997-US8335	W	19970516		

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I, II, and III; A = (un)substituted Ph, pyridyl, 1H-imidazolyl, or 1-imidazolyl, etc.; X = H, NO₂, cyano, linear or branched C1-7 alkyl, mono- or polyfluoroalkyl, linear or branched C2-7 alkenyl or alkynyl, C3-7 cycloalkyl, mono- or polyfluorocycloalkyl, N(R₃)₂, OR₃, (CH₂)_pOR₃, COR₃, CO₂R₃, CO(R₃)₂; R₂ = H, linear or branched C1-7 alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, mono- or polyfluorocycloalkyl, linear or branched C2-7 alkenyl or alkynyl, C3-7 cycloalkyl or mono- or polyfluorocycloalkyl or cycloalkenyl, C3-10 cycloalkyl-C1-10 alkyl, C3-10 cycloalkyl-C1-10 mono- or polyfluorocycloalkyl, cyano, CH₂XR₃, CH₂X(CH₂)_pNHR₃, (CH₂)_n NHR₃, CH₂X(CH₂)_pN(R₃)₂, CH₂X(CH₂)_pN₃, OR₃, etc.; p = 1-7; n = 0-5; R₃ = H, linear or branched C1-7 alkyl, mono- or polyfluorocycloalkyl, linear or branched C2-7 alkyl or alkynyl, C3-7 cycloalkyl or mono- or polyfluorocycloalkyl or cycloalkenyl; R₄ = Q; wherein Z1 = (CH₂)_o, CO (CH₂)_oCO, CO(CH₂)_o; m = 0-3; n = 1-3; V = O, S, CR₅R₇, C(R₇)₂, NR₇; R = H, F, linear or branched C1-7 alkyl, mono- or polyfluoroalkyl, linear or

branched alkyl C2-7 alkenyl or alkynyl, N(R3)2, NO2, etc.; R5, R7 = H, F, Cl, Br, iodo, COR3, CO2R3, CON(R3)2, cyano, NO2, N(R3)2, OR3, SR3, (CH2)pOR3, (CH2)pSR3, etc.; R6 = H, linear or branched C1-7 alkyl, hydroxyalkyl, aminoalkyl, alkoxyalkyl, mono- or polyfluoroalkyl, C3-7 cycloalkyl]. This invention is also related to uses of these compds. for lowering intraocular pressure, inhibiting cholesterol synthesis, relaxing lower urinary tract tissue, the treatment of benign prostatic hyperplasia, impotence, cardiac arrhythmia and for the treatment of any disease where the antagonism of the .alpha.1A receptor may be useful. The invention further provides a pharmaceutical compn. comprising a therapeutically effective amt. of the above-defined compds. and a pharmaceutically acceptable carrier. Thus, a mixt. of 1-(5-chloropentyl)-6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-5-methoxycarbonylpyrimidine (prepn. given), 4-methoxycarbonyl-4-phenylpiperidine, K2CO3, and NaI, and 1,4-dioxane was refluxed overnight to give IV. IV in vitro showed binding affinities at cloned human .alpha.1d, .alpha.1b, and .alpha.1a receptors with pKi values of 6.17, 6.32, and 8.99, resp.

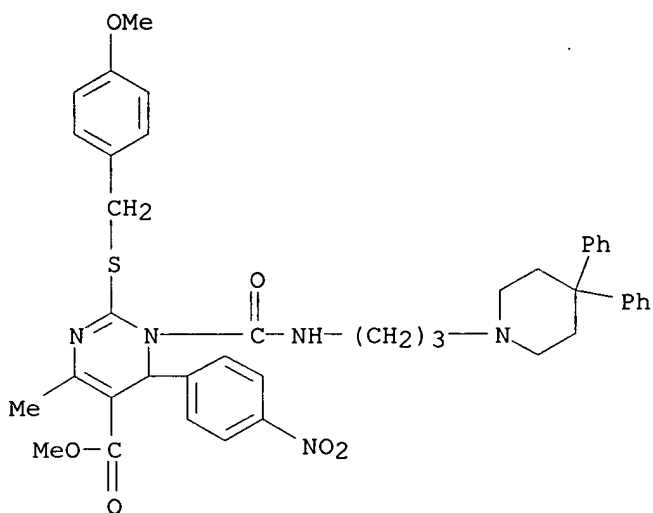
IT 179480-83-2P 179480-84-3P 179480-85-4P
 179480-86-5P 179480-93-4P 179481-41-5P
 179481-42-6P 179481-56-2P 179481-57-3P
 179481-59-5P 179481-60-8P 179481-61-9P
 179481-62-0P 179481-63-1P 179481-64-2P
 179481-65-3P 179481-70-0P 179481-79-9P
 179481-81-3P 179481-82-4P 200050-41-5P
 200050-42-6P 200050-43-7P 200050-44-8P
 200050-45-9P 200050-46-0P 200050-47-1P
 200050-48-2P 200050-49-3P 200050-50-6P
 200050-51-7P 200050-52-8P 200050-53-9P
 200050-54-0P 200050-55-1P 200050-56-2P
 200050-57-3P 200050-58-4P 200050-59-5P
 200050-60-8P 200050-61-9P 200050-62-0P
 200050-63-1P 200050-64-2P 200050-65-3P
 200050-66-4P 200050-68-6P 200050-69-7P
 200050-73-3P 200050-74-4P 200050-75-5P
 200050-76-6P 200050-77-7P 200050-78-8P
 200050-79-9P 200050-80-2P 200050-81-3P
 200050-82-4P 200050-83-5P 200050-84-6P
 200050-85-7P 200050-86-8P 200050-87-9P
 200050-88-0P 200050-89-1P 200050-90-4P
 200050-91-5P 200050-92-6P 200050-94-8P
 200050-95-9P 200050-96-0P 200050-97-1P
 200050-98-2P 200050-99-3P 200051-00-9P
 200051-01-0P 200051-02-1P 200051-03-2P
 200051-04-3P 200051-05-4P 200051-06-5P
 200051-07-6P 200051-08-7P 200051-09-8P
 200051-10-1P 200051-11-2P 200051-12-3P
 200051-13-4P 200051-14-5P 200051-15-6P
 200051-16-7P 200051-17-8P 200051-18-9P
 200051-19-0P 200051-20-3P 200051-21-4P
 200051-22-5P 200051-23-6P 200051-24-7P
 200051-25-8P 200051-27-0P 200051-28-1P
 200051-31-6P 200051-32-7P 200051-35-0P
 200051-36-1P 200051-37-2P 200051-38-3P
 200051-39-4P 200051-40-7P 200051-41-8P
 200051-42-9P 200051-43-0P 200051-44-1P
 200051-45-2P 200051-46-3P 200051-47-4P
 200051-48-5P 200051-50-9P 200051-51-0P
 200051-52-1P 200051-53-2P 200051-54-3P

200051-55-4P 200051-56-5P 200051-57-6P
 200051-58-7P 200051-59-8P 200051-60-1P
 200051-61-2P 200051-62-3P 200051-63-4P
 200051-79-2P 200200-20-0P 200200-21-1P
 200200-22-2P 200200-23-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of dihydropyrimidine derivs. as selective antagonists for human .alpha.1A-adrenergic receptors for disease treatment)

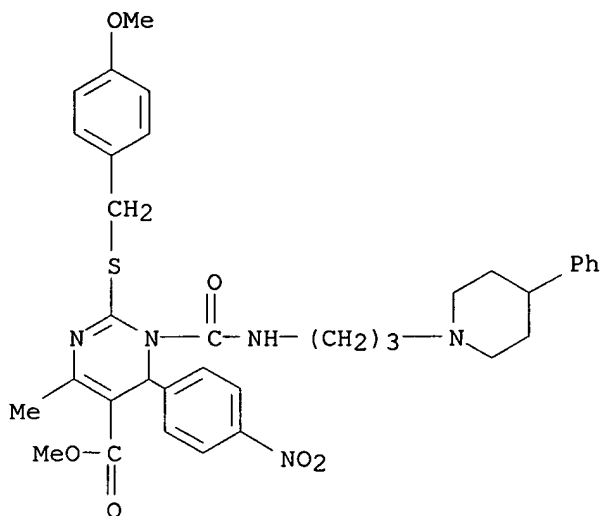
RN 179480-83-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4,4-diphenyl-1-piperidinyl)propyl]amino]carbonyl]-1,6-dihydro-2-[[[4-methoxyphenyl)methyl]thio]-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI)
 (CA INDEX NAME)



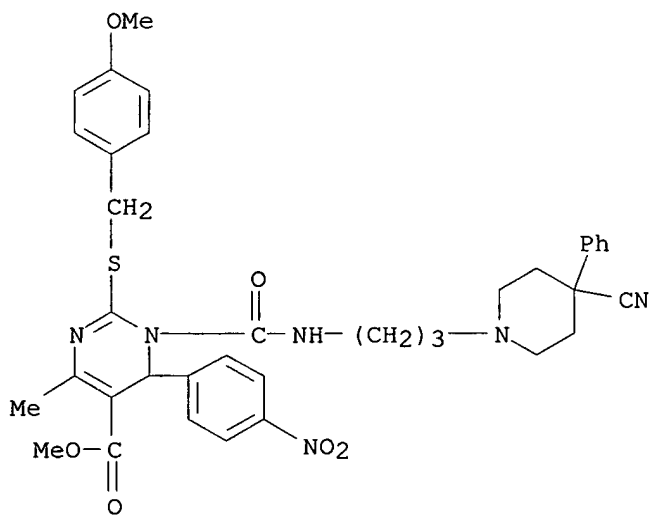
RN 179480-84-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-[[[4-methoxyphenyl)methyl]thio]-4-methyl-6-(4-nitrophenyl)-1-[[[3-(4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



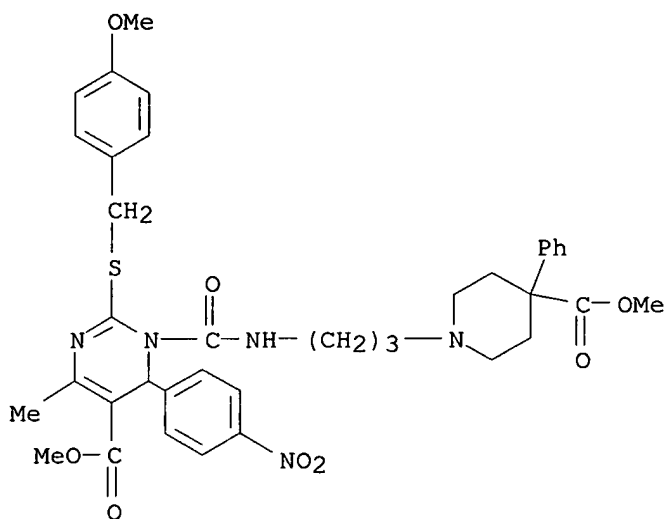
RN 179480-85-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-1,6-dihydro-2-[[4-methoxyphenyl)methyl]thio]-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI)
(CA INDEX NAME)



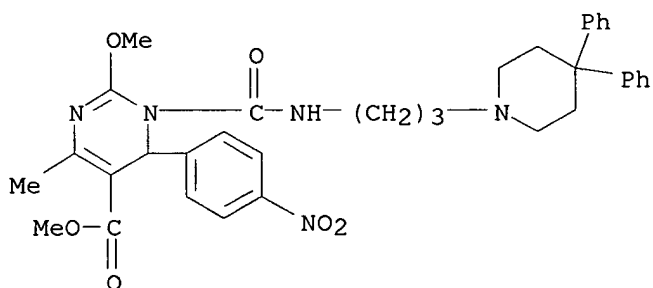
RN 179480-86-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-[[4-methoxyphenyl)methyl]thio]-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI)
(CA INDEX NAME)



RN 179480-93-4 CAPLUS

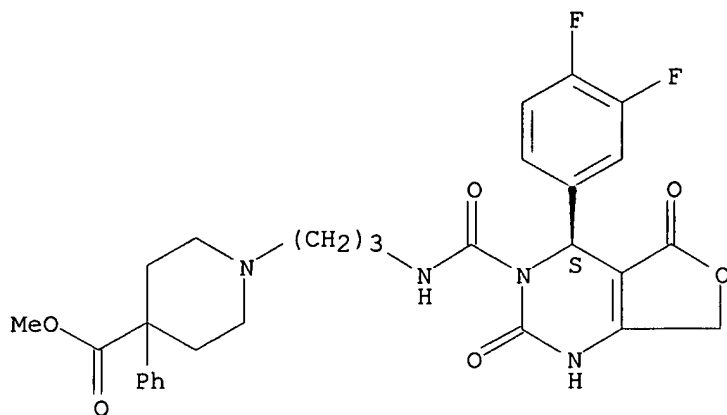
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4,4-diphenyl-1-piperidinyl)propyl]amino]carbonyl]-1,6-dihydro-2-methoxy-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 179481-41-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[(4S)-4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]propyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)

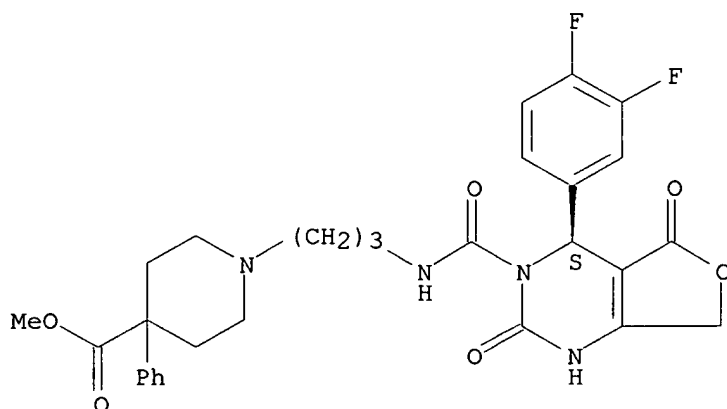
Absolute stereochemistry. Rotation (+).



RN 179481-42-6 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[(4S)-4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]propyl]-4-phenyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

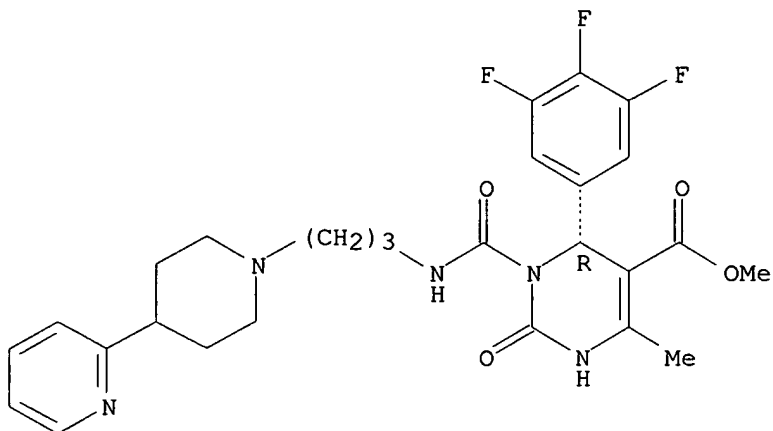


● HCl

RN 179481-56-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (6R)- (9CI) (CA INDEX NAME)

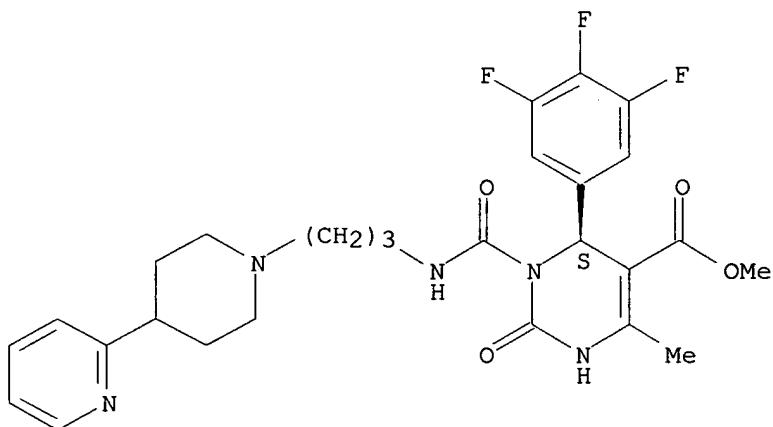
Absolute stereochemistry. Rotation (-).



RN 179481-57-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

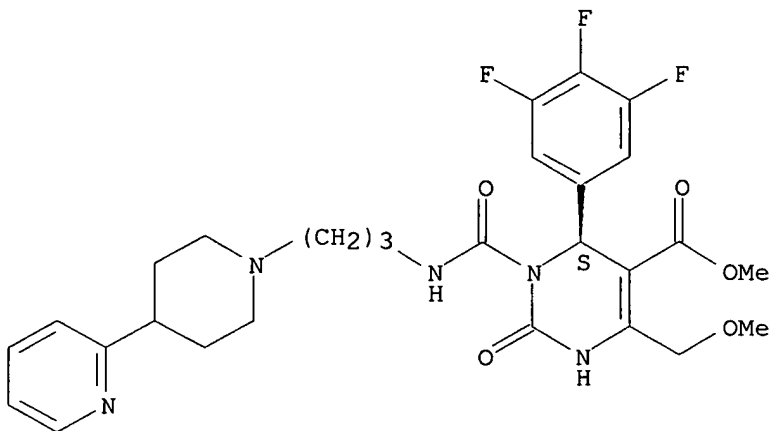
Absolute stereochemistry. Rotation (+).



RN 179481-59-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

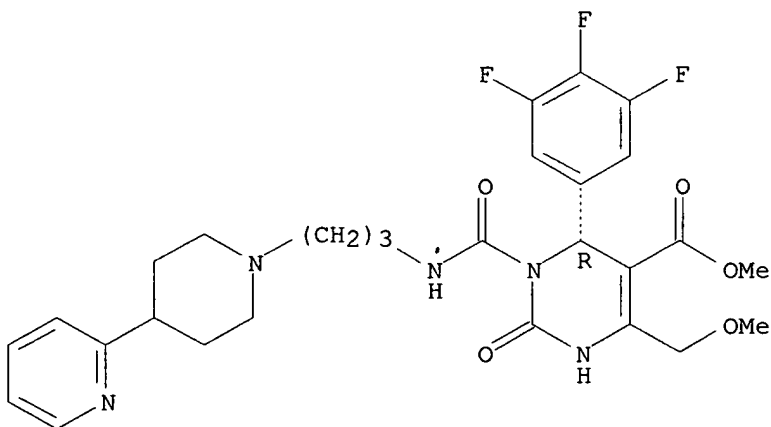
Absolute stereochemistry. Rotation (+).



RN 179481-60-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, dihydrochloride, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

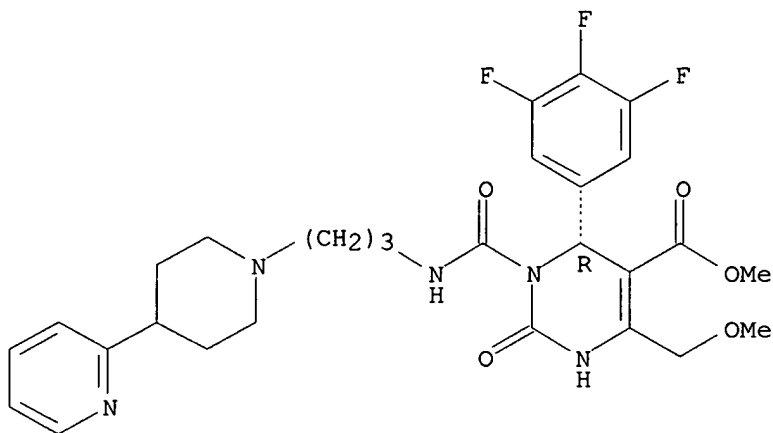


● 2 HCl

RN 179481-61-9 CAPLUS

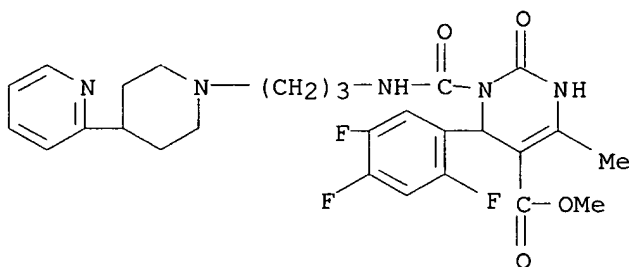
CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



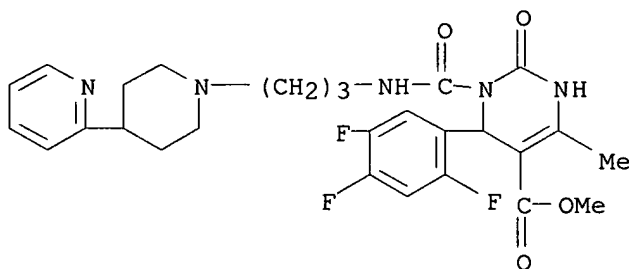
RN 179481-62-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(2,4,5-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 179481-63-1 CAPLUS

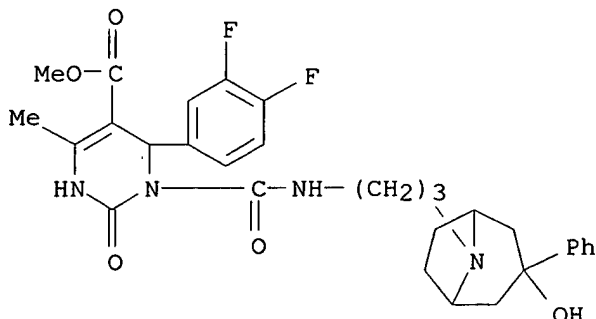
CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(2,4,5-trifluorophenyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

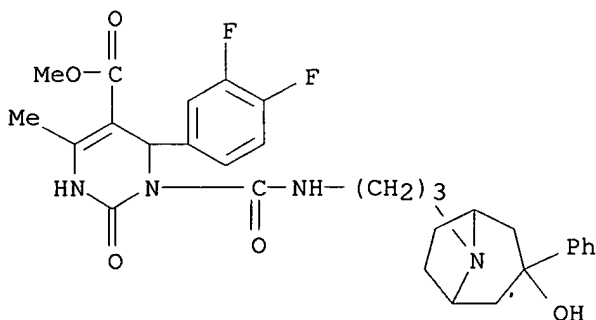
RN 179481-64-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
 [[[3-(3-hydroxy-3-phenyl-8-azabicyclo[3.2.1]oct-8-yl)propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 179481-65-3 CAPLUS

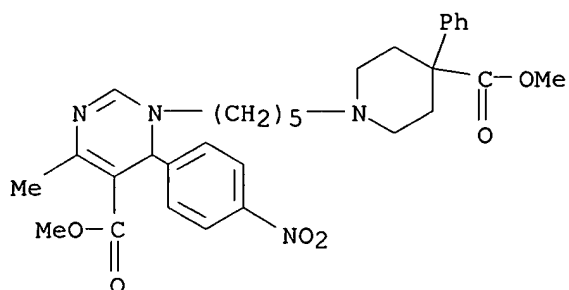
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
 [[[3-(3-hydroxy-3-phenyl-8-azabicyclo[3.2.1]oct-8-yl)propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

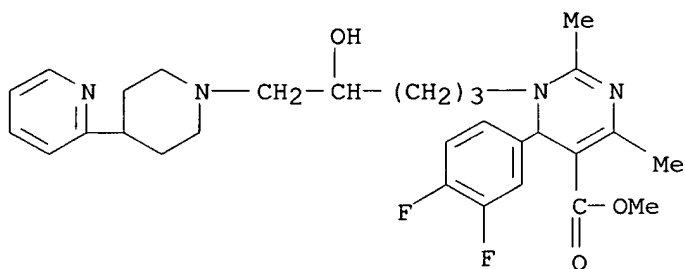
RN 179481-70-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI) (CA INDEX NAME)



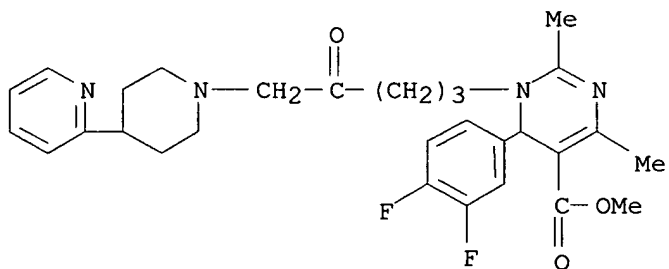
RN 179481-79-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[4-hydroxy-5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



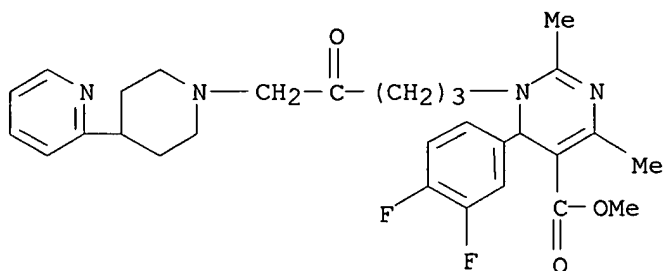
RN 179481-81-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-1-[4-oxo-5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 179481-82-4 CAPLUS

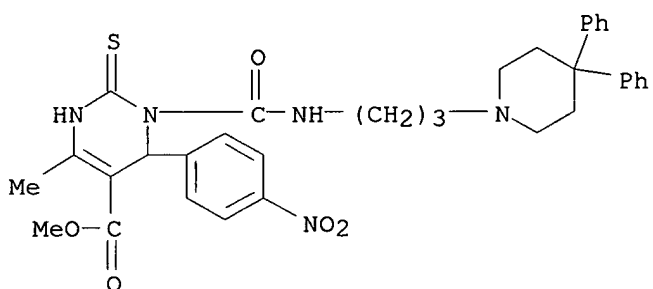
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-1-[4-oxo-5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-, methyl ester, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

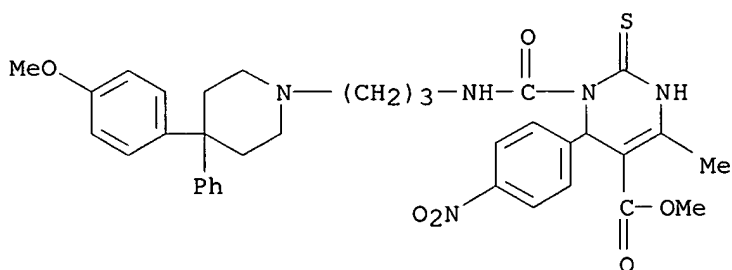
RN 200050-41-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4,4-diphenyl-1-piperidinyl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-6-(4-nitrophenyl)-2-thioxo-, methyl ester (9CI) (CA INDEX NAME)



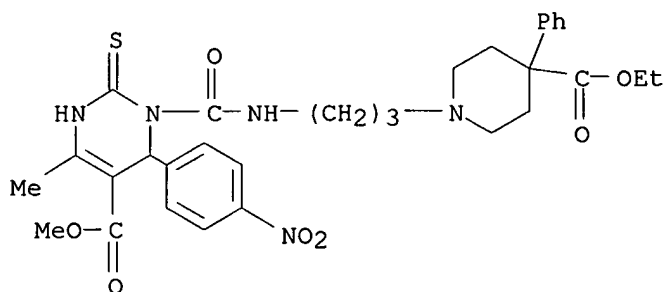
RN 200050-42-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-thioxo-, methyl ester (9CI) (CA INDEX NAME)



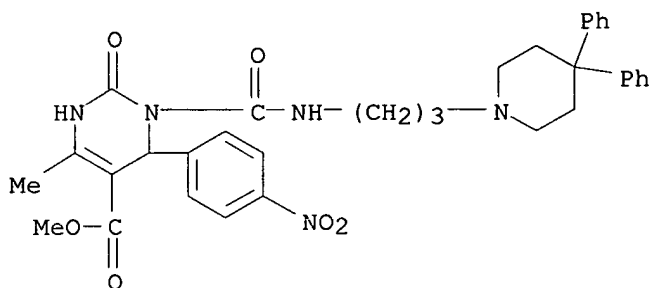
RN 200050-43-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(ethoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-6-(4-nitrophenyl)-2-thioxo-, methyl ester (9CI) (CA INDEX NAME)



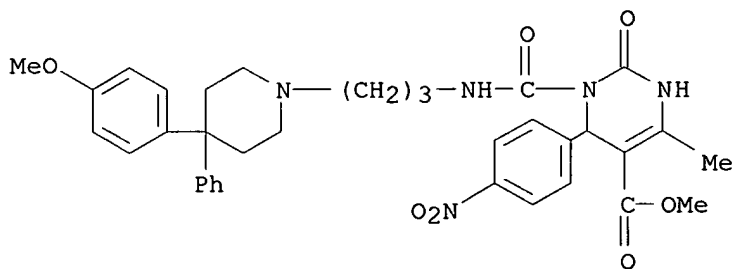
RN 200050-44-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4,4-diphenyl-1-piperidinyl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-6-(4-nitrophenyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



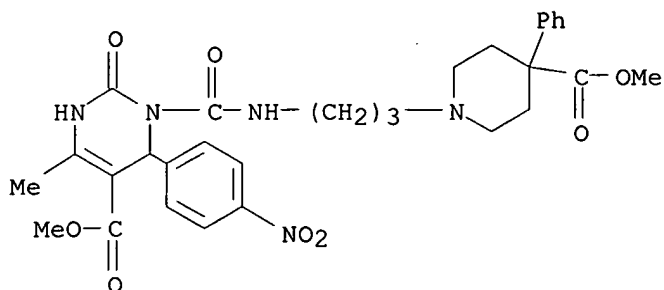
RN 200050-45-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[[3-[4-(4-methoxyphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



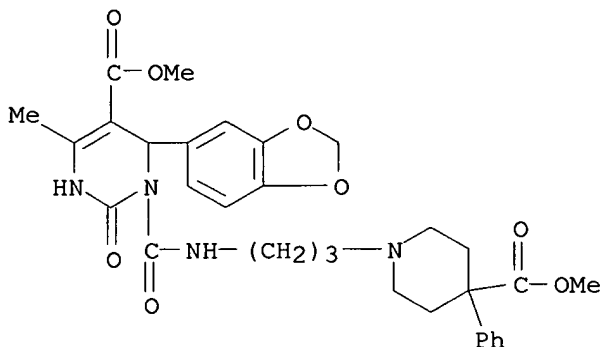
RN 200050-46-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 200050-47-1 CAPLUS

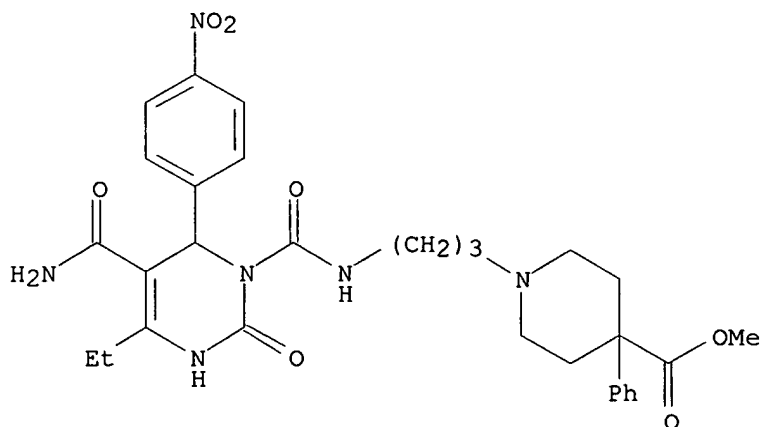
CN 5-Pyrimidinecarboxylic acid, 6-(1,3-benzodioxol-5-yl)-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 200050-48-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[5-(aminocarbonyl)-4-ethyl-3,6-dihydro-6-(4-nitrophenyl)-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]-4-phenyl-, methyl ester, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

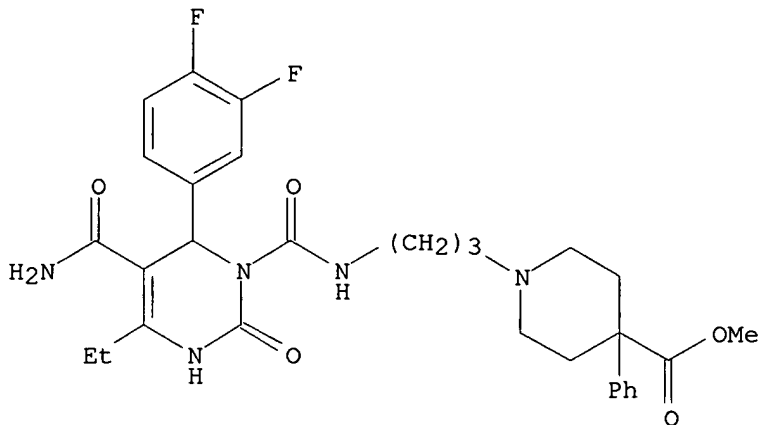


RN 200050-49-3 CAPLUS

09/855,597

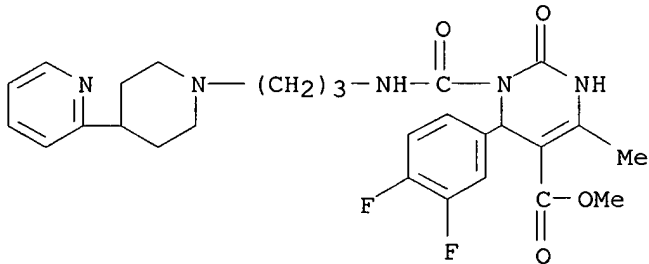
CN 4-Piperidinecarboxylic acid, 1-[3-[[[5-(aminocarbonyl)-6-(3,4-difluorophenyl)-4-ethyl-3,6-dihydro-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]-4-phenyl-, methyl ester, (+)- (9CI)
(CA INDEX NAME)

Rotation (+).



RN 200050-50-6 CAPLUS

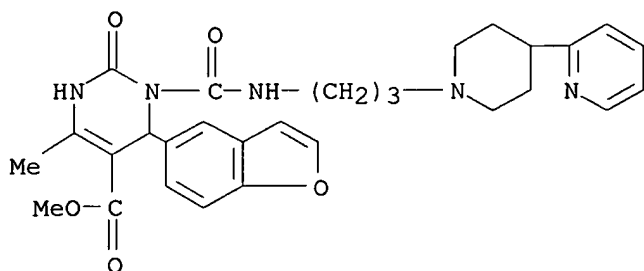
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 200050-51-7 CAPLUS

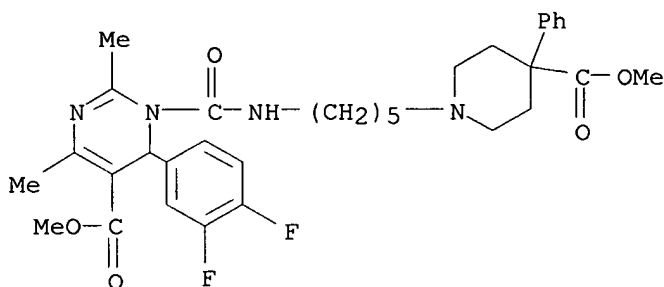
CN 5-Pyrimidinecarboxylic acid, 6-(5-benzofuranyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 200050-52-8 CAPLUS

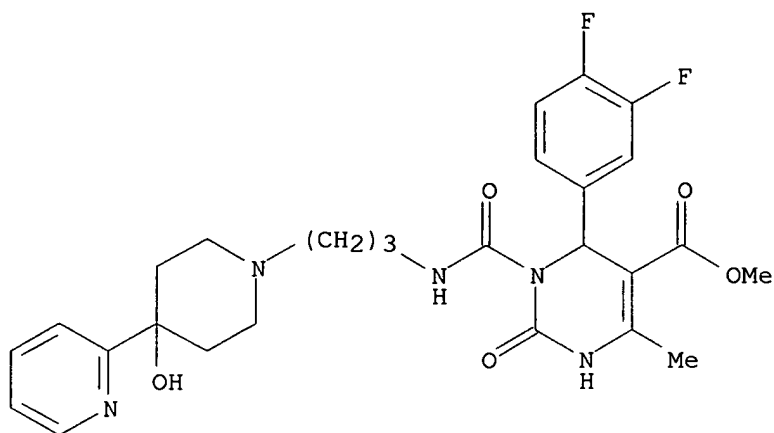
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[[[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]amino]carbonyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



RN 200050-53-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-hydroxy-4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

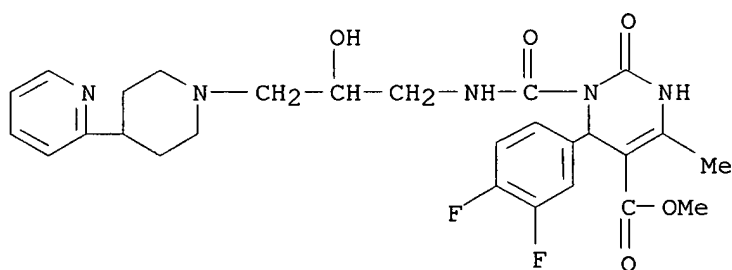
Rotation (+).



● 2 HCl

RN 200050-54-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[2-hydroxy-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

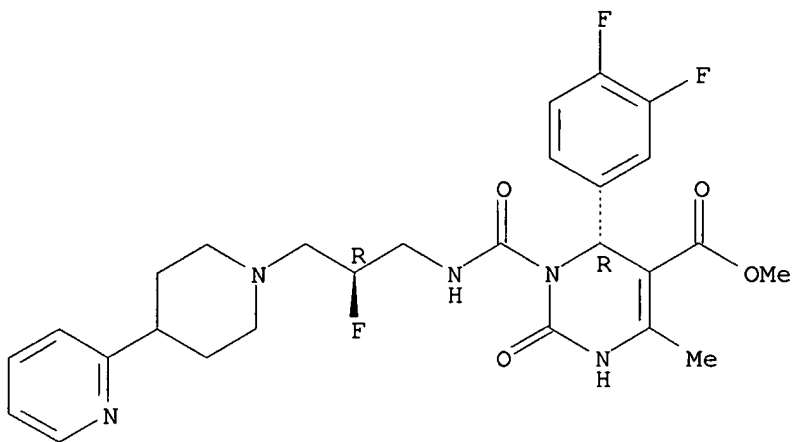


● 2 HCl

RN 200050-55-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[2-fluoro-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride, (R*,R*)-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

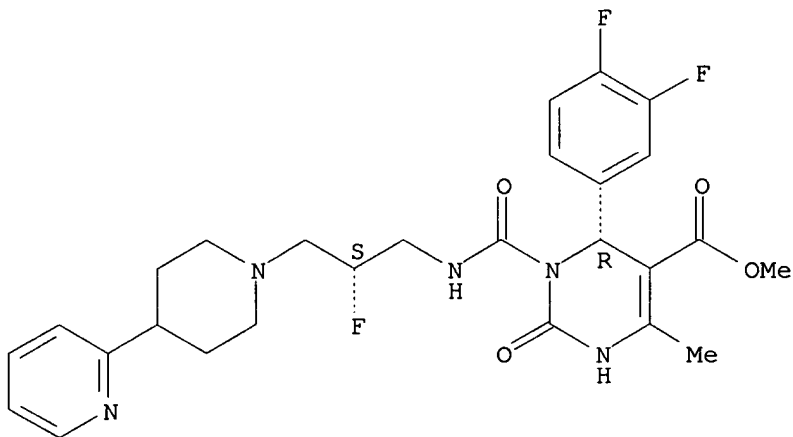


●2 HCl

RN 200050-56-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[2-fluoro-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride, (R*,S*)-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



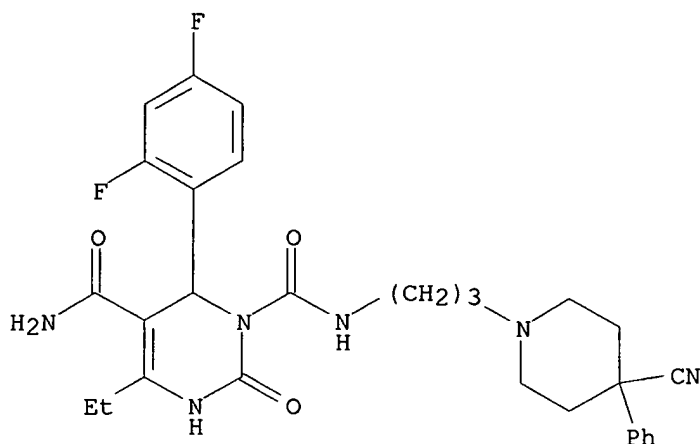
●2 HCl

RN 200050-57-3 CAPLUS

CN 1,5(2H)-Pyrimidinedicarboxamide, N1-[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]-6-(2,4-difluorophenyl)-4-ethyl-3,6-dihydro-2-oxo-, (+)- (9CI) (CA INDEX NAME)

09/855,597

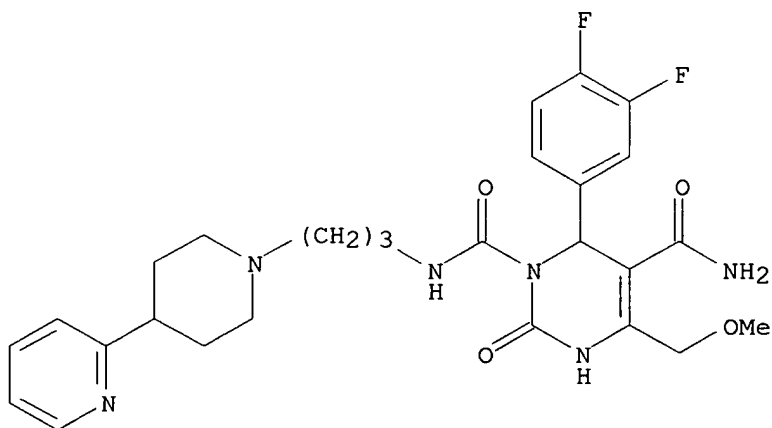
Rotation (+).



RN 200050-58-4 CAPLUS

CN 1,5(2H)-Pyrimidinedicarboxamide, 6-(3,4-difluorophenyl)-3,6-dihydro-4-(methoxymethyl)-2-oxo-N1-[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]-, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

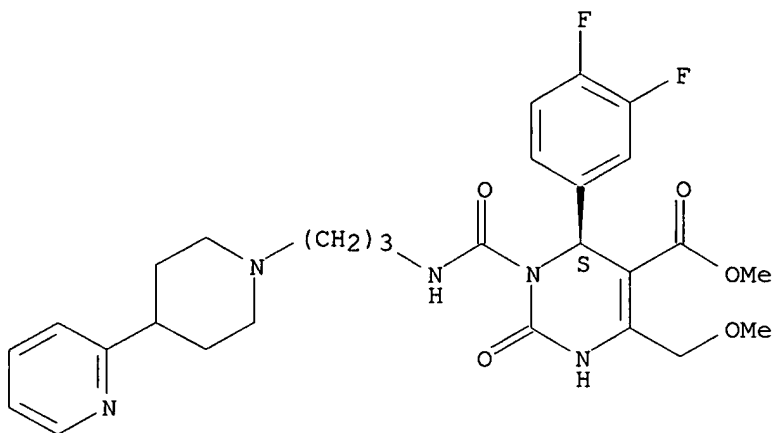


● 2 HCl

RN 200050-59-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (6S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

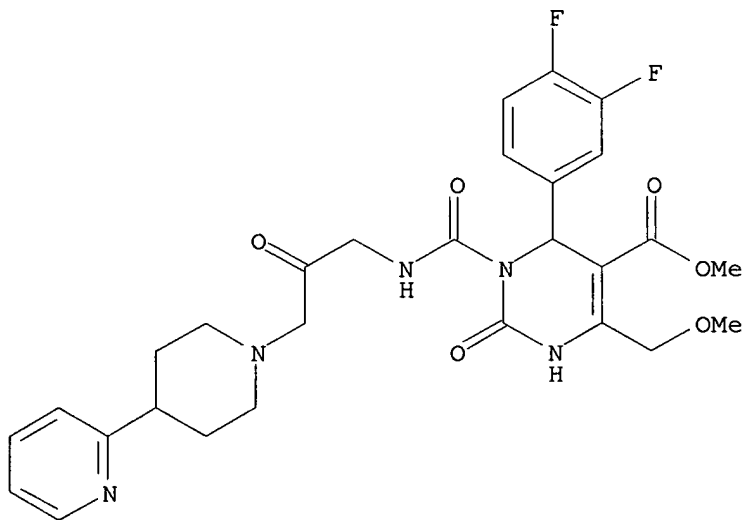


RN 200050-60-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[2-oxo-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

PAGE 1-A



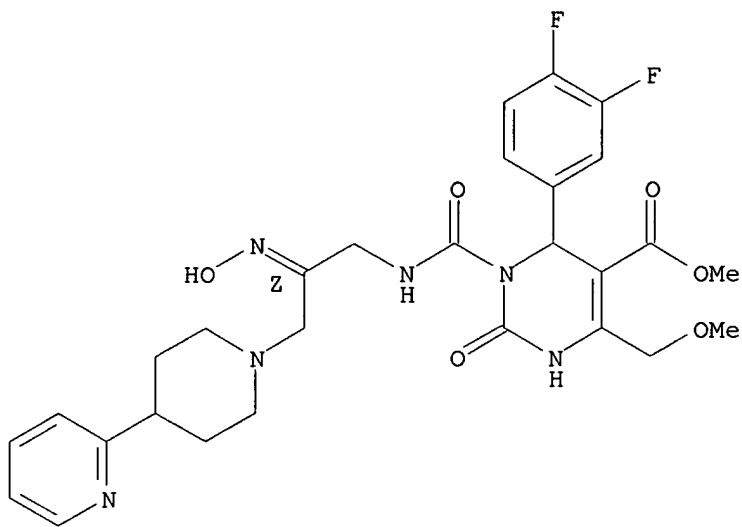
PAGE 2-A

●2 HCl

RN 200050-61-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[2-(hydroxyimino)-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-(methoxymethyl)-2-oxo-, methyl ester, (Z)-(9CI) (CA INDEX NAME)

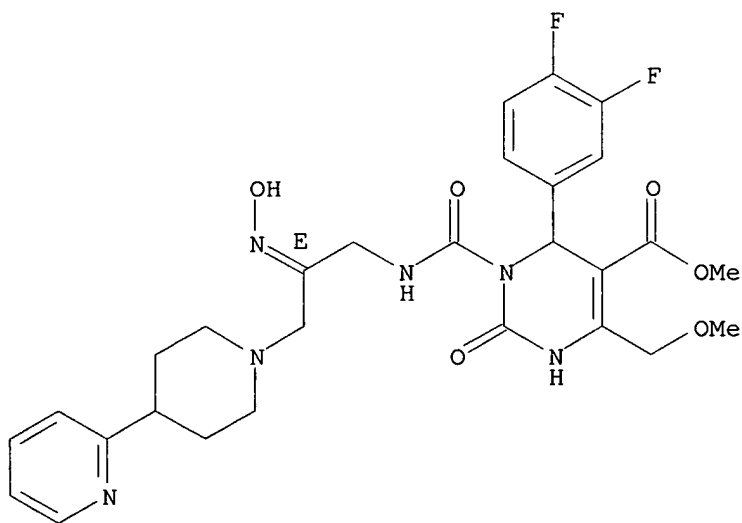
Double bond geometry as shown.



RN 200050-62-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
[[[2-(hydroxyimino)-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl
]-4-(methoxymethyl)-2-oxo-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



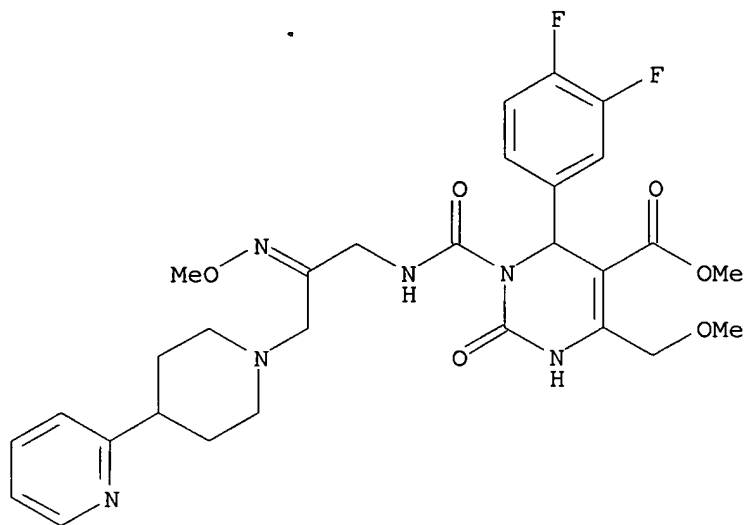
RN 200050-63-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
[[[2-(methoxyimino)-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl
]-4-(methoxymethyl)-2-oxo-, methyl ester, dihydrochloride, (+)- (9CI) (CA
INDEX NAME)

Rotation (+).

Double bond geometry unknown.

PAGE 1-A

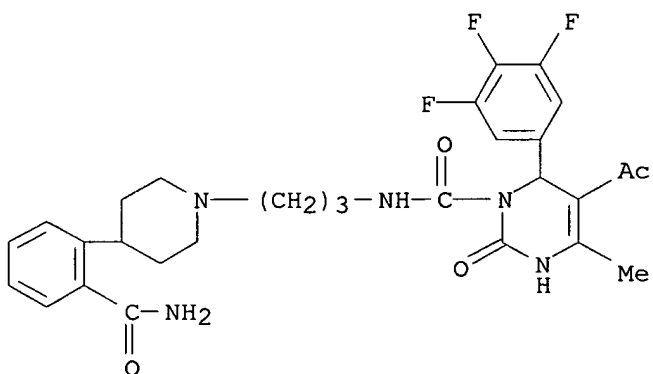


PAGE 2-A

●2 HCl

RN 200050-64-2 CAPLUS

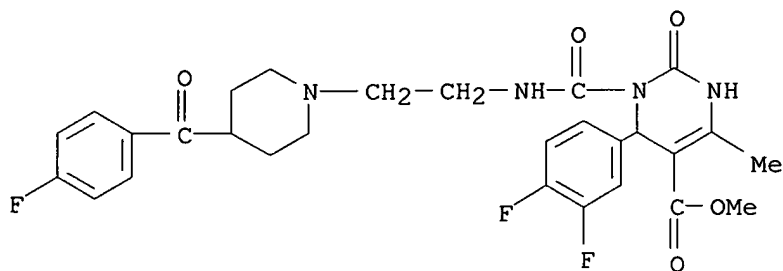
CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-N-[3-[4-[2-(aminocarbonyl)phenyl]-1-piperidinyl]propyl]-3,6-dihydro-4-methyl-2-oxo-6-(3,4,5-trifluorophenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 200050-65-3 CAPLUS

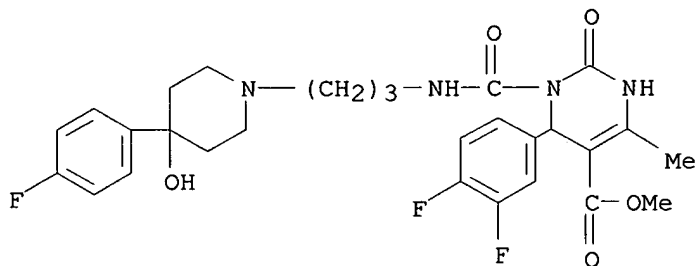
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 200050-66-4 CAPLUS

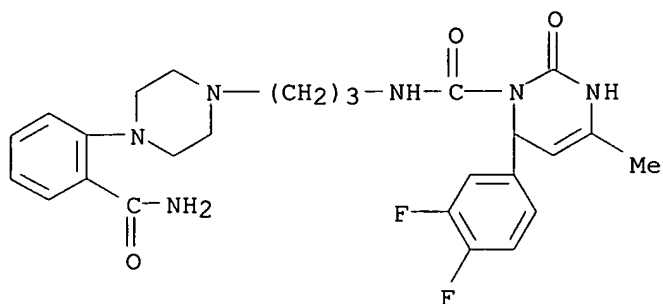
5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

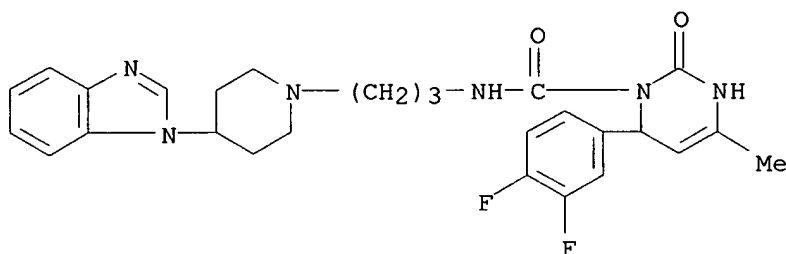
RN 200050-68-6 CAPLUS

CN 1(2H)-Pyrimidinecarboxamide, N-[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]-6-(3,4-difluorophenyl)-3,6-dihydro-4-methyl-2-oxo-(9CI) (CA INDEX NAME)



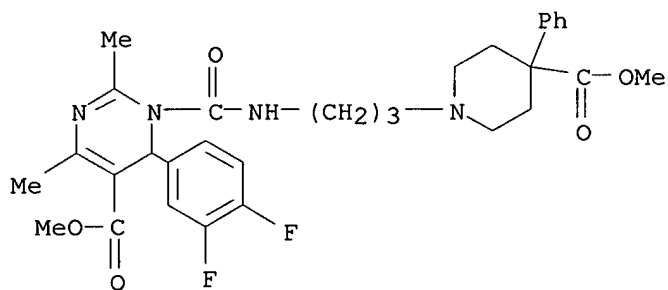
RN 200050-69-7 CAPLUS

CN 1(2H)-Pyrimidinecarboxamide, N-[3-[4-(1H-benzimidazol-1-yl)-1-piperidinyl]propyl]-6-(3,4-difluorophenyl)-3,6-dihydro-4-methyl-2-oxo- (9CI) (CA INDEX NAME)



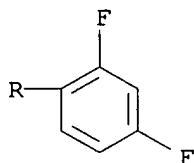
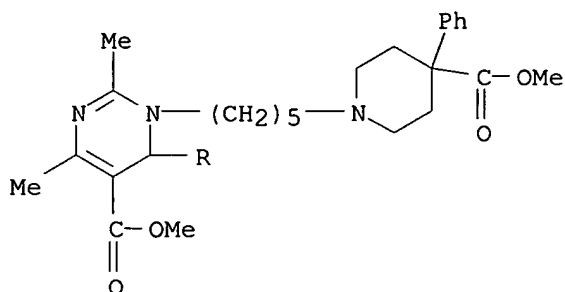
RN 200050-73-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



RN 200050-74-4 CAPLUS

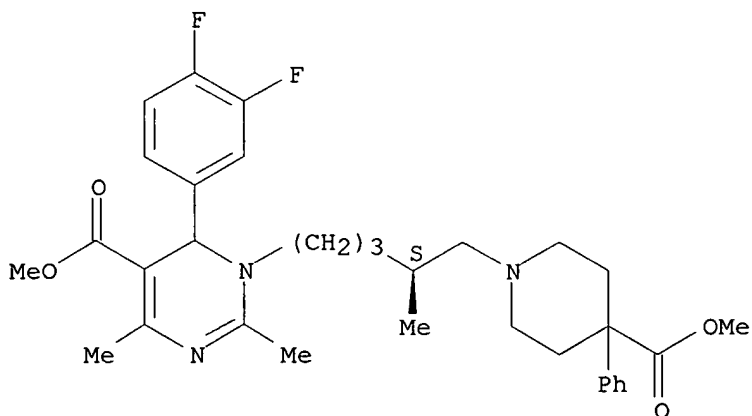
CN 5-Pyrimidinecarboxylic acid, 6-(2,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



RN 200050-75-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[(4S)-5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]-4-methylpentyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

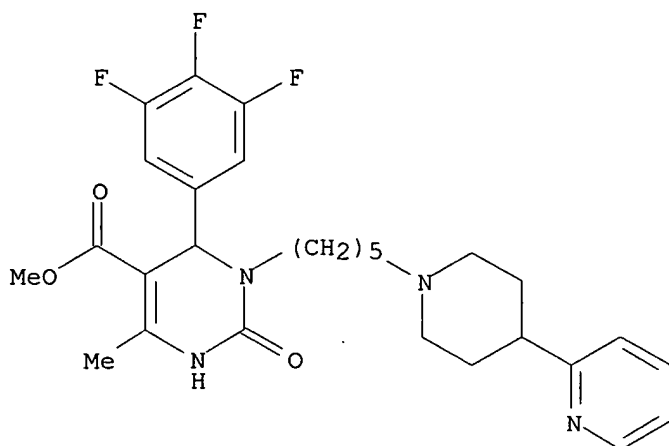
Absolute stereochemistry.



RN 200050-76-6 CAPLUS

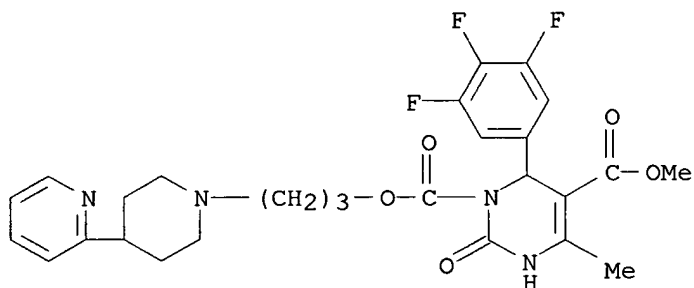
CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



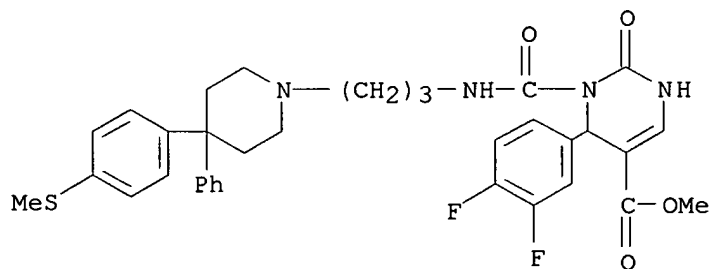
RN 200050-77-7 CAPLUS

CN 1,5(2H)-Pyrimidinedicarboxylic acid, 3,6-dihydro-4-methyl-2-oxo-6-(3,4,5-trifluorophenyl)-, 5-methyl 1-[3-[4-(2-pyridinyl)-1-piperidinyl]propyl] ester (9CI) (CA INDEX NAME)



RN 200050-78-8 CAPLUS

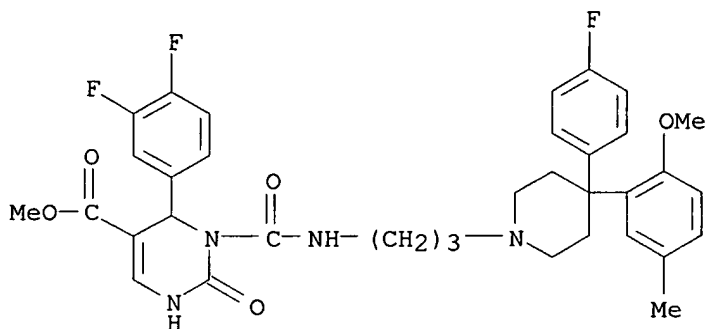
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-[4-(methylthio)phenyl]-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



HCl

RN 200050-79-9 CAPLUS

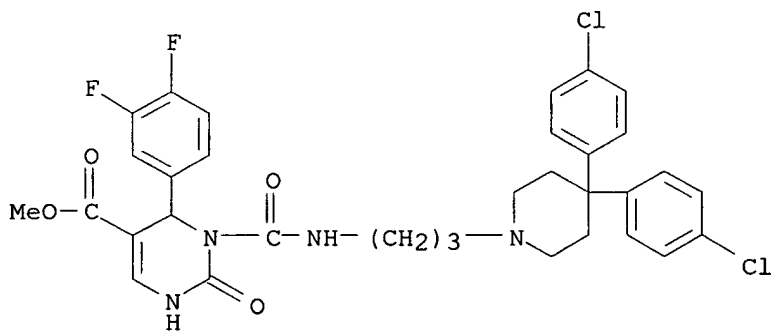
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(4-fluorophenyl)-4-(2-methoxy-5-methylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-2-oxo-, methyl ester, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

RN 200050-80-2 CAPLUS

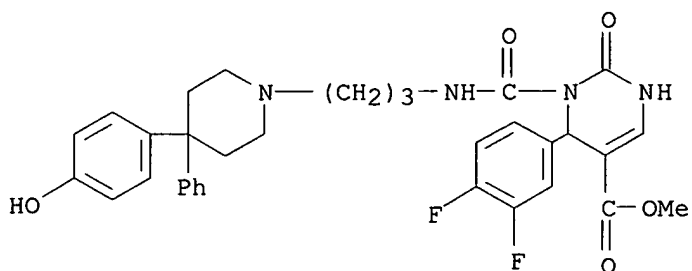
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4,4-bis(4-chlorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 200050-81-3 CAPLUS

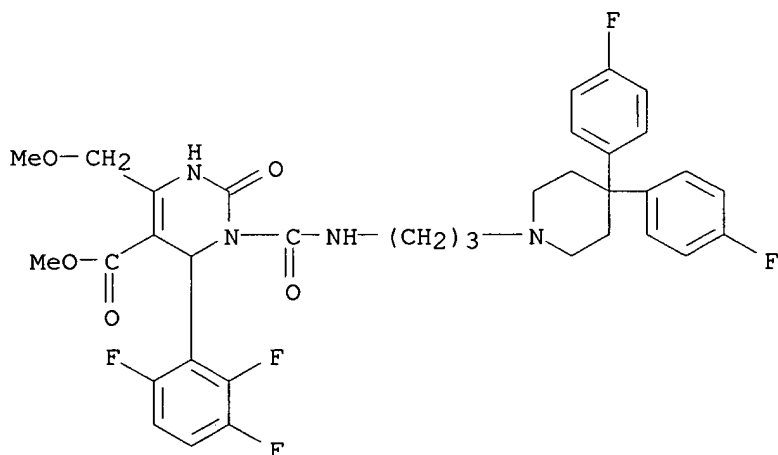
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-(4-hydroxyphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 200050-82-4 CAPLUS

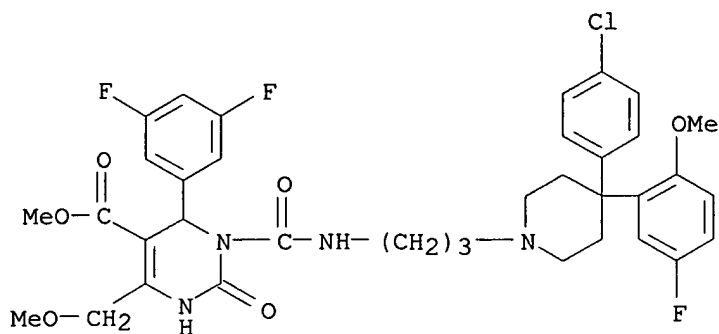
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4,4-bis(4-fluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-6-(2,3,6-trifluorophenyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 200050-83-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(4-chlorophenyl)-4-(5-fluoro-2-methoxyphenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,5-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

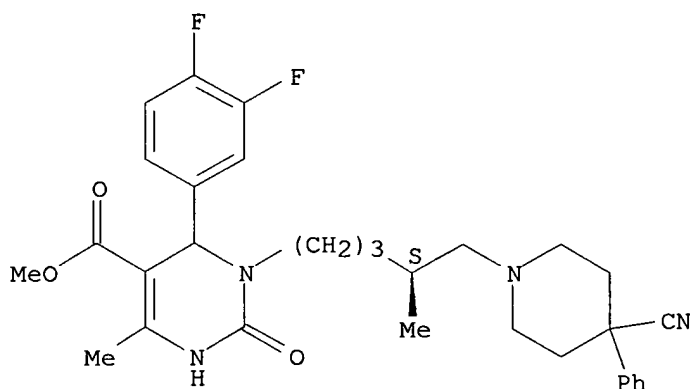


● 2 HCl

RN 200050-84-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[(4S)-5-(4-cyano-4-phenyl-1-piperidinyl)-4-methylpentyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

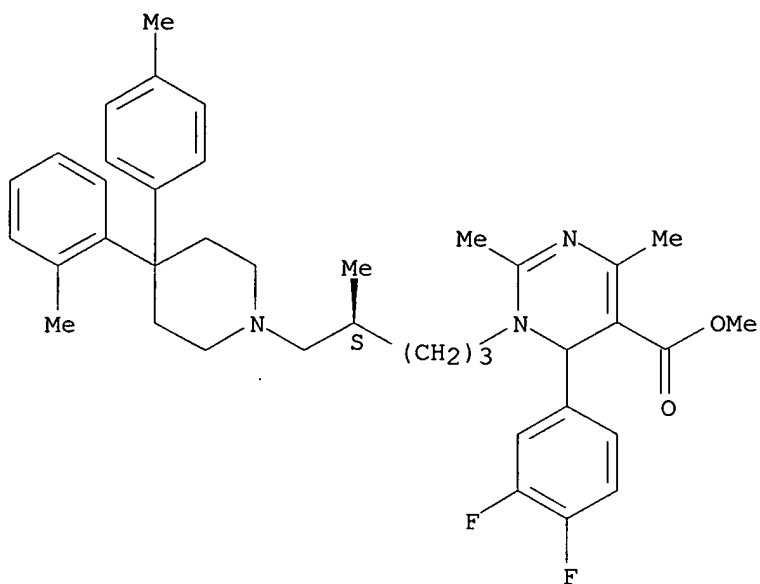
Absolute stereochemistry.



RN 200050-85-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[(4S)-5-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]-4-methylpentyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

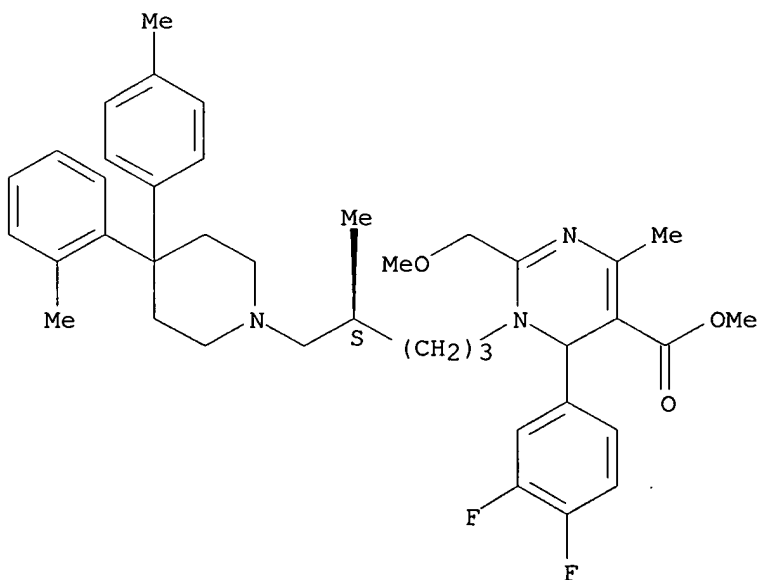
Absolute stereochemistry.



RN 200050-88-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2-(methoxymethyl)-4-methyl-1-[4-methyl-5-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]pentyl]-, methyl ester, [1(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

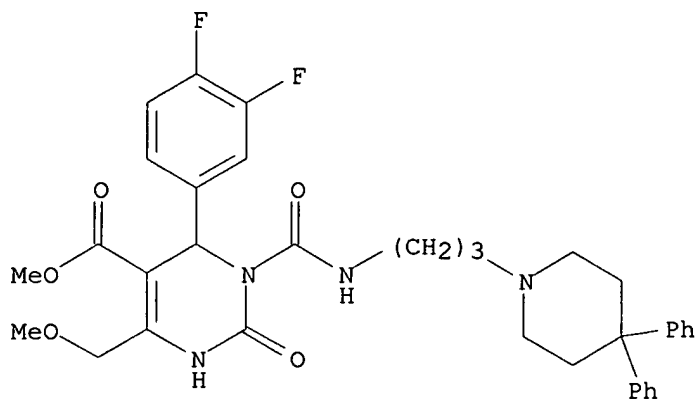


RN 200050-89-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(4,4-diphenyl-1-piperidinyl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

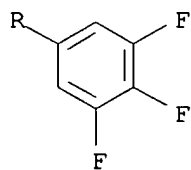
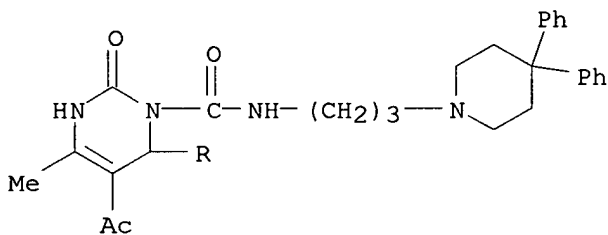
09/855,597

Rotation (+).



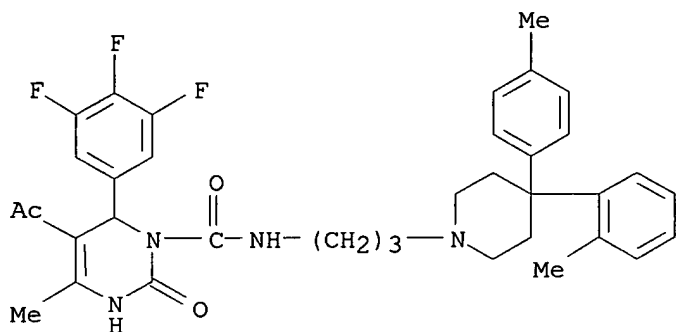
● HCl

RN 200050-90-4 CAPLUS
CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-N-[3-(4,4-diphenyl-1-piperidinyl)propyl]-3,6-dihydro-4-methyl-2-oxo-6-(3,4,5-trifluorophenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 200050-91-5 CAPLUS
CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-3,6-dihydro-4-methyl-N-[3-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]propyl]-2-oxo-6-(3,4,5-trifluorophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

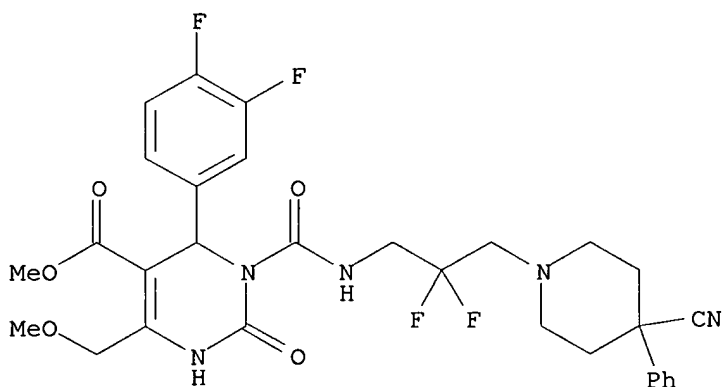


● HCl

RN 200050-92-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidinyl)-2,2-difluoropropyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

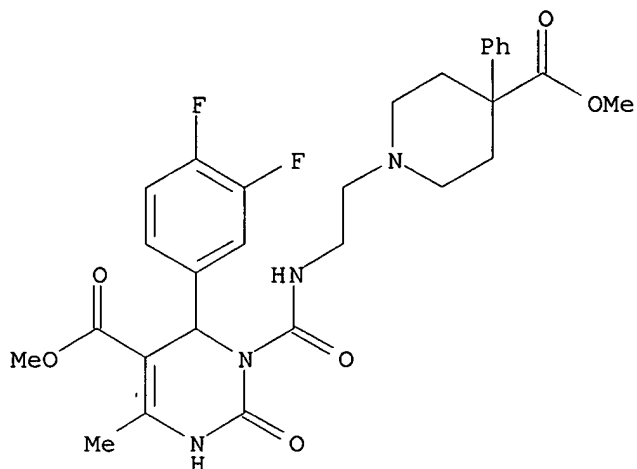
Rotation (+).



RN 200050-94-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[2-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]ethyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

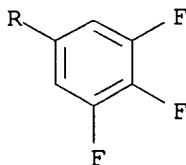
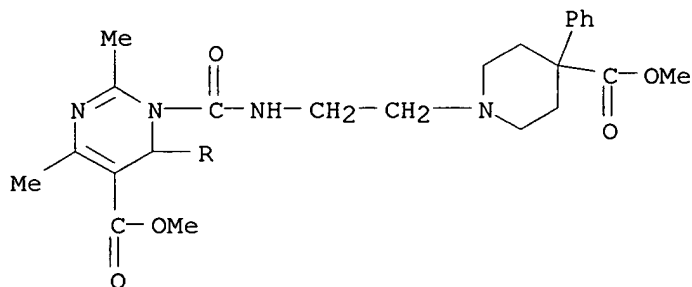
Rotation (+).



● HCl

RN 200050-95-9 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-1-[[[2-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]ethyl]amino]carbonyl]-2,4-dimethyl-6-(3,4,5-trifluorophenyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

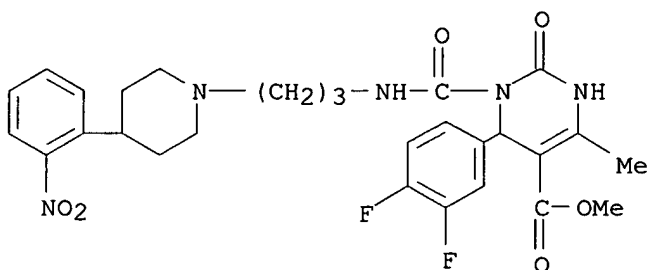


PAGE 2-A

● 2 HCl

RN 200050-96-0 CAPLUS

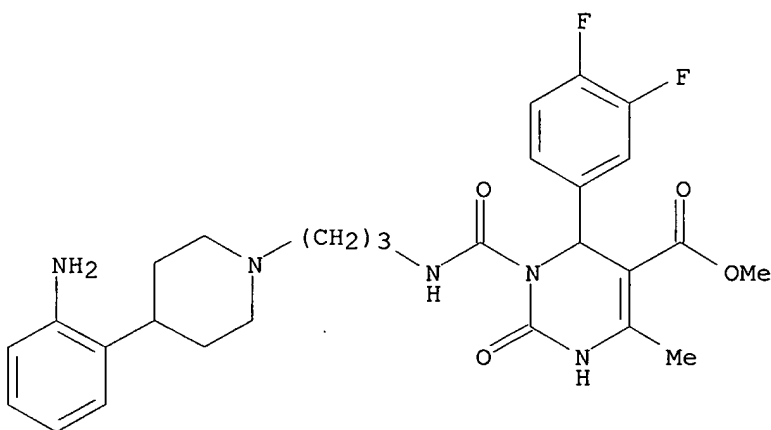
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(2-nitrophenyl)-1-piperidiny]propyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 200050-97-1 CAPLUS

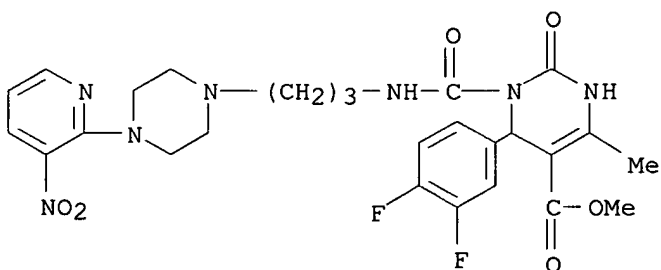
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(2-aminophenyl)-1-piperidiny]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 200050-98-2 CAPLUS

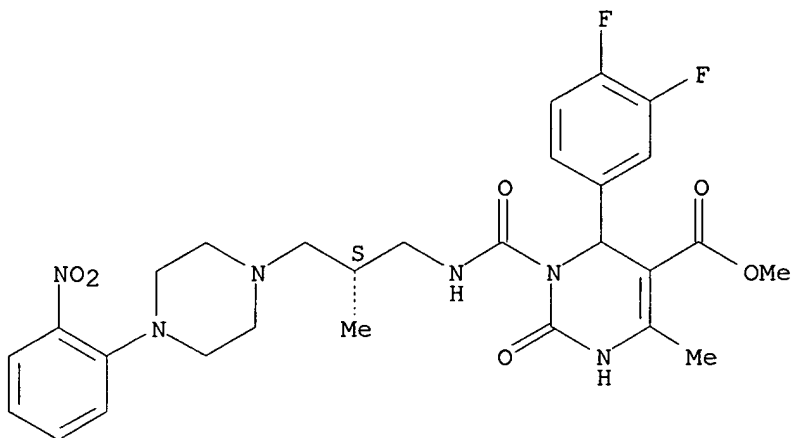
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(3-nitro-2-pyridinyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 200050-99-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[2-methyl-3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, [1(S)]- (9CI) (CA INDEX NAME)

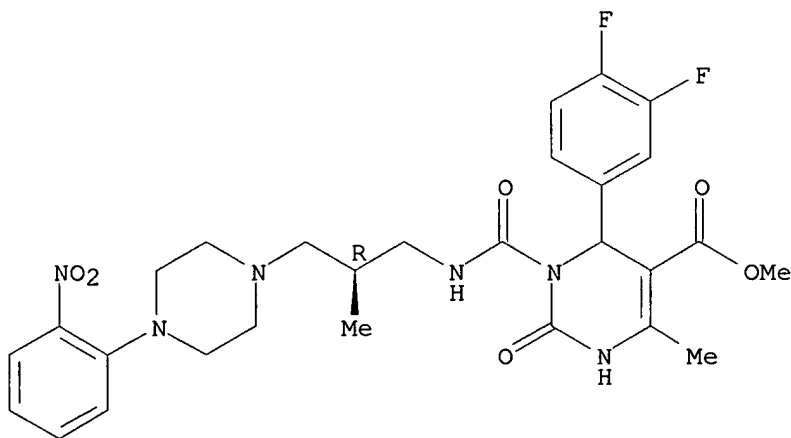
Absolute stereochemistry.



RN 200051-00-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[2-methyl-3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, [1(R)]- (9CI) (CA INDEX NAME)

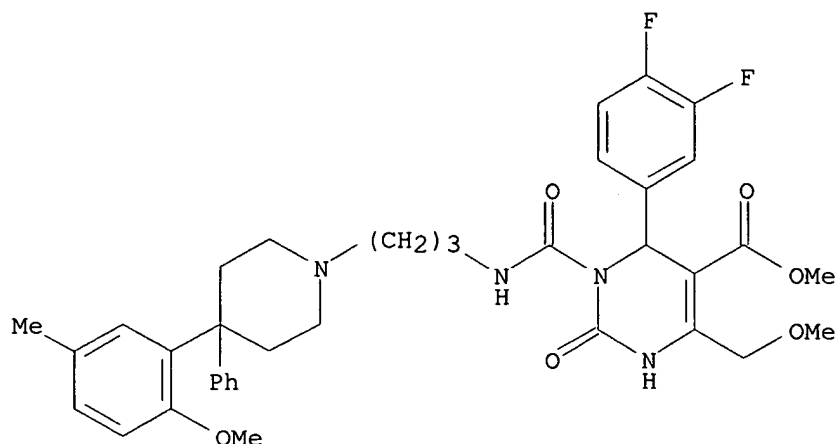
Absolute stereochemistry.



RN 200051-01-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(2-methoxy-5-methylphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

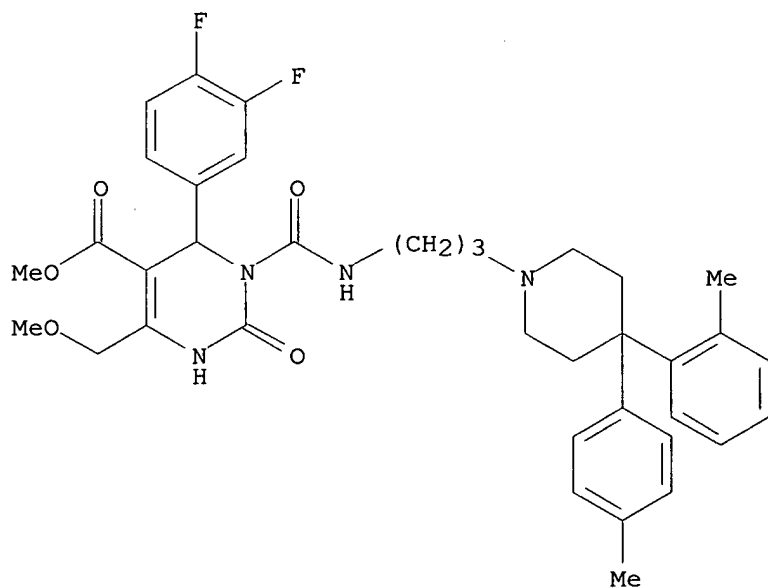
Rotation (+).



RN 200051-02-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

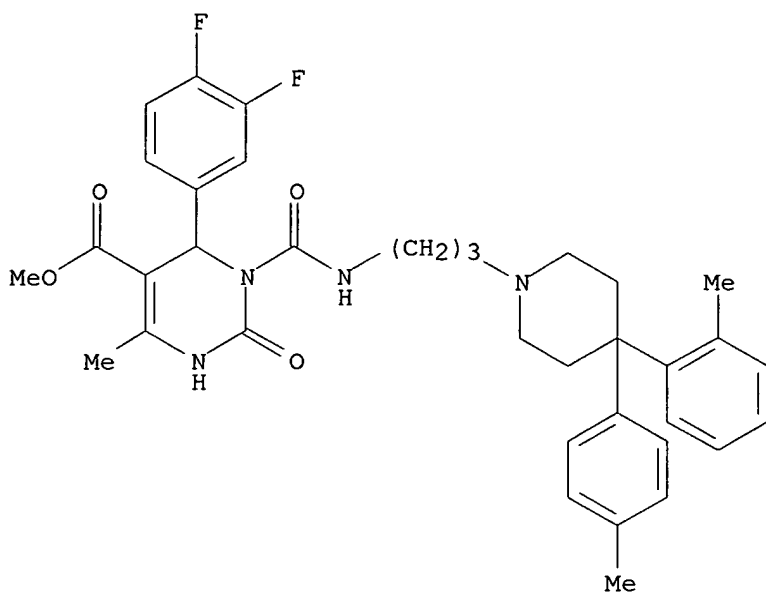
Rotation (+).



RN 200051-03-2 CAPLUS

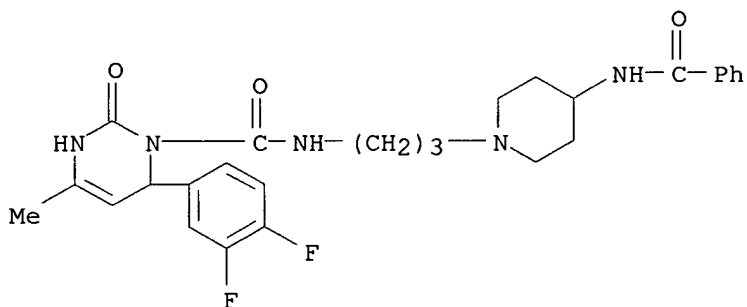
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



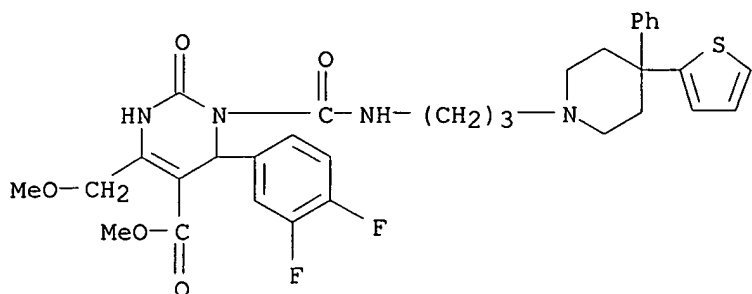
RN 200051-04-3 CAPLUS

CN 1(2H)-Pyrimidinecarboxamide, N-[3-[4-(benzoylamino)-1-piperidinyl]propyl]-6-(3,4-difluorophenyl)-3,6-dihydro-4-methyl-2-oxo- (9CI) (CA INDEX NAME)



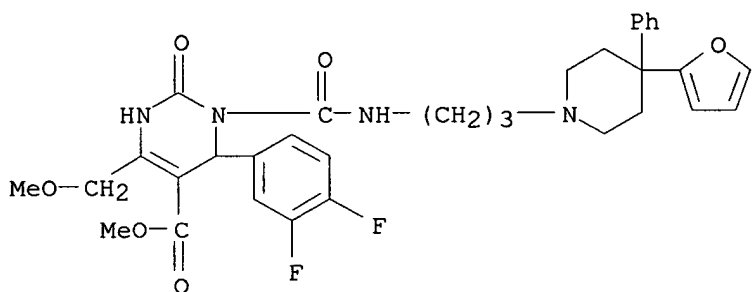
RN 200051-05-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-phenyl-4-(2-thienyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



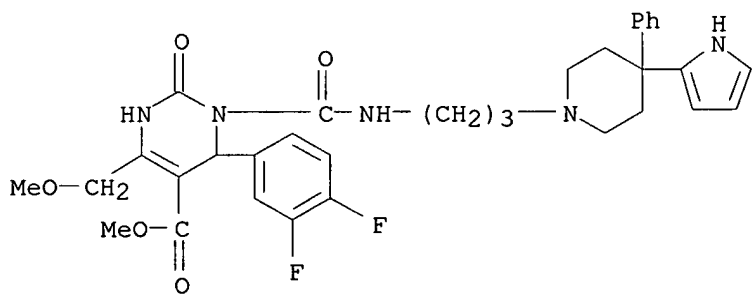
RN 200051-06-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(2-furanyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 200051-07-6 CAPLUS

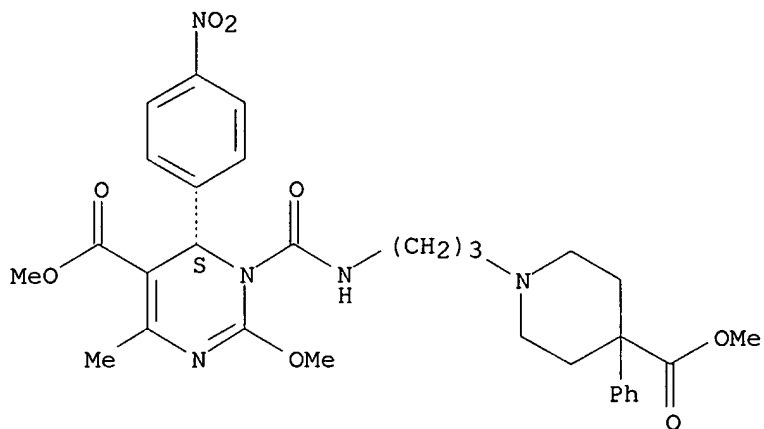
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-phenyl-4-(1H-pyrrol-2-yl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 200051-08-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-, methyl ester, (S)- (9CI) (CA INDEX NAME)

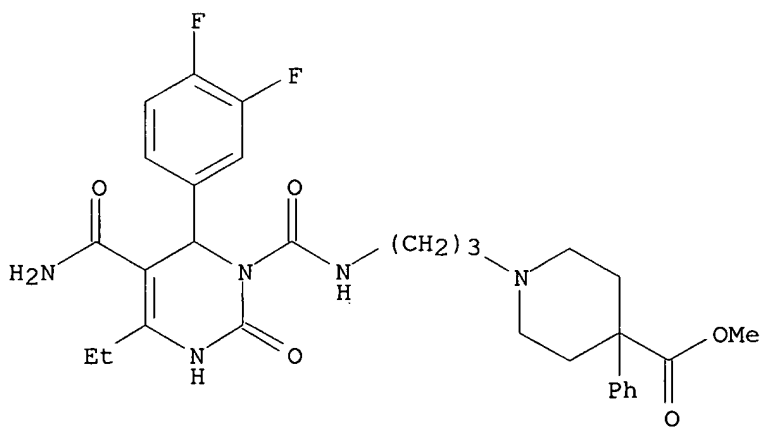
Absolute stereochemistry.



RN 200051-09-8 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[5-(aminocarbonyl)-6-(3,4-difluorophenyl)-4-ethyl-3,6-dihydro-2-oxo-1(2H)-pyrimidinyl]carbonyl]amino]propyl]-4-phenyl-, methyl ester, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

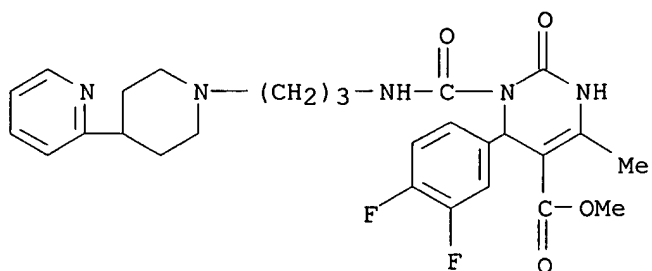
Rotation (+).



● HCl

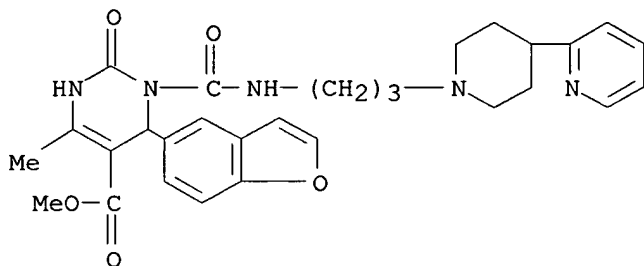
RN 200051-10-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



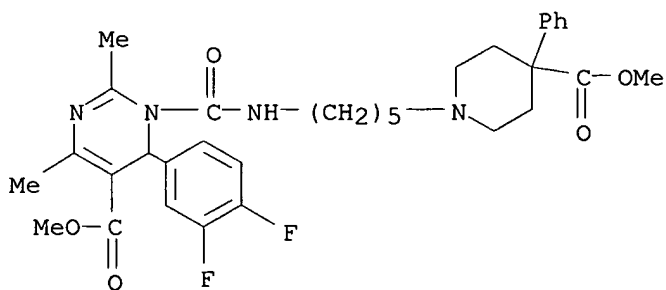
RN 200051-11-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(5-benzofuranyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 200051-12-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[[[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]amino]carbonyl]-2,4-dimethyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



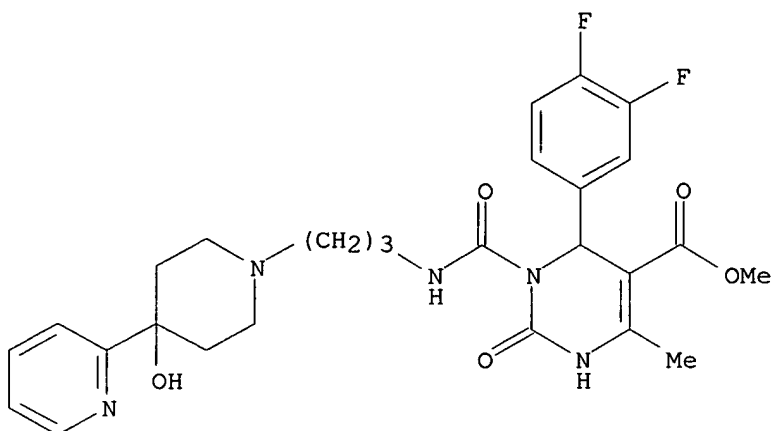
● 2 HCl

RN 200051-13-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-[4-hydroxy-4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

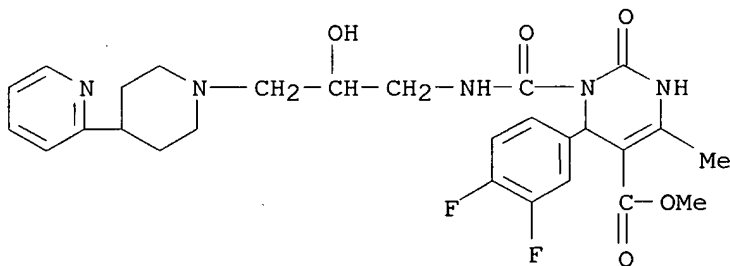
09/855,597

Rotation (+).



RN 200051-14-5 CAPLUS

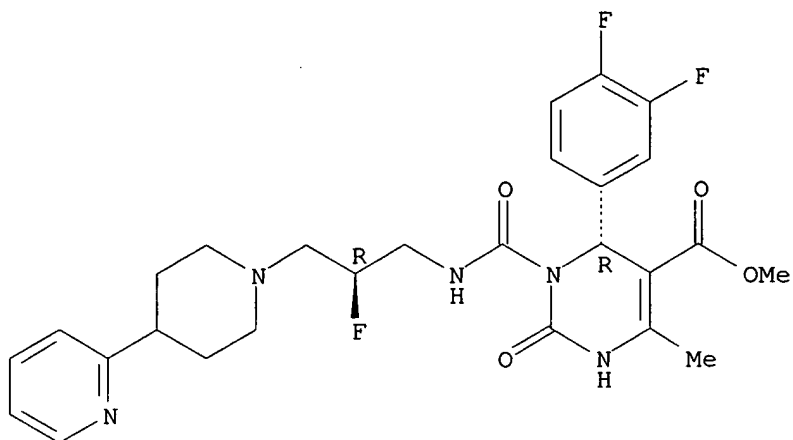
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[2-hydroxy-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 200051-15-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[2-fluoro-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

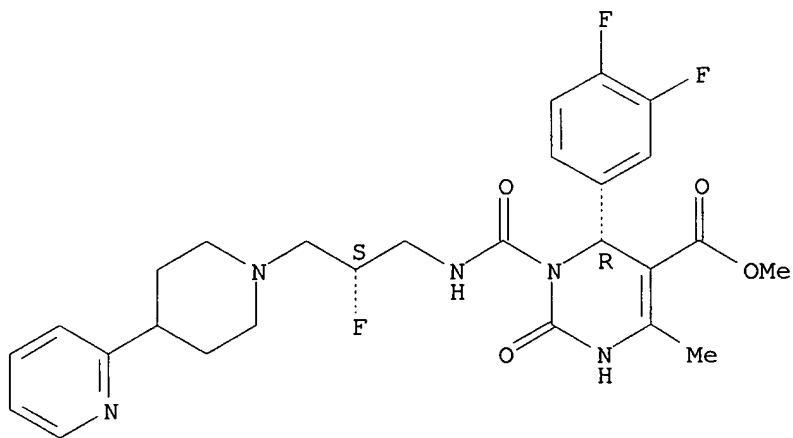
Relative stereochemistry.



RN 200051-16-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[2-fluoro-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

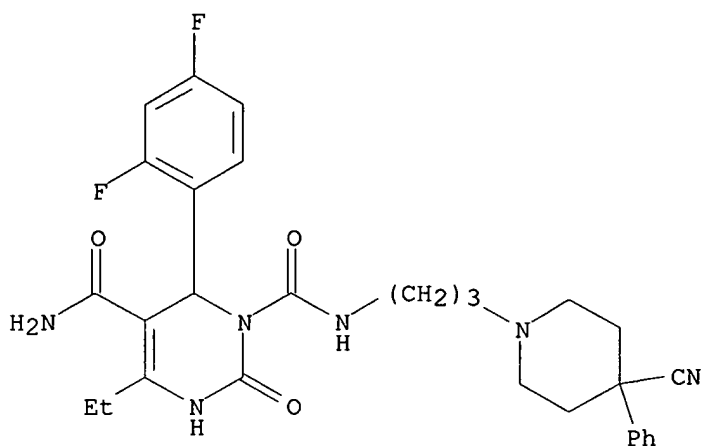
Relative stereochemistry.



RN 200051-17-8 CAPLUS

CN 1,5(2H)-Pyrimidinedicarboxamide, N1-[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]-6-(2,4-difluorophenyl)-4-ethyl-3,6-dihydro-2-oxo-, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

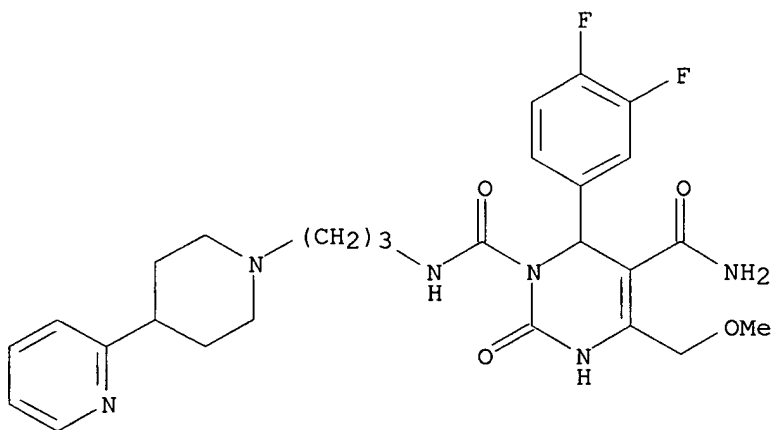


● HCl

RN 200051-18-9 CAPLUS

CN 1,5(2H)-Pyrimidinedicarboxamide, 6-(3,4-difluorophenyl)-3,6-dihydro-4-(methoxymethyl)-2-oxo-N1-[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]-, (+)-(9CI) (CA INDEX NAME)

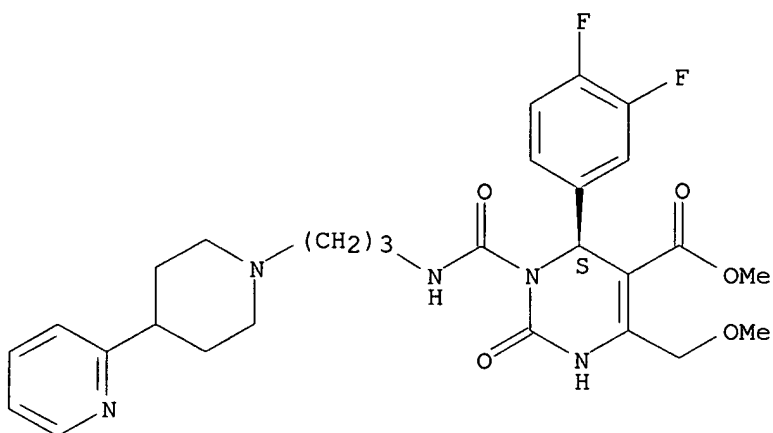
Rotation (+).



RN 200051-19-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, dihydrochloride, (6S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

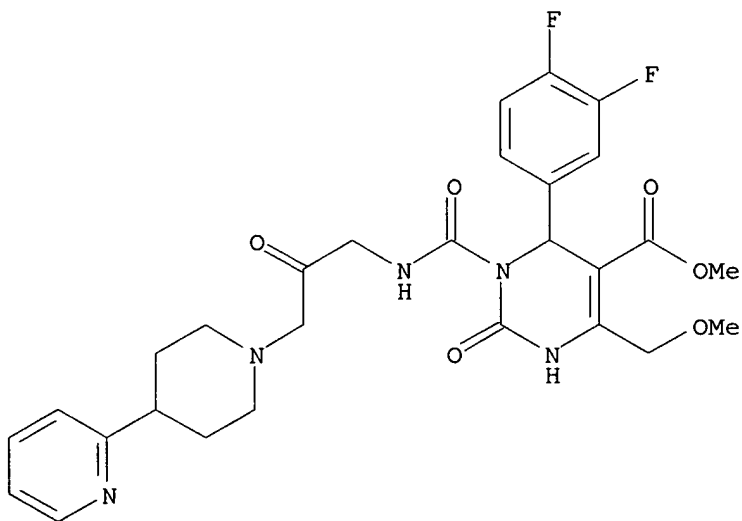


● 2 HCl

RN 200051-20-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[2-oxo-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

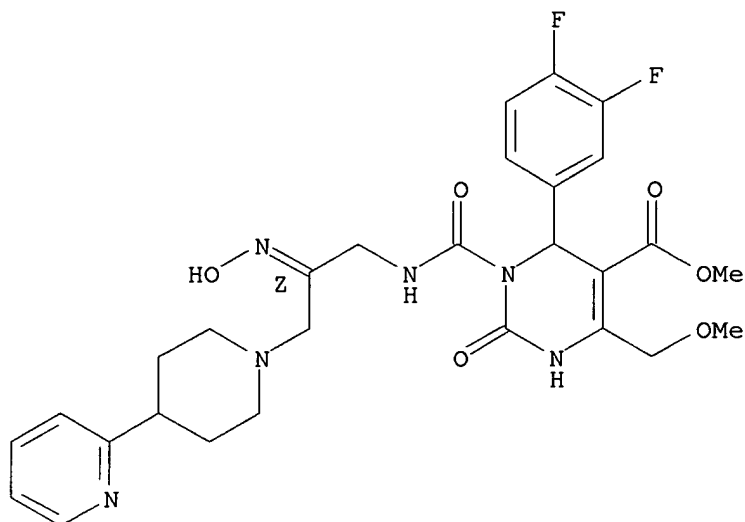


RN 200051-21-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[2-(hydroxyimino)-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-(methoxymethyl)-2-oxo-, methyl ester, dihydrochloride, (Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 2-A

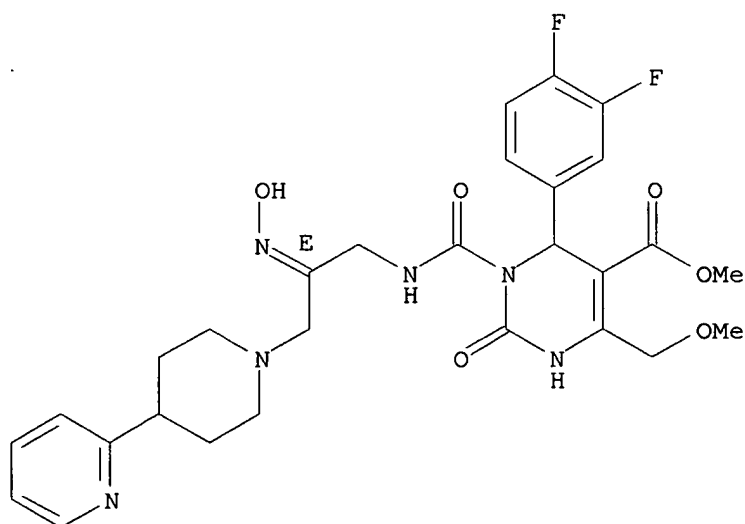
● 2 HCl

RN 200051-22-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-
 [[[2-(hydroxyimino)-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl
]-4-(methoxymethyl)-2-oxo-, methyl ester, dihydrochloride, (E)- (9CI) (CA
 INDEX NAME)

Double bond geometry as shown.

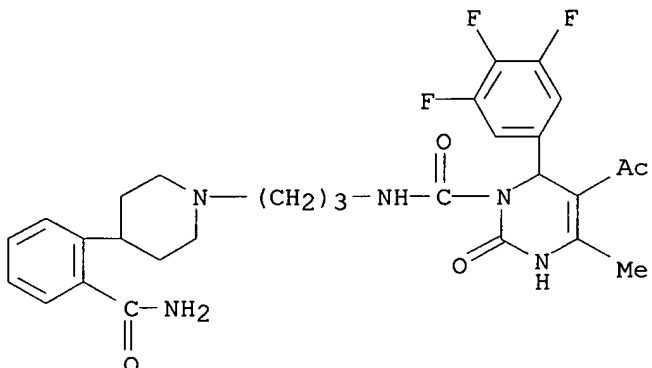
PAGE 1-A



2 HCl

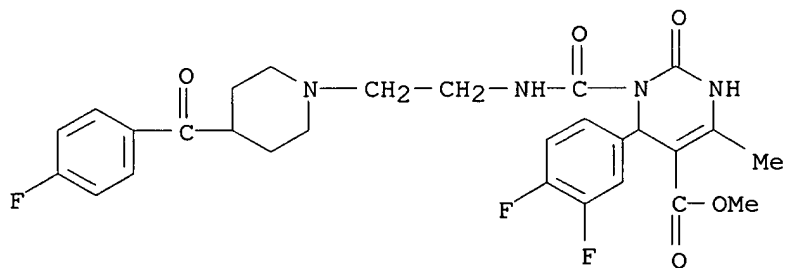
RN 200051-23-6 CAPLUS

CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-N-[3-[4-[2-(aminocarbonyl)phenyl]-1-piperidinyl]propyl]-3,6-dihydro-4-methyl-2-oxo-6-(3,4,5-trifluorophenyl)-(9CI) (CA INDEX NAME)



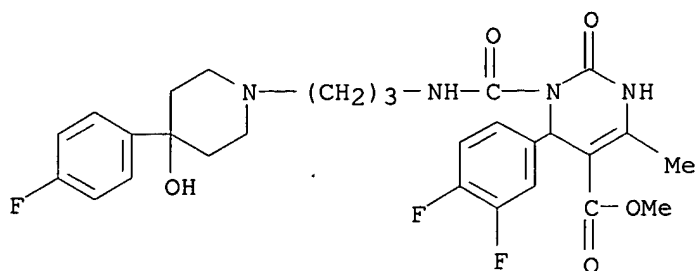
RN 200051-24-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



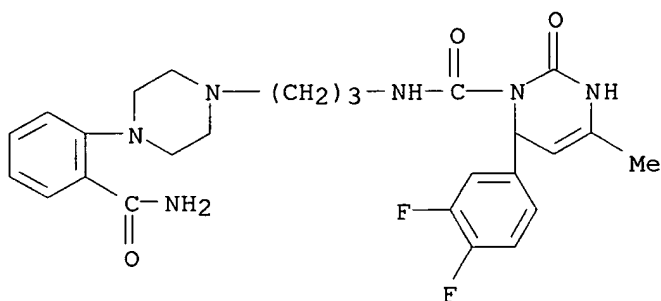
RN 200051-25-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(4-fluorophenyl)-4-hydroxy-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 200051-27-0 CAPLUS

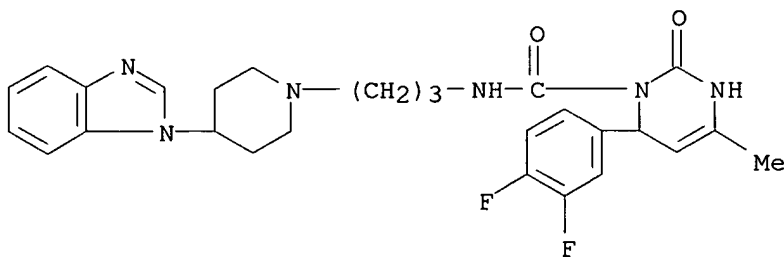
CN 1(2H)-Pyrimidinecarboxamide, N-[3-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]propyl]-6-(3,4-difluorophenyl)-3,6-dihydro-4-methyl-2-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 200051-28-1 CAPLUS

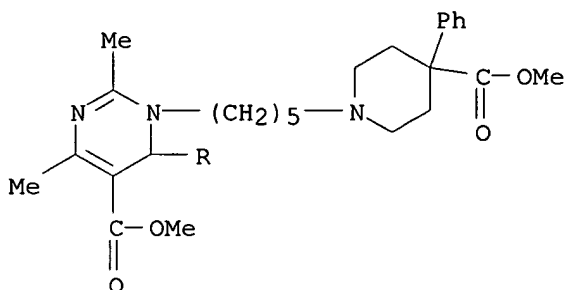
CN 1(2H)-Pyrimidinecarboxamide, N-[3-[4-(1H-benzimidazol-1-yl)-1-piperidinyl]propyl]-6-(3,4-difluorophenyl)-3,6-dihydro-4-methyl-2-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



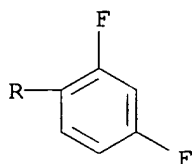
● HCl

RN 200051-31-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



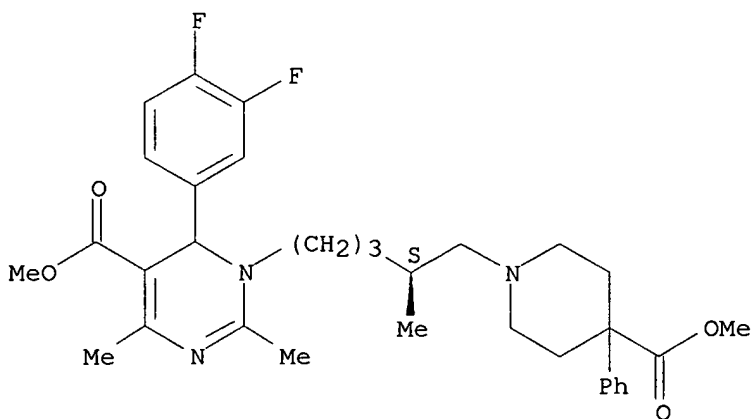
●2 HCl



RN 200051-32-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[(4S)-5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]-4-methylpentyl]-2,4-dimethyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

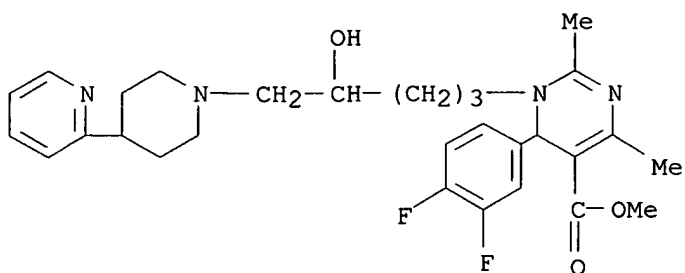
Absolute stereochemistry.



●2 HCl

RN 200051-35-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[4-hydroxy-5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester, trihydrochloride (9CI) (CA INDEX NAME)

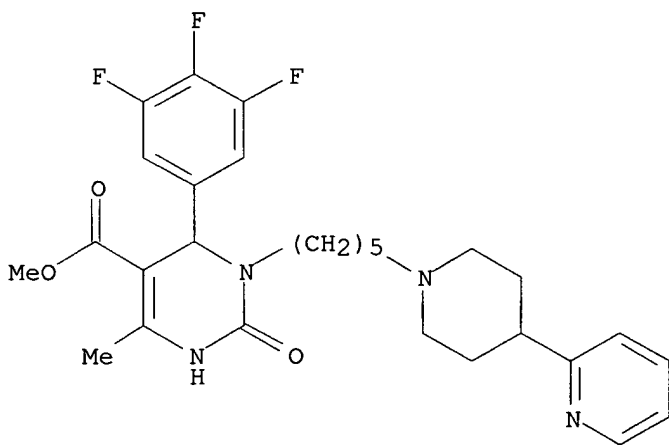


● 3 HCl

RN 200051-36-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, dihydrochloride, (+)-(9CI) (CA INDEX NAME)

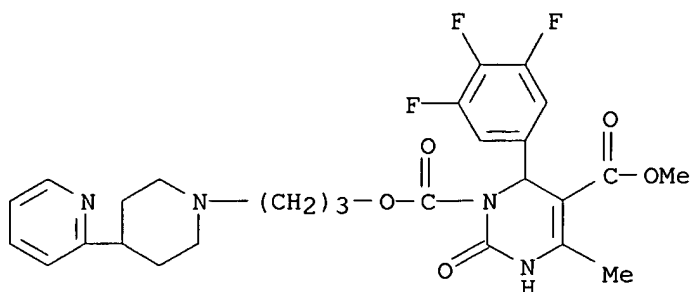
Rotation (+).



● 2 HCl

RN 200051-37-2 CAPLUS

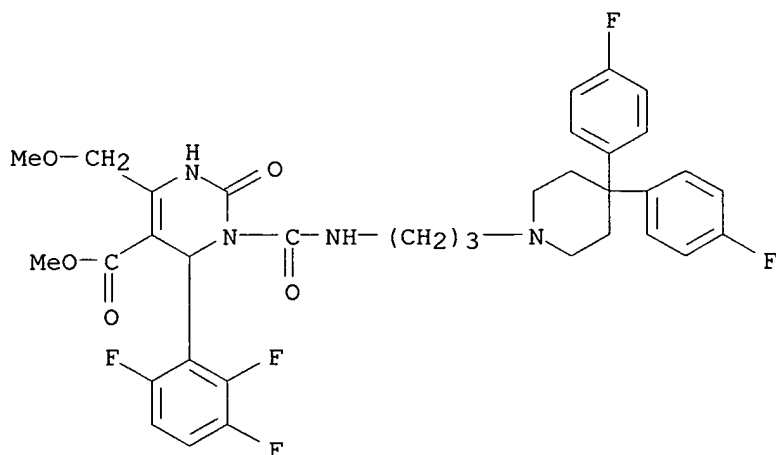
CN 1,5(2H)-Pyrimidinedicarboxylic acid, 3,6-dihydro-4-methyl-2-oxo-6-(3,4,5-trifluorophenyl)-, 5-methyl 1-[3-[4-(2-pyridinyl)-1-piperidinyl]propyl] ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

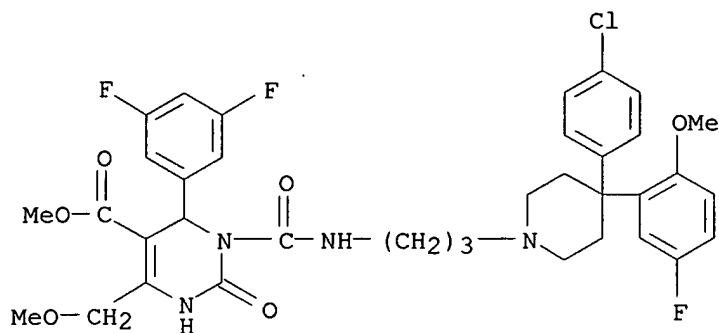
RN 200051-38-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4,4-bis(4-fluorophenyl)-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-6-(2,3,6-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 200051-39-4 CAPLUS

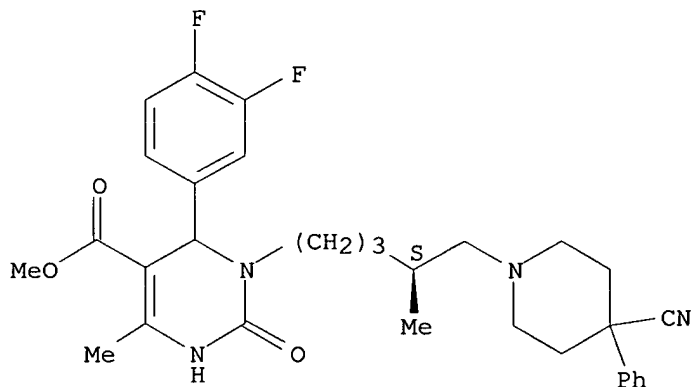
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(4-chlorophenyl)-4-(5-fluoro-2-methoxyphenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,5-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 200051-40-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[(4S)-5-(4-cyano-4-phenyl-1-piperidinyl)-4-methylpentyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

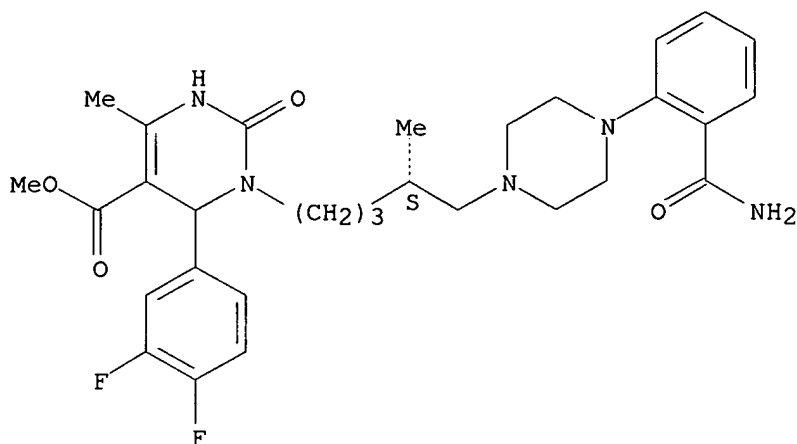


● HCl

RN 200051-41-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[(4S)-5-[4-[2-(aminocarbonyl)phenyl]-1-piperazinyl]-4-methylpentyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

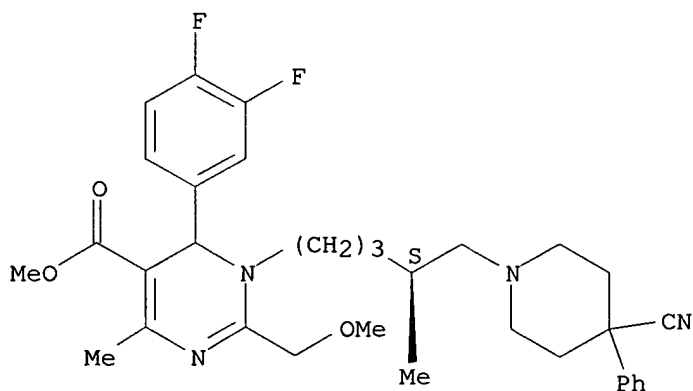


● 2 HCl

RN 200051-42-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[5-(4-cyano-4-phenyl-1-piperidinyl)-4-methylpentyl]-6-(3,4-difluorophenyl)-1,6-dihydro-2-(methoxymethyl)-4-methyl-, methyl ester, dihydrochloride, [1(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

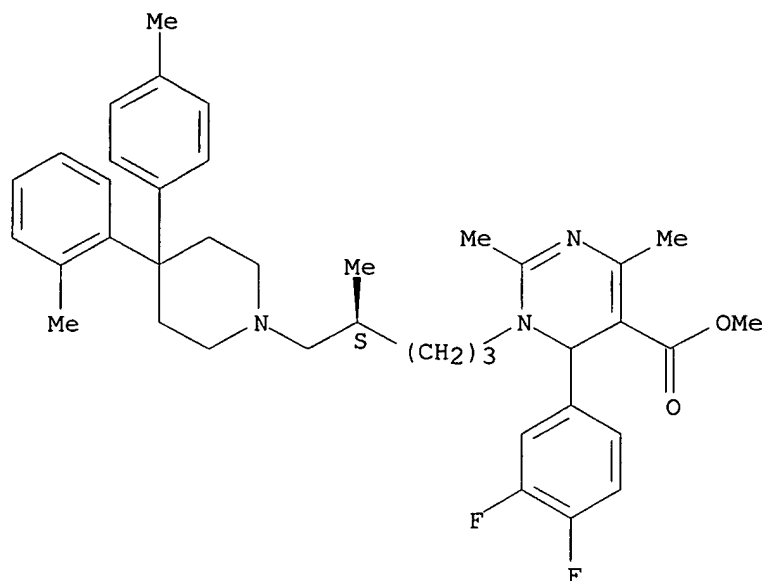


● 2 HCl

RN 200051-43-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-1-[(4S)-4-methyl-5-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]pentyl]-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

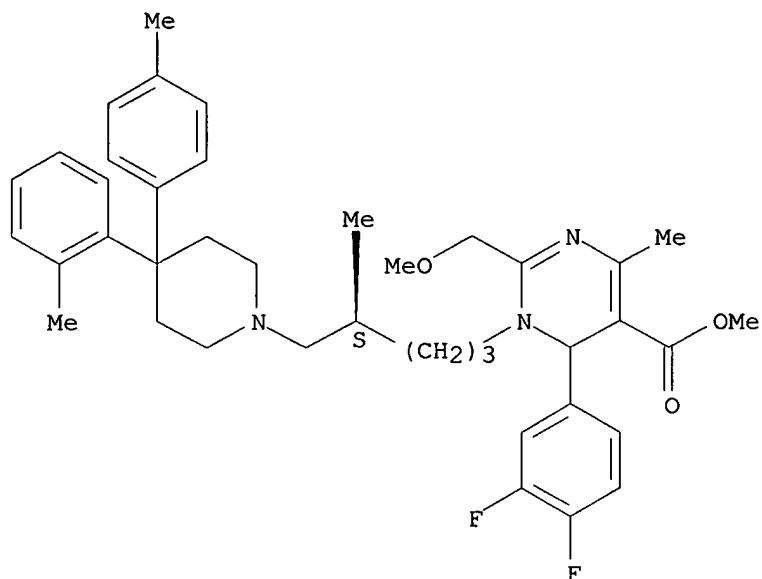
Absolute stereochemistry.



● 2 HCl

RN 200051-44-1 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2-(methoxymethyl)-4-methyl-1-[4-methyl-5-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]pentyl]-, methyl ester, dihydrochloride, [1(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

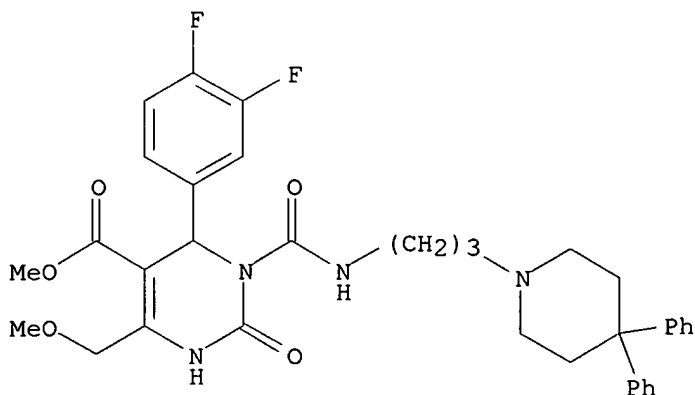


● 2 HCl

RN 200051-45-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-(4,4-diphenyl-1-piperidinyl)propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, (+)-(9CI) (CA INDEX NAME)

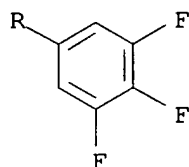
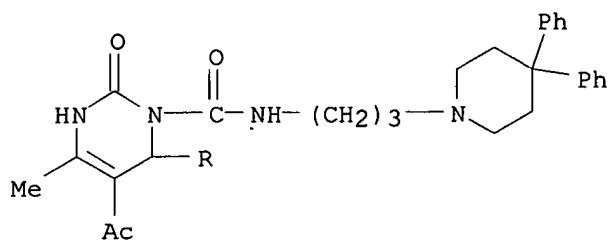
Rotation (+).



RN 200051-46-3 CAPLUS

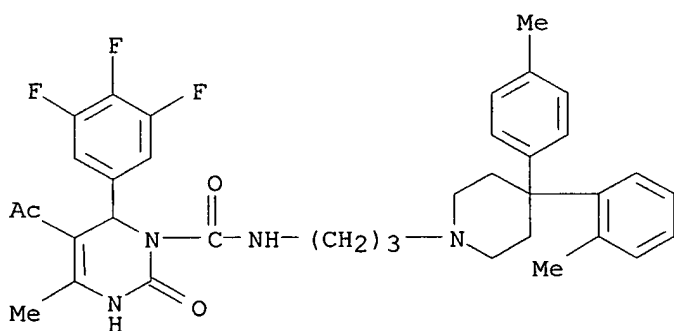
CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-N-[3-(4,4-diphenyl-1-

piperidinyl)propyl]-3,6-dihydro-4-methyl-2-oxo-6-(3,4,5-trifluorophenyl)-
(9CI) (CA INDEX NAME)



RN 200051-47-4 CAPLUS

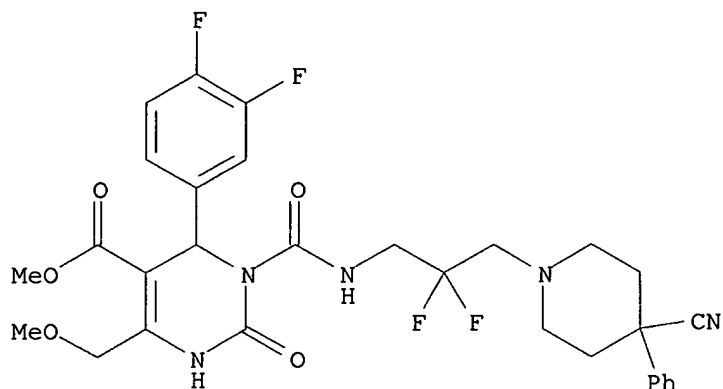
CN 1(2H)-Pyrimidinecarboxamide, 5-acetyl-3,6-dihydro-4-methyl-N-[3-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]propyl]-2-oxo-6-(3,4,5-trifluorophenyl)- (9CI) (CA INDEX NAME)



RN 200051-48-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidinyl)-2,2-difluoropropyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

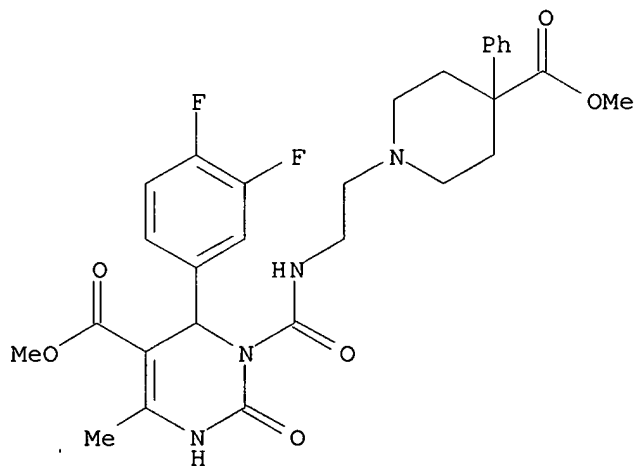


● HCl

RN 200051-50-9 CAPLUS

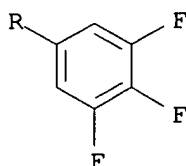
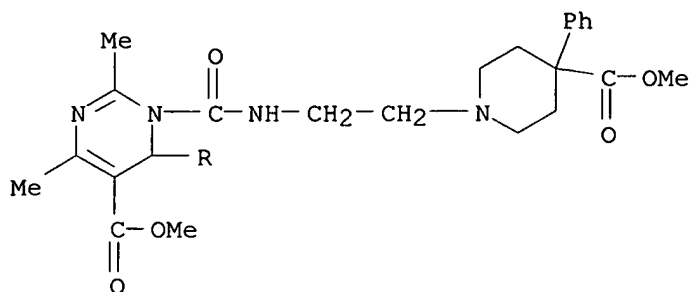
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[2-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]ethyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



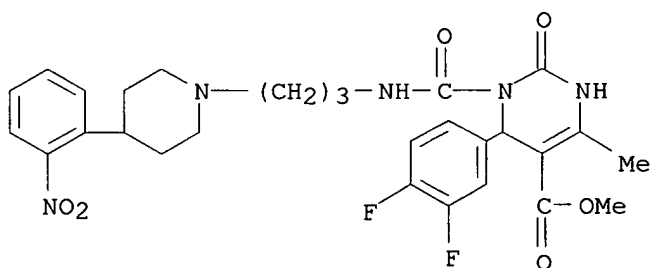
RN 200051-51-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-1-[[[2-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]ethyl]amino]carbonyl]-2,4-dimethyl-6-(3,4,5-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 200051-52-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(2-nitrophenyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

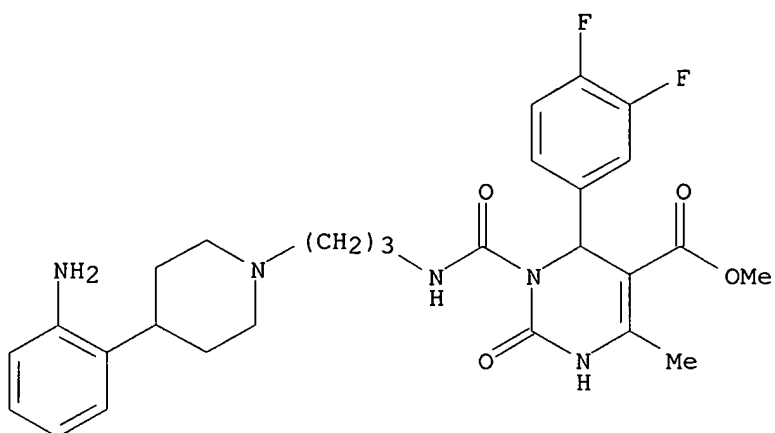


● HCl

RN 200051-53-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-[4-(2-aminophenyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-2-oxo-, methyl ester, dihydrochloride, (+)- (9CI) (CA INDEX NAME)

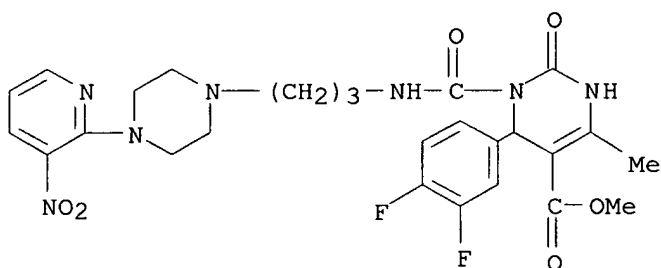
Rotation (+).



● 2 HCl

RN 200051-54-3 CAPLUS

5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(3-nitro-2-pyridinyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

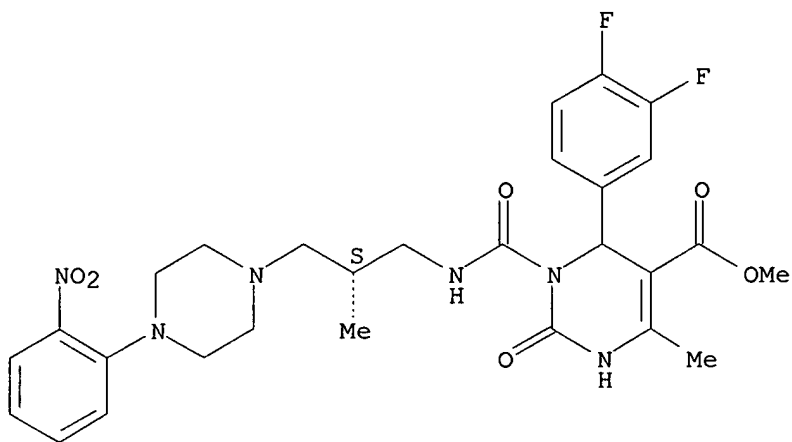


● HCl

RN 200051-55-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[2-methyl-3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]amino]carboxyl]-2-oxo-, methyl ester, monohydrochloride, [1(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

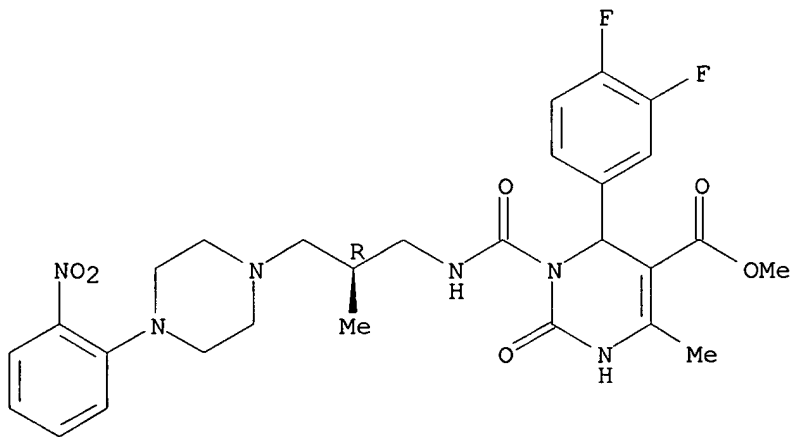


● HCl

RN 200051-56-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[2-methyl-3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride, [1(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



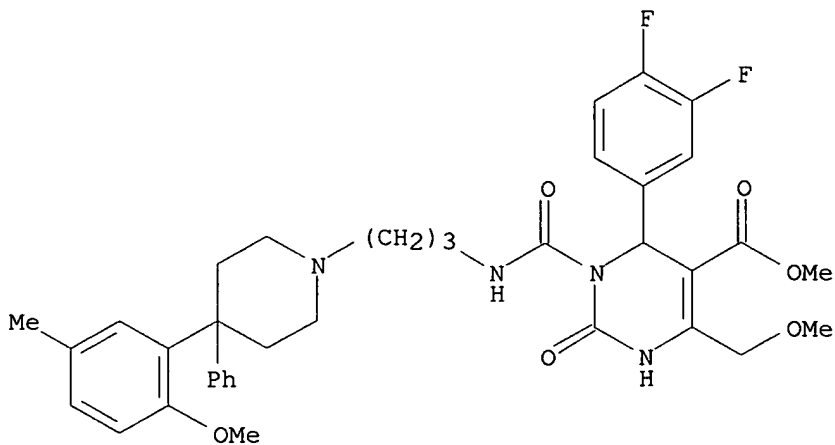
● HCl

RN 200051-57-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(2-methoxy-5-methylphenyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

09/855,597

Rotation (+).



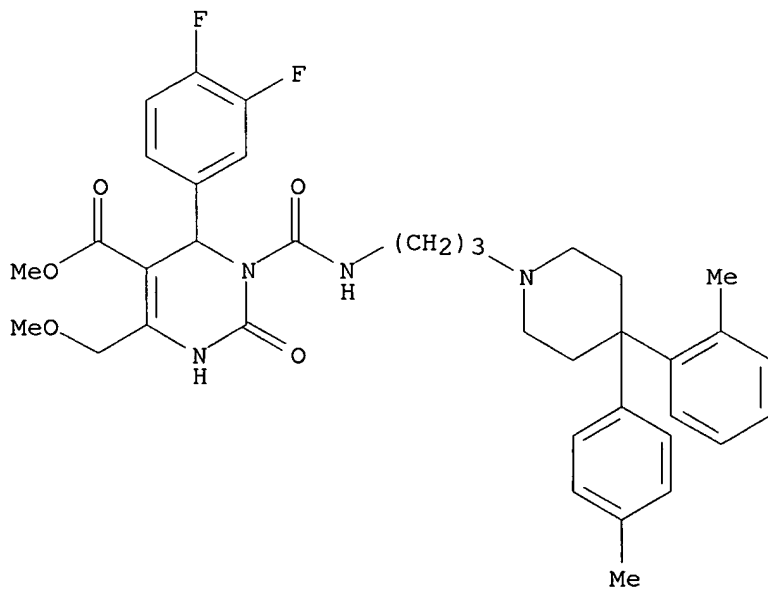
● HCl

RN 200051-58-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-1-[[[3-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, monohydrochloride, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

PAGE 1-A



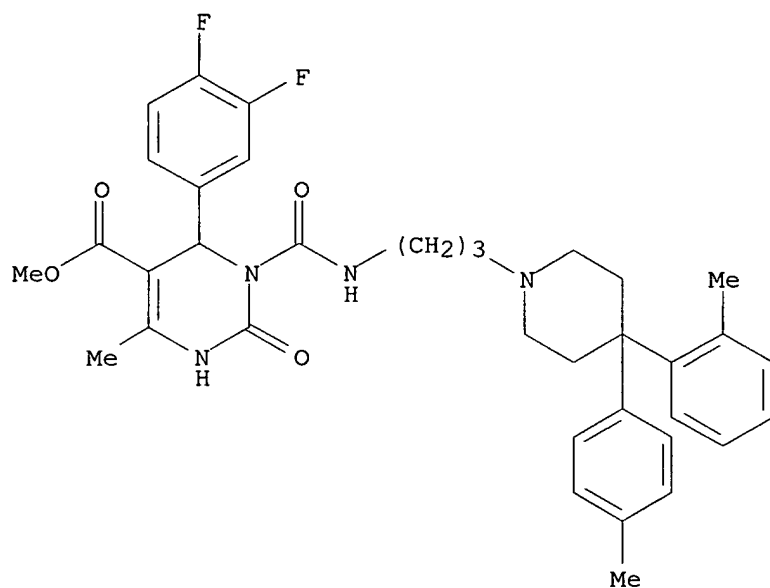
PAGE 2-A

HCl

RN 200051-59-8 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-methyl-1-[[[3-[4-(2-methylphenyl)-4-(4-methylphenyl)-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, methyl ester, dihydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

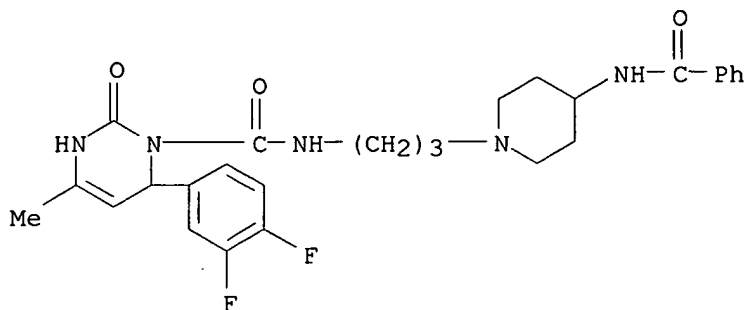
PAGE 1-A



PAGE 2-A

●2 HCl

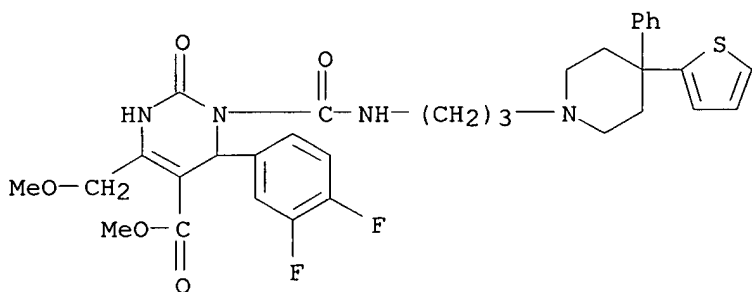
RN 200051-60-1 CAPLUS
 CN 1(2H)-Pyrimidinecarboxamide, N-[3-[4-(benzoylamino)-1-piperidinyl]propyl]-6-(3,4-difluorophenyl)-3,6-dihydro-4-methyl-2-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 200051-61-2 CAPLUS

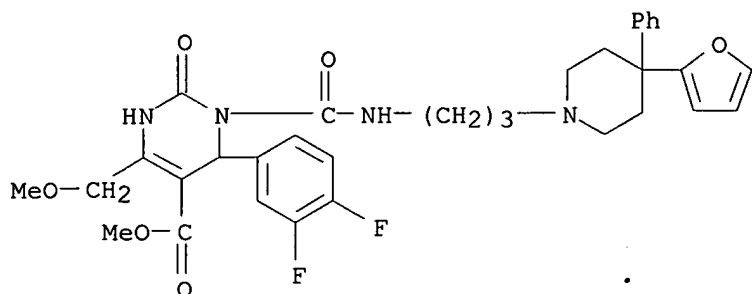
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-phenyl-4-(2-thienyl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 200051-62-3 CAPLUS

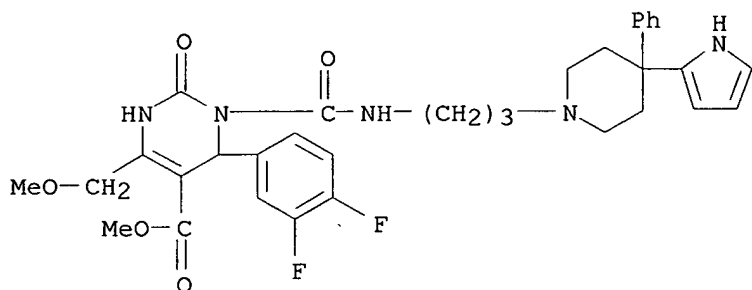
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1-[[[3-[4-(2-furanyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 200051-63-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-phenyl-4-(1H-pyrrol-2-yl)-1-piperidinyl]propyl]amino]carbonyl]-, methyl ester, monohydrochloride (9CI)
(CA INDEX NAME)

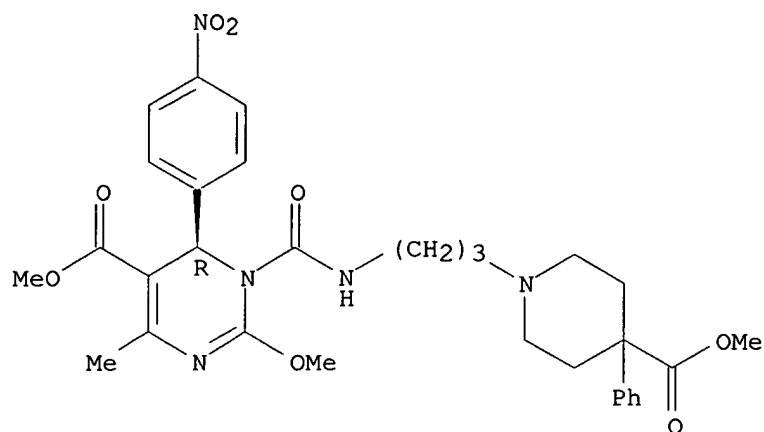


● HCl

RN 200051-79-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-6-(4-nitrophenyl)-, methyl ester, (R)- (9CI) (CA INDEX NAME)

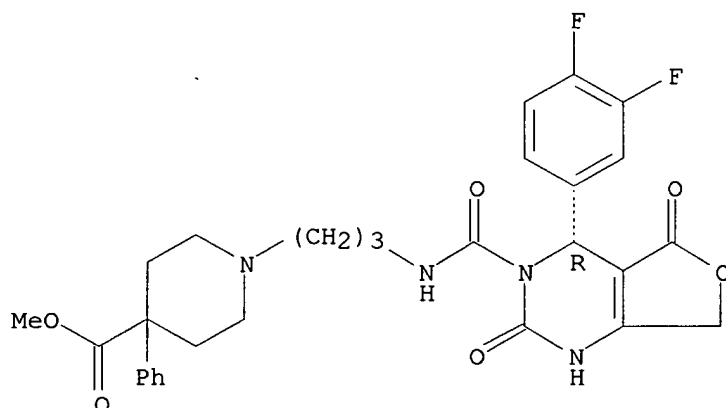
Absolute stereochemistry.



RN 200200-20-0 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[(4R)-4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]propyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)

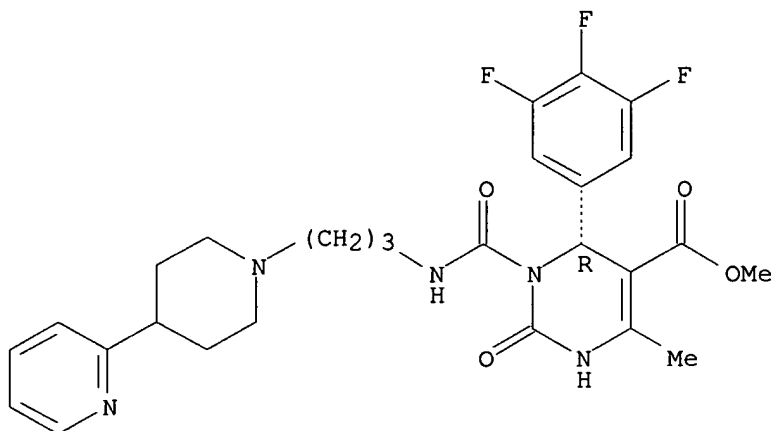
Absolute stereochemistry. Rotation (-).



RN 200200-21-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

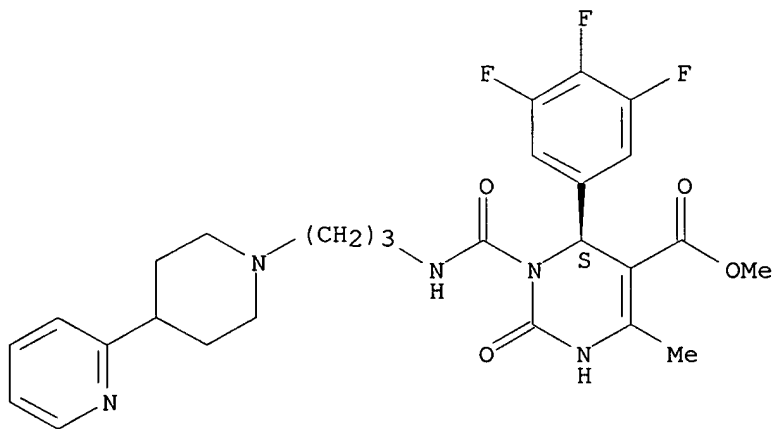


● 2 HCl

RN 200200-22-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

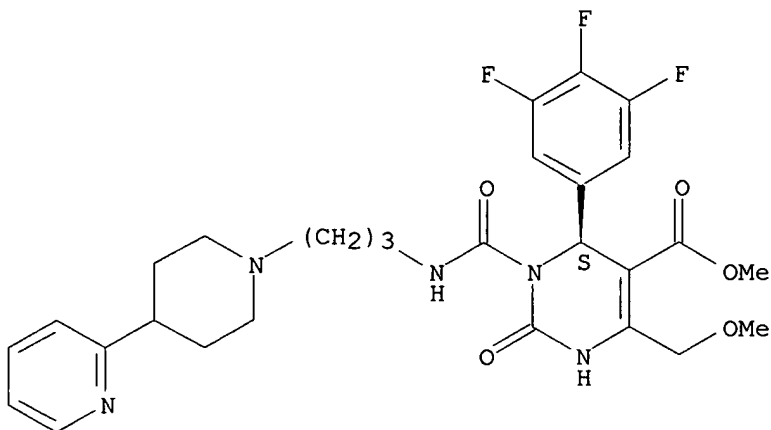


● 2 HCl

RN 200200-23-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, dihydrochloride, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● 2 HCl

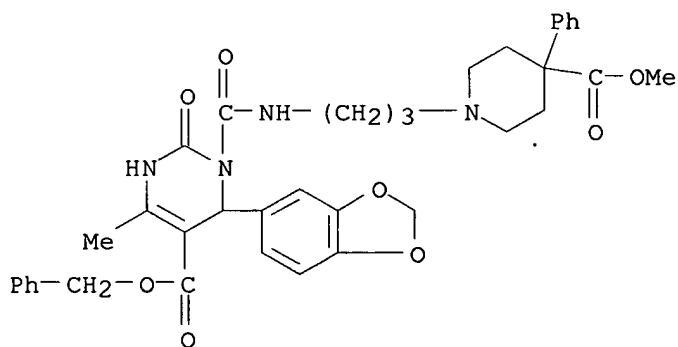
IT 200052-49-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of dihydropyrimidine derivs. as selective antagonists for human .alpha.1A-adrenergic receptors for disease treatment)

RN 200052-49-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(1,3-benzodioxol-5-yl)-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidiny]propyl]amino]carbonyl]-4-methyl-2-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT 179482-19-0P 179482-51-0P 179482-73-6P

179482-82-7P 179482-85-0P 179482-89-4P

200051-67-8P 200051-72-5P 200051-73-6P

200051-75-8P 200051-76-9P 200051-81-6P

200051-82-7P 200051-84-9P 200051-85-0P

200052-01-3P 200052-02-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

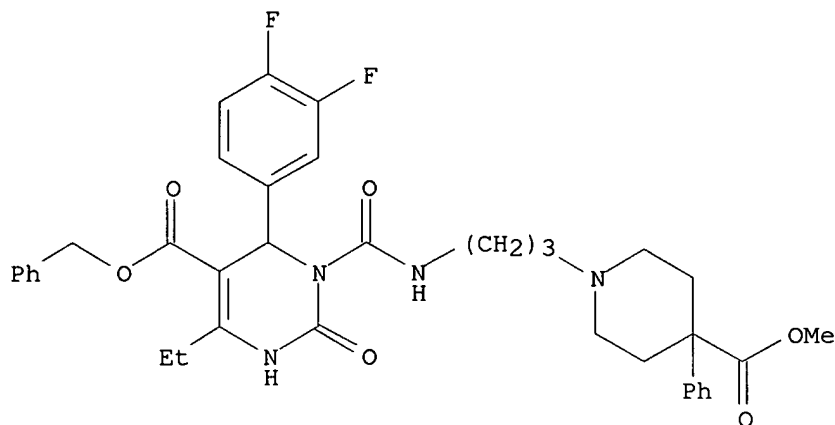
(prepn. of dihydropyrimidine derivs. as selective antagonists for human

.alpha.1A-adrenergic receptors for disease treatment)

RN 179482-19-0 CAPLUS

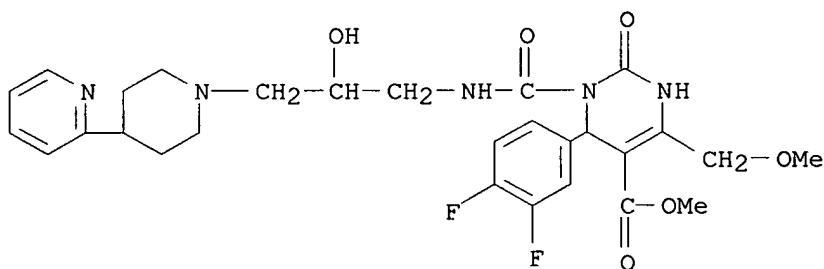
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, phenylmethyl ester, (+)- (9CI)
(CA INDEX NAME)

Rotation (+).



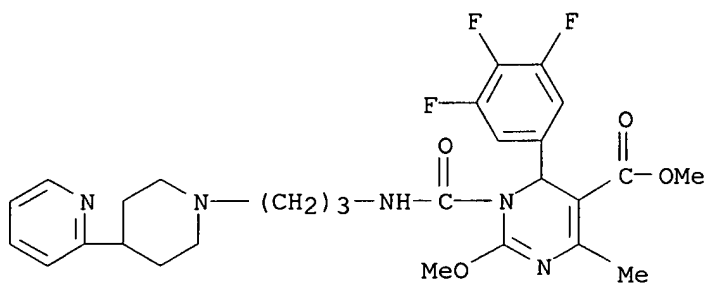
RN 179482-51-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[2-hydroxy-3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-(methoxymethyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



RN 179482-73-6 CAPLUS

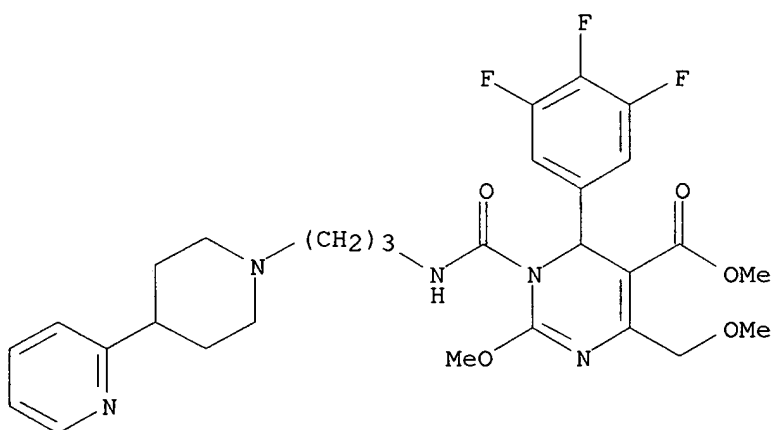
CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-4-methyl-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 179482-82-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-4-(methoxymethyl)-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (+)- (9CI) (CA INDEX NAME)

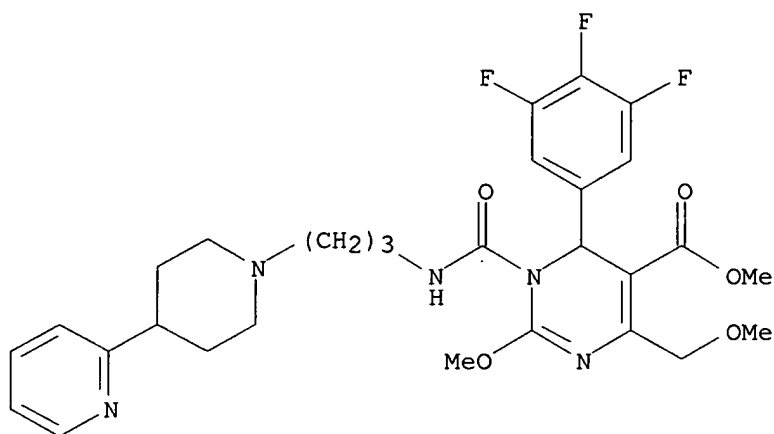
Rotation (+).



RN 179482-85-0 CAPLUS

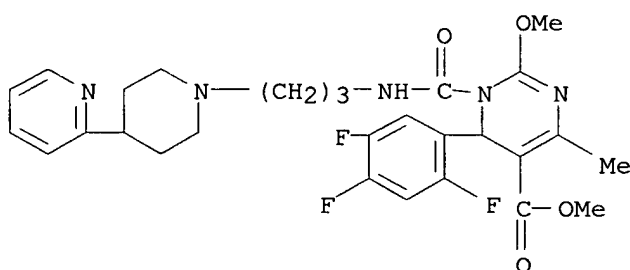
CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-4-(methoxymethyl)-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



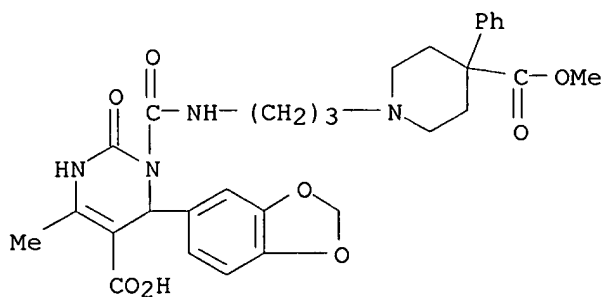
RN 179482-89-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-4-methyl-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(2,4,5-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 200051-67-8 CAPLUS

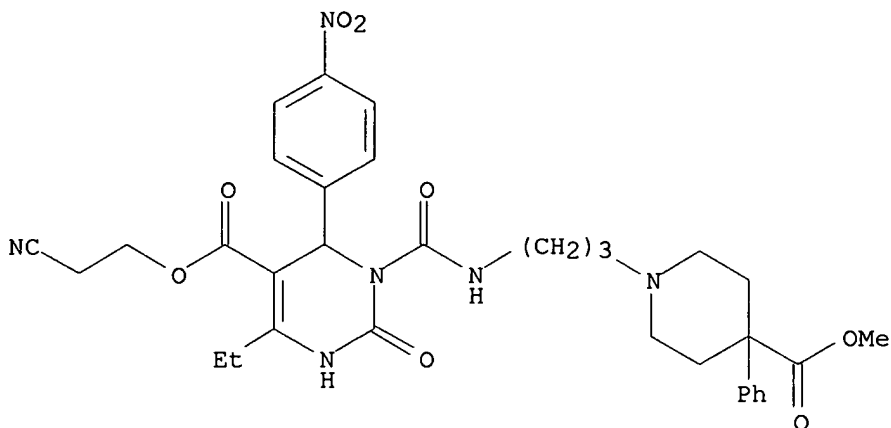
CN 5-Pyrimidinecarboxylic acid, 6-(1,3-benzodioxol-5-yl)-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-4-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 200051-72-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-6-(4-nitrophenyl)-2-oxo-, 2-cyanoethyl ester, (+)- (9CI) (CA INDEX NAME)

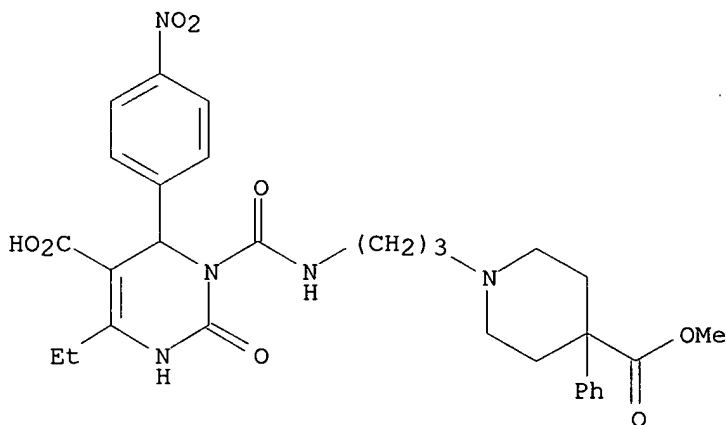
Rotation (+).



RN 200051-73-6 CAPLUS

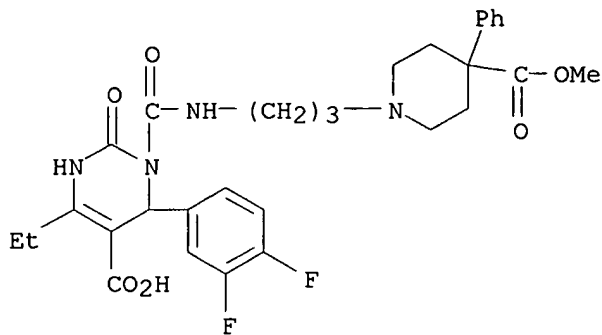
CN 5-Pyrimidinecarboxylic acid, 4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-6-(4-nitrophenyl)-2-oxo-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



RN 200051-75-8 CAPLUS

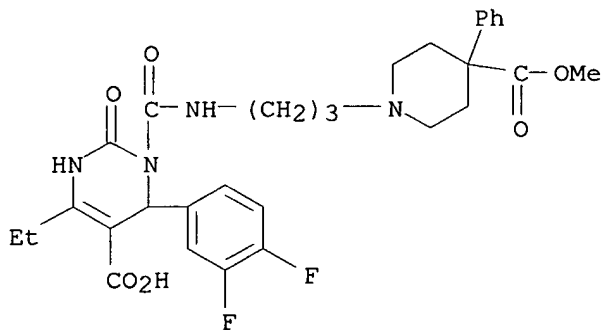
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 200051-76-9 CAPLUS

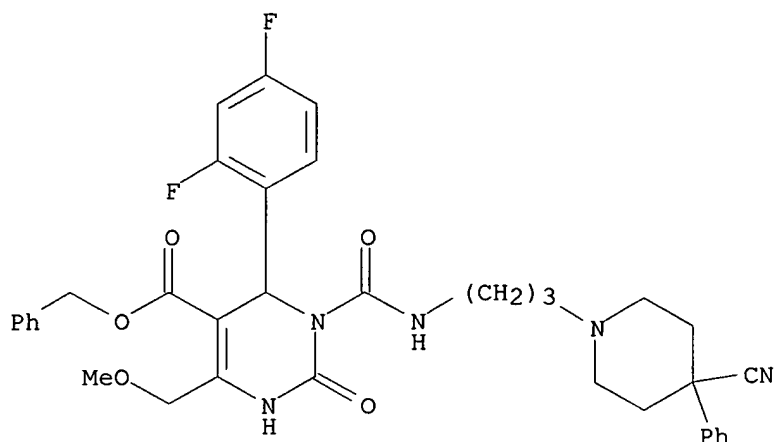
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo- (9CI) (CA INDEX NAME)



RN 200051-81-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-6-(2,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

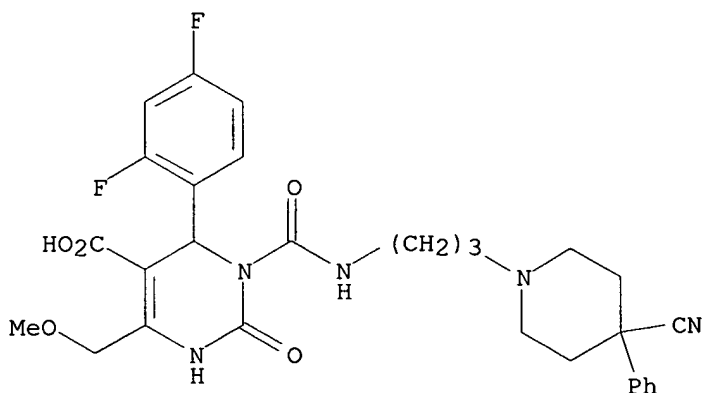
Rotation (+).



RN 200051-82-7 CAPLUS

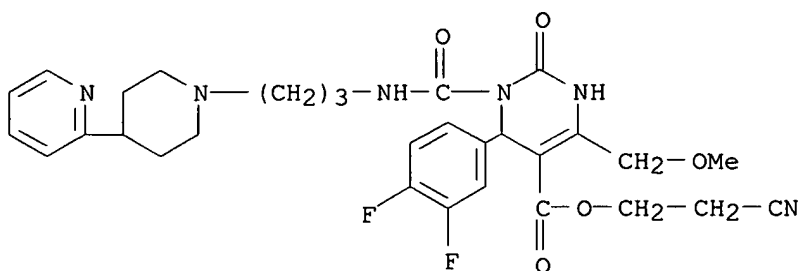
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-6-(2,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



RN 200051-84-9 CAPLUS

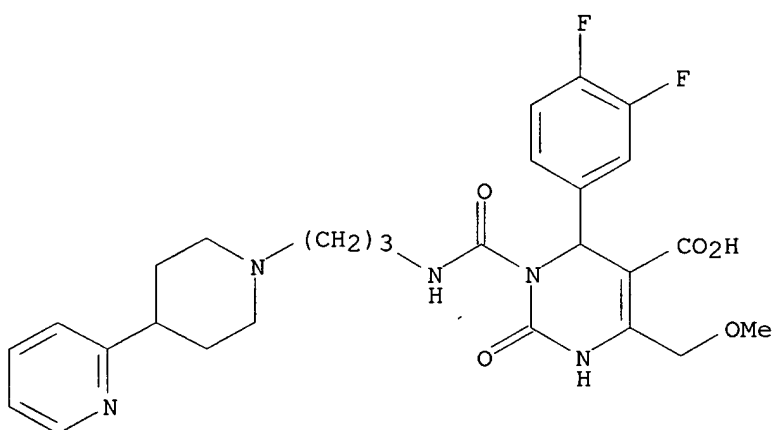
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, 2-cyanoethyl ester (9CI) (CA INDEX NAME)



RN 200051-85-0 CAPLUS

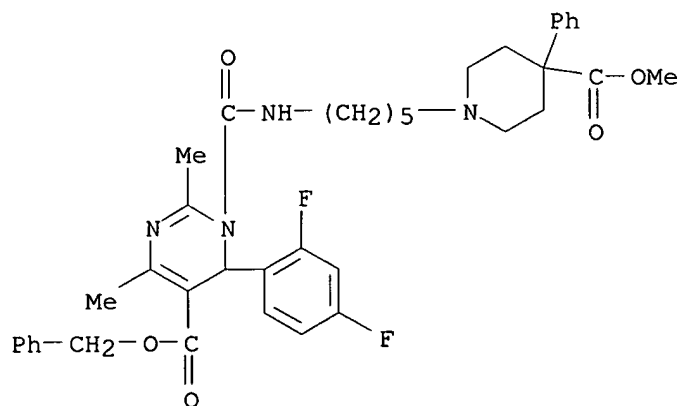
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 200052-01-3 CAPLUS

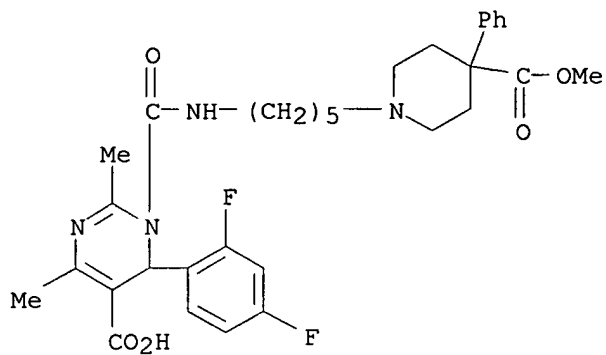
CN 5-Pyrimidinecarboxylic acid, 6-(2,4-difluorophenyl)-1,6-dihydro-1-[[[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]amino]carbonyl]-2,4-dimethyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



09/855,597

RN 200052-02-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,4-difluorophenyl)-1,6-dihydro-1-[[[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]amino]carbonyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)



L7 ANSWER 23 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 1996:473181 CAPLUS

DN 125:142759

TI Preparation of 1-(4-arylpiperidinopropyl)carbamoyl-2-piperidone-5-carboxylates and analogs as .alpha.lc antagonists

IN Nagarathnam, Dhanapalan; Chiu, George; Dhar, T. G. Murali; Wong, Wai C.; Marzabadi, Mohammad R.; Gluchowski, Charles; Lagu, Bharat; Miao, Shou Wu

PA Synaptic Pharmaceutical Corporation, USA

SO PCT Int. Appl., 229 pp.

CODEN: PIXXD2

DT Patent

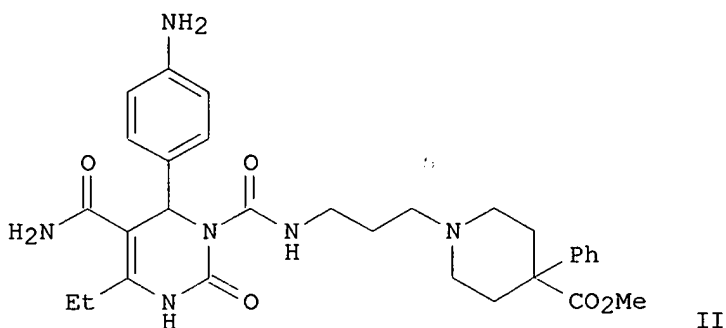
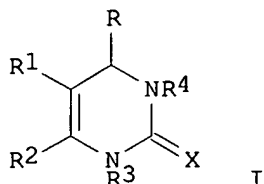
LA English

FAN.CNT 4

PATENT NO. KIND DATE APPLICATION NO. DATE

 PI WO 9614846 A1 19960523 WO 1995-US15025 19951116
 W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT
 RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
 CA 2205384 AA 19960523 CA 1995-2205384 19951116
 AU 9642398 A1 19960606 AU 1996-42398 19951116
 AU 714640 B2 20000106
 EP 790826 A1 19970827 EP 1995-940748 19951116
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
 CN 1173132 A 19980211 CN 1995-197348 19951116
 JP 10510247 T2 19981006 JP 1995-516354 19951116
 BR 9509700 A 19981103 BR 1995-9700 19951116
 HU 77941 A2 19981228 HU 1998-1222 19951116
 JP 3200070 B2 20010820 JP 1996-516354 19951116
 CA 2237774 AA 19970522 CA 1996-2237774 19961115
 WO 9717969 A1 19970522 WO 1996-US18573 19961115
 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
 AU 9710558 A1 19970605 AU 1997-10558 19961115
 AU 714287 B2 19991223
 ZA 9609612 A 19970721 ZA 1996-9612 19961115
 EP 866708 A1 19980930 EP 1996-941406 19961115
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI
 JP 2000500470 T2 20000118 JP 1997-519157 19961115
 NO 9702236 A 19970701 NO 1997-2236 19970515
 FI 9702087 A 19970714 FI 1997-2087 19970515
 US 6268369 B1 20010731 US 1997-836628 19970516
 US 5942517 A 19990824 US 1997-978682 19971126
 US 6228861 B1 20010508 US 1998-68782 19981110
 US 6248747 B1 20010619 US 1999-291553 19990414
 PRAI US 1994-340611 A 19941116
 WO 1995-US15025 W 19951116

US 1996-648770 A 19960516
 WO 1996-US18573 W 19961115
 US 1997-978682 A3 19971126
 OS MARPAT 125:142759
 GI



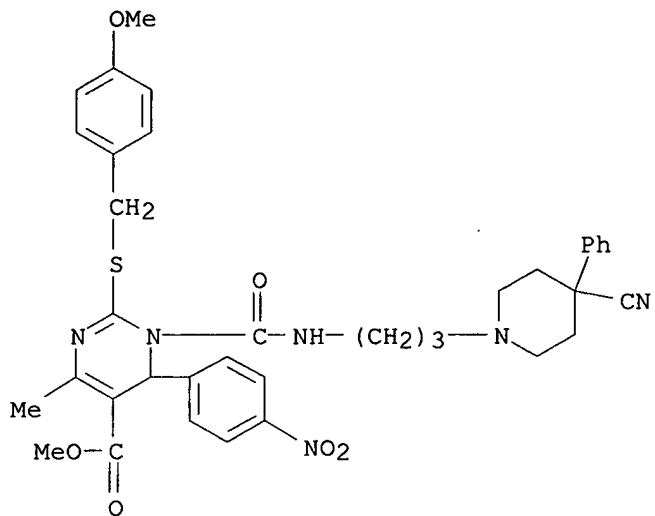
AB Title compds. [e.g., I; R = (un)substituted (hetero)aryl; R1 = H, (fluoro)alkyl, cyano, CO2R3, etc.; R2 = H, alkyl, OR3, etc.; R3 = H, (fluoro)alkyl, etc.; R4 = e.g., (4-arylpiperidinopropyl)carbamoyl; X = O, S, (alkyl)imino] were prep'd. Thus, title compd. II had pKi of 9.74 for binding at human .alpha.1c receptors in vitro.

IT 179480-83-2P 179480-84-3P 179480-85-4P
 179480-86-5P 179480-93-4P 179481-02-8P
 179481-10-8P 179481-11-9P 179481-41-5P
 179481-42-6P 179481-43-7P 179481-56-2P
 179481-57-3P 179481-58-4P 179481-59-5P
 179481-60-8P 179481-61-9P 179481-62-0P
 179481-63-1P 179481-64-2P 179481-65-3P
 179481-70-0P 179481-71-1P 179481-72-2P
 179481-73-3P 179481-74-4P 179481-79-9P
 179481-80-2P 179481-81-3P 179481-82-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 1-(4-arylpiperidinopropyl)carbamoyl-2-piperidone-5-carboxylates and analogs as .alpha.1c antagonists)

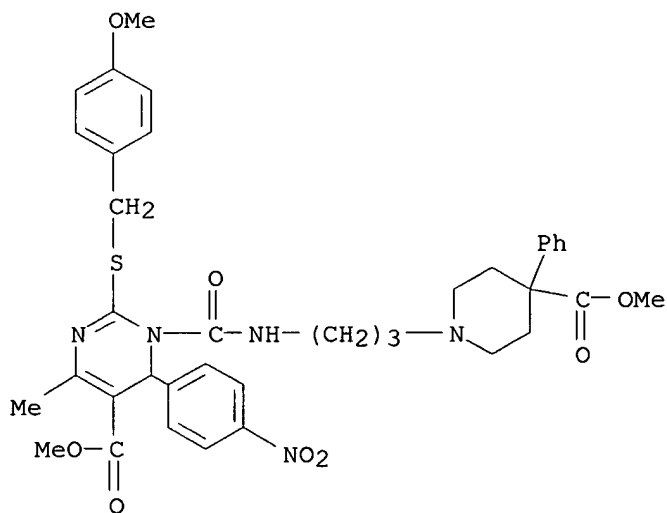
RN 179480-83-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4,4-diphenyl-1-piperidinyl)propyl]amino]carbonyl]-1,6-dihydro-2-[[[4-methoxyphenyl)methyl]thio]-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI)
 (CA INDEX NAME)



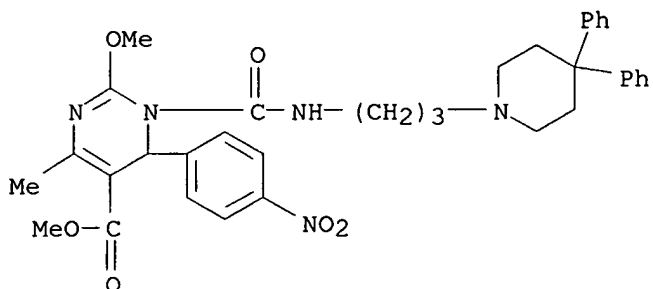
RN 179480-86-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidyl]propyl]amino]carbonyl]-2-[[4-methoxyphenyl)methyl]thio]-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI)
(CA INDEX NAME)



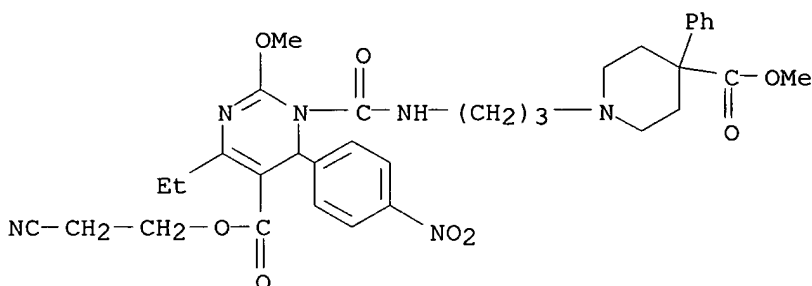
RN 179480-93-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4,4-diphenyl-1-piperidyl)propyl]amino]carbonyl]-1,6-dihydro-2-methoxy-4-methyl-6-(4-nitrophenyl)-, methyl ester (9CI) (CA INDEX NAME)



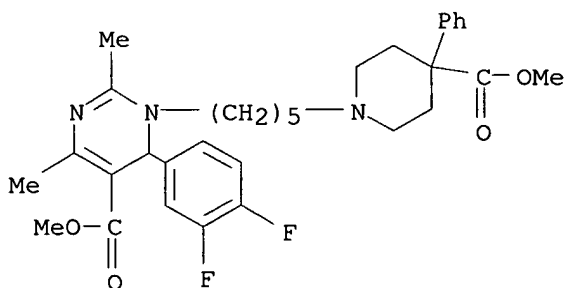
RN 179481-02-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-ethyl-1,6-dihydro-2-methoxy-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-6-(4-nitrophenyl)-, 2-cyanoethyl ester (9CI) (CA INDEX NAME)



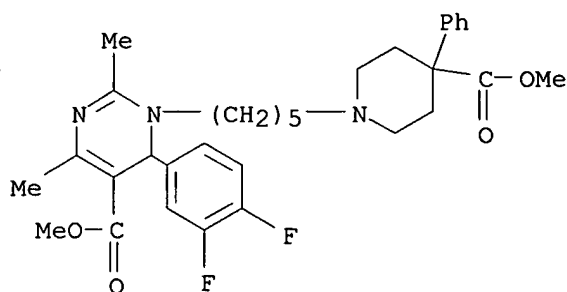
RN 179481-10-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



RN 179481-11-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

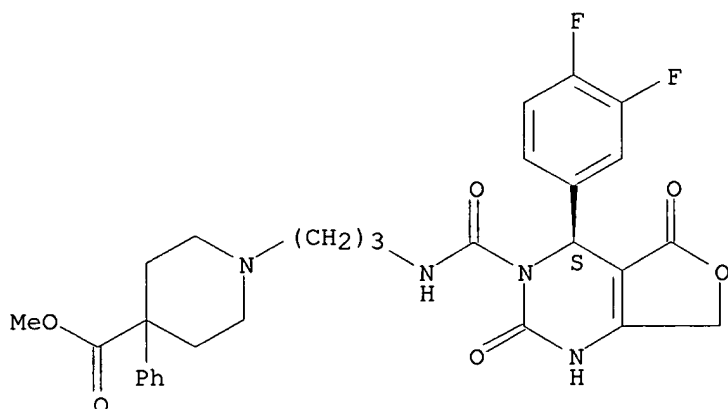


●2 HCl

RN 179481-41-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[(4S)-4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]propyl]-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)

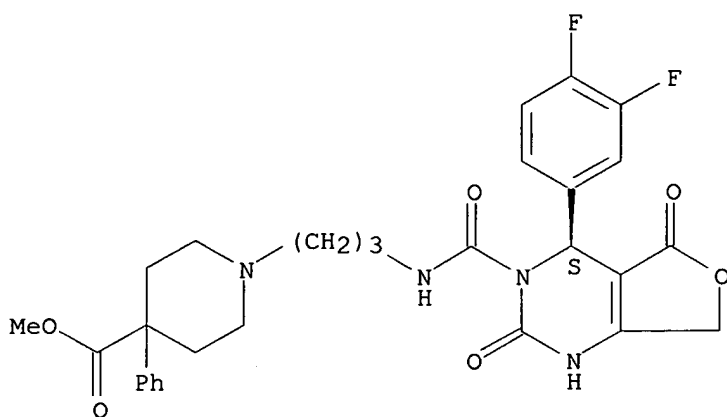
Absolute stereochemistry. Rotation (+).



RN 179481-42-6 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[(4S)-4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]propyl]-4-phenyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

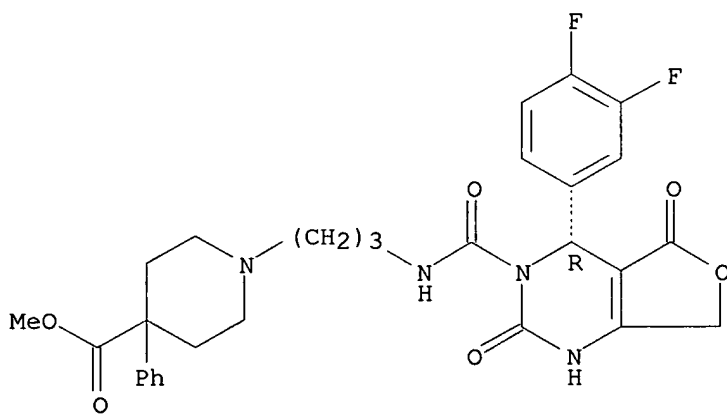


● HCl

RN 179481-43-7 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[(4R)-4-(3,4-difluorophenyl)-1,2,5,7-tetrahydro-2,5-dioxofuro[3,4-d]pyrimidin-3(4H)-yl]carbonyl]amino]propyl]-4-phenyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

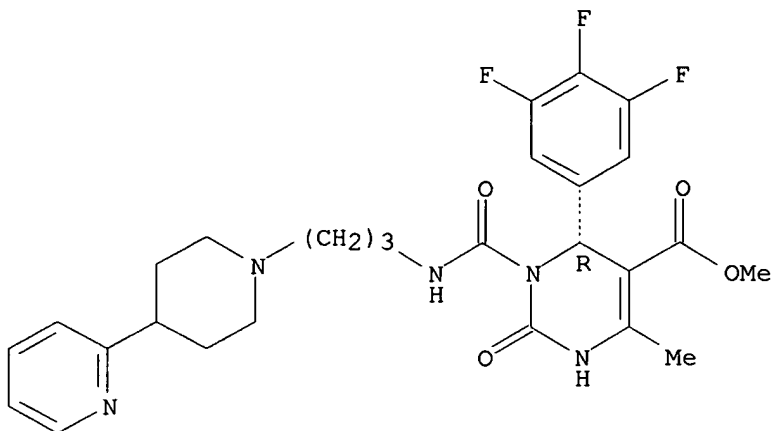


● HCl

RN 179481-56-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (6R)- (9CI) (CA INDEX NAME)

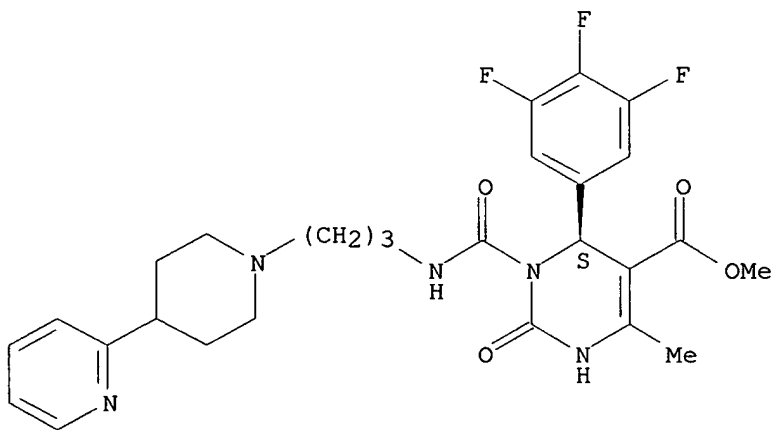
Absolute stereochemistry. Rotation (-).



RN 179481-57-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

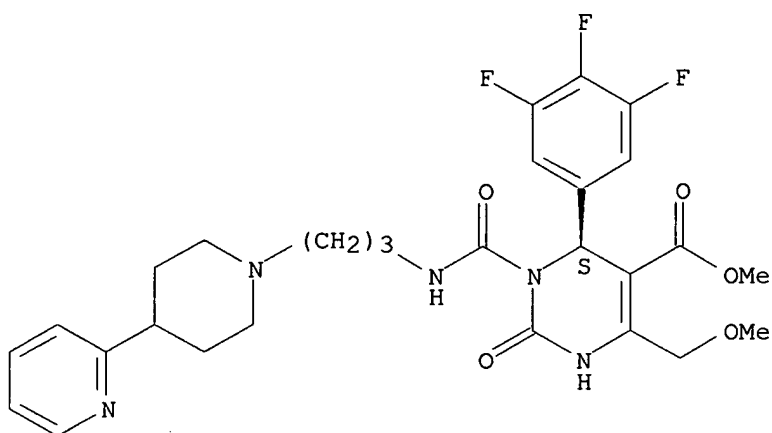
Absolute stereochemistry. Rotation (+).



RN 179481-58-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, monohydrochloride, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

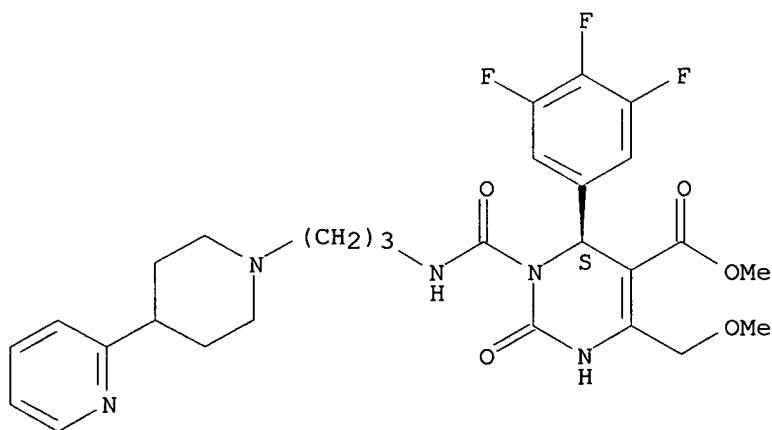


● HCl

RN 179481-59-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

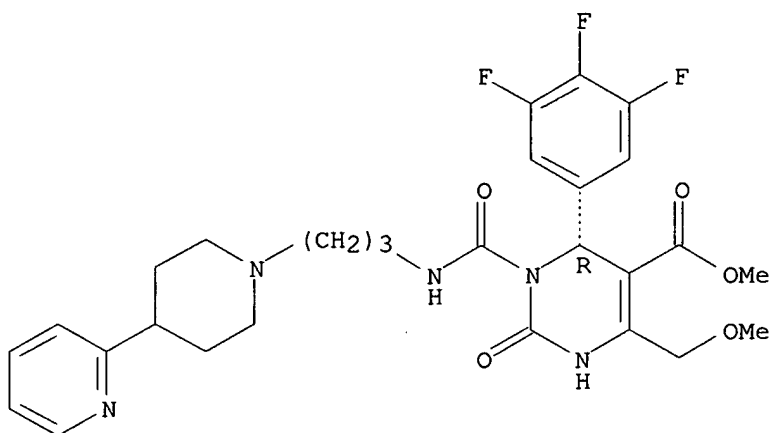
Absolute stereochemistry. Rotation (+).



RN 179481-60-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, dihydrochloride, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

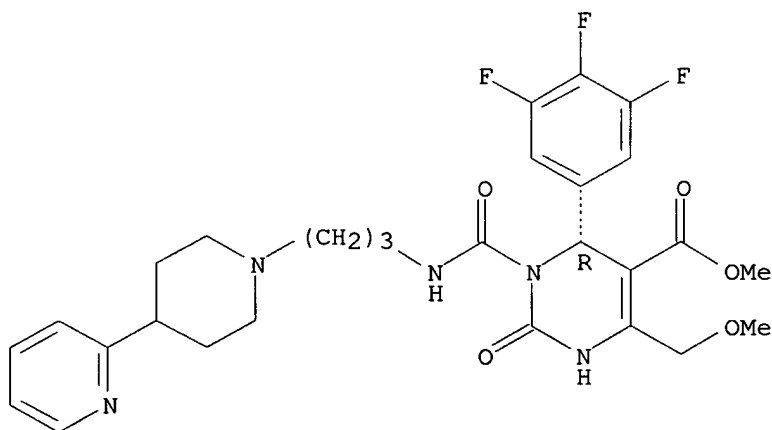


● 2 HCl

RN 179481-61-9 CAPLUS

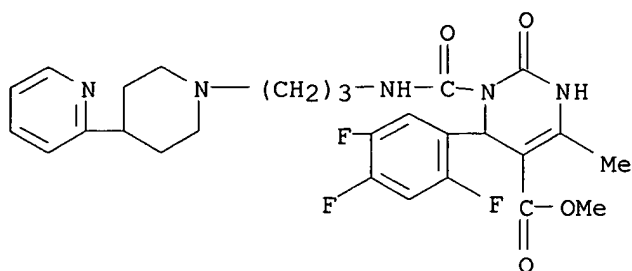
CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



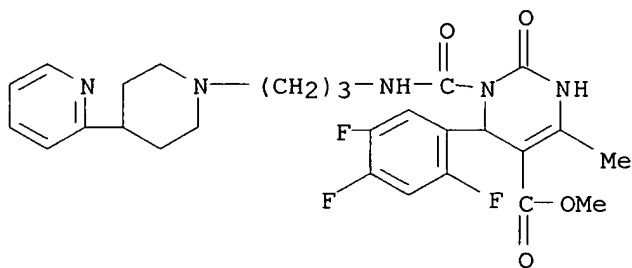
RN 179481-62-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(2,4,5-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 179481-63-1 CAPLUS

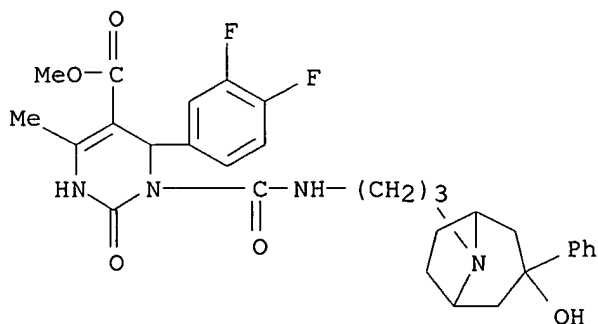
CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(2,4,5-trifluorophenyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 179481-64-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-(3-hydroxy-3-phenyl-8-azabicyclo[3.2.1]oct-8-yl)propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

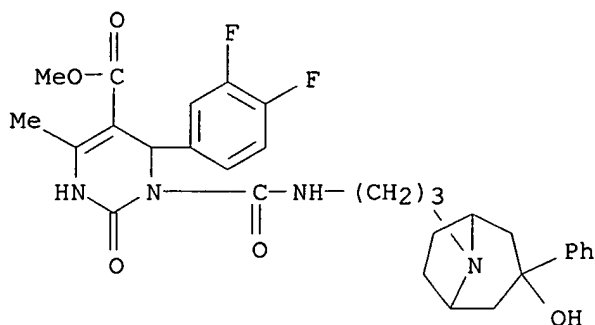


RN 179481-65-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[3-(3-hydroxy-3-phenyl-8-azabicyclo[3.2.1]oct-8-yl)propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

09/855,597

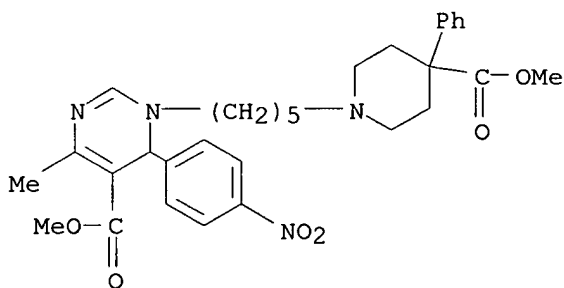
yl)propyl]amino]carbonyl]-4-methyl-2-oxo-, methyl ester, monohydrochloride
(9CI) (CA INDEX NAME)



● HCl

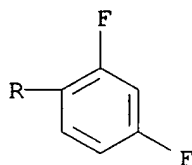
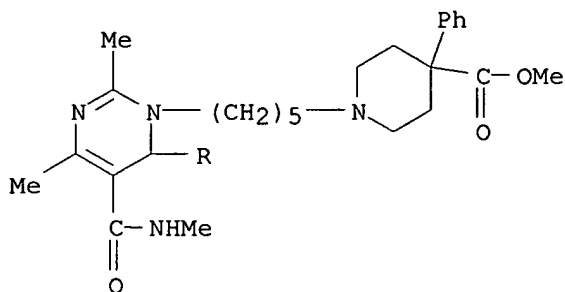
RN 179481-70-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-4-methyl-6-(4-nitrophenyl)-, methyl ester
(9CI) (CA INDEX NAME)



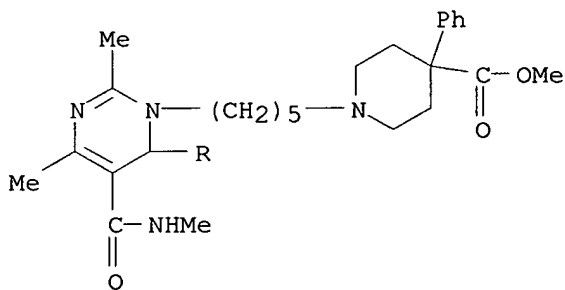
RN 179481-71-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[5-[6-(2,4-difluorophenyl)-2,4-dimethyl-5-[(methylamino)carbonyl]-1(6H)-pyrimidinyl]pentyl]-4-phenyl-, methyl ester
(9CI) (CA INDEX NAME)

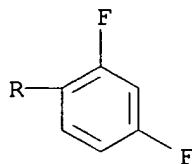


RN 179481-72-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[5-[6-(2,4-difluorophenyl)-2,4-dimethyl-5-[(methylamino)carbonyl]-1(6H)-pyrimidinyl]pentyl]-4-phenyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

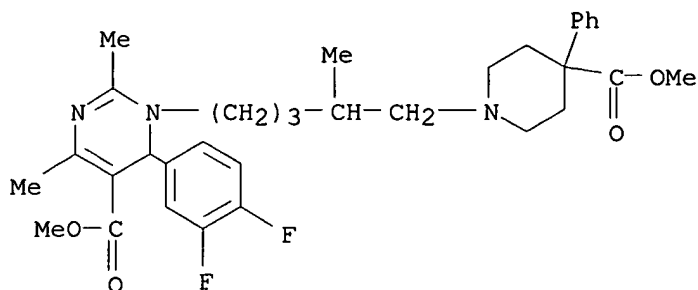


● HCl



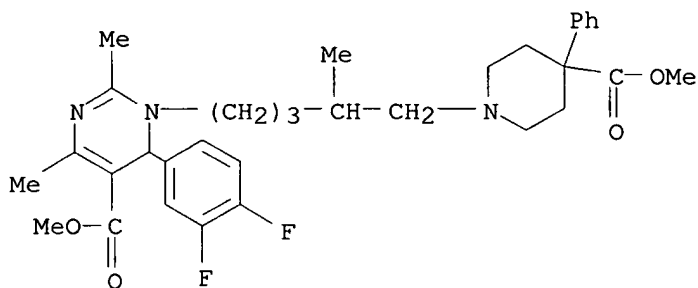
RN 179481-73-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]-4-methylpentyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



RN 179481-74-4 CAPLUS

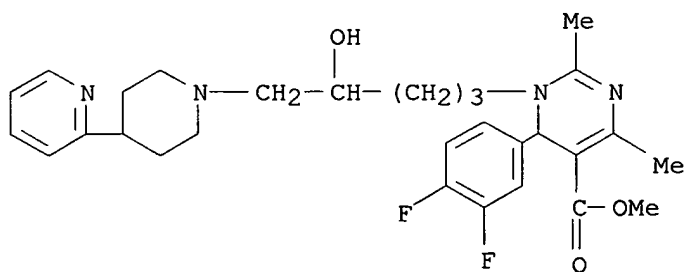
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]-4-methylpentyl]-2,4-dimethyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

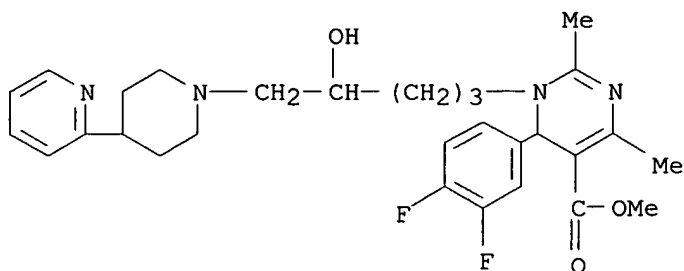
RN 179481-79-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[4-hydroxy-5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



RN 179481-80-2 CAPLUS

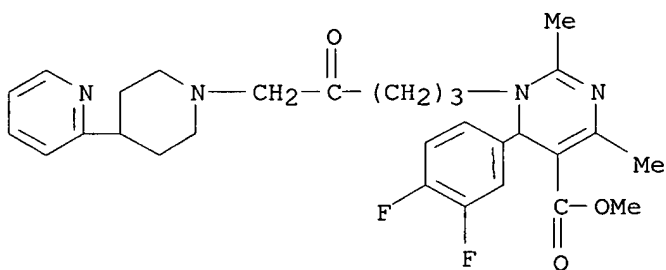
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-1-[4-hydroxy-5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-2,4-dimethyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

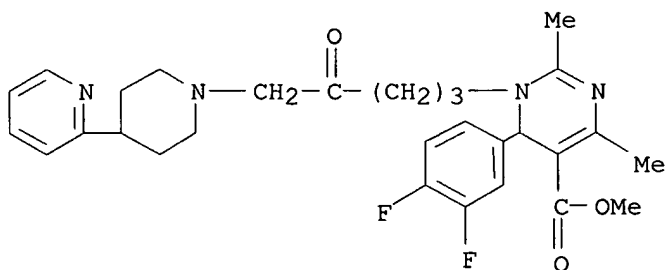
RN 179481-81-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-1-[4-oxo-5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 179481-82-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,6-dihydro-2,4-dimethyl-1-[4-oxo-5-[4-(2-pyridinyl)-1-piperidinyl]pentyl]-, methyl ester, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

IT 179482-10-1P 179482-19-0P 179482-20-3P

179482-35-0P 179482-36-1P 179482-43-0P

179482-44-1P 179482-51-0P 179482-73-6P

179482-74-7P 179482-82-7P 179482-85-0P

179482-89-4P 179483-02-4P 179483-03-5P

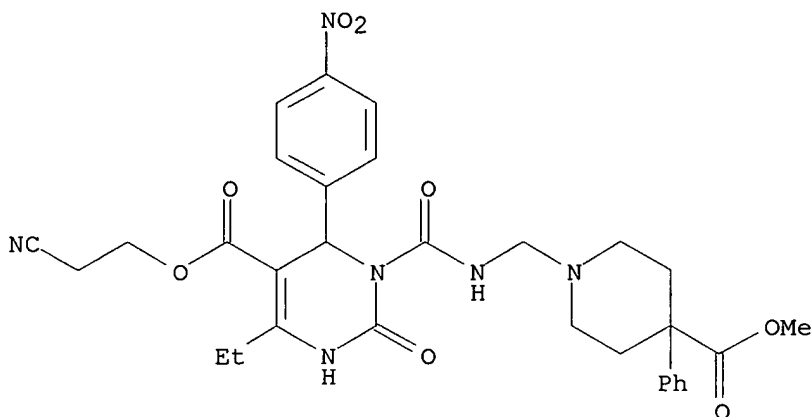
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 1-(4-arylpiperidinopropyl) carbamoyl-2-piperidone-5-carboxylates and analogs as .alpha.lc antagonists)

RN 179482-10-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-ethyl-1,2,3,6-tetrahydro-1-[[[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]methyl]amino]carbonyl]-6-(4-nitrophenyl)-2-oxo-, 2-cyanoethyl ester, (+)- (9CI) (CA INDEX NAME)

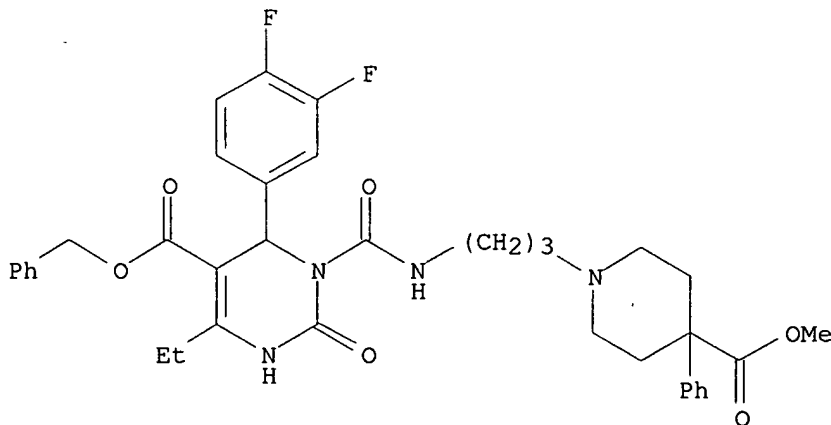
Rotation (+).



RN 179482-19-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

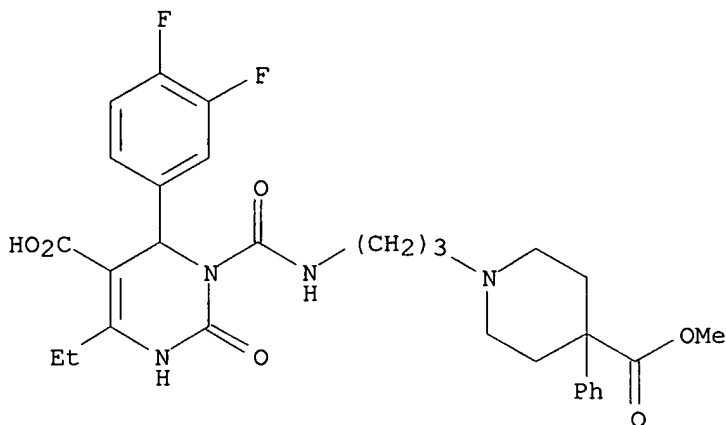


RN 179482-20-3 CAPLUS

09/855,597

CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-1-[[[3-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]propyl]amino]carbonyl]-2-oxo-, (+)- (9CI) (CA INDEX NAME)

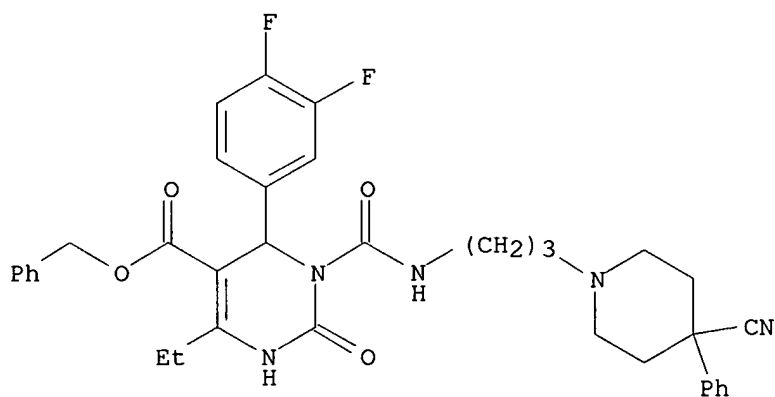
Rotation (+).



RN 179482-35-0 CAPLUS

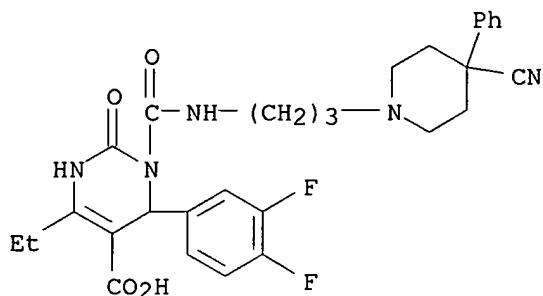
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-2-oxo-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 179482-36-1 CAPLUS

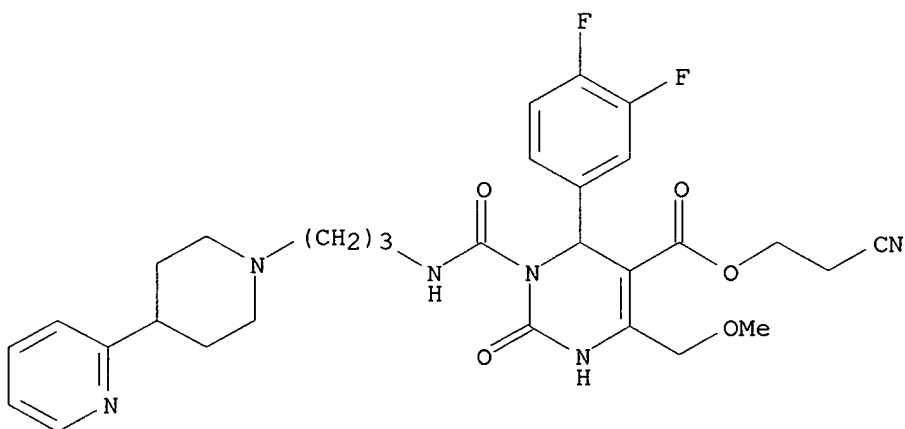
CN 5-Pyrimidinecarboxylic acid, 1-[[[3-(4-cyano-4-phenyl-1-piperidinyl)propyl]amino]carbonyl]-6-(3,4-difluorophenyl)-4-ethyl-1,2,3,6-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 179482-43-0 CAPLUS

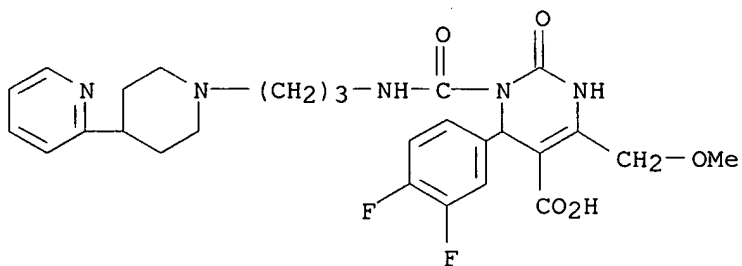
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-, 2-cyanoethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 179482-44-1 CAPLUS

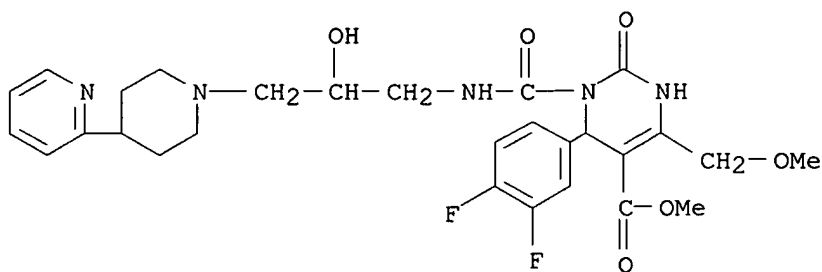
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-4-(methoxymethyl)-2-oxo-1-[[[3-[[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 179482-51-0 CAPLUS

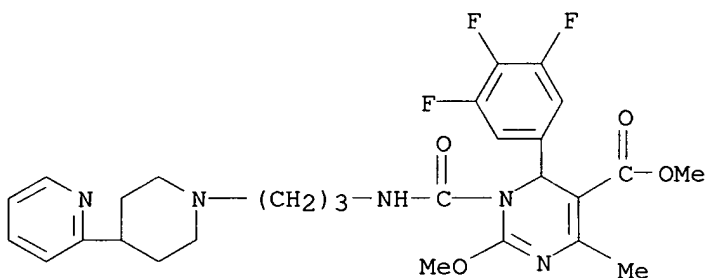
CN 5-Pyrimidinecarboxylic acid, 6-(3,4-difluorophenyl)-1,2,3,6-tetrahydro-1-[[[2-hydroxy-3-[[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-4-

(methoxymethyl)-2-oxo-, methyl ester (9CI) (CA INDEX NAME)



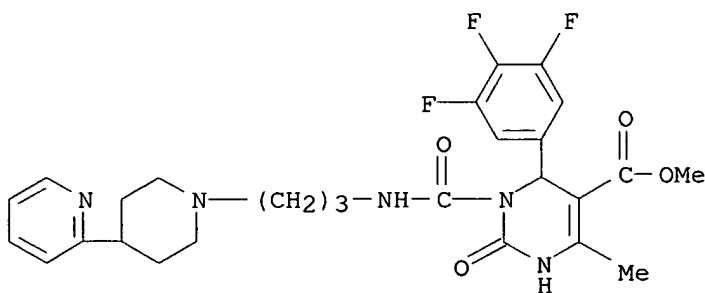
RN 179482-73-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-4-methyl-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 179482-74-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-4-methyl-2-oxo-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

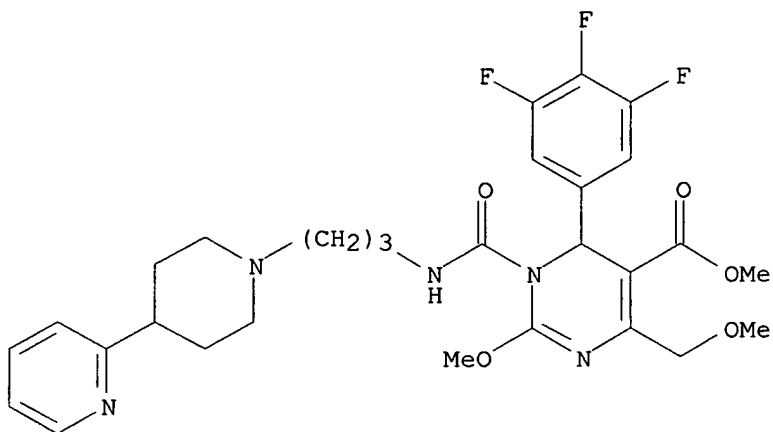
RN 179482-82-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-4-(methoxymethyl)-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-

09/855,597

trifluorophenyl)-, methyl ester, (+)- (9CI) (CA INDEX NAME)

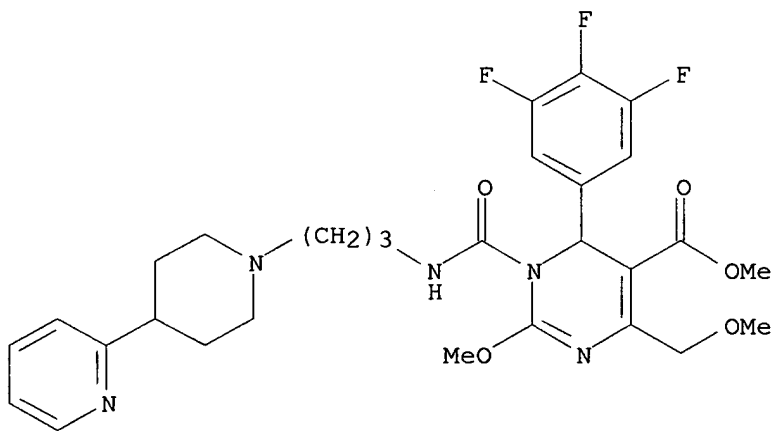
Rotation (+).



RN 179482-85-0 CAPLUS

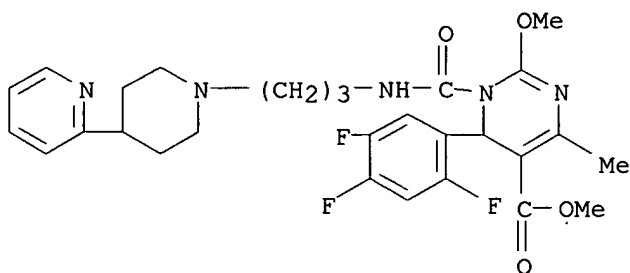
CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-4-(methoxymethyl)-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(3,4,5-trifluorophenyl)-, methyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



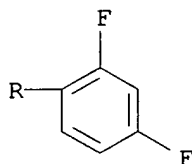
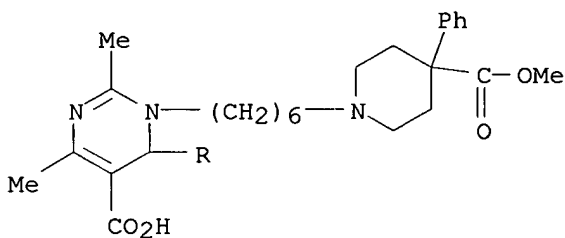
RN 179482-89-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-2-methoxy-4-methyl-1-[[[3-[4-(2-pyridinyl)-1-piperidinyl]propyl]amino]carbonyl]-6-(2,4,5-trifluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



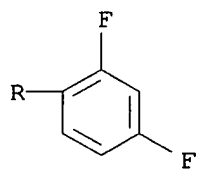
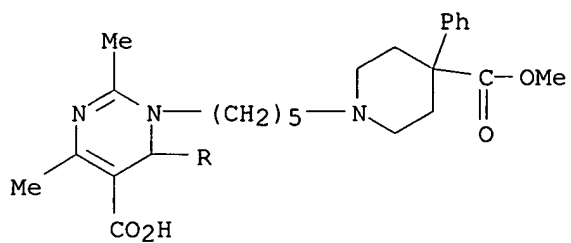
RN 179483-02-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,4-difluorophenyl)-1,6-dihydro-1-[6-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]hexyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)



RN 179483-03-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(2,4-difluorophenyl)-1,6-dihydro-1-[5-[4-(methoxycarbonyl)-4-phenyl-1-piperidinyl]pentyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)



~~IN~~ ANSWER 24 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 1991:583229 CAPLUS

DN 115:183229

TI Thiophene isosteres: synthesis and biological evaluation of 3-substituted derivatives of 4-phenyl-2-thioxo-benzo[4,5]thieno[2,3-d]pyrimidine

AU Vega, S.; Alonso, J.; Diaz, J. A.; Junquera, F.; Perez, C.; Darias, V.; Bravo, L.; Abdallah, S.

CS Inst. Quim. Med., CSIC, Madrid, 28006, Spain

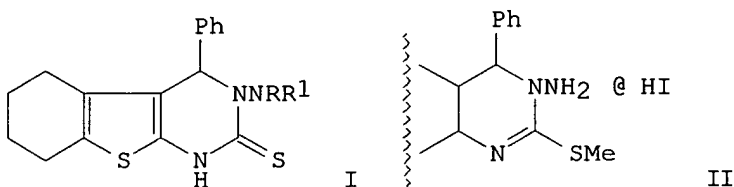
SO Eur. J. Med. Chem. (1991), 26(3), 323-9

CODEN: EJMCA5; ISSN: 0223-5234

DT Journal

LA English

GI



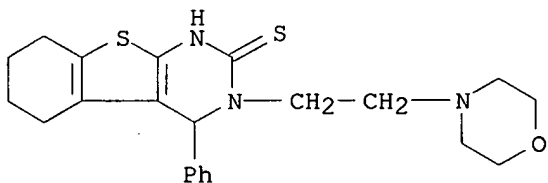
AB Several title compds. I (R = H, R1 = COR2, R2 = Me, Et, Ph, 2-HO2CC6H4; RR1 = CHC6H4R3, R3 = H, 4-F, 4-Cl, 4-OMe, 3-OMe, 4-cyano) and II were obtained from the previously prepd. I (R = NH2) by amidation with anhydrides, condensation with R3C6H4CHO, or methylation. Several compds. showed significant analgesic and antipyretic activity; none of the compds. produced acute lethal effects .ltoreq.500mg/kg i.p.

IT 128352-90-9 128352-91-0 128352-92-1

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmacol. activity of)

RN 128352-90-9 CAPLUS

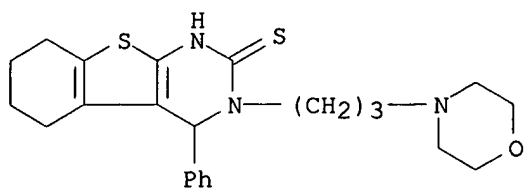
CN [1]Benzothieno[2,3-d]pyrimidine-2(1H)-thione, 3,4,5,6,7,8-hexahydro-3-[2-(4-morpholinyl)ethyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 128352-91-0 CAPLUS

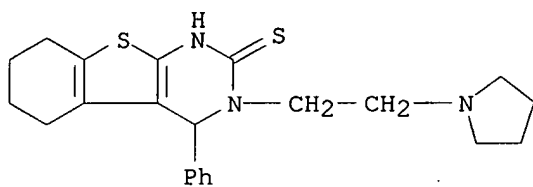
CN [1]Benzothieno[2,3-d]pyrimidine-2(1H)-thione, 3,4,5,6,7,8-hexahydro-3-[3-(4-morpholinyl)propyl]-4-phenyl- (9CI) (CA INDEX NAME)

09/855,597



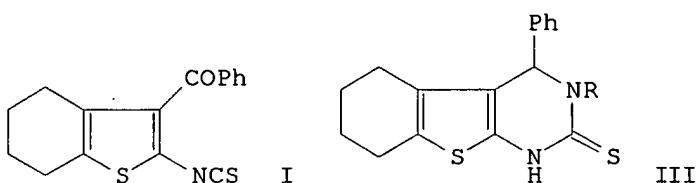
RN 128352-92-1 CAPLUS

CN [1]Benzothieno[2,3-d]pyrimidine-2(1H)-thione, 3,4,5,6,7,8-hexahydro-4-phenyl-3-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

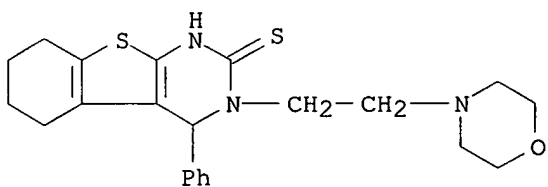


09/855,597

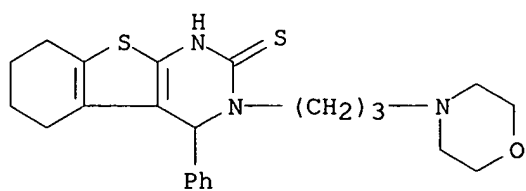
~~LA~~ ANSWER 25 OF 45 CAPLUS COPYRIGHT 2002 ACS
AN 1990:459086 CAPLUS
DN 113:59086
TI Synthesis of 3-substituted-4-phenyl-2-thioxo-1,2,3,4,5,6,7,8-
octahydrobenzo[4,5]thieno[2,3-d]pyrimidines
AU Vega, Salvador; Alonso, Javier; Diaz, Juan A.; Junquera, Francisco
CS Inst. Quim. Med., CSIC, Madrid, 28006, Spain
SO J. Heterocycl. Chem. (1990), 27(2), 269-73
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA English
OS CASREACT 113:59086
GI



AB Cyclocondensation of benzoyl(isothiocyanato)benzo[b]thiophene I with RNH₂ (R = NH₂, Ph, PhCH₂, PhCH₂CH₂, morpholinoethyl, pyrrolidinoethyl) gave hexahydrobenzo[4,5]thieno[2,3-d]pyrimidines II. Redn. of II with NaBH₄ gave the title compds. III.
IT **128352-90-9P 128352-91-0P 128352-92-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 128352-90-9 CAPLUS
CN [1]Benzo[thieno[2,3-d]pyrimidine-2(1H)-thione, 3,4,5,6,7,8-hexahydro-3-[2-(4-morpholinyl)ethyl]-4-phenyl- (9CI) (CA INDEX NAME)

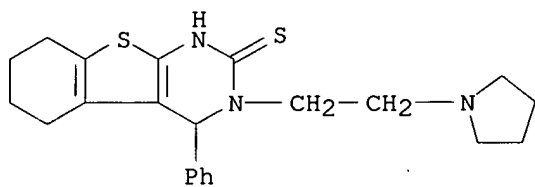


RN 128352-91-0 CAPLUS
CN [1]Benzo[thieno[2,3-d]pyrimidine-2(1H)-thione, 3,4,5,6,7,8-hexahydro-3-[3-(4-morpholinyl)propyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 128352-92-1 CAPLUS

CN [1]Benzothieno[2,3-d]pyrimidine-2(1H)-thione, 3,4,5,6,7,8-hexahydro-4-phenyl-3-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



09/855,597

ANSWER 26 OF 45 CAPLUS COPYRIGHT 2002 ACS

1989:231653 CAPLUS

110:231653

N-Substituted 3,4-dihydropyrimidinedicarboxylate derivatives, compositions containing them for treating cardiovascular disorders, and a process for their preparation

Cho, Hidetsura; Mizuno, Akira; Shima, Keiyu

Suntory, Ltd., Japan

Eur. Pat. Appl., 40 pp.

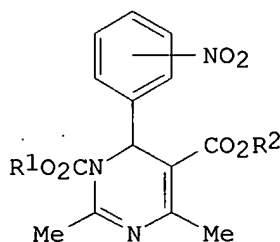
CODEN: EPXXDW

Patent

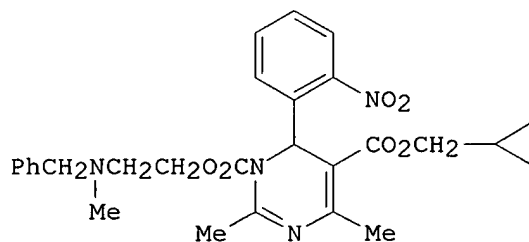
English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 195374	A2	19860924	EP 1986-103424	19860314
	EP 195374	A3	19870819		
	EP 195374	B1	19920729		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 62005968	A2	19870112	JP 1986-56670	19860314
	JP 07042276	B4	19950510		
	US 4772602	A	19880920	US 1986-839621	19860314
	AT 78816	E	19920815	AT 1986-103424	19860314
	AU 8662142	A1	19870917	AU 1986-62142	19860901
	AU 590608	B2	19891109		
	CA 1289958	A1	19911001	CA 1986-517393	19860903
	IL 79944	A1	19901129	IL 1986-79944	19860904
	HU 43833	A2	19871228	HU 1986-3945	19860915
	HU 196186	B	19881028		
PRAI	JP 1985-51645		19850315		
	EP 1986-103424		19860314		
	JP 1986-56670		19860314		
OS	CASREACT 110:231653				
GI					



I



II

AB Title compds. I [R₁ = (CH₂)_nX; X = substituted aminoethyl or sulfide-Et (sic), (un)substituted hetero-bound heterocyclylethyl or C-bound heterocyclylmethyl; n = 0-8; R₂ = straight, branched, cyclic, or cyclo-straight C₁-13 alkyl, C₇-13 aralkyl] are prepd. as Ca-antagonists with potent and long-acting vasodilating effects. Reaction of 5-(cyclopropylmethoxycarbonyl)-2,6-dimethyl-4-(2-nitrophenyl)-1,4-dihydropyrimidine with ClCO₂CCl₃ in THF contg. Et₃N, followed by PhCH₂NMeCH₂CH₂OH, gave 68% of 3,4-dihydropyrimidine diester II. I are superior to nicardipine; the ED₅₀ of II for coronary vasodilation in isolated guinea pig hearts (Langendorff's method) was 0.013 .mu.g/heart,

intraarterially.

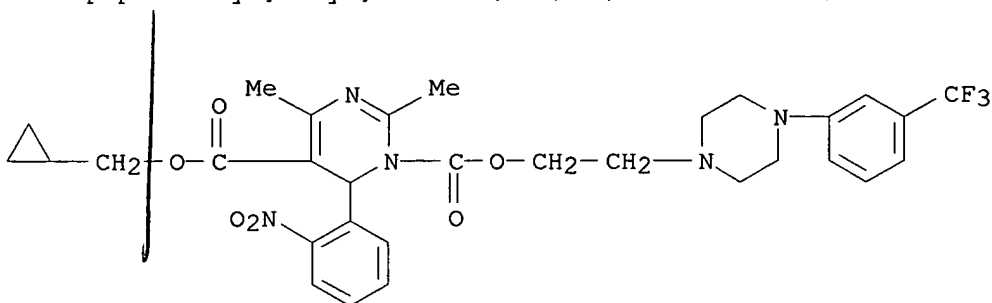
IT 117049-72-6P 119257-93-1P 119257-94-2P
 119258-07-0P 119258-08-1P 119258-09-2P
 119258-10-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as cardiovascular agent)

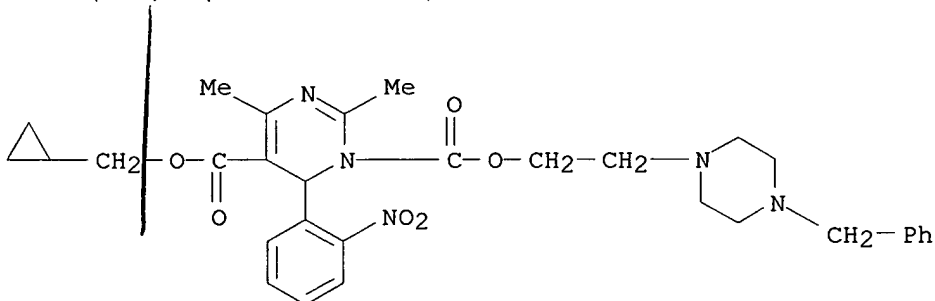
RN 117049-72-6 CAPLUS

CN 1,5(6H)-Pyrimidinedicarboxylic acid, 2,4-dimethyl-6-(2-nitrophenyl)-, 5-(cyclopropylmethyl) 1-[2-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]ethyl] ester (9CI) (CA INDEX NAME)



RN 119257-93-1 CAPLUS

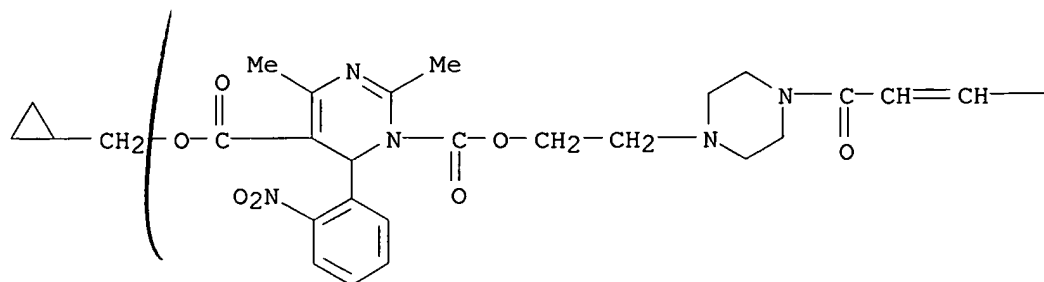
CN 1,5(6H)-Pyrimidinedicarboxylic acid, 2,4-dimethyl-6-(2-nitrophenyl)-, 5-(cyclopropylmethyl) 1-[2-[4-(phenylmethyl)-1-piperazinyl]ethyl] ester (9CI) (CA INDEX NAME)



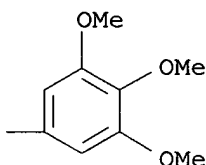
RN 119257-94-2 CAPLUS

CN 1,5(6H)-Pyrimidinedicarboxylic acid, 2,4-dimethyl-6-(2-nitrophenyl)-, 5-(cyclopropylmethyl) 1-[2-[4-[1-oxo-3-(3,4,5-trimethoxyphenyl)-2-propenyl]-1-piperazinyl]ethyl] ester (9CI) (CA INDEX NAME)

PAGE 1-A

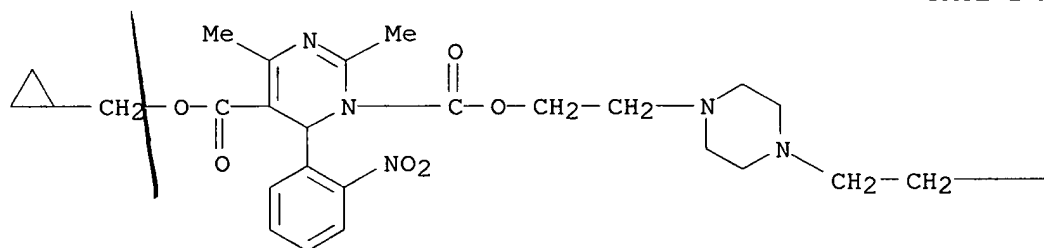


PAGE 1-B



RN 119258-07-0 CAPLUS
 CN 1,5(6H)-Pyrimidinedicarboxylic acid, 2,4-dimethyl-6-(2-nitrophenyl)-,
 5-(cyclopropylmethyl) 1-[2-[4-(3,3-diphenylpropyl)-1-piperazinyl]ethyl]
 ester (9CI) (CA INDEX NAME)

PAGE 1-A



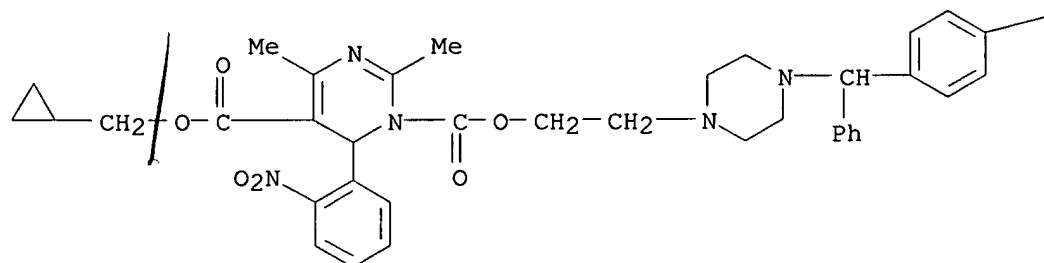
PAGE 1-B

—CHPh₂

RN 119258-08-1 CAPLUS
 CN 1,5(6H)-Pyrimidinedicarboxylic acid, 2,4-dimethyl-6-(2-nitrophenyl)-,
 1-[2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethyl]

5-(cyclopropylmethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A

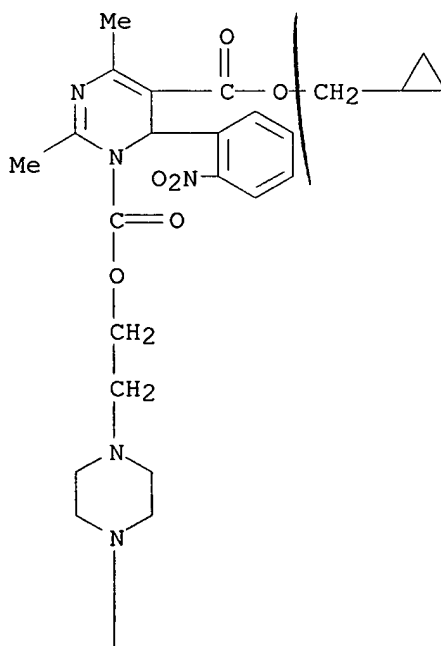


PAGE 1-B

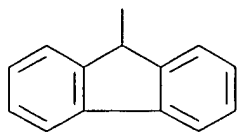
Cl

RN 119258-09-2 CAPLUS
 CN 1,5(6H)-Pyrimidinedicarboxylic acid, 2,4-dimethyl-6-(2-nitrophenyl)-,
 5-(cyclopropylmethyl) 1-[2-[4-(9H-fluoren-9-yl)-1-piperazinyl]ethyl] ester
 (9CI) (CA INDEX NAME)

PAGE 1-A



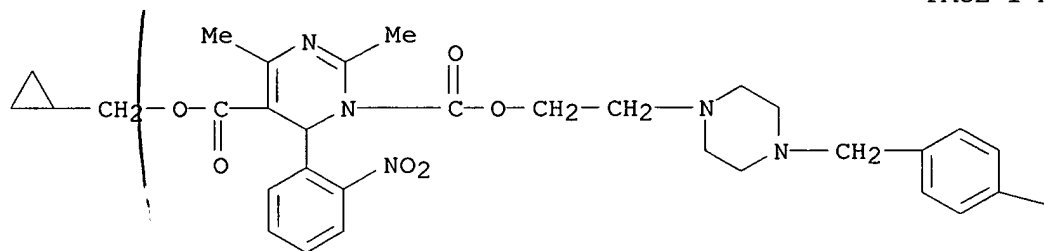
PAGE 2-A



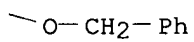
RN 119258-10-5 CAPLUS

CN 1,5(6H)-Pyrimidinedicarboxylic acid, 2,4-dimethyl-6-(2-nitrophenyl)-, 5-(cyclopropylmethyl) 1-[2-[4-[4-(phenylmethoxy)phenyl]methyl]-1-piperazinyl]ethyl] ester (9CI) (CA INDEX NAME)

PAGE 1-A

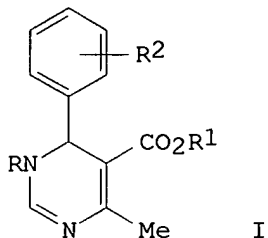


PAGE 1-B



09/855,597

~~DI~~ ANSWER 27 OF 45 CAPLUS COPYRIGHT 2002 ACS
~~AN~~ 1988:590347 CAPLUS
DN 109:190347
TI Synthesis of 3-substituted 3,4-dihydropyrimidines: N-alkoxy-carbonylation with trichloromethyl chloroformate and alcohols
AU Cho, Hidetsura; Mizuno, Akira; Shima, Keiyuu; Ueda, Masaru; Takeuchi, Yumi; Hamaguchi, Mikiko; Taniguchi, Nobuaki
CS Suntory Inst. Biomed. Res., Osaka, 618, Japan
SO Heterocycles (1988), 27(3), 769-74
CODEN: HTCYAM; ISSN: 0385-5414
DT Journal
LA English
OS CASREACT 109:190347
GI

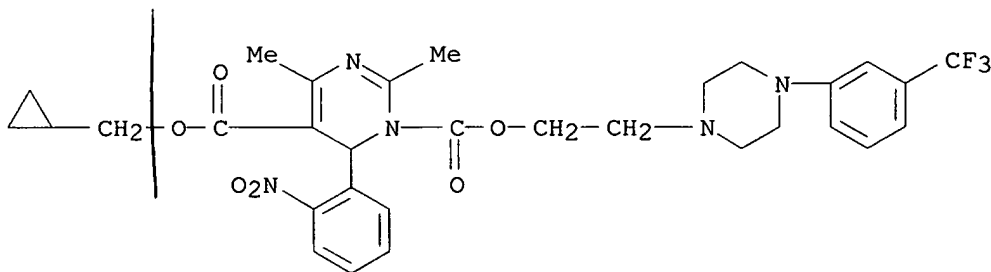


AB N-Alkoxy-carbonylation of dihydropyrimidines I (R = H, R1 = methylcyclopropyl, CHMe2, R2 = 2-NO2, 3-NO2, 2,3-Cl2) with ClCO2CCl3 and R3OH [R3 = Cl(CH2)6, Ph(CH2)5, 4-PrO2CC6H4, 3,4-(MeO)2C6H4(CH2)2, Ph(CH2)3NBz(CH2)2, PhCH:CHCH2NBz(CH2)2, etc.] gave I (R = CO2R3).

IT **117049-72-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 117049-72-6 CAPLUS

CN 1,5(6H)-Pyrimidinedicarboxylic acid, 2,4-dimethyl-6-(2-nitrophenyl)-, 5-(cyclopropylmethyl) 1-[2-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]ethyl] ester (9CI) (CA INDEX NAME)



L7 ANSWER 28 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 1987:534321 CAPLUS

DN 107:134321

TI Preparation of 3,5-bis(alkoxycarbonyl)-4-phenyl-6-methyldihydropyrimidin-2-one derivatives as cardiovascular agents

IN Cho, Shuren; Miyazaki, Yumi

PA Suntory, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 12 pp.

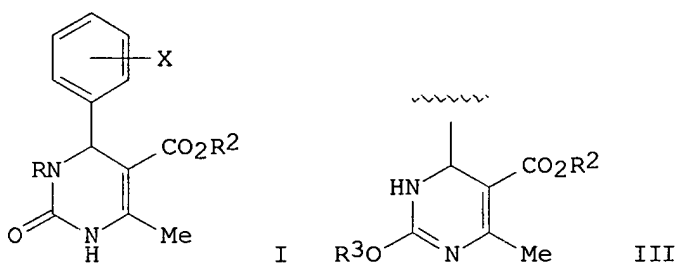
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 62087574	A2	19870422	JP 1985-228281	19851014
GI					



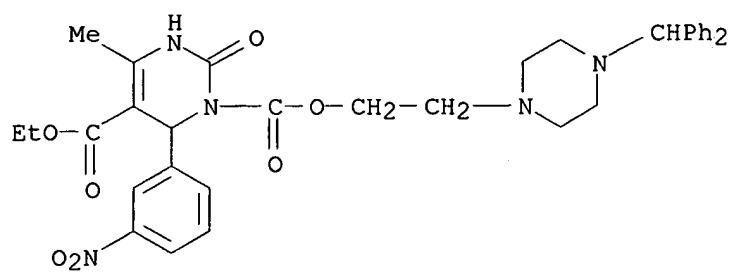
AB The title compds. [I; X = H, NO₂, halo; R = CO₂R₁; R₁ = n-alkylcycloalkyl, alkyl, cycloalkyl, arylalkyl, (un)substituted N-aralkylpiperazinoalkyl, N-substituted aminoalkyl; R₂ = alkyl, n-alkylcycloalkyl] and physiol. acceptable salts were prepd. I (R = H, R₂ = Et, X = 3-NO₂) (140 mg) was added to 16 mg 50% NaH in THF under ice-cooling, the mixt. was stirred for 20 min and treated with 140 mg Ph₂CHCH₂OH and 106 .mu.L PhNEt₂ to give, after stirring for 15 min, 13% I (R = Ph₂CHCH₂O₂C, R₂ = Et, X = 3-NO₂). I in vitro increased the perfusion in an extirpated heart of a Harley guinea pig with ED₅₀ of 0.50-3.30 .mu.g.

IT **110448-26-5P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiovascular agent)

RN 110448-26-5 CAPLUS

CN 1,5(2H)-Pyrimidinedicarboxylic acid, 3,6-dihydro-4-methyl-6-(3-nitrophenyl)-2-oxo-, 1-[2-[4-(diphenylmethyl)-1-piperazinyl]ethyl] 5-ethyl ester (9CI) (CA INDEX NAME)



09/855,597

LF ANSWER 29 OF 45 CAPLUS COPYRIGHT 2002 ACS

IN 1982:616203 CAPLUS

DN 97:216203

TI Piperidinyllalkylquinazoline compounds, composition and method of use

IN Vandenberk, Jan; Kennis, Ludo; Van der Aa, Marcel; Van Heertum, Albert

PA Janssen Pharmaceutica N. V., Belg.

SO U.S., 21 pp. Cont.-in-part of U.S. Ser. No. 1,493, abandoned:

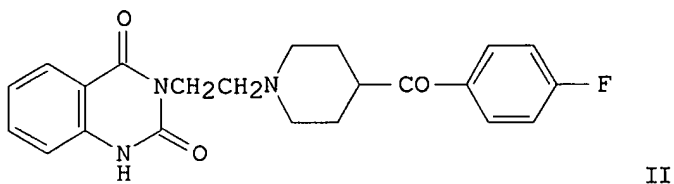
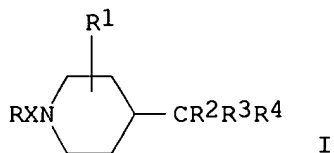
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4335127	A	19820615	US 1979-84272	19791012
	DK 8000072	A	19800709	DK 1980-72	19800107
	DK 170669	B1	19951127		
	FI 8000047	A	19800709	FI 1980-47	19800107
	FI 66609	B	19840731		
	FI 66609	C	19841112		
	NO 8000034	A	19800709	NO 1980-34	19800107
	NO 155243	B	19861124		
	NO 155243	C	19870304		
	AU 8054381	A1	19800717	AU 1980-54381	19800107
	AU 536175	B2	19840419		
	EP 13612	A2	19800723	EP 1980-300059	19800107
	EP 13612	A3	19801015		
	EP 13612	B1	19831109		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	JP 55105679	A2	19800813	JP 1980-186	19800107
	JP 63046753	B4	19880919		
	ZA 8000082	A	19810826	ZA 1980-82	19800107
	CA 1132557	A1	19820928	CA 1980-343181	19800107
	PL 125789	B1	19830630	PL 1980-221249	19800107
	SU 1041034	A3	19830907	SU 1980-2863403	19800107
	HU 26902	O	19830928	HU 1980-25	19800107
	HU 184222	B	19840730		
	AT 5258	E	19831115	AT 1980-300059	19800107
	CS 223977	P	19831125	CS 1980-157	19800107
	IL 59084	A1	19840229	IL 1980-59084	19800107
	ES 487537	A1	19801216	ES 1980-487537	19800108
	RO 79148	P	19820817	RO 1980-100248	19800220
	US 4522945	A	19850611	US 1982-362214	19820326
	ES 527172	A3	19850416	ES 1983-527172	19831111
PRAI	US 1979-1493		19790108		
	US 1979-84272		19791012		
	EP 1980-300059		19800107		
OS	CASREACT 97:216203				
GI					



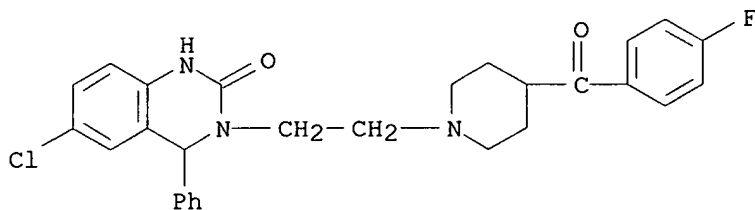
AB Piperidinylalkylquinazolines I [R = substituted quinazolinyl; R1 = H, OH, alkyl; R2 = H, R3 = H, OH; R2R3 = O, OCH2CH2O, O(CH2)3O; R4 = aryl, thienyl, pyridyl] were prepd. Thus II was obtained by treating chloroethylquinazolinone with fluorobenzoylpiperidine. II had a serotonin antagonist ED50 in the gastric lesion test of 0.1 mg/kg orally in rats.

IT **76315-92-9P 76315-93-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

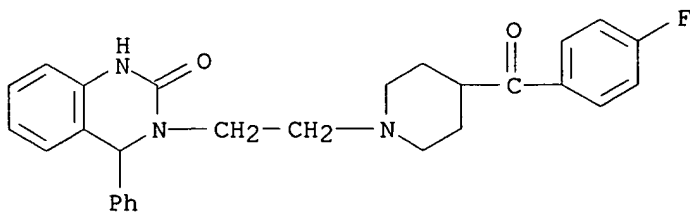
RN 76315-92-9 CAPLUS

CN 2(1H)-Quinazolinone, 6-chloro-3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-3,4-dihydro-4-phenyl- (9CI) (CA INDEX NAME)



RN 76315-93-0 CAPLUS

CN 2(1H)-Quinazolinone, 3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-3,4-dihydro-4-phenyl- (9CI) (CA INDEX NAME)



LT ANSWER 30 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 1982:20054 CAPLUS

DN 96:20054

TI Synthetic studies on quinazoline derivatives. II. The reactions of 2-trichloro- and 2-trifluoroacetamidobenzophenones with primary amines

AU Yamamoto, Michihiro; Yamamoto, Hisao

CS Pharm. Div., Sumitomo Chem. Co., Ltd., Takatsukasa, 665, Japan

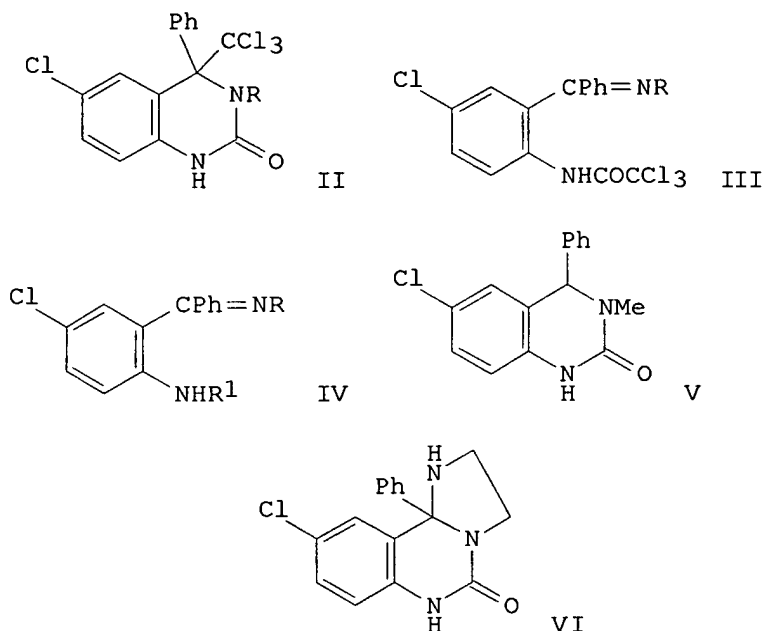
SO Chem. Pharm. Bull. (1981), 29(8), 2135-56

CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

GI



AB The reaction of 5-chloro-2-trichloroacetamidobenzophenone (I) with several primary alkylamines in Me₂SO gave high yields of the quinazolinones II (R = Me, Et, Pr, Et₂NCH₂CH₂, morpholinoethyl, PhCH₂, etc.), which were formed by base-catalyzed and/or thermal cyclization and simultaneous rearrangement of the isomeric 5-chloro-2-trichloroacetamidobenzophenone alkylimines III. Both compds. II and III were obtained when the reaction was effected in benzene. Treatment of the compd. I with bulky amines such as Me₂CHNH₂ and cyclohexylamine gave, under similar conditions, the corresponding benzophenone imines III (R = Me₂C, cyclohexyl) exclusively, and these could be transformed into II on heating in pyridine. The reaction of N-substituted trichloroacetamidobenzophenones with N-(2-aminoethyl)morpholine as well as NH₃/Me₂SO yielded the 1-alkylaminobenzophenone imines, IV (R = Me, R₁ = H, morpholinoethyl; R = cyclopropylmethyl, R₁ = H) which on treatment with Cl₃CCOCl were readily cyclized to give the corresponding 1-substituted 4-trichloromethylquinazolinones. The trichloromethyl group of the 1-unsubstituted quinazolinones II were easily displaced by a nucleophile

such as hydride, alkoxide or hydroxide under base catalysis to give the 3,4-dihydro-2(1H)-quinazolinone derivs., e.g. V. The NaBH₄ redn. of III (R = Me) at room temp. mainly afforded the trichloroacetamidobenzhydramine, which underwent thermal cyclization to the quinazolinone V. In contrast, the reaction of 5-chloro-2-trifluoroacetamidobenzophenone with some primary alkylamines in Me₂SO produced the trifluoroacetamidobenzophenone alkylimines, which on treatment with NaBH₄ could be converted only to 3-substituted 6-chloro-3,4-dihydro-4-phenyl-2-trifluoromethylquinazolines. These procedures were successfully utilized in syntheses of the imidazo[1,2-c]quinazolinone (VI), oxazolo[3,2-c]quinazolinones, and 1,3-oxazino[3,2-c]quinazolinone.

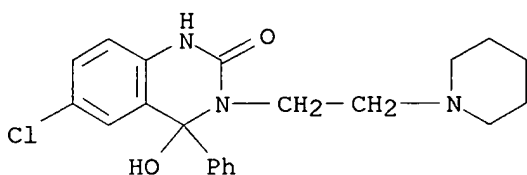
IT 27772-54-9P 53220-24-9P 80170-68-9P

80170-69-0P 80170-82-7P 80171-00-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

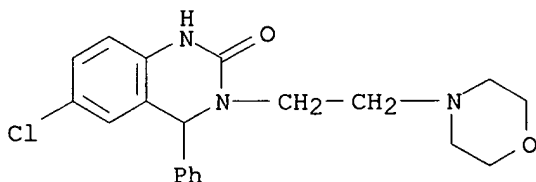
RN 27772-54-9 CAPLUS

CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-4-hydroxy-4-phenyl-3-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



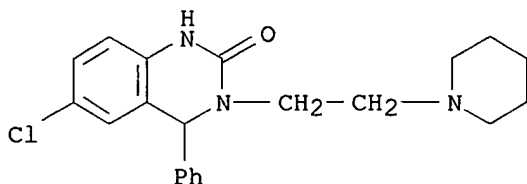
RN 53220-24-9 CAPLUS

CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-3-[2-(4-morpholinyl)ethyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 80170-68-9 CAPLUS

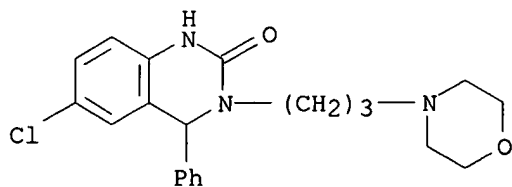
CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-4-phenyl-3-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 80170-69-0 CAPLUS

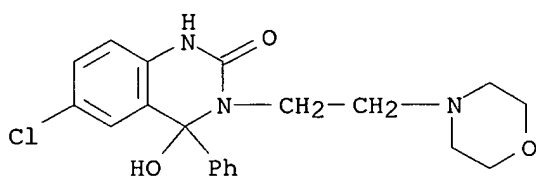
CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-3-[3-(4-morpholinyl)propyl]-4-

phenyl- (9CI) (CA INDEX NAME)



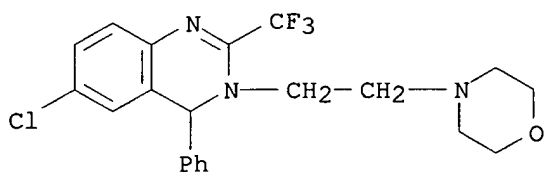
RN 80170-82-7 CAPLUS

CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-4-hydroxy-3-[2-(4-morpholinyl)ethyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 80171-00-2 CAPLUS

CN Quinazoline, 6-chloro-3,4-dihydro-3-[2-(4-morpholinyl)ethyl]-4-phenyl-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



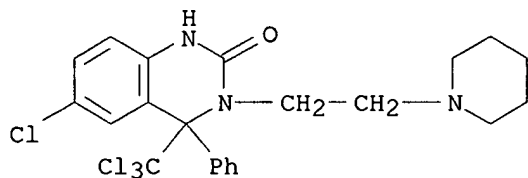
IT 80170-61-2 80170-62-3 80170-63-4

RL: RCT (Reactant)

(reaction with sodium chlorohydride, chlorodihydrophenylquinazolinones from)

RN 80170-61-2 CAPLUS

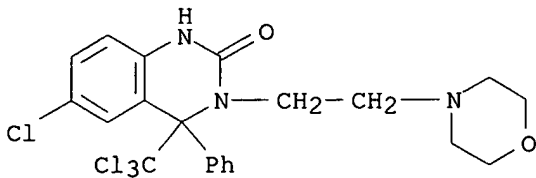
CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-4-phenyl-3-[2-(1-piperidinyl)ethyl]-4-(trichloromethyl)- (9CI) (CA INDEX NAME)



RN 80170-62-3 CAPLUS

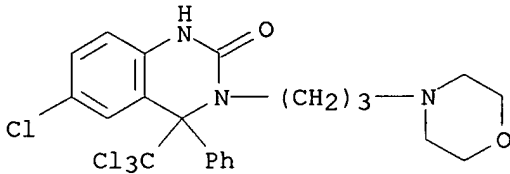
CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-3-[2-(4-morpholinyl)ethyl]-4-phenyl-4-(trichloromethyl)- (9CI) (CA INDEX NAME)

09/855,597



RN 80170-63-4 CAPLUS

CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-3-[3-(4-morpholinyl)propyl]-4-phenyl-4-(trichloromethyl)- (9CI) (CA INDEX NAME)



09/855,597

LF ANSWER 31 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 1981:65718 CAPLUS

DN 94:65718

TI (Piperidinylalkyl)quinazoline derivatives and intermediates and pharmaceutical compositions containing them

IN Vandenberk, Jan; Kennis, Ludo Edmond Josephine; Van Der Aa, Marcel Josef Maria Catharina; Van Heertum, Albert Henricus Maria Theresia

PA Janssen Pharmaceutica N. V., Belg.

SO Eur. Pat. Appl., 78 pp.

CODEN: EPXXDW

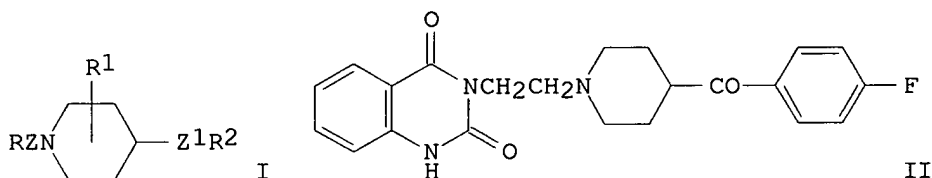
DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 13612	A2	19800723	EP 1980-300059	19800107
	EP 13612	A3	19801015		
	EP 13612	B1	19831109		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	US 4335127	A	19820615	US 1979-84272	19791012
	AT 5258	E	19831115	AT 1980-300059	19800107
PRAI	US 1979-1493		19790108		
	US 1979-84272		19791012		
	EP 1980-300059		19800107		

GI



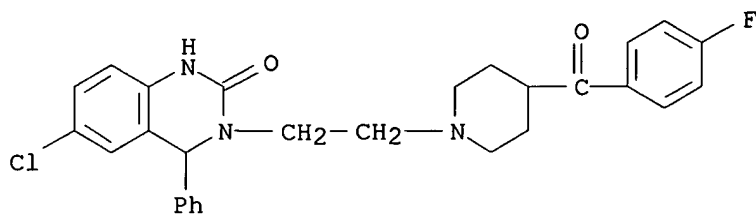
AB The title compds. I [R = a 1-, 2-, 3-, or 4-quinazolinyl group (the pyrimidine ring is partly or fully satd., the quinazoline ring system contains an oxo or thioxo group in the 2- and/or 4-positions, the fused benzo is optionally substituted by halo, alkyl, alkoxy, CF₃, NO₂, or cyano); Z = C1-4 alkylene; R¹ = H, OH, alkyl; Z¹ = CO, CH(OH), CH(O₂CR₃) (R₃ = H, alkyl), CH₂, C(OR₄)₂ (R₄ = alkyl), 1,3-dioxolane-2,2-diyl, 1,3-dioxane-2,2-diyl, C(:NOH), C(:NNH₂); R² = Ph, halo-, alkyl-, alkoxy-, (trifluoromethyl)-, or aminophenyl, thienyl, pyridyl], which showed serotonin antagonist activity, were prepd. by different methods. Thus, 3-(2-chloroethyl)-2,4(1H, 3H)-quinazolinone was heated with 4-(4-fluorobenzoyl)piperidine-HCl and Na₂CO₃ in Me₂CHCH₂CO₂Me to give II.

IT **76315-92-9P 76315-93-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and use of, as serotonin antagonist)

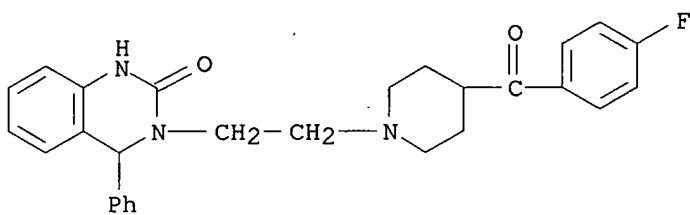
RN 76315-92-9 CAPLUS

CN 2(1H)-Quinazolinone, 6-chloro-3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-3,4-dihydro-4-phenyl- (9CI) (CA INDEX NAME)

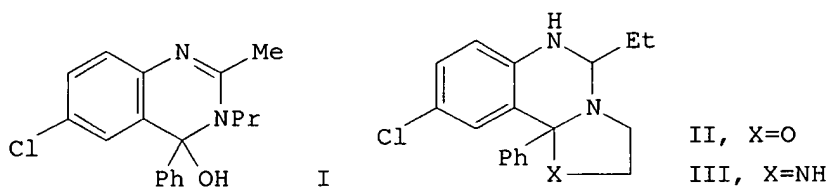


RN 76315-93-0 CAPLUS

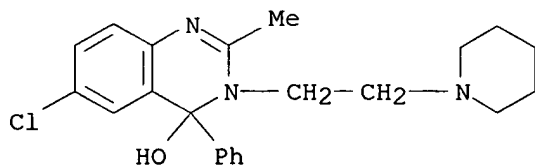
CN 2(1H)-Quinazolinone, 3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-3,4-dihydro-4-phenyl- (9CI) (CA INDEX NAME)



DT ANSWER 32 OF 45 CAPLUS COPYRIGHT 2002 ACS
 AN 1981:47254 CAPLUS
 DN 94:47254
 TI Synthesis and conversion of 3,4-dihydroquinazolin-4-ols. Part 1:
 Preparation and reactivity of 3,4-dihydroquinazolin-3-ols
 AU Bahr, F.; Dietz, G.
 CS Direktionsber. Forsch. Entwickl., VEB Pharm. Kombinat GERMED, Dresden,
 Ger. Dem. Rep.
 SO Pharmazie (1980), 35(5-6), 256-63
 CODEN: PHARAT; ISSN: 0031-7144
 DT Journal
 LA German
 GI



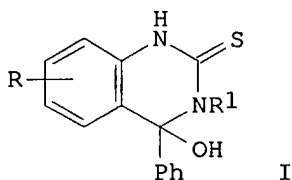
AB Acylation of 2-amino-5-chlorobenzophenone alkyylimines and reaction of
 2-acylamino-5-chlorobenzophenones with amines yields 6-chloro-3,4-dihydro-
 4-hydroxy-4-phenylquinazolines, e.g. I, which are substituted on the
 positions 2 and 3 by alkyl or aryl groups. When the substituents and the
 conditions of reaction are varied, 3-aminoalkyl- and 3-acetoxyalkyl-
 substituted 3,4-dihydro-4-hydroxyquinazolines and tricyclic quinazoline
 derivs. (oxazolo-, oxazino [3,2-c]- and imidazo[1,2-c]quinazolines, e.g.
 II and III), are formed. The mechanisms and the anomalies of the
 reactions as well as spectroscopic data of the new compds. are discussed.
 IT **76303-77-0P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 76303-77-0 CAPLUS
 CN 4-Quinazolinol, 6-chloro-3,4-dihydro-2-methyl-4-phenyl-3-[2-(1-
 piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



09/855,597

~~L7~~ ANSWER 33 OF 45 CAPLUS COPYRIGHT 2002 ACS
~~AN~~ 1978:563598 CAPLUS
DN 89:163598
TI 4-Hydroxy-3,4-dihydro-2(1H)-quinazolinethiones
IN Britton, Thomas C.; Trepanier, Donald L.
PA Dow Chemical Co., USA
SO U.S., 5 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4093805	A	19780606	US 1977-769480	19770217
	US 4127572	A	19781128	US 1978-876078	19780208
PRAI	US 1977-769480		19770217		
GI					



AB The title compds. I (R = alkyl, halo, H, alkoxy; R1 = aliph., hydroxyalkyl, aryl, amino, dialkylaminoalkyl, cycloalkylaminoalkyl, 4-morpholinoalkyl) were prepd. in 2 steps by treating a 2-aminobenzophenone with CSCl2 to give a 2-benzoylisothiocyanate, followed by cyclization with R1NH2. Thus, 5,2-Cl(H2N)C6H4COPh and CSCl2 gave 4,2-Cl(PhCO)C6H4NCS, which was cyclized with N2H4 to give I (R = 6-Cl, R1 = NH2). I were effective antidepressants and anxiolytics in mice and rats at 20-60 mg/kg body wt.

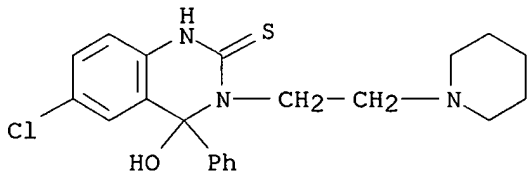
IT 67863-92-7 67863-93-8 67863-94-9

RL: RCT (Reactant)

(cyclization of benzoylchlorophenyl isothiocyanates with)

RN 67863-92-7 CAPLUS

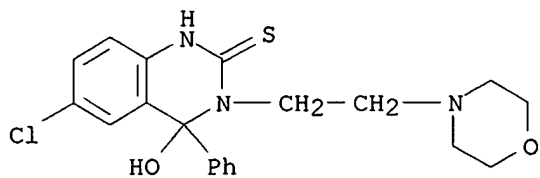
CN 2(1H)-Quinazolinethione, 6-chloro-3,4-dihydro-4-hydroxy-4-phenyl-3-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 67863-93-8 CAPLUS

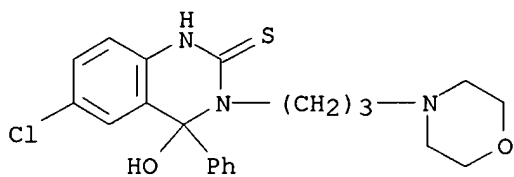
CN 2(1H)-Quinazolinethione, 6-chloro-3,4-dihydro-4-hydroxy-3-[2-(4-morpholinyl)ethyl]-4-phenyl- (9CI) (CA INDEX NAME)

09/855,597



RN 67863-94-9 CAPLUS

CN 2(1H)-Quinazolinethione, 6-chloro-3,4-dihydro-4-hydroxy-3-[3-(4-morpholinyl)propyl]-4-phenyl- (9CI) (CA INDEX NAME)



~~IN~~ ANSWER 34 OF 45 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1978:22923 CAPLUS

DN 88:22923

TI Triazolylbenzophenones

IN Hirai, K.; Fujishita, T.; Ishiba, T.; Sugimoto, H.

PA Shionogi and Co., Ltd., Japan

SO Belg., 57 pp.

CODEN: BEXXAL

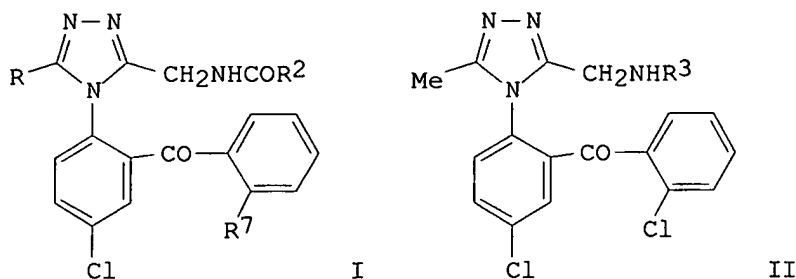
DT Patent

LA French

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 846410	A1	19770117	BE 1976-170796	19760921
	GB 1531980	A	19781115	GB 1975-53224	19751230
	DK 7603935	A	19770701	DK 1976-3935	19760831
	CA 1126274	A1	19820622	CA 1976-260438	19760902
	SE 7609813	A	19770701	SE 1976-9813	19760906
	AU 7617498	A1	19780316	AU 1976-17498	19760906
	AU 498113	B2	19790208		
	HU 172539	P	19780928	HU 1976-SI1538	19760908
	AT 7606842	A	19781015	AT 1976-6842	19760915
	AT 350052	B	19790510		
	CH 623315	A	19810529	CH 1976-11939	19760921
	ES 451896	A1	19780116	ES 1976-451896	19760927
	NL 7610799	A	19770704	NL 1976-10799	19760929
	ZA 7605851	A	19770928	ZA 1976-5851	19760929
	JP 52083469	A2	19770712	JP 1976-120815	19761006
	FR 2336930	A1	19770729	FR 1976-30125	19761006
	FR 2336930	B1	19781222		
	IL 50664	A1	19830930	IL 1976-50664	19761011
	ES 462243	A1	19780601	ES 1977-462243	19770909
	ES 462244	A1	19780601	ES 1977-462244	19770909
	US 4141901	A	19790227	US 1977-861010	19771215
	US 4143048	A	19790306	US 1977-861009	19771215
	US 4147700	A	19790403	US 1977-861011	19771215
	AT 7804222	A	19781115	AT 1978-4222	19780609
	AT 350564	B	19790611		
	AT 7804223	A	19781115	AT 1978-4223	19780609
	AT 350565	B	19790611		
PRAI	GB 1975-53224		19751230		
	US 1976-718934		19760830		
	US 1976-722670		19760913		
	AT 1976-6842		19760915		

GI



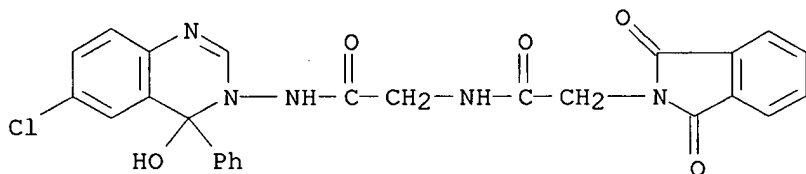
AB Triazolylbenzophenones I (R = Me, H, CH₂NMe₂, 4-methylpiperazinomethyl, CH₂NEt₂, CH₂SPh, CH₂SPr, pyrrolidinomethyl, CH₂OCH₂C.tplbond.CH, morpholinomethyl, CH₂OAc, piperidinomethyl; R₁ = H, Cl, F; R₂ = CH₂NH₂, CH₂NMe₂, CH₂NEt₂, CH₂NHMe, Me, Pr, Et, C₆H₄Me-4, Ac, 4-methylpiperazinomethyl, CH₂NHCOCH₂NH₂, CH₂NHCOCH(NH₂)CH₂Ph, CH(NH₂)CH₂Ph, CHMe₂) (36 compds.) were prepd. Thus, II (R₃ = H) was treated with phthalimidoacetyl chloride and II (R₃ = phthalimidoacetyl) subjected to hydrazinolysis to give II (R₃ = COCH₂NH₂). This compd. had an anticonvulsant ED₅₀ in the pentylenetetrazole test of 0.23 mg/kg orally in mice and a Na thiopental potentiating ED₅₀ of 0.25 mg/kg orally in mice.

IT **64194-89-4**

RL: RCT (Reactant)
(ring cleavage of)

RN 64194-89-4 CAPLUS

CN 2H-Isoindole-2-acetamide, N-[2-[(6-chloro-4-hydroxy-4-phenyl-3(4H)-quinazolinyl)amino]-2-oxoethyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)



09/855,597

~~L~~ ANSWER 35 OF 45 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1977:552214 CAPLUS

~~DN~~ 87:152214

~~TI~~ 2-(4H-1,2,4-Triazolyl)benzophenones

~~IN~~ Hirai, Kentaro; Fujishita, Toshio; Ishiba, Teruyuki; Sugimoto, Hirohiko

~~PA~~ Shionogi and Co., Ltd., Japan

~~SO~~ Ger. Offen., 63 pp.

CODEN: GWXXBX

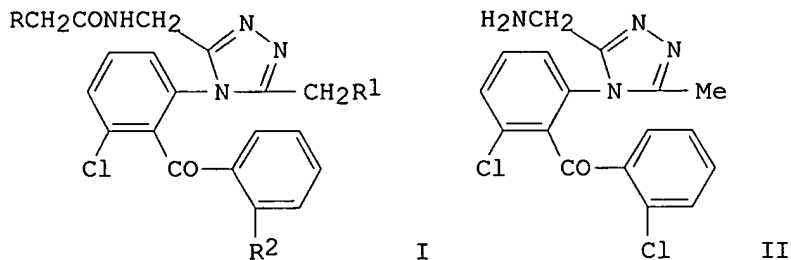
~~DT~~ Patent

~~LA~~ German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2641164	A1	19770714	DE 1976-2641164	19760913
	GB 1531980	A	19781115	GB 1975-53224	19751230
	DK 7603935	A	19770701	DK 1976-3935	19760831
	CA 1126274	A1	19820622	CA 1976-260438	19760902
	SE 7609813	A	19770701	SE 1976-9813	19760906
	AU 7617498	A1	19780316	AU 1976-17498	19760906
	AU 498113	B2	19790208		
	HU 172539	P	19780928	HU 1976-SI1538	19760908
	AT 7606842	A	19781015	AT 1976-6842	19760915
	AT 350052	B	19790510		
	CH 623315	A	19810529	CH 1976-11939	19760921
	ES 451896	A1	19780116	ES 1976-451896	19760927
	NL 7610799	A	19770704	NL 1976-10799	19760929
	ZA 7605851	A	19770928	ZA 1976-5851	19760929
	JP 52083469	A2	19770712	JP 1976-120815	19761006
	FR 2336930	A1	19770729	FR 1976-30125	19761006
	FR 2336930	B1	19781222		
	IL 50664	A1	19830930	IL 1976-50664	19761011
	ES 462243	A1	19780601	ES 1977-462243	19770909
	ES 462244	A1	19780601	ES 1977-462244	19770909
	US 4141901	A	19790227	US 1977-861010	19771215
	US 4143048	A	19790306	US 1977-861009	19771215
	US 4147700	A	19790403	US 1977-861011	19771215
	AT 7804222	A	19781115	AT 1978-4222	19780609
	AT 350564	B	19790611		
	AT 7804223	A	19781115	AT 1978-4223	19780609
	AT 350565	B	19790611		
PRAI	GB 1975-53224		19751230		
	US 1976-718934		19760830		
	US 1976-722670		19760913		
	AT 1976-6842		19760915		

GI



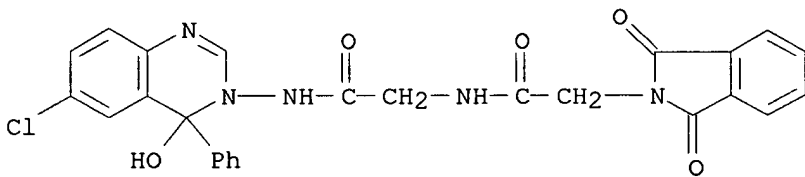
AB Triazolylbenzophenones I (R = amino, alkyl, aryl, acyl, amino acid moiety; R₁ = H, amino, alkylthio, alkoxy, acyloxy; R₂ = H, Cl, F) were prepd. Thus II was treated with phthalimidoacetyl chloride followed by hydrazinolysis to give I (R = NH₂, R₁ = H, R₂ = Cl), which had an anticonvulsant ED₅₀ 0.23 mg/kg orally in mice and narcosis potentiating ED₅₀ 0.25 mg/kg orally.

IT **64194-89-4**

RL: RCT (Reactant)
(ring cleavage of)

RN 64194-89-4 CAPLUS

CN 2H-Isoindole-2-acetamide, N-[2-[(6-chloro-4-hydroxy-4-phenyl-3(4H)-quinazolinyl)amino]-2-oxoethyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)



09/855,597

L~~7~~ ANSWER 36 OF 45 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1977:106673 CAPLUS

DN 86:106673

TI 1-(2-(Dimethylamino)ethyl)-6-phenyl-4H-5-triazolo(4,3-a)(1,4)benzodiazepines

IN Hester, Jackson B., Jr.

PA Upjohn Co., USA

SO U.S., 10 pp.

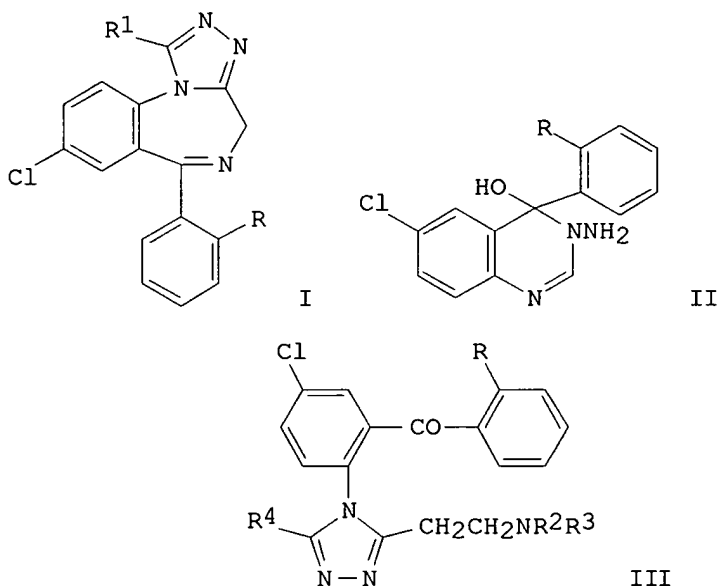
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3994940	A	19761130	US 1975-542388	19750120
GI					



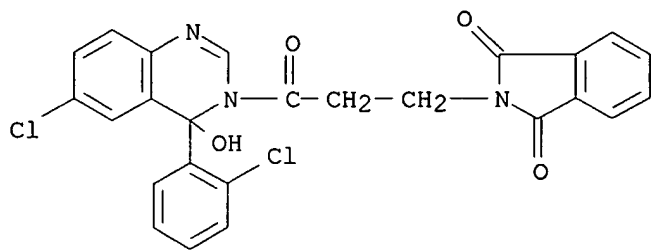
AB The triazolobenzodiazepines I (R = H, Cl, R1 = CH₂CH₂NMe₂) were prepd. by treating the quinazolines II with 3-phthalimidopropionyl chloride, cyclizing benzophenones III (NR₂R₃ = phthalimido, R₄ = H) with N₂H₄, hydroxymethylating I (R₁ = H), treating III (R₂ = R₃ = Me, R₄ = CH₂OH) with phthalimide, and cyclizing III (R₂ = R₃ = Me, R₄ = phthalimidomethyl) with N₂H₄.

IT **61955-37-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and rearrangement of)

RN 61955-37-1 CAPLUS

CN 4-Quinazolinol, 6-chloro-4-(2-chlorophenyl)-3-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-oxopropyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



IN ANSWER 37 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 1977:29899 CAPLUS

DN 86:29899

TI 6-Phenyl-4H-s-triazolo[4,3-a][1,4]benzodiazepines and 4,5-dihydro-7-phenyl-s-triazolo[4,3-a][1,5]benzodiazocines

IN Hester, Jackson B., Jr.

PA Upjohn Co., USA

SO Ger. Offen., 68 pp.

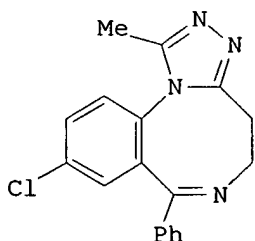
CODEN: GWXXBX

DT Patent

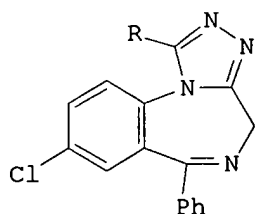
LA German

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2601400	A1	19760722	DE 1976-2601400	19760115
	GB 1489979	A	19771026	GB 1975-52221	19751219
	GB 1490060	A	19771026	GB 1976-52262	19751219
	GB 1489980	A	19771026	GB 1977-464	19751219
	CH 622517	A	19810415	CH 1976-27	19760105
	FR 2297622	A1	19760813	FR 1976-1306	19760119
	FR 2297622	B1	19811224		
	JP 51115498	A2	19761012	JP 1976-4664	19760120
	CH 628047	A	19820215	CH 1980-7619	19801013
PRAI	US 1975-542390	A	19750120		
	US 1973-332377	A	19730214		
	GB 1974-23402	A	19740207		
	GB 1974-5764	A	19740207		
	US 1974-480979	A	19740619		
	GB 1975-24740	A	19750610		
	GB 1975-52221	A	19751219		
	CH 1976-27	A	19760105		
GI					



II



III

AB Reaction of 3-phthalimidopropionic acid with 3-amino-6-chloro-3,4-dihydro-2-methyl-4-phenyl-4-quinazolinol gives N-[6-chloro-4-hydroxy-2-methyl-4-phenyl-3(4H)-quinazolinyl]-1,3-dioxo-2-isoindolinepropionamide which on treatment with refluxing AcOH gives via a ring cleavage and a ring closure 5-chloro-2-[3-methyl-5-(2-phthalimidoethyl)-4H-1,2,4-triazol-4-yl]benzophenone (I). Reaction of I with N₂H₄ in refluxing EtOH gives 70% II. III (R = H, Me) are prepd. similarly. I and II are inflammation inhibitors.

IT **61336-66-1P**

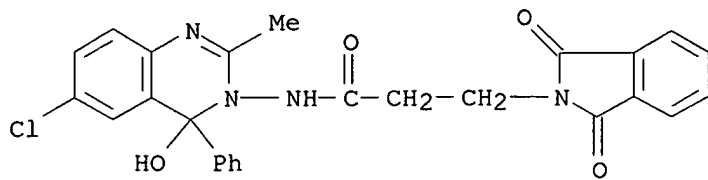
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, and ring cleavage and ring closure reactions of)

RN 61336-66-1 CAPLUS

09/855,597

CN 2H-Isoindole-2-propanamide, N-(6-chloro-4-hydroxy-2-methyl-4-phenyl-3(4H)-quinazolinyl)-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)



09/855,597

~~LN~~ ANSWER 38 OF 45 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1976:560188 CAPLUS

~~DN~~ 85:160188

TI 1-(2-Phthalimidoalkyl)-6-phenyl-4H-s-triazolo[4,3-a][1,4]benzodiazepines

IN Hester, Jackson B., Jr.

PA Upjohn Co., USA

SO Ger. Offen., 29 pp.

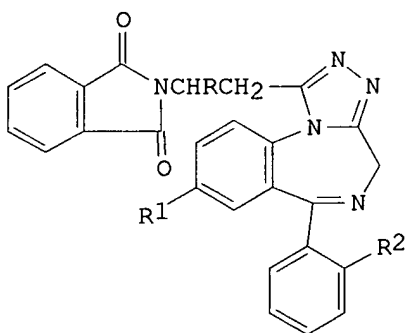
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2601329	A1	19760722	DE 1976-2601329	19760115
	GB 1490458	A	19771102	GB 1975-52222	19751219
	CH 621121	A	19810115	CH 1975-16917	19751231
	FR 2297846	A1	19760813	FR 1976-1305	19760119
	FR 2297846	B1	19800125		
	JP 51115497	A2	19761012	JP 1976-4663	19760120
PRAI	US 1975-542389		19750120		
GI					



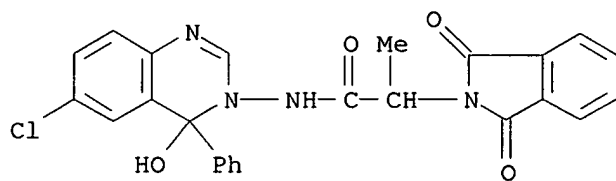
AB Triazolobenzodiazepines (I; R = H, Me; R1 = H, Br, Cl, F, NO2, F3C; R2 = H, Cl) are prepd. by hydroxymethylation in the triazole ring of [(phthalimidoalkyl)triazolyl]benzophenones, replacing the OH group with halogen and cyclization with NH3 or hexamethylenetetramine. Thus, cyclocondensation of 5-chloro-2-[3-(chloromethyl)-5-(2-phthalimidoethyl)-4H-1,2,4-triazol-4-yl]benzophenone with NH3 in THF in presence of KI gives after 18 hr at 25.degree. I (R = R2 = H, R1 = Cl).

IT **60640-04-2**

RL: RCT (Reactant)
(cyclization of)

RN 60640-04-2 CAPLUS

CN 2H-Isoindole-2-acetamide, N-(6-chloro-4-hydroxy-4-phenyl-3(4H)-quinazolinyl)-1,3-dihydro-.alpha.-methyl-1,3-dioxo- (9CI) (CA INDEX NAME)



09/855,597

17 ANSWER 39 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 1976:180271 CAPLUS

DN 84:180271

TI 3,4-Dihydro-2(1H)-quinazolinones and their salts

IN Inaba, Shigeho; Yamamoto, Michihiro; Ishizumi, Kikuo; Mori, Kazuo;
Koshiba, Masao; Yamamoto, Hisao

PA Sumitomo Chemical Co., Ltd., Japan

SO Ger. Offen., 15 pp. Division of Ger. Offen. 2,162,327.

CODEN: GWXXBX

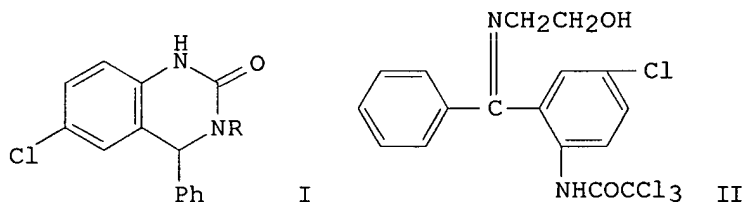
DT Patent

LA German

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2166327	A1	19731031	DE 1971-2166327	19711215
	DE 2166327	B2	19760729		
	DE 2166327	C3	19770331		
	JP 49040476	B4	19741102	JP 1971-1477	19710119
	SU 439979	D	19740815	SU 1971-1727687	19711221
	PL 83081	P	19751231	PL 1971-152411	19711222
PRAI	JP 1971-1477		19710119		
	JP 1971-34897		19710521		

GI



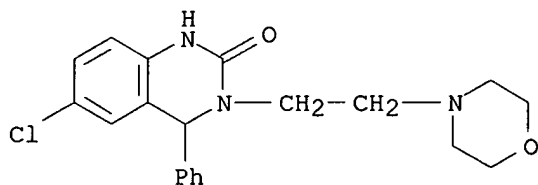
AB 2(1H)-quinazolinone (I, R = CH₂CH₂OH) was obtained by reductive cyclization of II by NaBH₄ in DMF. Analogously obtained were I [R = CH₂CH₂OAc, PhCH₂, CH₂CH₂NEt₂, (CH₂)₃NMe₂, 2-morpholinoethyl]. I were useful as analgesics, inflammation-inhibitors, and as central nervous system depressants.

IT 53220-24-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 53220-24-9 CAPLUS

CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-3-[2-(4-morpholinyl)ethyl]-4-phenyl- (9CI) (CA INDEX NAME)



09/855,597

~~LV~~ ANSWER 40 OF 45 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1976:164840 CAPLUS

~~DN~~ 84:164840

~~TI~~ Quinazolinones

~~IN~~ Inaba, Shigeo; Yamamoto, Michihiro; Ishizumi, Kikuo; Mori, Kazuo;
Koshiba, Masao; Yamamoto, Hisao

~~PA~~ Sumitomo Chemical Co., Ltd., Japan

~~SO~~ Ger. Offen., 26 pp.

CODEN: GWXXBX

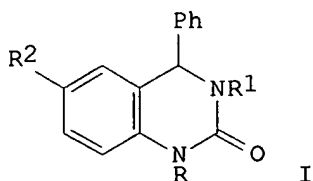
~~DT~~ Patent

~~LA~~ German

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2162327	A	19720713	DE 1971-2162327	19711215
	DE 2162327	B2	19750130		
	DE 2162327	C3	19750918		
	JP 48034598	B4	19731022	JP 1970-118332	19701223
	JP 49040476	B4	19741102	JP 1971-1477	19710119
	SU 475774	D	19750630	SU 1971-1754058	19710612
	AT 310178	B	19730925	AT 1972-8984	19710712
	AT 310177	B	19730925	AT 1972-8983	19710712
	SU 439980	D	19740815	SU 1971-1754056	19710712
	CH 563995	A	19750715	CH 1975-358	19710712
	CH 564539	A	19750731	CH 1975-357	19710712
	CS 181665	P	19780331	CS 1971-5097	19710712
	CS 181693	P	19780331	CS 1975-7829	19710712
	AU 7136921	A1	19730621	AU 1971-36921	19711215
	GB 1344658	A	19740123	GB 1971-58305	19711215
	FR 2118932	A5	19720804	FR 1971-45497	19711217
	FR 2118932	B1	19751010		
	CH 560198	A	19750327	CH 1971-18583	19711220
	DK 129996	B	19741209	DK 1971-6269	19711221
	SE 405729	C	19790405	SE 1971-16425	19711221
	SE 405729	B	19781227		
	BE 777102	A1	19720414	BE 1971-112022	19711222
	NL 7117652	A	19720627	NL 1971-17652	19711222
	DD 95845	C	19730220	DD 1971-159807	19711222
	PL 83081	P	19751231	PL 1971-152411	19711222
	CA 981672	A1	19760113	CA 1971-130858	19711222
	HU 163789	P	19731027	HU 1971-SU704	19711223
	ES 419267	A1	19761101	ES 1973-419267	19731002
	ES 419266	A1	19770101	ES 1973-419266	19731002
PRAI	JP 1970-118332		19701223		
	JP 1971-1477		19710119		
	JP 1971-34897		19710521		

GI



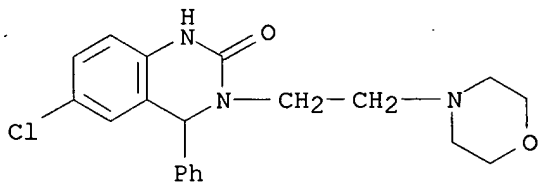
AB Quinazolinones I (R = H, R1 = Et, CH₂CH₂OH, Me, CH₂CH₂OAc, CH₂Ph, C₆H₄Me-4, CH₂CH₂NEt₂, (CH₂)₃NMe₂, morpholinoethyl, R₂ = Cl; R = Me, R₁ = cyclopropylmethyl, R₂ = Cl; R = cyclopropylmethyl, R₁ = Et, CH₂CH₂NEt₂, R₂ = Cl; R = Et, R₁ = CH₂CH₂NEt₂, R₂ = Cl; R = CHMe₂, R₁ = (CH₂)₃NMe₂, R₂ = H; R = Me, R₁ = CH₂CH₂NMe₂, R₂ = H) were prepd. for use as central nervous system depressants, inflammation inhibitors, analgesics, uricosurics, and bactericides (no data). Thus 2,5-Cl₃CCONH(Cl)C₆H₄Bz was treated with EtNH₂.cntdot.HCl and 2,5-Cl₃CCONH(Cl)C₆H₄CPh:NEt subjected to reductive cyclization with NaBH₄ to give I (R = H, R₁ = Et, R₂ = Cl).

IT **53220-24-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 53220-24-9 CAPLUS

CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-3-[2-(4-morpholinyl)ethyl]-4-phenyl- (9CI) (CA INDEX NAME)



09/855,597

✓
L7 ANSWER 41 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 1974:563569 CAPLUS

DN 81:163569

TI Uricosuric agent

IN Yamamoto, Michihiro; Aono, Shunji; Nakatani, Hiroshi; Morooka, Shigeaki;
Koshiba, Masao; Inaha, Shigeo; Aisaka, Akira; Yamamoto, Hisao

PA Sumitomo Chemical Co., Ltd.

SO U.S., 7 pp.

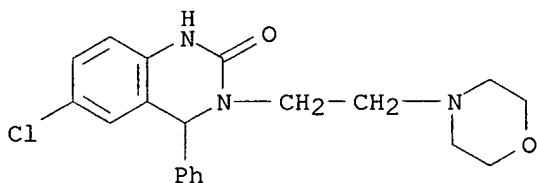
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	US 3812257	A	19740521	US 1972-242215	19720407
AB	Uric acid [69-93-2] content of the body can be controlled by administering a quinazoline deriv. (I), where R is H, lower alkyl, lower alkenyl, aralkyl, cycloalkyl, lower cycloalkylalkyl, lower alkoxyalkyl, lower alkanoyloxyalkyl, or lower alkylthioalkyl; R1 and R2 are individually H, lower alkyl, lower alkoxy, trifluoromethyl, nitro, lower alkylthio, lower alkylsulfonyl, or halogen; Z is an O or S atom, and A is -C(R3):N-, where R3 is Ph, substituted Ph, cycloalkyl, pyridyl, pyrrolyl, furyl, or thienyl. An example of a quinazoline deriv. is 1-methyl-4-phenyl-6-chloro-2(1H)-quinazolinone [20927-53-1].				
IT	53220-24-9 RL: BIOL (Biological study) (uricosuric agent)				
RN	53220-24-9 CAPLUS				
CN	2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-3-[2-(4-morpholinyl)ethyl]-4-phenyl- (9CI) (CA INDEX NAME)				



09/855,597

17 ANSWER 42 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 1972:526677 CAPLUS

DN 77:126677

TI 6-Chloro-3,4-dihydro-4-phenyl-2(1H)-quinazolinones

IN Yamamoto, Michihiro; Ishizumi, Kikuo; Mori, Kazuo; Koshiba, Masao; Inaba, Shigeo; Yamamoto, Hisao

PA Sumitomo Chemical Co., Ltd.

SO Ger. Offen., 18 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2165056	A	19720706	DE 1971-2165056	19711228
	DE 2165056	B2	19740530		
	DE 2165056	C3	19750123		
	JP 48022715	B4	19730707	JP 1970-129980	19701228
	US 3865827	A	19750211	US 1971-207541	19711213
	GB 1343668	A	19740116	GB 1971-58735	19711217
	FR 2120847	A5	19720818	FR 1971-46365	19711223
	CA 960672	A1	19750107	CA 1971-131028	19711223
	BE 777298	A1	19720414	BE 1971-112192	19711227
	NL 7117876	A	19720630	NL 1971-17876	19711227
	HU 162888	P	19730428	HU 1971-SU706	19711227
	AT 314545	B	19740410	AT 1971-11133	19711227
	DK 133673	B	19760628	DK 1971-6356	19711227
	SE 391181	B	19770207	SE 1971-16690	19711227
	CH 579553	A	19760915	CH 1971-19069	19711228
PRAI	JP 1970-129980		19701228		

GI For diagram(s), see printed CA Issue.

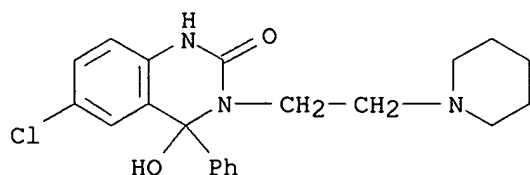
AB Eleven title compds. (I, R = Me, Et, Pr, allyl, Ph, PhCH₂, p-MeC₆H₄, p-MeOC₆H₄, 2-piperidinoethyl, R₁ = H, Me, Et), useful as antiinflammatories and analgesics, were prepd. in two steps from PhCOC₆H₃(NHCOCCL₃)Cl-2,5 (II) and RNH₂ and reaction of the resulting RN:CPhC₆H₃(NHCOCCL₃)Cl-2,5 (III) with R₁OH and KOH or NaOH. Thus, II with MeNH₂ in MeOH-KOH gave III (R = Me), which was refluxed 1 hr in KOH-EtOH to give I (R = Me, R₁ = Et).

IT **27772-54-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 27772-54-9 CAPLUS

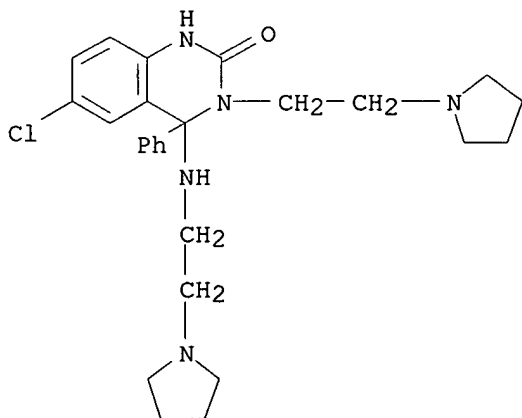
CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-4-hydroxy-4-phenyl-3-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



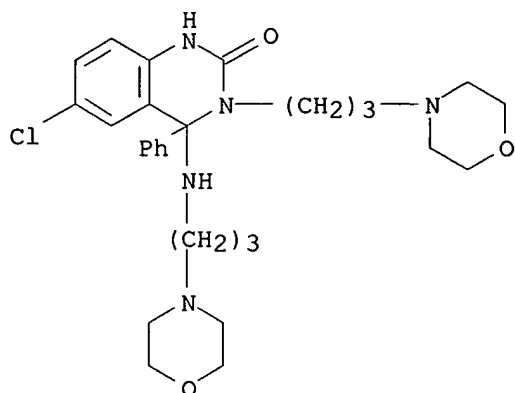
09/855,597

LF ANSWER 43 OF 45 CAPLUS COPYRIGHT 2002 ACS
AN 1971:405936 CAPLUS
DN 75:5936
TI Aminoquinazoline derivatives
IN Sato, Hironobu; Takagi, Hiroshi
PA Sankyo Co., Ltd.
SO Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 45041589	B4	19701226	JP	19680221
GI	For diagram(s), see printed CA Issue.				
AB	Aminobenzophenone derivs. (I) are reacted with aminoalkyl amines to give the title compds. (II). For example, I (R = Et, X = Cl), 2-(pyrrolizino)ethylamine, and anhyd. xylene are heated 18 hr removing H ₂ O (as an azeotrope) to give II [X = Cl, n = 2, and (NR ₁ R ₂) = pyrrolizino], m. 183-4.degree..				
IT	27772-55-0P 27772-56-1P 27772-57-2P 27772-58-3P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	27772-55-0 CAPLUS				
CN	2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-4-phenyl-3-[2-(1-pyrrolidinyl)ethyl]-4-[[2-(1-pyrrolidinyl)ethyl]amino]- (8CI) (CA INDEX NAME)				

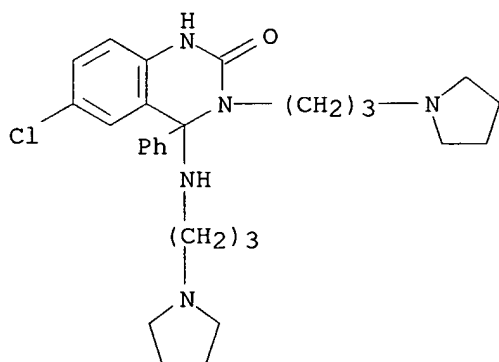


RN 27772-56-1 CAPLUS
CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-3-(3-morpholinopropyl)-4-[(3-morpholinopropyl)amino]-4-phenyl- (8CI) (CA INDEX NAME)



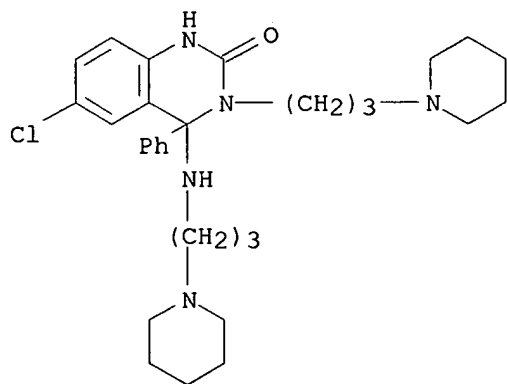
RN 27772-57-2 CAPLUS

CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-4-phenyl-3-[3-(1-pyrrolidinyl)propyl]-4-[[3-(1-pyrrolidinyl)propyl]amino]- (8CI) (CA INDEX NAME)



RN 27772-58-3 CAPLUS

CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-4-phenyl-3-(3-piperidinopropyl)-4-[(3-piperidinopropyl)amino]- (8CI) (CA INDEX NAME)



09/855,597

~~IT~~ ANSWER 44 OF 45 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1971:405934 CAPLUS

~~DN~~ 75:5934

~~TI~~ 4-Hydroxyquinazoline derivatives

~~IN~~ Sato, Hironobu; Takagi, Hiroshi

~~PA~~ Sankyo Co., Ltd.

~~SO~~ Jpn. Tokkyo Koho, 2 pp.

CODEN: JAXXAD

~~DT~~ Patent

~~LA~~ Japanese

~~FAN~~.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 45041590	B4	19701226	JP	19680221

~~GI~~ For diagram(s), see printed CA Issue.

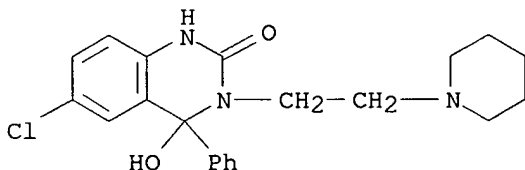
~~AB~~ Aminobenzophenone derivs. (I) are reacted with amino compds. to give the title derivs. (II). For example, I (R1 = Et, X = Cl), benzylamine, and anhyd. xylene are refluxed 15 hr to give II (R = benzyl, X = Cl), m. 230.degree..

~~IT~~ **27772-54-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

~~RN~~ 27772-54-9 CAPLUS

~~CN~~ 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-4-hydroxy-4-phenyl-3-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



09/855,597

PT ANSWER 45 OF 45 CAPLUS COPYRIGHT 2002 ACS

AN 1970:425393 CAPLUS

DN 73:25393

TI Synthesis of quinazolinone derivatives

AU Sato, Yasunobu; Tanaka, Teruo; Nagasaki, Takashi

CS Cent. Res. Lab., Sankyo Co., Ltd., Tokyo, Japan

SO Yakugaku Zasshi (1970), 90(5), 629-33

CODEN: YKKZAJ

DT Journal

LA Japanese

AB Reaction of 2-ethoxycarbonylamino-5-chlorobenzophenone (I) with benzylamine or 2-piperidinoethylamine, resp., gave 3-benzyl- or 3-(2-piperidinoethyl)-4-hydroxy-4-phenyl-6-chloro-3,4-dihydroquinazolin-2(1H)-one. Unexpectedly, however, reaction of I with some aminoalkylamines gave 3-aminoalkyl-4-(aminoalkylamino)-4-phenyl-6-chloro-3,4-dihydroquinazolin-2(1H)-ones. Heating I with an amino alc. or alkylenediamine gave tricyclic quinazolinones.

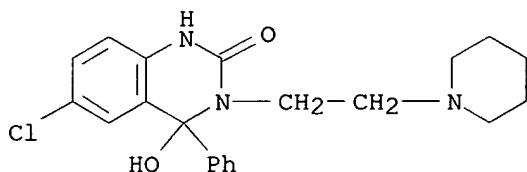
IT 27772-54-9P 27772-55-0P 27772-56-1P

27772-57-2P 27772-58-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

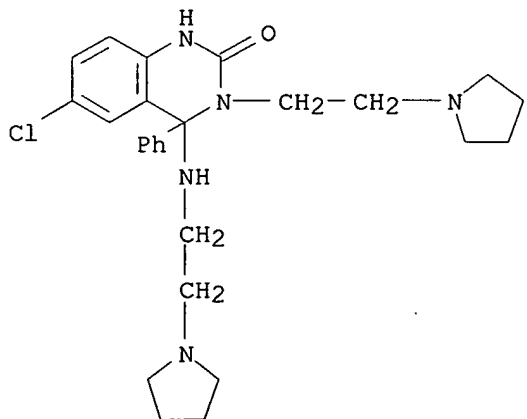
RN 27772-54-9 CAPLUS

CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-4-phenyl-3-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 27772-55-0 CAPLUS

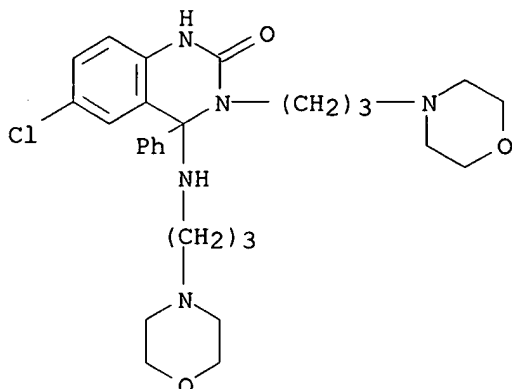
CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-4-phenyl-3-[2-(1-pyrrolidinyl)ethyl]-4-[[2-(1-pyrrolidinyl)ethyl]amino]- (8CI) (CA INDEX NAME)



RN 27772-56-1 CAPLUS

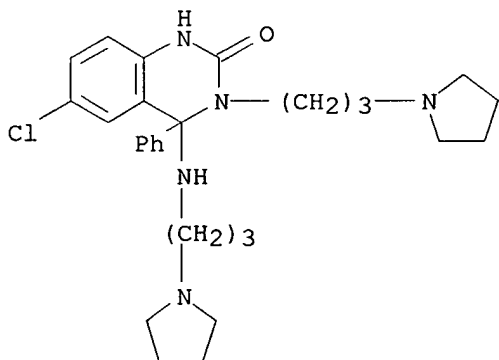
09/855,597

CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-3-(3-morpholinopropyl)-4-[(3-morpholinopropyl)amino]-4-phenyl- (8CI) (CA INDEX NAME)



RN 27772-57-2 CAPLUS

CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-4-phenyl-3-[3-(1-pyrrolidinyl)propyl]-4-[[3-(1-pyrrolidinyl)propyl]amino]- (8CI) (CA INDEX NAME)



RN 27772-58-3 CAPLUS

CN 2(1H)-Quinazolinone, 6-chloro-3,4-dihydro-4-phenyl-3-(3-piperidinopropyl)-4-[(3-piperidinopropyl)amino]- (8CI) (CA INDEX NAME)

